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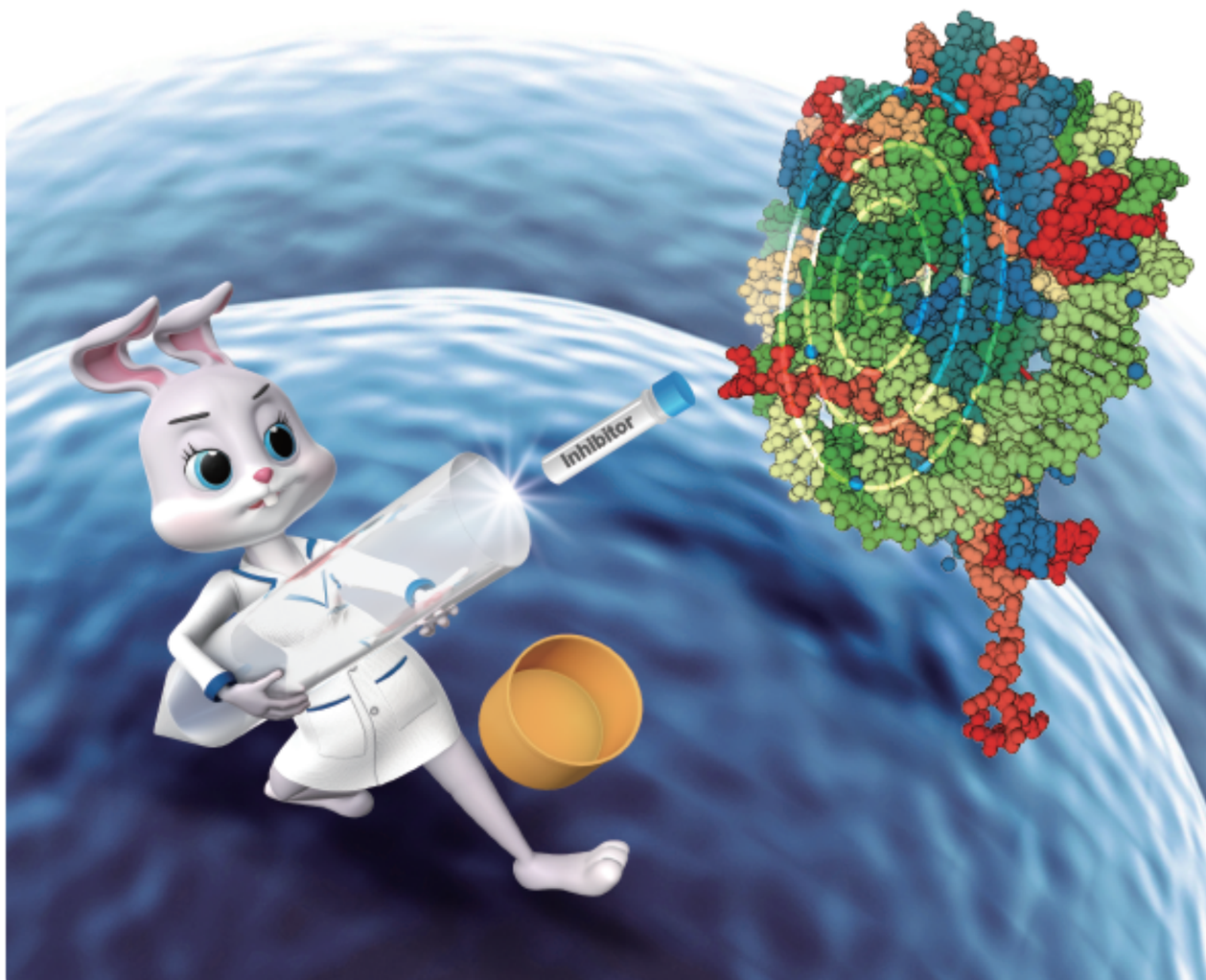
Inhibitor catalog



抑制剂

Selleck为您提供超过**120,000**种抑制剂，广泛应用于细胞信号通路研究领域。

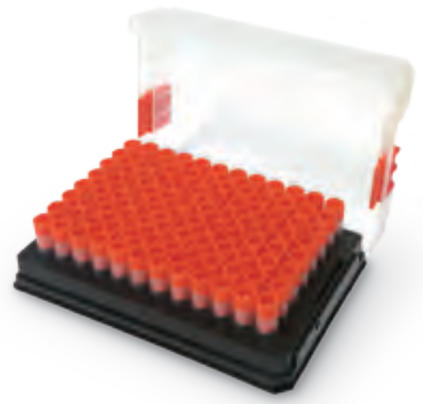
高通量筛选分子库

Bioactive Compound Library-Ⅰ
6734 compounds

Bioactive Compound Library-Ⅱ (Provided by Pfizer)
5309 compounds

FDA-approved Drug Library
2576 drugs

Natural Product Library
2116 natural products



Kinase Inhibitor Library
1188 inhibitors

Metabolism Compound Library
1383 chemical compounds

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使用Selleck产品发表的顶级学术期刊（Science, Nature和Cell）文献已达206篇！

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Pfizer辉瑞公司授权

2013年，Selleck正式宣布成为Pfizer辉瑞产品的授权经销商。新建立的合作关系将为世界各地的科学家和研究人员提供多种Pfizer辉瑞公司的独家化合物。

现在，在不同领域临床前期研究（包括癌症、血管疾病和神经障碍）的科学家们将可以通过Selleck购买可靠且充分验证的Pfizer辉瑞化合物，如Irinotecan和Cilostazol等化合物。除此之外，Pfizer辉瑞公司很多尚未进入临床试验的生物活性小分子也可通过Selleck购买。

这些化合物可以单独出售，以帮助研究人员发现靶向药物。同时，正如我们已经提供的多种化学分子库一样，Selleck也提供打包的Pfizer辉瑞化合物库，让科学家们以有效的方式轻松地进行高通量筛选。

Selleck期待与Pfizer辉瑞公司一并贡献世界级和高品质的化合物来促进创新的生物医学研究。

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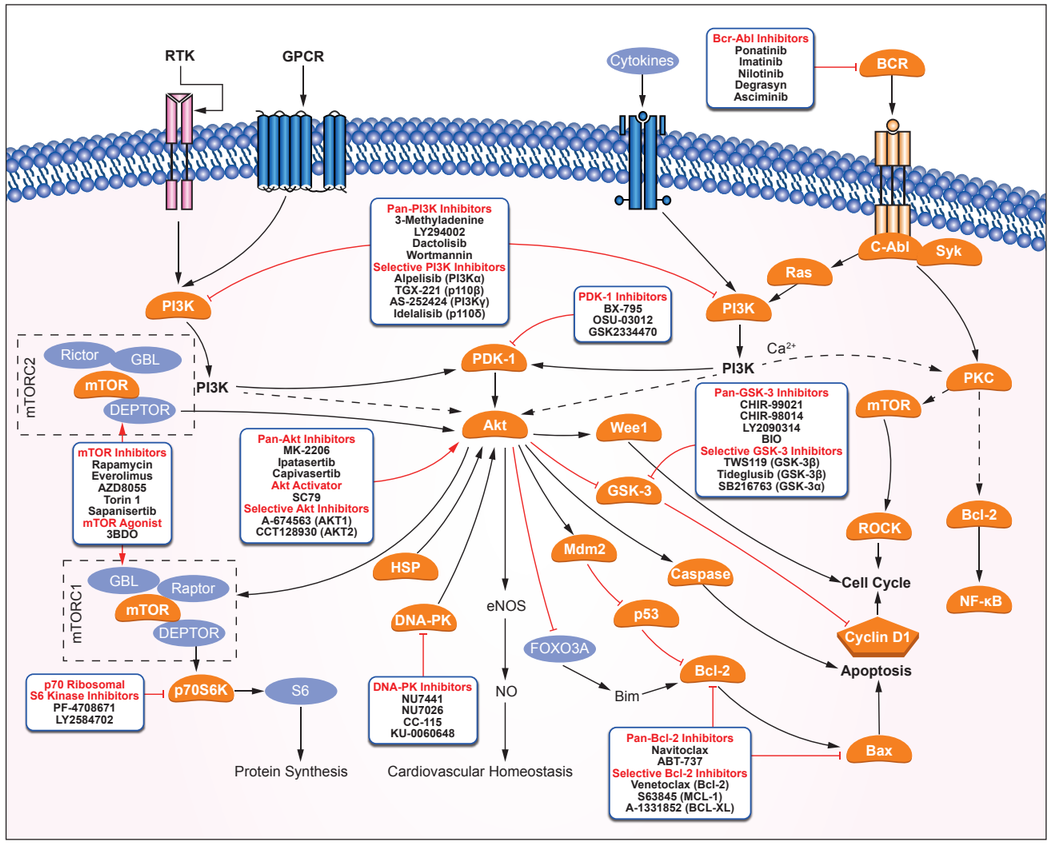
Proteases

Microbiology

PI3K/Akt/mTOR



PI3K

抑制剂选择性比较

抑制剂名称	PI3K	p110α	p110β	p110δ	p110γ	C2β	Vps34	其他靶点	临床阶段
Dactolisib (BEZ235)		++++ IC50: 4 nM	++ IC50: 75 nM	+++ IC50: 7 nM	++++ IC50: 5 nM			mTOR (p70S6K),ATR	Phase 2
Pictilisib (GDC-0941)		++++ IC50: 3 nM	++ IC50: 33 nM	++++ IC50: 3 nM	++ IC50: 75 nM			mTOR	Phase 2
LY294002		+ IC50: 0.5 μM	+ IC50: 0.97 μM	+ IC50: 0.57 μM				DNA-PK	
Idelalisib (CAL-101)				++++ IC50: 2.5 nM	++ IC50: 89 nM				Phase 4
Buparlisib (BKM120)		++ IC50: 52 nM	+ IC50: 166 nM	++ IC50: 116 nM	+ IC50: 262 nM		+ IC50: 2.4 μM	mTOR	Phase 3
PI-103		++++ IC50: 2 nM	++++ IC50: 3 nM	++++ IC50: 3 nM	+++ IC50: 15 nM			DNA-PK,mTOR	
TGX-221			++++ IC50: 5 nM	++ IC50: 0.1 μM					
IC-87114				+ IC50: 0.5 μM	+ IC50: 29 μM				
Wortmannin	++++IC50: 3 nM							DNA-PK,ATM,MLCK	
XL147 analogue		++ IC50: 39 nM	+ IC50: 383 nM	++ IC50: 36 nM	+++ IC50: 23 nM				Phase 2
ZSTK474	++ IC50: 37 nM	+++ IC50: 16 nM	++ IC50: 44 nM	++++ IC50: 4.6 nM	++ IC50: 49 nM				Phase 1
Alpelisib (BYL719)		++++ IC50: 5 nM							Phase 3
AS-605240		++ IC50: 60 nM	+ IC50: 270 nM	+ IC50: 300 nM	+++ IC50: 8 nM				
PIK-75 HCl		+++ IC50: 5.8 nM		+ IC50: 0.51 μM	++ IC50: 76 nM			DNA-PK	
3-Methyladenine (3-MA)					+ IC50: 60 μM		+ IC50: 25 μM		
A66		++ IC50: 32 nM				+ IC50: 462 nM		PI4Kβ	
Voxtalisib Analogue		++ IC50: 39 nM	++ IC50: 113 nM	++ IC50: 43 nM	+++ IC50: 9 nM			DNA-PK,mTOR	Phase 2
PIK-93		++ IC50: 39 nM	+ IC50: 590 nM	++ IC50: 120 nM	+++ IC50: 16 nM	+ IC50: 140 nM	+ IC50: 320 nM	PI4KIIIβ,DNA-PK,ATM	

抑制剂选择性比较

抑制剂名称	PI3K	p110α	p110β	p110δ	p110γ	C2β	Vps34	其他靶点	临床阶段
Omipalisib (GSK2126458)		++++ Ki: 0.019 nM	++++ Ki: 0.13 nM	++++ Ki: 0.024 nM	++++ Ki: 0.06 nM			mTORC1,mTORC2	Phase 1
PIK-90		+++ IC50: 11 nM	+ IC50: 350 nM	++ IC50: 58 nM	+++ IC50: 18 nM				
PF-04691502		++++ Ki: 1.8 nM	++++ Ki: 2.1 nM	++++ Ki: 1.6 nM	++++ Ki: 1.9 nM			P-Akt (S473),P-Akt,mTOR	Phase 2
AZD6482		+ IC50: 870 nM	+++ IC50: 10 nM	++ IC50: 80 nM				DNA-PK	Phase 1
Apitolisib (GDC-0980)		++++ IC50: 5 nM	++ IC50: 27 nM	+++ IC50: 7 nM	+++ IC50: 14 nM			mTOR	Phase 2
GSK1059615		++++ IC50: 0.4 nM	++++ IC50: 0.6 nM	++++ IC50: 2 nM	++++ IC50: 5 nM			mTOR	Phase 1
Duvelisib (IPI-145, INK1197)			++++ Ki: 1564 pM	++++ Ki: 23 pM	++ Ki: 243 pM				Phase 3
Gedatolisib (PF-05212384)		++++ IC50: 0.4 nM			+++ IC50: 5.4 nM			mTOR	Phase 2
TG100-115		+ IC50: 1.3 μM	+ IC50: 1.2 μM	+ IC50: 235 nM	++ IC50: 83 nM				Phase 2
AS-252424		+ IC50: 935 nM			++ IC50: 33 nM			Casein Kinase 2	
BGT226 maleate		++++ IC50: 4 nM	++ IC50: 63 nM		++ IC50: 38 nM			mTOR	Phase 2
CUDC-907		+++ IC50: 19 nM	++ IC50: 54 nM	++ IC50: 39 nM				HDAC1,HDAC3,HDAC10	Phase 2
PIK-294			+ IC50: 490 nM	+++ IC50: 10 nM	+ IC50: 160 nM				
AS-604850		+ IC50: 4.5 μM			+ IC50: 0.25 μM				
Copanlisib (BAY 80-6946)		++++ IC50: 0.5 nM	++++ IC50: 3.7 nM	++++ IC50: 0.7 nM	+++ IC50: 6.4 nM				Phase 3
YM201636		+ IC50: 3.3 μM						PIKfyve	
CH5132799		+++ IC50: 14 nM	++ IC50: 0.12 μM	+ IC50: 0.50 μM	++ IC50: 36 nM				Phase 1
PIK-293				+ IC50: 0.24 μM	+ IC50: 10 μM				
PKI-402		++++ IC50: 2 nM	+++ IC50: 7 nM	+++ IC50: 14 nM	+++ IC50: 16 nM			mTOR	
TG100713		+ IC50: 165 nM	+ IC50: 215 nM	+++ IC50: 24 nM	++ IC50: 50 nM				
VS-5584 (SB2343)		++++ IC50: 2.6 nM	+++ IC50: 21 nM	++++ IC50: 2.7 nM	++++ IC50: 3.0 nM			mTOR	Phase 1
Taselisib (GDC 0032)		++++ Ki: 0.29 nM	+++ Ki: 9.1 nM	++++ Ki: 0.12 nM	++++ Ki: 0.97 nM	+ IC50: 292 nM	+ IC50: 374 nM		Phase 2
CZC24832			+ IC50: 1.1 μM		++ IC50: 27 nM				
GNE-477		++++ IC50: 4 nM						mTOR	
Selective PI3Kδ Inhibitor 1 (compound 7n)				++++ IC50: 0.9 nM					
acalisib (GS-9820)				+++ IC50: 14 nM					
leniolisib(CDZ 173)		+ IC50: 0.244 μM	+ IC50: 0.424 μM	+++ IC50: 0.011 μM	+ IC50: 2.23 μM			DNA-PK	
Bimiralisib (PQR309)		++++ Kd: 1.5 nM	+++ Kd: 11 nM	+++ Kd: 25 nM	+++ Kd: 25 nM			mTOR	Phase 2
Seletalisib (UCB-5857)				+++ IC50: 12 nM	+ IC50: 282 nM				Phase 2
2-D08		++ IC50: 35 nM						sumoylation,Axl,IRAK4	Phase 4
Tenalisib (RP6530)				+++ IC50: 24.5 nM	++ IC50: 33.2 nM				Phase 2
IPI-3063				++++ IC50: 2.5 nM					
Autophinib							+++ IC50: 19 nM	Autophagy	
IPI-549					+++ IC50: 16 nM				Phase 1
Serabelisib (INK-1117)		+++ IC50: 21 nM							Phase 2
SF2523		++ IC50: 34 nM			+ IC50: 158 nM			DNA-PK,BRD4,mTOR	
GDC-0326		++++ Ki: 0.2 nM	++ Ki: 26.6 nM	++++ Ki: 4 nM	+++ Ki: 10.2 nM				
SAR405							++++ IC50: 1.2 nM		
umbralisib (TGR-1202)				+++ IC50: 22.2 nM					Phase 3
VPS34 inhibitor 1 (Compound 19, PIK-III analogue)							+++ IC50: 15 nM		
GDC-0084		++++ Ki app: 2 nM	++ Ki app: 46 nM	++++ Ki app: 3 nM	+++ Ki app: 10 nM			mTOR	Phase 2
AZD8835		+++ IC50: 6.2 nM	+ IC50: 431 nM	+++ IC50: 5.7 nM	++ IC50: 90 nM				Phase 1
Nemiralisib (GSK2269557)				++++ pKi: 9.9					Phase 2
PIK-III				+ IC50: 1.2μM			+++ IC50: 0.018μM		
VPS34-IN1							+++ IC50: 25 nM		
Voxtalisib (XL765)		++ IC50: 39 nM	++ IC50: 113 nM	++ IC50: 43 nM	+++ IC50: 9 nM			DNA-PK,mTOR	Phase 2
AMG319				+++ IC50: 18 nM	+ IC50: 850 nM				Phase 2
AZD8186		++ IC50: 35 nM	++++ IC50: 4 nM	+++ IC50: 12 nM					Phase 1
PF-4989216		++++ IC50: 2 nM		++++ IC50: 1 nM	++ IC50: 65 nM				
Pilaralisib (XL147)		++ IC50: 39 nM	++ IC50: 36 nM	++ IC50: 36 nM	+++ IC50: 23 nM				Phase 2
PI-3065			+ IC50: 1078 nM	+++ IC50: 15 nM					
HS-173		++++ IC50: 0.8 nM							
Quercetin			+ IC50: 5.4 μM	+ IC50: 3.0 μM	+ IC50: 2.4 μM			PKC,Src,Sirtuin	Phase 4
GSK2636771			√						Phase 2

抑制剂选择性比较

抑制剂名称	PI3K	p110α	p110β	p110δ	p110γ	C2β	Vps34	其他靶点	临床阶段
CAY10505					√				
MTX-211	√							EGFR	
Deguelin	√							Akt	
LY3023414	√							DNA-PK,mTOR kinase	Phase 2
GSK2292767				√					Phase 1
GNE-317	√								

mTOR

抑制剂选择性比较

抑制剂名称	mTOR	mTORC1	mTORC2	其他靶点	临床阶段
Dactolisib (BEZ235, NVP-BEZ235)	+++ IC50: 6 nM			p110α,p110γ,p110δ	Phase 2
Rapamycin (Sirolimus)	++++ IC50: ~0.1 nM				Phase 4
Everolimus (RAD001)	++++ IC50: 1.6 nM-2.4 nM				Phase 4
AZD8055	++++ IC50: 0.13 nM				Phase 1
Temsirolimus (CCI-779, NSC 683864)	+ IC50: 1.76 μM				Phase 4
PI-103	+ IC50: 30 nM			p110α,p110δ,p110β	
KU-0063794		++ IC50: ~10 nM	++ IC50: ~10 nM		
Torkinib (PP242)	+++ IC50: 8 nM			p110δ,PDGFR,DNA-PK	
Ridaforolimus (Deforolimus, MK-8669)	++++ IC50: 0.2 nM				Phase 3
Sapanisertib (INK 128, MLN0128,TAK-228)	++++ Ki: 1.4 nM			PI3Kα,PI3Kγ,PI3Kδ	Phase 2
Voxtalisib (SAR245409, XL765) Analogue	+ IC50: 157 nM			PI3Kγ,PI3Kα,PI3Kδ	Phase 2
Torin 1	+++ IC50: 4.32 nM	++++ IC50: 2 nM	++ IC50: 10 nM	DNA-PK,p110γ,C2α	
Omipalisib (GSK2126458, GSK458)		++++ Ki: 0.18 nM	++++ Ki: 0.3 nM	p110α,p110δ,p110γ	Phase 1
OSI-027	+++ IC50: 4 nM	+ IC50: 22 nM	+ IC50: 65 nM	PI3Kγ	Phase 1
PF-04691502	++ Ki: 16 nM			PI3Kδ,PI3Kα,PI3Kγ	Phase 2
Apitolisib (GDC-0980, RG7422)	++ Ki app: 17 nM			p110α,p110δ,p110γ	Phase 2
GSK1059615	++ IC50: 12 nM			PI3Kα,PI3Kβ,PI3Kδ	Phase 1
Gedatolisib (PF-05212384, PKI-587)	++++ IC50: 1.6 nM			PI3Kα,PI3Kγ	Phase 2
WYE-354	+++ IC50: 5 nM				
Vistusertib (AZD2014)	+++ IC50: 2.8 nM			P-Akt (S473),pS6 (S235/236)	Phase 2
Torin 2	++++ IC50: 0.25 nM			ATM,ATR,DNA-PK	
WYE-125132 (WYE-132)	++++ IC50: 0.19 nM				
PP121	++ IC50: 13 nM			PDGFR,Hck,VEGFR	
WYE-687	+++ IC50: 7 nM				
WAY-600	++ IC50: 9 nM				
ETP-46464	++++ IC50: 0.6 nM			ATR,DNA-PK,PI3Kα	
GDC-0349	+++ Ki: 3.8 nM			PI3Kα	Phase 1
XL388	++ IC50: 9.9 nM	+++ IC50: 8 nM	+ IC50: 166 nM		
GNE-477	+ Ki app: 21 nM			PI3Kα	
Bimiralisib (PQR309)	++ Kd: 12 nM			PI3Kα,PI3Kβ,PI3Kδ	Phase 2
SF2523	+ IC50: 280 nM			DNA-PK,PI3Kα,PI3Kγ	
CZ415	+++ pIC50: 8.07				
GDC-0084	+ Ki app: 70 nM			PI3Kα,PI3Kδ,PI3Kγ	Phase 2
CC-115	+ IC50: 0.021 μM			DNA-PK,PI3Kα	Phase 2
CC-223	++ IC50: 16 nM			cFMS,FLT4,DNA-PK	Phase 2
Voxtalisib (XL765, SAR245409)	+ IC50: 157 nM			PI3Kγ,PI3Kα,PI3Kδ	Phase 2
Zotarolimus(ABT-578)	+++ IC50: 2.8 nM				Phase 4
Tacrolimus (FK506)	√				Phase 4
BGT226 maleate (NVP-BGT226 maleate)	√			PI3Kα,PI3Kγ,PI3Kβ	Phase 2
Palomid 529 (P529)		√			Phase 1
LY3023414	√			DNA-PK,class I PI3K isoforms	Phase 2
Chrysophanic Acid	√			EGFR	

Akt

抑制剂选择性比较

抑制剂名称	Akt	Akt1	Akt2	Akt3	其他靶点	临床阶段
MK-2206 2HCl		+++ IC50: 8 nM	+++ IC50: 12 nM	+ IC50: 65 nM		Phase 2
Perifosine (KRX-0401)	+ IC50: 4.7 μM					Phase 3
GSK690693		++++ IC50: 2 nM	+++ IC50: 13 nM	+++ IC50: 9 nM	PKCθ,PKCη,PrkX	Phase 1
Ipatasertib (GDC-0068)		++++ IC50: 5 nM	++ IC50: 18 nM	+++ IC50: 8 nM		Phase 2
Capivasertib (AZD5363)		++++ IC50: 3 nM	+++ IC50: 8 nM	+++ IC50: 8 nM	ROCK2	Phase 2
PF-04691502	++++ IC50: 3.8 nM				PI3Kδ,PI3Kα,PI3Kγ	Phase 2
AT7867		++ IC50: 32 nM	++ IC50: 17 nM	++ IC50: 47 nM	PKA,p70 S6K	
Triciribine	+ IC50: 130 nM				HIV-1	Phase 2
CCT128930			+++ IC50: 6 nM		p70 S6K,PKA	
A-674563		+++ Ki: 11 nM			PKA,CDK2,GSK-3β	
PHT-427	+ Ki: 2.7 μM				PDK1	
Miransertib (ARQ 092) HCl		++++ IC50: 5 nM	++++ IC50: 4.5 nM	++ IC50: 16 nM		Phase 2
Akti-1/2		++ IC50: 58 nM	+ IC50: 210 nM	+ IC50: 2119 nM		
Uprosertib (GSK2141795)		+ IC50: 180 nM	+ IC50: 328 nM	++ IC50: 38 nM		Phase 2
Afuresertib (GSK2110183)		++++ Ki: 0.08 nM	++++ Ki: 2 nM	++++ Ki: 2.6 nM		Phase 2
AT13148		++ IC50: 38 nM	+ IC50: 402 nM	++ IC50: 50 nM	PKA,ROCK2,ROCK1	Phase 1
Miltefosine	√				PI3K,PKC	Phase 4
Honokiol	√				MEK	
TIC10 Analogue	√				ERK	
SC66	√					
Deguelin	√				PI3K	
TIC10(ONC201)	√				ERK	

GSK-3

抑制剂选择性比较

抑制剂名称	GSK-3	GSK-3α	GSK-3β	其他靶点	临床阶段
CHIR-99021 (CT99021) HCl		+++ IC50: 10 nM	++++ IC50: 6.7 nM		
SB216763		++ IC50: 34.3 nM	++ IC50: ~34.3 nM		
CHIR-98014		++++ IC50: 0.65 nM	++++ IC50: 0.58 nM		
TWS119			++ IC50: 30 nM		
Tideglusib			+ IC50: 60 nM		Phase 3
SB415286		+ IC50: 78 nM	+ IC50: ~78 nM		
BIO	++++ IC50: 5 nM			TYK2,CDK5/p35,CDK2/CyclinA	Phase 4
CHIR-99021 (CT99021)		+++ IC50: 10 nM	++++ IC50: 6.7 nM		
AZD2858	+ IC50: 68 nM				
AZD1080		+++ IC50: 6.9 nM	++ IC50: 31 nM		
AR-A014418			++ Ki: 38 nM		
TDZD-8			+ IC50: 2 μM		
LY2090314		++++ IC50: 1.5 nM	++++ IC50: 0.9 nM		Phase 2
2-D08			+++ IC50: 11 nM	sumoylation,Axl,IRAK4	Phase 4
BIO-acetoxime		+++ IC50: 10 nM	+++ IC50: 10 nM		
IM-12			++ IC50: 53 nM		
1-Azakenpaullone			++ IC50: 18 nM		
Indirubin			+ IC50: 0.6 μM	CDK2/CyclinA,CDK5/p35,CDK1/CyclinB	
Bikinin	√				

ATM/ATR

抑制剂选择性比较

抑制剂名称	ATM	ATR	其他靶点	临床阶段
Dactolisib (BEZ235, NVP-BEZ235)		+++ IC50: 21 nM	p110α,p110γ,mTOR (p70S6K)	Phase 2
KU-55933 (ATM Kinase Inhibitor)	+++ IC50: 12.9 nM			
KU-60019	++++ IC50: 6.3 nM			
VE-821		++ Ki: 13 nM		
Wortmannin	++ IC50: 150 nM		PI3K,DNA-PK,MLCK	
Torin 2	++ EC50: 28 nM	++ EC50: 35 nM	mTOR,DNA-PK	
CP-466722	+ IC50: 410 nM			
Berzosertib (VE-822|VX970|M6620)		+++ IC50: 19 nM		
ETP-46464	+ IC50: 545 nM	+++ IC50: 14 nM	mTOR,DNA-PK,PI3Kα	
CGK 733	++ IC50: 200 nM	++ IC50: 200 nM		
AZ20		++++ IC50: 5 nM	mTOR	
AZ32	++++ IC50: <0.0062 μM			
AZD1390	++++ IC50: 0.78 nM			Phase 1
BAY 1895344 (BAY-1895344)		+++ IC50: 7 nM		Phase 1
AZD6738		++++ IC50: 1 nM		Phase 2
Schisandrin B (Sch B)		+ IC50: 7.25 μM	P-gp	
AZ31	√			
AZD0156	√			Phase 1

PDK

抑制剂选择性比较

抑制剂名称	PDK1	其他靶点	临床阶段
OSU-03012 (AR-12)	++ IC50: 5 μM		Phase 1
BX-795	++++ IC50: 6 nM	c-Kit,CDK2/CyclinE,Chk1	
BX-912	+++ IC50: 12 nM	PKA,KDR,CDK2/CyclinE	
PHT-427	+ Ki: 5.2 μM	Akt	
GSK2334470	+++ IC50: 10 nM		

S6 Kinase

抑制剂选择性比较

抑制剂名称	p70 S6K	p70 S6K1	RSK1	RSK2	RSK3	RSK4	其他靶点	临床阶段
BI-D1870			++ IC50: 31 nM	++ IC50: 24 nM	++ IC50: 18 nM	++ IC50: 15 nM		
AT7867	+ IC50: 85 nM						Akt2,PKA,Akt1	
PF-4708671		+ IC50: 160 nM						
H 89 2HCl		+ IC50: 80 nM					PKA	
LJH685			+++ IC50: 6 nM	+++ IC50: 5 nM	++++ IC50: 4 nM			
LJI308			+++ IC50: 6 nM	++++ IC50: 4 nM	+++ IC50: 13 nM			
LY2584702 Tosylate	++++ IC50: 4 nM							Phase 1
LY2584702	++++ IC50: 4 nM							Phase 1
AT13148	+++ IC50: 8 nM		+ IC50: 85 nM				PKA,ROCK2,ROCK1	Phase 1

AMPK

抑制剂选择性比较

抑制剂名称	AMPK
Dorsomorphin (Compound C) 2HCl	++ Ki: 109 nM
WZ4003	++++ IC50: 20 nM
Dorsomorphin (Compound C)	++ Ki: 109 nM
HTH-01-015	+++ IC50: 100 nM

DNA-PK

抑制剂选择性比较

抑制剂名称	DNA-PK	其他靶点	临床阶段
PI-103	++ IC50: 23 nM	p110α,p110δ,p110β	
NU7441 (KU-57788)	+++ IC50: 14 nM		
PIK-75 HCl	++++ IC50: 2 nM	p110α,p110γ,p110δ	
NU7026	+ IC50: 0.23 μM	PI3K	
PP121	+ IC50: 60 nM	PDGFR,Hck,VEGFR	
KU-0060648	++++ IC50: 5 nM	PI3Kδ,PI3Kβ,PI3Kα	
SF2523	+++ IC50: 9 nM	PI3Kα,PI3Kγ,BRD4	
LTURM34	++ IC50: 0.034 μM		
CC-115	+++ IC50: 0.013 μM	mTOR,PI3Kα	Phase 2
LY3023414	√	mTOR kinase,class I PI3K isoforms	Phase 2

MELK

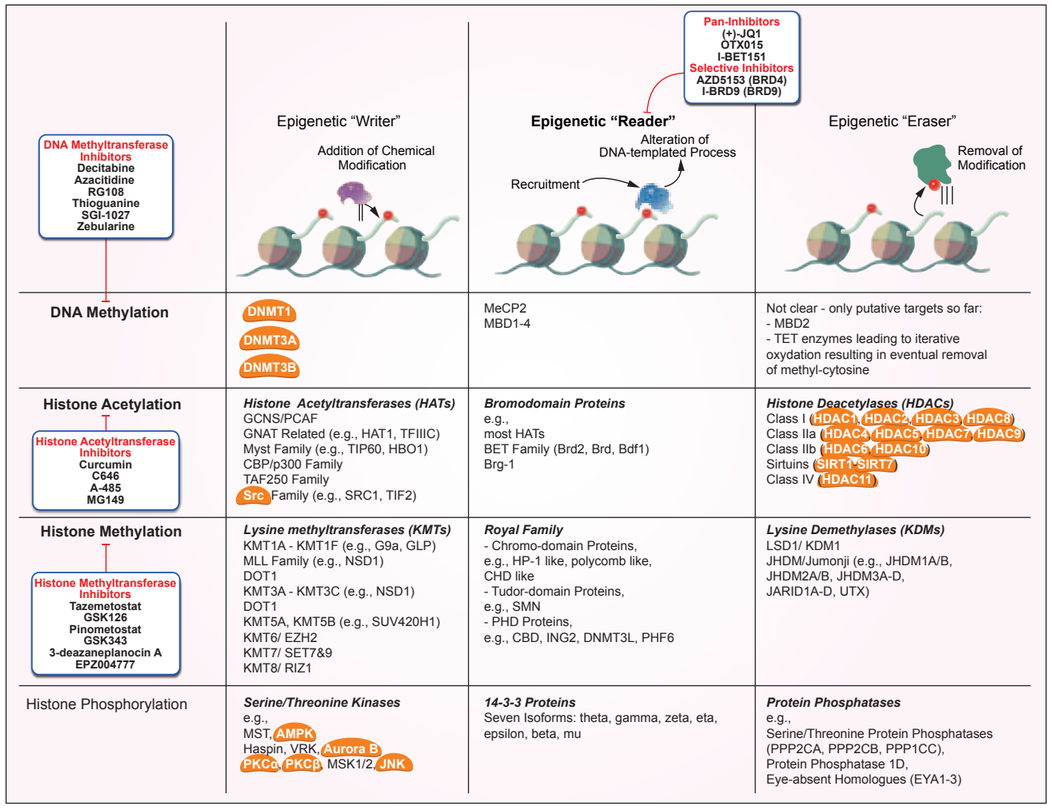
抑制剂选择性比较

抑制剂名称	MELK
OTSSP167	+++ IC50: 0.41 nM

注：

1. 更多细节，例如半抑制浓度（IC_{50}）以及任何抑制剂的相关工作浓度，请访问www.selleck.cn。
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Epigenetics



HDAC

抑制剂选择性比较

抑制剂名称	HDAC	HDAC1	HDAC2	HDAC3	HDAC4	HDAC5	HDAC6	HDAC7	HDAC8	HDAC9	HDAC10	HDAC11	HD1	HD2	其他靶点	临床阶段
Vorinostat (SAHA)	+++ IC50: ~10 nM															Phase 3
Entinostat (MS-275)		+ IC50: 0.51 μM		+ IC50: 1.7 μM												Phase 3
Panobinostat (LBH589)	++++ IC50: 5 nM															Phase 3
Trichostatin A (TSA)	++++ IC50: ~1.8 nM															Phase 1
Mocetinostat		++ IC50: 0.15 μM	+ IC50: 0.29 μM	+ IC50: 1.66 μM								+ IC50: 0.59 μM				Phase 2
Belinostat (PXD101)	+++ IC50: 27 nM															Phase 2
Romidepsin (FK228)		+++ IC50: 36 nM	+++ IC50: 47 nM													Phase 3
MC1568													++ IC50: 100 nM			
Tubastatin A HCl							+++ IC50: 15 nM		+ IC50: 854 nM							
Givinostat (ITF2357)													++++ IC50: 7.5 nM	+++ IC50: 10 nM		Phase 3
Dacinostat (LAQ824)	+++ IC50: 32 nM															
CUDC-101	++++ IC50: 4.4 nM	++++ IC50: 4.5 nM	+++ IC50: 12.6 nM	+++ IC50: 9.1 nM	+++ IC50: 13.2 nM	+++ IC50: 11.4 nM	++++ IC50: 5.1 nM	+ IC50: 373 nM	++ IC50: 79.8 nM	++ IC50: 67.2 nM	+++ IC50: 26.1 nM				EGFR,HER2	Phase 1
Quisinostat 2HCl		++++ IC50: 0.11 nM	++++ IC50: 0.33 nM	++++ IC50: 4.86 nM	++++ IC50: 0.64 nM	++++ IC50: 3.69 nM			++++ IC50: 4.26 nM		++++ IC50: 0.46 nM	++++ IC50: 0.37 nM				Phase 2
Pracinostat (SB939)		++ IC50: 49 nM	++ IC50: 96 nM	+++ IC50: 43 nM	++ IC50: 56 nM	+++ IC50: 47 nM	+ IC50: 1.008 μM	++ IC50: 137 nM	++ IC50: 140 nM	++ IC50: 70 nM	+++ IC50: 40 nM	++ IC50: 93 nM				Phase 3
PCI-34051									+++ IC50: 10 nM							
Droxinostat				+ IC50: 16.9 μM			+ IC50: 2.47 μM		+ IC50: 1.46 μM							

抑制剂选择性比较

抑制剂名称	HDAC	HDAC1	HDAC2	HDAC3	HDAC4	HDAC5	HDAC6	HDAC7	HDAC8	HDAC9	HDAC10	HDAC11	HD1	HD2	其他靶点	临床阶段
Abexinostat		++++ Ki: 7 nM	+++ Ki: 19 nM	++++ Ki: 8.2 nM			+++ Ki: 17 nM		++ IC50: 280 nM		+++ IC50: 24 nM					Phase 3
RGFP966				++ IC50: 80 nM												
AR-42	+++ IC50: 30 nM															Phase 1
Ricolinostat		++ IC50: 58 nM	+++ IC50: 48 nM	++ IC50: 51 nM			++++ IC50: 4.7 nM		++ IC50: 100 nM							Phase 2
Tacedinaline (CI994)		+ IC50: 0.9 μM	+ IC50: 0.9 μM	+ IC50: 1.2 μM												Phase 3
CUDC-907		++++ IC50: 1.7 nM	++++ IC50: 5.0 nM	++++ IC50: 1.8 nM			+++ IC50: 27 nM				++++ IC50: 2.8 nM	++++ IC50: 5.4 nM			PI3Kα,PI3Kδ,PI3Kβ	Phase 2
M344	++ IC50: 100 nM															
Tubacin							++++ IC50: 4 nM									
RG2833 (RGFP109)		++ Ki: 32 nM		++ Ki: 5 nM												
Resminostat		+++ IC50: 42.5 nM		++ IC50: 50.1 nM			++ IC50: 71.8 nM									Phase 2
Tubastatin A							+++ IC50: 15 nM									
Tinostamustine		++++ IC50: 9 nM	++++ IC50: 9 nM	+++ IC50: 25 nM			++++ IC50: 6 nM		++ IC50: 107 nM		++ IC50: 72 nM					
SKLB-23bb							++ IC50: <100 nM									
TH34							+ IC50: 4.6 μM		+ IC50: 1.9 μM		+ IC50: 7.7 μM					
WT161		++++ IC50: 8.35 nM	+++ IC50: 15.4 nM				++++ IC50: 0.4 nM									
Valproic acid		+ IC50: 0.4 mM														Phase 4
ACY-738							++++ IC50: 1.7 nM									
Tucidinostat		++ IC50: 95 nM	++ IC50: 160 nM	++ IC50: 67 nM							++ IC50: 78 nM					Phase 3
TMP195					++ Ki: 59 nM	++ Ki: 60 nM		+++ Ki: 26 nM		+++ Ki: 15 nM						
Citarinostat		+++ IC50: 35 nM	+++ IC50: 45 nM	+++ IC50: 46 nM			++++ IC50: 2.6 nM		++ IC50: 137 nM							Phase 1
BRD73954							+++ IC50: 36 nM		++ IC50: 120 nM							
BG45		+ IC50: 2 μM	+ IC50: 2.2 μM	+ IC50: 289 nM												
Domatinostat		+ IC50: 1.20 μM	+ IC50: 1.12 μM	+ IC50: 0.57 μM		+ IC50: 11.3 μM				+ IC50: 50 μM	+ IC50: 21 μM	+ IC50: 9.7 μM				Phase 2
CAY10603							++++ IC50: 2 pM									
LMK-235					+++ IC50: 11.9 nM	++++ IC50: 4.2 nM										
Splitomicin	+ IC50: 60 μM															
Santacruzamate A			++++ IC50: 119 pM													
Nexturastat A							++++ IC50: 5 nM									
TMP269					++ IC50: 157 nM	++ IC50: 97 nM		+++ IC50: 43 nM		+++ IC50: 23 nM						
HPOB		+ IC50: 2.9 μM	+ IC50: 4.4 μM	+ IC50: 1.7 μM			++ IC50: 56 nM		+ IC50: 2.8 μM		+ IC50: 3.0 μM					
Valproic acid sodium salt (Sodium valproate)	√														GABA receptor,Autophagy	Phase 4
Curcumin	√														Nrf2,NF-κB,p300 histone acetylatransferase	Phase 4
Scriptaid	√															
Sodium Phenylbutyrate	√															Phase 4
Tasquinimod					√											Phase 3
(-)-Parthenolide		√													p53,MDM2 ubiquitination, NF-κB	

PARP

抑制剂选择性比较

抑制剂名称	PARP	PARP1	PARP2	PARP3	临床阶段
Olaparib (AZD2281, Ku-0059436)		++ IC50: 5 nM	++++ IC50: 1 nM		Phase 4
Veliparib (ABT-888)		++ Ki: 5.2 nM	+++ Ki: 2.9 nM		Phase 3
Rucaparib (AG-014699,PF-01367338) phosphate	+++ Ki: 1.4 nM				Phase 3
Talazoparib (BMN 673)		++++ IC50: 0.57 nM			Phase 3

抑制剂选择性比较

抑制剂名称	PARP	PARP1	PARP2	PARP3	临床阶段
AG-14361		++ Ki: <5 nM			
INO-1001 (3-Aminobenzamide)	++ IC50: <50 nM				Phase 2
A-966492		++++ Ki: 1 nM	+++ Ki: 1.5 nM		
PJ34 HCl	++ EC50: 20 nM				
Niraparib (MK-4827)		+++ IC50: 3.8 nM	+++ IC50: 2.1 nM		Phase 3
UPF 1069		+ IC50: 8.0 μM	++ IC50: 0.3 μM		
ME0328		+ IC50: 6.3 μM		+ IC50: 0.89 μM	
Pamiparib (BGB-290)		++++ IC50: 0.83 nM	++++ IC50: 0.11 nM		Phase 3
NMS-P118		++ Kd: 0.009 μM			
E7449		++++ IC50: 1 nM	++++ IC50: 1.2 nM		Phase 2
Picolinamide	+ IC50: 95 μM				
Benzamide	+ IC50: 3.3 μM				
Niraparib (MK-4827) tosylate		+++ IC50: 3.8 nM	+++ IC50: 2.1 nM		
NU1025	+ IC50: 400 nM				
Iniparib (BSI-201)		√			Phase 3
AZD2461	√				Phase 1
BGP-15 2HCl	√				Phase 2

JAK

抑制剂选择性比较

抑制剂名称	JAK1	JAK2	JAK3	Tyk2	其他靶点	临床阶段
Ruxolitinib (INCB018424)	++++ IC50: 3.3 nM	++++ IC50: 2.8 nM				Phase 4
Tofacitinib (CP-690550) Citrate		++ IC50: 20 nM	++++ IC50: 1 nM			Phase 4
AZD1480		++++ IC50: 0.26 nM				Phase 1
Fedratinib (SAR302503, TG101348)		++++ IC50: 3 nM			FLT3,RET	Phase 3
AT9283		++++ IC50: 1.2 nM	++++ IC50: 1.1 nM	+++ IC50: 1 nM-10 nM	Aurora A,Aurora B,Abl1 (T315I)	Phase 2
Momelotinib (CYT387)	+++ IC50: 11 nM	+++ IC50: 18 nM	+ IC50: 155 nM			Phase 2
Tofacitinib (CP-690550,Tasocitinib)	+ IC50: 112 nM	++ IC50: 20 nM	++++ IC50: 1 nM			Phase 4
WP1066		+ IC50: 2.3 μM			STAT3	Phase 1
TG101209		+++ IC50: 6 nM	+ IC50: 169 nM		RET,FLT3	
Gandotinib (LY2784544)	++ IC50: 19.8 nM	++++ IC50: 3 nM;JAK2	++ IC50: 48.0 nM	++ IC50: 44 nM	FLT3,FLT4,FGFR2	Phase 2
NVP-BSK805 2HCl	++ IC50: 31.63 nM	++++ IC50: ~0.5 nM	++ IC50: 18.68 nM	+++ IC50: 10.76 nM		
Baricitinib (LY3009104, INCB028050)	+++ IC50: 5.9 nM	+++ IC50: 5.7 nM		++ IC50: 53 nM		Phase 3
AZ 960		++++ IC50: <3 nM				
CEP-33779		++++ IC50: 1.8 nM				
Pacritinib (SB1518)		++ IC50: 19 nM	+ IC50: 520 nM	++ IC50: 50 nM	FLT3 (D835Y),FLT3	Phase 3
WHI-P154			+ IC50: 1.8 μM		EGFR,Src,VEGFR	
XL019	+ IC50: 134.3 nM	++++ IC50: 2.2 nM	+ IC50: 214.2 nM		PDGFRβ,FLT3	Phase 1
S-Ruxolitinib (INCB018424)	++++ IC50: 3.3 nM	++++ IC50: 2.8 nM		++ IC50: 19 nM		Phase 3
ZM 39923 HCl	+ pIC50: 4.4		++ pIC50: 7.1		TGM2,EGFR	
WHI-P258			+ Ki: 72 μM			
Selective JAK3 inhibitor 1	+ Ki: 320 nM	+ Ki: 740 nM	++++ Ki: 0.07 nM			
PF-06700841	+++ IC50: 17 nM	++ IC50: 77 nM		++ IC50: 23 nM		
PF-04965842	++ IC50: 29 nM	+ IC50: 803 nM		+ IC50: 1.253 μM		
Solcitinib	+++ IC50: 8-9 nM					
PF-06651600			++ IC50: 33.1 nM			Phase 3
FM-381			++++ IC50: 127 pM			
Oclacitinib maleate	+++ IC50: 10nM	+++ IC50: 18nM	+ IC50: 99nM	+ IC50: 84nM		
Decernotinib (VX-509)	+++ IC50: 11 nM	+++ Ki: 13 nM	++++ Ki: 2.5 nM	+++ Ki: 13 nM		Phase 3
Cerdulatinib (PRT062070, PRT2070)	+++ IC50: 12 nM	+++ IC50: 6 nM	+++ IC50: 8 nM	++++ IC50: 0.5 nM	ARK5,MST1,Fms	Phase 2
Filgotinib (GLPG0634)	+++ IC50: 10 nM	++ IC50: 28 nM	+ IC50: 810 nM	+ IC50: 116 nM		Phase 3
FLLL32		+ IC50: <5 μM				
BMS-911543		++++ IC50: 1.1 nM	++ IC50: 75 nM	++ IC50: 66 nM	SET-2	Phase 2

Pim

抑制剂选择性比较

抑制剂名称	Pim1	Pim2	Pim3	其他靶点	临床阶段
SGI-1776 free base	+++ IC50: 7 nM	+ IC50: 363 nM	+ IC50: 69 nM	FLT3	Phase 1
SMI-4a	++ IC50: 17 nM				
SMI-16a	+ IC50: 150 nM	++ IC50: 20 nM			
PIM447 (LGH447)	++++ Ki: 6 pM	++++ Ki: 18 pM	++++ Ki: 9 pM		Phase 1
CX-6258 HCl	+++ IC50: 5 nM	++ IC50: 25 nM	++ IC50: 16 nM		
AZD1208	++++ IC50: 0.4 nM	+++ IC50: 5 nM	+++ IC50: 1.9 nM		Phase 1

HIF

抑制剂选择性比较

抑制剂名称	HIF	HIF1	PHD1	PHD2	PHD3	其他靶点	临床阶段
IOX2				+++ IC50: 21 nM			
LW 6	++ IC50: 4.4 μM					BCRP,MDH2	
MK-8617			++++ IC50: 1 nM	++++ IC50: 1 nM	++++ IC50: 14 nM		
FG-2216				++ IC50: 3.9 μM			Phase 2
Molidustat (BAY 85-3934)			++ IC50: 480 nM	+++ IC50: 280 nM	+++ IC50: 450 nM		Phase 3
KC7F2	+ IC50: 20 μM	+ IC50: 20 μM					
Roxadustat (FG-4592)	√						Phase 3
2-Methoxyestradiol (2-MeOE2)	√					Microtubule Associated	Phase 2

Aurora Kinase

抑制剂选择性比较

抑制剂名称	Aurora A	Aurora B	Aurora C	其他靶点	临床阶段
Alisertib (MLN8237)	++++ IC50: 1.2 nM				Phase 3
Tozasertib (VX-680, MK-0457)	++++ Ki app: 0.6 nM	++ Ki app: 18 nM	+++ Ki app: 4.6 nM	Bcr-Abl,FLT3	Phase 2
Barasertib (AZD1152-HQPA|AZD2811)		++++ IC50: 0.37 nM			Phase 2
ZM 447439	+ IC50: 110 nM	+ IC50: 130 nM		LCK,Src,MEK1	
MLN8054	+++ IC50: 4 nM	+ IC50: 172 nM			Phase 1
Danusertib (PHA-739358)	+++ IC50: 13 nM	+ IC50: 79 nM	+ IC50: 61 nM	Abl,TrkA,RET	Phase 2
AT9283	++++ IC50: ~3.0 nM	++++ IC50: ~3.0 nM		JAK3,JAK2,Abl1 (T315I)	Phase 2
JNJ-7706621	+++ IC50: 11 nM	++ IC50: 15 nM		CDK2/CyclinE,CDK2/CyclinA,CDK1/CyclinB	
Hesperadin		+ IC50: 250 nM		TbAUK1	
Aurora A Inhibitor I (TC-S 7010)	++++ IC50: 3.4 nM				
KW-2449	+ IC50: 48 nM			FLT3 (D835Y),Abl (T315I),FLT3	Phase 1
SNS-314	+++ IC50: 9 nM	++ IC50: 31 nM	++++ IC50: 3 nM		Phase 1
ENMD-2076	+++ IC50: 14 nM	+ IC50: 350 nM		FLT3,RET,VEGFR3/FLT4	Phase 2
PHA-680632	++ IC50: 27 nM	+ IC50: 135 nM	+ IC50: 120 nM	FGFR1,PLK1,FLT3	
MK-5108 (VX-689)	++++ IC50: 0.064 nM				Phase 1
CYC116	+++ Ki: 8 nM	+++ Ki: 9 nM		VEGFR2,FLT3,CDK2/CyclinE	Phase 1
AMG-900	+++ IC50: 5 nM	+++ IC50: 4 nM	++++ IC50: 1 nM	p38α	
PF-03814735	++++ IC50: 0.8 nM	+++ IC50: 5 nM		FLT1,FAK,TrkA	Phase 1
CCT129202	+ IC50: 42 nM	+ IC50: 198 nM	+ IC50: 227 nM		
GSK1070916		++++ IC50: 3.5 nM	+++ IC50: 6.5 nM	FLT1,Tie-2,SIK	Phase 1
TAK-901	++ IC50: 21 nM	++ IC50: 15 nM		JAK3,c-Src,YES1	Phase 1
CCT137690	++ IC50: 15 nM	++ IC50: 25 nM	++ IC50: 19 nM		
MK-8745	++++ IC50: 0.6 nM				
ENMD-2076 L-(+)-Tartaric acid	+++ IC50: 14 nM	+ IC50: 350 nM		FLT3,RET,VEGFR3/FLT4	Phase 2
SNS-314 Mesylate	+++ IC50: 9 nM	++ IC50: 31 nM	++++ IC50: 3 nM		
BI-847325	++ IC50: 25 nM	++++ IC50: 3 nM	++ IC50: 15 nM	MEK2,MEK1	
Reversine	+++ IC50: 12 nM	+++ IC50: 13 nM	++ IC50: 20 nM	human A3 adenosine receptor	

Sirtuin

抑制剂选择性比较

抑制剂名称	SIRT1	SIRT2	SIRT3	Sirtuin	SIRT6	其他靶点	临床阶段
Selisistat (EX 527)	++++ IC50: 38 nM						Phase 2
Sirtinol	+ IC50: 131 μM	++ IC50: 38 μM					
AK 7		+++ IC50: 15.5 μM					
OSS_128167	+ IC50: 1578 μM			++ IC50: 89 μM	++ IC50: 89 μM		
3-TYP	++++ IC50: 88 nM		++++ IC50: 16 nM				
Thiomyristoyl		++++ IC50: 28 nM					Phase 4
SirReal2							
AGK2		+++ IC50: 3.5 μM					
Tenovin-6	++ IC50: 21 μM		++ IC50: 67 μM			p53	
Nicotinamide (Vitamin B3)				√			Phase 4
Salermide	√						

DNA Methyltransferase

抑制剂选择性比较

抑制剂名称	DNA Methyltransferase	其他靶点	临床阶段
Decitabine	++++ IC50: 1 ng/mL		Phase 4
RG108	++ IC50: 115 nM		
SGI-1027	+ IC50: 6 μM		
Lomeguatrib	+++ IC50: 5 nM		
Azacitidine	√		Phase 4
Zebularine	√	Cytidine deaminase	
Thioguanine	√		Phase 4
Procainamide HCl	√	Sodium channel	Phase 4

Histone Demethylase

抑制剂选择性比较

抑制剂名称	Histone demethylase	其他靶点	临床阶段
GSK J4 HCl (GSKJ4 HCl)	++ IC50: 60 nM		
OG-L002	+++ IC50: 20 nM		
JIB-04	++ IC50: 290 nM		
Daminozide	+ IC50: 1.5 μM	PHF8	
CP2	+++ IC50: 29 nM		Phase 3
CPI-455 HCl	++++ IC50: 10 nM		
GSK2879552 2HCl	+ Ki: 1.7 μM		Phase 2
ORY-1001 (RG-6016) 2HCl	+++ IC50: 20 nM		
GSK J1	+++ IC50: 28 nM		
GSK-LSD1 2HCl	++++ IC50: 16 nM		
SP2509	++++ IC50: 13 nM		
ML324	+ IC50: 920 nM		
IOX1	++ IC50: 0.1 μM		

Epigenetic Reader Domain

抑制剂选择性比较

抑制剂名称	Epigenetic Reader Domain	其他靶点	临床阶段
(+)-JQ1	+++ IC50: 33 nM		
I-BET151 (GSK1210151A)	+ IC50: 0.25 μM		
PFI-1 (PF-6405761)	+ IC50: 98 nM		
I-BET-762	+++ IC50: 35 nM		Phase 2
Apabetalone (RVX-208)	+ IC50: 0.51 μM		Phase 3
SGC-CBP30	+++ IC50: 21 nM		
Bromosporine	++++ IC50: 0.29 μM		
OTX015 （MK 8628/Birabresib）	++++ EC50: 10-19 nM		Phase 2
UNC1215	++ IC50: 40 nM		
UNC669	+ IC50: 6 μM		
ARV-825	+++ Kd: 28 nM		
compound 3i (666-15)	++ IC50: 81 nM		
PLX51107	++++ Kd: 1.7 nM	BRD2 BD1,BRD3 BD1,BRDT BD1	
dBET1	+++ IC50: 20 nM		
dBET6	++++ IC50: 14 nM		
INCB054329（INCB-054329,INCB-54329）	+++ IC50: 28 nM	BRD3-BD2,BRD4-BD2,BRD2-BD2	
GSK 5959	++ pIC50: 5.2		
ZL0420	+++ IC50: 27 nM		
SF2523	+ IC50: 241 nM	DNA-PK,PI3Kα,PI3Kγ	
AZD5153	++++ IC50: 5 nM		Phase 1
EED226	++ Kd: 82 nM		
GSK6853	++++ pIC50: 8.1		
CPI-637	+++ IC50: 0.03 μM		
BI-9564	++++ Kd: 5.9 nM		
BI-7273	++++ IC50: 19 nM		
I-BRD9	++ pIC50: 5.3		
PF-CBP1 HCl	+ IC50: 125nM	p300/CBP	
PFI-4	++ IC50: 80 nM		
OF-1	+ Kd: 100 nM		Phase 4
GSK1324726A (I-BET726)	+++ IC50: 31 nM		
PFI-3	++ Kd: 72 nM		
MS436	++ Ki: <0.085 μM		
CPI-203	++ IC50: 37 nM	IL-6,MYC	
GSK2801	+ Kd: 136 nM		
INCB057643	√		
ABBV-744	√		Phase 1
KG-501 (2-naphthol-AS-E-phosphate)	√		
Mivebresib(ABBV-075)	√		Phase 1

Histone Acetyltransferase

抑制剂选择性比较

抑制剂名称	Histone Acetyltransferase	其他靶点	临床阶段
C646	+++ Ki: 400 nM		
Curcumin	++ IC50: ~25 μM	Nrf2,NF-κB,HDAC	Phase 4
A-485	++++ IC50: 0.06 μM		
Anacardic Acid	+++ IC50: 8.5 μM	PCAF(p300/CREB-binding protein-associated factor)	
MG149	+ IC50: 47 μM		
Remodelin	√		

Histone Methyltransferase

抑制剂选择性比较

抑制剂名称	Histone Methyltransferase	Menin-MLL interaction	其他靶点	临床阶段
Pinometostat (EPZ5676)	++++ Ki: 80 pM			Phase 2
EPZ005687	++ Ki: 24 nM			
GSK343	+++ IC50: 4 nM			
BIX 01294	+ IC50: 2.7 μM			
Tazemetostat (EPZ-6438)	+++ Ki: 2.5 nM			
3-deazaneplanocin A (DZNeP) HCl	++++ Ki: 50 pM			
UNC1999	++++ IC50: 2 nM			
MM-102	+ IC50: 0.4 μM			
SGC 0946	++++ IC50: 0.3 nM			
Entacapone	+ IC50: 151 nM			Phase 4
LLY-283	++ IC50: 20 nM			
JNJ-64619178	++++ IC50: 0.14 nM			Phase 1
PF-06726304	++++ Ki: 0.7 nM			
A-196	++ IC50: 25 nM			
SGC2085	++ IC50: 50 nM			
MI-503		++ IC50: 14.7 nM		
MI-463		++ IC50: 15.3 nM		
EPZ020411 2HCl	+++ IC50: 119 nM			
MS049	++ IC50: 34 nM			
CPI-1205	++++ IC50: 2 nM			Phase 2
Amodiaquine dihydrochloride dihydrate	++ Ki: 18.6 nM			
HLCL-61 HCL	+ IC50: 16.74 μM			
GSK591	+++ IC50: 4 nM			
EPZ011989	+++ IC50: 103 nM			
Chaetocin	+ IC50: 0.8 μM			
MS023	+++ IC50: 4 nM			
SGC707	++ IC50: 31 nM			
AMI-1	+ IC50: 3.0 μM			
CPI-169	++++ IC50: 0.24 nM			
CPI-360	+ IC50: 102.3 nM			
GSK503	+++ IC50: 8 nM			
EPZ015666(GSK3235025)	+++ Ki: 5 nM			
UNC0379	+ IC50: 7.3 μM			
EI1	+++ IC50: 13 nM			
MI-2 (Menin-MLL Inhibitor)		+ IC50: 446 nM		
MI-3 (Menin-MLL Inhibitor)		+ IC50: 648 nM		
PFI-2 HCl	++++ IC50: 2 nM			
UNC0642	+++ IC50: <2.5 nM		GLP	
UNC0638	++ IC50: <15 nM		GLP	
GSK126	+++ IC50: 9.9 nM			
EPZ004777	++++ IC50: 0.4 nM			
JQ-EZ-05 (JQEZ5)	√			
GSK3326595 (EPZ015938)	√			Phase 2
UNC3866	√		CBX4 chromodomains,CBX7 chromodomains	
MI-136				
LLY-507	√			
BRD4770	√			

注：

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3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Methylation

DNA Methyltransferase

详细信息在第11页

LSD1

抑制剂选择性比较

抑制剂名称	LSD1	临床阶段
OG-L002	+++ IC50: 20 nM	
GSK2879552 2HCl	+ Ki: 1.7 μM	Phase 2
ORY-1001 (RG-6016) 2HCl	+++ IC50: 20 nM	
GSK-LSD1 2HCl	+++ IC50: 16 nM	
SP2509	++++ IC50: 13 nM	

JMJD

抑制剂选择性比较

抑制剂名称	JMJD3	JMJD2	JMJD	JMJD1	其他靶点	临床阶段
GSK J4 HCl (GSKJ4 HCl)	+++ IC50: 60 nM					
JIB-04	++ IC50: 855 nM	++ IC50: 290 nM	+++ IC50: 230 nM			
Daminozide			+ IC50: 1.5 μM		PHF8	
CP2		++++ IC50: 29 nM				Phase 3
CPI-455 HCl			++++ IC50: 10 nM			
GSK J1	++++ IC50: 28 nM		+++ IC50: 53 nM			
ML324		++ IC50: 920 nM				
IOX1	+ IC50: 1.6 μM	++ IC50: 0.6 μM	+ IC50: 1.8 μM	+++ IC50: 0.1 μM	KDM4E,KDM5C,PHD2	

EZH1/2

抑制剂选择性比较

抑制剂名称	EZH2	EZH1	临床阶段
EPZ005687	++ Ki: 24 nM		
GSK343	+++ IC50: 4 nM	+ IC50: 240 nM	
Tazemetostat (EPZ-6438)	++ Ki: 2.5 nM		
UNC1999	++++ IC50: 2 nM	++ IC50: 45 nM	
EBI-2511	+++ IC50: 4 nM		
PF-06726304	++++ Ki: 0.7 nM		
CPI-1205	++++ IC50: 2 nM	+ IC50: 52 nM	Phase 2
EPZ011989	+++ Ki: <3 nM	+ IC50: 103 nM	
CPI-169	++++ IC50: 0.24 nM	+++ IC50: 6.1 nM	
CPI-360		+ IC50: 102.3 nM	
GSK503	+++ IC50: 8 nM		
EI1	++ IC50: 13 nM		
GSK126	++ IC50: 9.9 nM		
JQ-EZ-05 (JQEZ5)		√	

G9a/GLP

抑制剂选择性比较

抑制剂名称	G9a/GLP	其他靶点
BIX 01294	+ IC50: 2.7 μM	
A-366	+++ IC50: 3.3 nM	
Chaetocin	++ IC50: 2.5 μM	dSU(VAR)3-9,Neurospora crassa DIM5
UNC0642	++++ IC50: <2.5 nM	
UNC0638	+++ IC50: <15 nM	
BRD4770	√	

PRMT

抑制剂选择性比较

抑制剂名称	PRMT5	PRMT4	PRMT6	PRMT1	PRMT8	PRMT3	其他靶点	临床阶段
LLY-283	+++ IC50: 20 nM							
JNJ-64619178	++++ IC50: 0.14 nM							Phase 1
SGC2085		++ IC50: 50 nM						
EPZ020411 2HCl			+++ IC50: 10 nM	++ IC50: 119 nM	+ IC50: 223 nM			
MS049		++ IC50: 34 nM	++ IC50: 43 nM					
HLCL-61 HCL	+ IC50: 16.74 μM							
GSK591	++++ IC50: 4 nM							
MS023		++ IC50: 83 nM	++++ IC50: 4 nM	+++ IC50: 30 nM	++++ IC50: 5 nM	++ IC50: 119 nM		
SGC707						+++ IC50: 31 nM		
AMI-1				+ IC50: 8.8 μM			yeast Hmt1p	
EPZ015666(GSK3235025)	++++ Ki: 5 nM							
GSK3326595 (EPZ015938)	√							Phase 2

SETD

抑制剂选择性比较

抑制剂名称	SETD	其他靶点
A-196	+++ IC50: 25 nM	
Cyproheptadine hydrochloride sesquihydrate	++ IC50: 1 μM	5-HT2
UNC0379	+ IC50: 7.3 μM	
PFI-2 HCl	++++ IC50: 2 nM	

MLL

抑制剂选择性比较

抑制剂名称	Menin-MLL interaction	MLL
MM-102		+++ IC50: 0.4 μM
MI-503	++++ IC50: 14.7 nM	
MI-463	+++ IC50: 15.3 nM	
MI-2 (Menin-MLL Inhibitor)	++ IC50: 446 nM	
MI-3 (Menin-MLL Inhibitor)	+ IC50: 648 nM	
MI-136	√	

COMT

抑制剂选择性比较

抑制剂名称	COMT	临床阶段
Entacapone	++ IC50: 151 nM	Phase 4
Tolcapone	+++ Ki: 30 nM	Phase 4

DOT1

抑制剂选择性比较

抑制剂名称	DOT1L	临床阶段
Pinometostat (EPZ5676)	++++ Ki: 80 pM	Phase 2
SGC 0946	+++ IC50: 0.3 nM	
EPZ004777	++ IC50: 0.4 nM	

HNMT

抑制剂选择性比较

抑制剂名称	HNMT
Amodiaquine dihydrochloride dihydrate	+++ Ki: 18.6 nM

SMYD2

抑制剂选择性比较

抑制剂名称	SMYD2
LLY-507	√

WDR5

抑制剂选择性比较

抑制剂名称	WDR5	其他靶点
OICR-9429	+++ Kd: 93 nM	Wdr5-MLL interaction

SAM MTase

抑制剂选择性比较

抑制剂名称	SAM MTase
Adenosine Dialdehyde (ADOX)	+++ IC50: 40 nM

PPMTase

抑制剂选择性比较

抑制剂名称	PPMTase	临床阶段
Salirasib	+++ Ki: 2.6 μM	Phase 2

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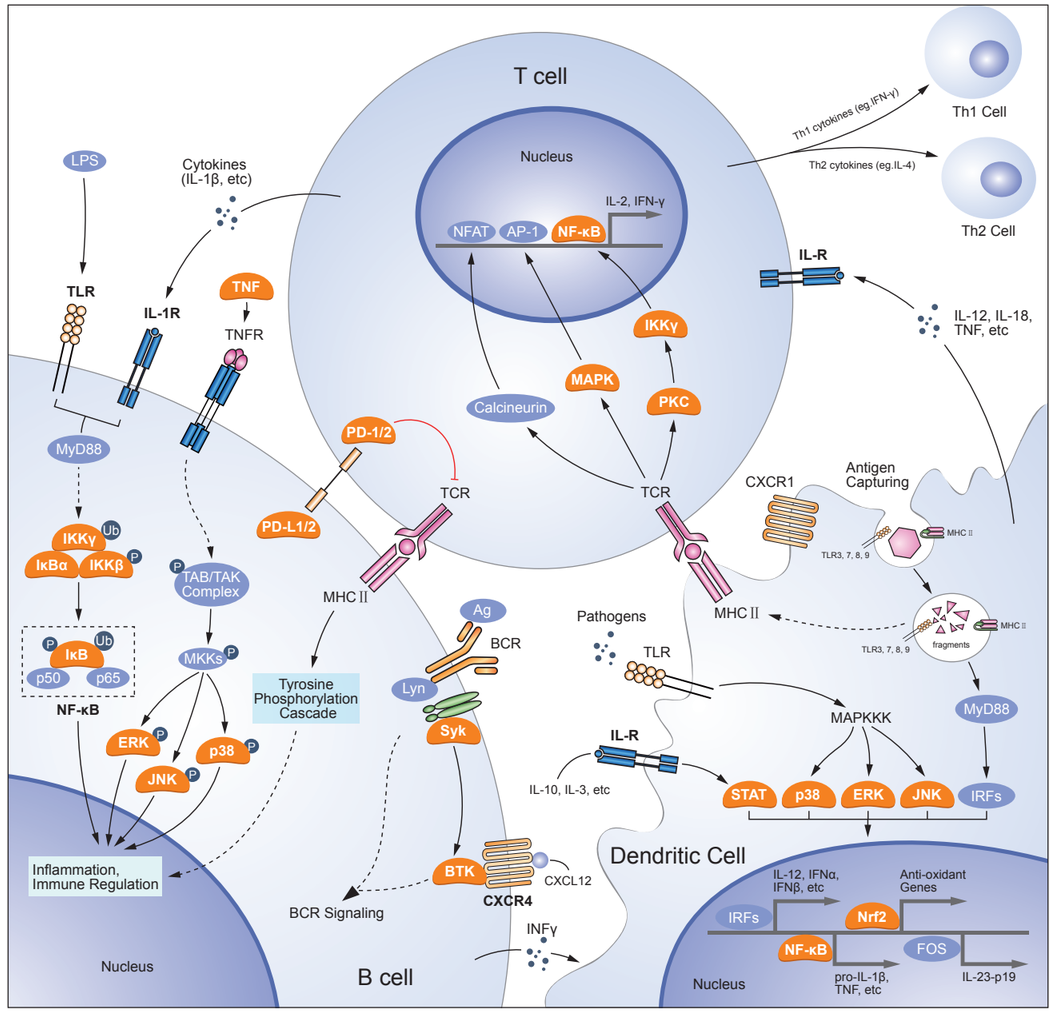
Immunology & Inflammation



PD-1/PD-L1

抑制剂选择性比较

抑制剂名称	PD-1/PD-L1 interaction	其他靶点
Durvalumab (anti-PD-L1)	++++ IC50: 0.1 nM	PD-L1/CD80
Atezolizumab (anti-PD-L1)	+++ Kd: 0.4 nM	
Nivolumab (anti-PD-1)	+++ IC50: 2.52 nM	PD-1/PD-L2 interaction
PD-1/PD-L1 Inhibitor 3	++ IC50: 5.6 nM	
PD-1/PD-L1 inhibitor 1	++ IC50: 0.006 μM	
BMS202 (PD-1/PD-L1 inhibitor 2)	+ IC50: 0.018 μM	
Spartalizumab (anti-PD-1)	√	
Camrelizumab (anti-PD-1)	√	
AUNP-12	√	
Pembrolizumab (anti-PD-1)	√	

COX

抑制剂选择性比较

抑制剂名称	COX	COX-1	COX-2	其他靶点	临床阶段
Celecoxib			+++ IC50: 40 nM		Phase 4
Ibuprofen		+ IC50: 13 μM	+ IC50: 370 μM		Phase 4
Indomethacin		++ IC50: 0.28 μM	+ IC50: 14 μM		Phase 4
Rofecoxib			++++ IC50: 18 nM		Phase 4
Diclofenac Sodium		+++ IC50: 60 nM	+++ IC50: 200 nM		Phase 4
Lumiracoxib		++ Ki: 3 μM	+++ Ki: 60 nM		Phase 4
Lornoxicam		++++ IC50: 5 nM	++++ IC50: 8 nM		Phase 4
Naproxen Sodium		+ IC50: 8.7 μM	+ IC50: 5.2 μM		Phase 4
Ketorolac		++ IC50: 1.23 μM	++ IC50: 3.50 μM		Phase 4
Valdecoxib			++++ IC50: 5 nM		Phase 4
Tolfenamic Acid			+++ IC50: 0.2 μM		Phase 1
Amfenac Sodium Monohydrate		++ IC50: 250 nM	+++ IC50: 150 nM		
Nimesulide			+ IC50: 26 μM		Phase 4
Ketorolac tromethamine salt		++++ IC50: 20 nM	++++ IC50: 20 nM		
Indometacin Sodium		+++ IC50: 0.11 μM	++ IC50: 0.78 μM		
NS-398 (NS398)			+ IC50: 3.8 μM		
Meclofenamate Sodium		+++ IC50: 40 nM	+++ IC50: 50 nM		
Carprofen			++++ IC50: 30 nM		
Nepafenac		√			Phase 4
Sulindac	√				Phase 3
Meloxicam	√				Phase 4
Dexamethasone Sodium Phosphate			√	IL receptor	Phase 4
Aspirin		√			Phase 4
Suprofen		√			
Piroxicam	√				Phase 4
Ketoprofen		√			Phase 4
Etodolac	√				Phase 4
Ibuprofen Lysine	√				Phase 1
Pranoprofen	√				Phase 4
Asaraldehyde			√		
Zaltoprofen		√			
Acemetacin	√				
Bromfenac Sodium		√			Phase 4
Nabumetone	√				Phase 1
Niflumic acid			√	GABA receptor	
Phenacetin	√				
Deracoxib			√	PDE4	
Phenidone	√			LOX	
Etoricoxib			√		Phase 4
Diflunisal	√			p300	
Parecoxib			√		
Salicylic acid	√			Ethylene biosynthesis	Phase 4
Xanthohumol		√			Phase 1
Oxaprozin		√			Phase 1
Mefenamic Acid		√			Phase 4
Ampiroxicam	√				
Flunixin Meglumin	√				
Salicin		√			
Rutaecarpine			√		

CCR

抑制剂选择性比较

抑制剂名称	CCR	临床阶段
Maraviroc	++ IC50: 3.3 nM	Phase 4
DAPTA	+++ IC50: 0.06 nM	Phase 2

Histamine Receptor

抑制剂选择性比较

抑制剂名称	Histamine receptor	H1 receptor	H2 receptor	H3 receptor	H4 receptor	其他靶点	临床阶段
Clemastine Fumarate		++++ IC50: 3 nM					Phase 3
Loratadine		+ IC50: 4 μM					Phase 4
Bepotastine Besilate		+ pIC50: 5.7					Phase 4
Desloratadine		++ IC50: 51 nM					Phase 4
Ciproxifan Maleate				+++ IC50: 9.2 nM			
Mizolastine		++ IC50: 47 nM					Phase 1
Chlorpheniramine Maleate		+++ IC50: 12 nM					Phase 4
Fexofenadine HCl		++ IC50: 246 nM					Phase 4
Tripelennamine HCl		+ IC50: 30 μM					
Nizatidine			++++ IC50: 0.9 nM			AChE	Phase 4
Hydroxyzine 2HCl		+++ IC50: 10 nM-19 nM					Phase 4
JNJ-7777120					+++ Ki: 4.5 nM		
Rupatadine Fumarate		++ Ki: 102 nM				PAFR	Phase 4
Azatadine dimaleate	+++ IC50: 6.5 nM					Cholinergic	
Pitolisant hydrochloride				++++ Ki: 0.16 nM			
Emedastine		++++ Ki: 1.3 nM					
Bilastine		+++ Ki: 44.15 nM					Phase 4
S 38093				++ Ki: 1.2 μM			
Alcaftadine		++++ pKi: 8.5	++ pKi: 7.2			H4 receptor	Phase 4
Betahistine 2HCl				+ IC50: 1.9 μM			Phase 4
Roxatidine Acetate HCl			+ IC50: 3.2 μM				
Latrepirdine 2HCl	√					5-HT,GluR	Phase 3
Azelastine HCl	√						Phase 4
Ranitidine Hydrochloride			√				Phase 4
Mianserin HCl	√						Phase 4
Diphenhydramine HCl		√					Phase 4
Cetirizine DiHCl	√						
Meclizine 2HCl		√					Phase 3
Epinastine HCl	√						Phase 4
Lafutidine			√				Phase 3
Cimetidine			√				Phase 3
Ebastine		√					Phase 4
Buclizine HCl	√					Cholinergic	
Cyproheptadine HCl	√						Phase 4
Ketotifen Fumarate		√					Phase 4
Brompheniramine hydrogen maleate		√					Phase 3
Olopatadine HCl	√						Phase 4
Clemizole		√				TRPC5	
Emedastine Difumarate		√					
Triprolidine Hydrochloride		√					
Levocetirizine Dihydrochloride		√					
CarbinoxaMine Maleate		√					
Doxylamine Succinate		√					Phase 3
Cyclizine 2HCl		√					
Levodropropizine	√						Phase 3

抑制剂选择性比较

抑制剂名称	Histamine receptor	H1 receptor	H2 receptor	H3 receptor	H4 receptor	其他靶点	临床阶段
Pemirolast potassium		√					Phase 2
Famotidine			√				Phase 4
Hesperetin	√					TGF-β	

IL Receptor

抑制剂选择性比较

抑制剂名称	IL Receptor	其他靶点	临床阶段
Dexamethasone (DHAP)	√		Phase 4
Dexamethasone Sodium Phosphate	√	COX-2	Phase 4
Dexamethasone Acetate	√		Phase 4

gp120/CD4

抑制剂选择性比较

抑制剂名称	gp120/CD4
BMS-378806	+++ EC50: 0.85 nM-26.5 nM
YYA-021	√

CXCR

抑制剂选择性比较

抑制剂名称	CXCR1	CXCR2	CXCR4	其他靶点	临床阶段
Plerixafor 8HCl (AMD3100 8HCl)			++ IC50: 44 nM	CXCL12	Phase 4
Plerixafor (AMD3100)			++ IC50: 44 nM	CXCL12	Phase 4
WZ811			++++ EC50: 0.3 nM		
SB225002		+++ IC50: 22 nM			
Tannic acid			√		Phase 3
Reparixin (Repertaxin)	√			CXCL8	Phase 3
AMD3465 hexahydrobromide			√		

MALT

抑制剂选择性比较

抑制剂名称	MALT1
MI-2 (MALT1 inhibitor)	+++ IC50: 5.84 μM

IDO/TDO

抑制剂选择性比较

抑制剂名称	TDO
LM10	+++ IC50: 2 μM

LTR

抑制剂选择性比较

抑制剂名称	LTR	其他靶点	临床阶段
Zafirlukast	√		Phase 2
Montelukast Sodium	√		Phase 4
MK571	√	MRP1,cMOAT,MRP4	

NOS

抑制剂选择性比较

抑制剂名称	nNOS	eNOS	iNOS	临床阶段
L-NAME HCl	+++ Ki: 15 nM	+++ Ki: 39 nM		Phase 3
1400W 2HCl	++ Ki: 2 μM	+ Ki: 50 μM	++++ Kd: <7 nM	
Agmatine sulfate			√	

NADPH-oxidase

抑制剂选择性比较

抑制剂名称	NOX1	NOX4	NADPH-oxidase	其他靶点	临床阶段
2-Acetylphenothiazine (ML171)	+++ IC50: 0.25 μM	++ IC50: 5 μM		NOX3,NOX2,xanthine oxidase	
GKT137831	++++ Ki: 110 nM	+++ Ki: 140 nM			Phase 2
Apocynin			+ IC50: 10 μM		Phase 1

Nrf2

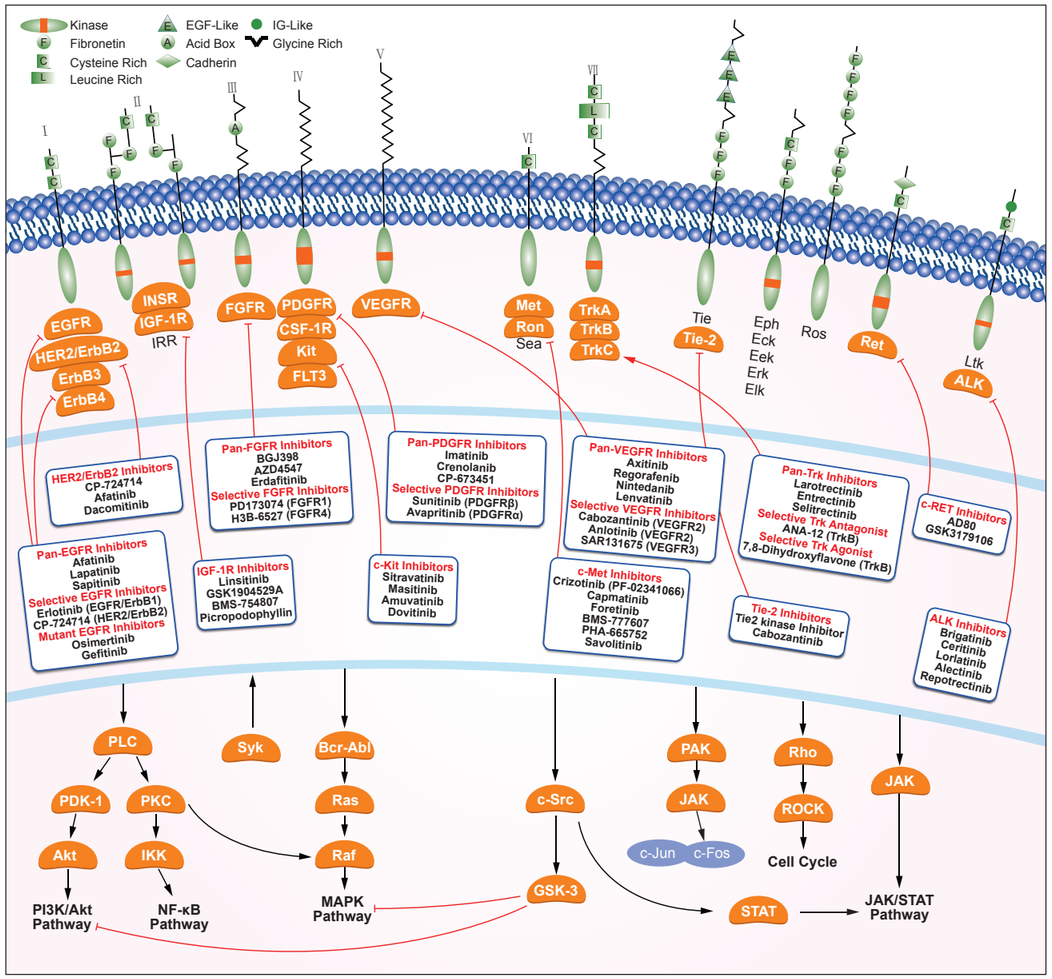
抑制剂选择性比较

抑制剂名称	Nrf2
ML385	+++ IC50: 1.9 μM

注：

1. 更多细节，例如半抑制浓度（IC_{50}）以及任何抑制剂的相关工作浓度，请访问www.selleck.cn。
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Protein Tyrosine Kinase



VEGFR

抑制剂选择性比较

抑制剂名称	VEGFR1	VEGFR2	VEGFR3	其他靶点	临床阶段
Sorafenib Tosylate		++ IC50: 15 nM		Raf-1,B-Raf,B-Raf (V599E)	Phase 3
Sunitinib Malate		+ IC50: 80 nM		FLT3,Kit,PDGFRβ	Phase 4
Cabozantinib (XL184, BMS-907351)		++++ IC50: 0.035 nM		c-Met	Phase 4
Ponatinib (AP24534)		++++ IC50: 1.5 nM		Abl,PDGFRα,FGFR1	Phase 3
Axitinib	++++ IC50: 0.1 nM	++++ IC50: 0.18 nM	++++ IC50: 0.1 nM-0.3 nM	PDGFRβ,Kit,PDGFRα	Phase 3
Foretinib (GSK1363089)	+++ IC50: 6.8 nM	++++ IC50: 0.86 nM	++++ IC50: 2.8 nM	Met,Tie-2,RON	Phase 2
Vandetanib (ZD6474)		+ IC50: 40 nM	+ IC50: 110 nM	EGFR	Phase 4
Nintedanib (BIBF 1120)	++ IC50: 34 nM	++ IC50: 13 nM	++ IC50: 13 nM	LCK,FLT3,FGFR2	Phase 4
Regorafenib (BAY 73-4506)	++ IC50: 13 nM	+++ IC50: 4.2 nM	+ IC50: 46 nM	RET,Raf-1,Kit	Phase 4
Pazopanib HCl (GW786034 HCl)	+++ IC50: 10 nM	++ IC50: 30 nM	+ IC50: 47 nM	FGFR,PDGFR,c-Kit	Phase 4
Cediranib (AZD2171)	+++ IC50: 5 nM	++++ IC50: 0.5 nM	++++ IC50: <=3 nM	c-Kit,PDGFRβ,FGFR1	Phase 3
PD173074		+ IC50: 100 nM-200 nM		FGFR1	
Dovitinib (TKI-258, CHIR-258)	+++ IC50: 10 nM	++ IC50: 13 nM	+++ IC50: 8 nM	FLT3,c-Kit,FGFR1	Phase 3

抑制剂选择性比较

抑制剂名称	VEGFR1	VEGFR2	VEGFR3	其他靶点	临床阶段
Linifanib (ABT-869)	++++ IC50: 3 nM	+++ IC50: 4 nM	+ IC50: 190 nM	CSF-1R,FLT3,Kit	Phase 3
Vatalanib (PTK787) 2HCl	+ IC50: 77 nM	+ IC50: 37 nM	+ IC50: 660 nM	PDGFRβ,c-Kit,c-Fms	Phase 3
RAF265 (CHIR-265)		++ EC50: 30 nM		B-Raf	Phase 2
Tivozanib (AV-951)	++ IC50: 30 nM	+++ IC50: 6.5 nM	++ IC50: 15 nM	EphB2,PDGFRα,PDGFRβ	Phase 3
Motesanib Diphosphate (AMG-706)	++++ IC50: 2 nM	++++ IC50: 3 nM	+++ IC50: 6 nM	Kit,RET,PDGFR	Phase 3
Lenvatinib (E7080)	++ IC50: 22 nM	+++ IC50: 4.0 nM	+++ IC50: 5.2 nM	PDGFRβ,FGFR1,PDGFRα	Phase 4
Brivanib (BMS-540215)	+ IC50: 380 nM	++ IC50: 25 nM		FGFR1	Phase 3
MGCD-265 analog	++++ IC50: 3 nM	++++ IC50: 3 nM	+++ IC50: 4 nM	Met,RON,Tie-2	Phase 2
AEE788 (NVP-AEE788)	+ IC50: 59 nM	+ IC50: 77 nM		EGFR,HER2/ErbB2,c-Abl	Phase 2
ENMD-2076		+ IC50: 58.2 nM	++ IC50: 15.9 nM	FLT3,RET,Aurora A	Phase 2
OSI-930	+++ IC50: 8 nM	+++ IC50: 9 nM		CSF-1R,LCK,C-Raf	Phase 1
CYC116		+ Ki: 44 nM		Aurora A,Aurora B,FLT3	Phase 1
Ki8751		++++ IC50: 0.9 nM		c-Kit,PDGFRα	
Telatinib		+++ IC50: 6 nM	+++ IC50: 4 nM	c-Kit,PDGFRα	Phase 2
Pazopanib	+++ IC50: 10 nM	++ IC50: 30 nM	+ IC50: 47 nM	c-Kit,PDGFR,FGFR	Phase 4
KRN 633	+ IC50: 170 nM	+ IC50: 160 nM	+ IC50: 125 nM	PDGFRα,c-Kit,BTK	
SAR131675			++ IC50: 23 nM		
Dovitinib (TKI-258) Dilactic Acid	+++ IC50: 10 nM	++ IC50: 13 nM	+++ IC50: 8 nM	FLT3,c-Kit,FGFR1	Phase 3
Apatinib mesylate		++++ IC50: 1 nM		RET	Phase 4
BMS-794833		++ IC50: 15 nM		Met	
Cabozantinib malate (XL184)		++++ IC50: 0.035 nM		c-Met	Phase 4
Brivanib Alaninate (BMS-582664)	+ IC50: 380 nM	++ IC50: 25 nM		FGFR1	Phase 3
Golvatinib (E7050)		++ IC50: 16 nM		c-Met	Phase 2
Semaxanib (SU5416)		+ IC50: 1.23 μM			Phase 3
ZM 323881 HCl		++++ IC50: <2 nM			
ZM 306416	+ IC50: 0.33 μM			Src,Abl	
ENMD-2076 L-(+)-Tartaric acid		+ IC50: 58.2 nM	++ IC50: 15.9 nM	FLT3,RET,Aurora A	Phase 2
WHI-P180		+ IC50: 66 nM		RET	
Altiratinib		+++ IC50: 9.2 nM		MET Y1230C,TrkC,TrkA	
Motesanib (AMG-706)	++++ IC50: 2 nM	++++ IC50: 3 nM	+++ IC50: 6 nM	c-Kit,c-Ret,PDGFR	
Fruquintinib (HMPL-013)	++ IC50: 33 nM	+ IC50: 35 nM	++++ IC50: 0.5 nM		Phase 3
Nintedanib Ethanesulfonate Salt	++ IC50: 34 nM	++ IC50: 13 nM	++ IC50: 13 nM	FGFR2,PDGFRα,PDGFRβ	
Apatinib		++++ IC50: 1 nM		RET	Phase 4
Cediranib Maleate	+++ IC50: 5 nM	++++ IC50: 0.5 nM	++++ IC50: <=3 nM	c-Kit,PDGFRβ,FGFR1	Phase 3
Anlotinib (AL3818) dihydrochloride		++++ IC50: 0.2 nM	++++ IC50: 0.7 nM	c-Kit	Phase 4
Regorafenib Monohydrate	++ IC50: 13 nM			RET,Raf-1,murine VEGFR2	
2-D08		++ IC50: 17 nM		sumoylation,Axl,IRAK4	Phase 4
Sitravatinib (MGCD516)	+++ IC50: 6 nM	+++ IC50: 5 nM	++++ IC50: 2 nM	DDR2,EPHA3,Axl	Phase 2
BFH772		++++ IC50: 3 nM			Phase 2
BAW2881 (NVP-BAW2881)	+ IC50: 820 nM	+++ IC50: 9 nM	+ IC50: 420 nM	C-Raf-1,B-RAFV599E,c-Abl	
SU5402		++ IC50: 20 nM		FGFR1,PDGFRβ	
Sunitinib		+ IC50: 80 nM		c-Kit,FLT3 ,PDGFRβ	Phase 4
Dovitinib (TKI258) Lactate	+++ IC50: 10 nM	++ IC50: 13 nM	+++ IC50: 8 nM	FLT3,c-Kit,FGFR1	Phase 3
LY2874455		+++ IC50: 7 nM		FGFR2,FGFR1,FGFR4	Phase 1
SKLB1002		++ IC50: 32 nM			
AZD2932		+++ IC50: 8 nM		PDGFRβ,Flt3,c-Kit	
SU1498		√			
ZD-4190		√		Flt-1	

EGFR

抑制剂选择性比较

抑制剂名称	EGFR/ErbB1	HER2/ErbB2	ErbB3	ErbB4	mutant EGFR	其他靶点	临床阶段
Erlotinib HCl (OSI-744)	++++ IC50: 2 nM						Phase 4
Gefitinib (ZD1839)	++ IC50: 26 nM						Phase 4
Lapatinib (GW-572016) Ditosylate	++ IC50: 10.8 nM	+++ IC50: 9.2 nM		+ IC50: 367 nM			Phase 4
Afatinib (BIBW2992)	++++ IC50: 0.5 nM	++ IC50: 14 nM		++++ IC50: 1 nM			Phase 4
Neratinib (HKI-272)	+ IC50: 92 nM	+ IC50: 59 nM				KDR,Src	Phase 3
Canertinib (CI-1033)	++++ IC50: 1.5 nM	+++ IC50: 9.0 nM					Phase 2
Lapatinib	++ IC50: 10.8 nM	+++ IC50: 9.2 nM		+ IC50: 367 nM			Phase 4
AG-490 (Tyrphostin B42)	+ IC50: 0.1 μM						
CP-724714		++ IC50: 10 nM					Phase 2
Dacomitinib (PF299804, PF299)	+++ IC50: 6.0 nM	+ IC50: 45.7 nM		+ IC50: 73.7 nM			Phase 3
WZ4002	++++ IC50: 2 nM						
Sapitinib (AZD8931)	+++ IC50: 4 nM	+++ IC50: 3 nM	+++ IC50: 4 nM				Phase 2
CUDC-101	+++ IC50: 2.4 nM	++ IC50: 15.7 nM				HDAC,HDAC1,HDAC6	Phase 1
AG-1478 (Tyrphostin AG-1478)	+++ IC50: 3 nM						
PD153035 HCl	++++ Ki: 5.2 pM						
Pelitinib (EKB-569)	+ IC50: 38.5 nM	+ IC50: 1.255 μM				Src,MEK/ERK,Raf	Phase 2
AEE788 (NVP-AEE788)	++++ IC50: 2 nM	+++ IC50: 6 nM		+ IC50: 160 nM		c-Abl,FLT1,c-Fms	Phase 2
AC480 (BMS-599626)	++ IC50: 20 nM	++ IC50: 30 nM		+ IC50: 190 nM			Phase 1
AP26113-analog (ALK-IN-1)					++ IC50: 36.8 nM	ALK,IGF1R,INSR	
OSI-420	++++ IC50: 2 nM						
WZ3146	++++ IC50: 5 nM						
Allitinib (AST-1306)	++++ IC50: 0.5 nM	+++ IC50: 3.0 nM		++++ IC50: 0.8 nM			
Rociletinib (CO-1686, AVL-301)	++ Ki: 21.5 nM						Phase 3
Varlitinib	+++ IC50: 7 nM	++++ IC50: 2 nM					Phase 3
Icotinib	+++ IC50: 5 nM						Phase 4
TAK-285	++ IC50: 23 nM	++ IC50: 17 nM		+ IC50: 260 nM		MEK1,Aurora B,LCK	Phase 1
WHI-P154	+++ IC50: 4 nM					Src,VEGFR,JAK3	
Daphnetin	+ IC50: 7.67 μM					PKA,PKC	
PD168393	++++ IC50: 0.70 nM						
CNX-2006	++ IC50: <20 nM				++ IC50: <20 nM		
Tyrphostin 9	+ IC50: 460 μM					PDGFR	
AG-18	+ IC50: 35 μM						
AG 555	+ IC50: 0.7 μM						
Theliatinib (HMPL-309)	+++ IC50: 3 nM					EGFR T790M/L858R	
Avitinib (AC0010)					++++ IC50: 0.18 nM	JAK3,BTK	Phase 3
Lazertinib (YH25448,GNS-1480)	++++ IC50: 2 nM				++++ IC50: 1.7 nM	Del19,L858R	Phase 2
Gefitinib hydrochloride	++ IC50: 15.5 nM				+ IC50: 823.3 nM		
Cetuximab (anti-EGFR)	++++ Kd: 0.39 nM						
Lifirafenib (BGB-283)	++ IC50: 29 nM				+ IC50: 495 nM	WT A-RAF,C-RAF (Y340/341D),BRAF(V600E)	Phase 1
Nazartinib (EGF816, NVS-816)	++ Ki: 0.031 μM				++ Ki: 0.031 μM		Phase 2
Brigatinib (AP26113)					+ IC50: 43.7 nM	ALK,ROS1,FLT3	Phase 3
AZD3759	++++ IC50: 0.2 nM						Phase 3
Afatinib (BIBW2992) Dimaleate	++++ IC50: 0.4 nM	++ IC50: 14 nM					Phase 4
Erlotinib	++++ IC50: 2 nM						Phase 4
CL-387785 (EKI-785)	++++ IC50: 370 pM						
Poziotinib (HM781-36B)	+++ IC50: 3.2 nM	+++ IC50: 5.3 nM		++ IC50: 23.5 nM			Phase 2
Osimertinib (AZD9291)	++ IC50: 11.44 nM						Phase 3
AZ5104	++++ IC50: <1 nM			+++ IC50: 7 nM		ACK1,BLK,BRK	
HER2-Inhibitor-1		√					
WZ8040	√						
Genistein	√					topo II	Phase 4
MTX-211	√					PI3K	
Naquotinib(ASP8273)	√						Phase 3

PDGFR

抑制剂选择性比较

抑制剂名称	PDGFR	PDGFRα	PDGFRβ	其他靶点	临床阶段
Sorafenib Tosylate			++ IC50: 57 nM	Raf-1,VEGFR2/Flk1,B-Raf	Phase 3
Imatinib Mesylate (STI571)	+ IC50: 100 nM			c-Kit,v-Abl	Phase 4
Sunitinib Malate			++++ IC50: 2 nM	FLT3,Kit,VEGFR2	Phase 4
Ponatinib (AP24534)		++++ IC50: 1.1 nM		Abl,VEGFR2,FGFR1	Phase 3
Axitinib		+++ IC50: 5.0 nM	++++ IC50: 1.6 nM	VEGFR1/FLT1,VEGFR2/Flk1,VEGFR3	Phase 3
Imatinib (STI571)	+ IC50: 100 nM			c-Kit,v-Abl	Phase 4
Nintedanib (BIBF 1120)		++ IC50: 59 nM	++ IC50: 65 nM	VEGFR2,VEGFR3,LCK	Phase 4
Pazopanib HCl (GW786034 HCl)	+ IC50: 84 nM			VEGFR1,VEGFR2,VEGFR3	Phase 4
Dovitinib (TKI-258, CHIR-258)			+++ IC50: 27 nM	FLT3,c-Kit,VEGFR3/FLT4	Phase 3
Linifanib (ABT-869)			++ IC50: 66 nM	VEGFR1/FLT1,CSF-1R,VEGFR2/KDR	Phase 3
Crenolanib (CP-868596)		++++ Kd: 2.1 nM	++++ Kd: 3.2 nM		Phase 2
Masitinib (AB1010)		+ IC50: 540 nM	+ IC50: 800 nM	Kit,Lyn B,Abl1	Phase 3
Tivozanib (AV-951)		++ IC50: 40 nM	++ IC50: 49 nM	VEGFR2,VEGFR3,EphB2	Phase 3
Amuvatinib (MP-470)		++ IC50: 40 nM		c-Kit (D816H),FLT3 (D835Y)	Phase 2
Motesanib Diphosphate (AMG-706)	+ IC50: 84 nM			VEGFR1,VEGFR2,VEGFR2/Flk1	Phase 3
Orantinib (TSU-68, SU6668)			+++ Ki: 8 nM		Phase 3
CP-673451		+++ IC50: 10 nM	++++ IC50: 1 nM		
Ki8751		++ IC50: 67 nM		VEGFR2,c-Kit	
Telatinib		+++ IC50: 15 nM		c-Kit,VEGFR3,VEGFR2	Phase 2
PP121	++++ IC50: 2 nM			Hck,VEGFR,mTOR	
Pazopanib	+ IC50: 84 nM			VEGFR1,VEGFR2,VEGFR3	Phase 4
Dovitinib (TKI-258) Dilactic Acid			+++ IC50: 27 nM	FLT3,c-Kit,FGFR1	Phase 3
MK-2461			+++ IC50: 22 nM	c-Met (M1250T),c-Met (Y1235D), c-Met (Y1230H)	Phase 2
Tyrphostin AG 1296	+ IC50: 0.3 μM-0.5 μM			c-Kit (Swiss 3T3),FGFR (Swiss 3T3)	
Tyrphostin 9	+ IC50: 0.5 μM			EGFR	
Nintedanib Ethanesulfonate Salt		++ IC50: 59 nM	++ IC50: 65 nM	VEGFR3,VEGFR2,VEGFR1	
Toceranib phosphate	+++ Ki: 5 nM			Flk-1/DFR	
Regorafenib Monohydrate			+++ IC50: 22 nM	RET,Raf-1,murine VEGFR2	
Avapritinib (BLU-285)		++++ IC50: 0.5 nM		c-Kit (D816V)	Phase 3
Sunitinib			++++ IC50: 2 nM	c-Kit,FLT3 ,VEGFR2	Phase 4
Dovitinib (TKI258) Lactate			+++ IC50: 27 nM	FLT3,c-Kit,FGFR1	Phase 3
AZD2932			++++ IC50: 4 nM	Flt3,VEGFR-2,c-Kit	
Ripretinib (DCC-2618)	√			WT KIT,D816H KIT,V654A KIT	Phase 3
Sennoside B	√				

c-Met

抑制剂选择性比较

抑制剂名称	c-Met	其他靶点	临床阶段
Crizotinib (PF-02341066)	+ IC50: 11 nM	ROS1,ALK	Phase 4
Cabozantinib (XL184, BMS-907351)	+++ IC50: 1.3 nM	VEGFR2/KDR	Phase 4
Foretinib (GSK1363089)	++++ IC50: 0.4 nM	KDR,Tie-2,VEGFR3/FLT4	Phase 2
PHA-665752	++ IC50: 9 nM	RON,Flk1	
SU11274	+ IC50: 0.01 μM		
SGX-523	++ IC50: 4 nM		Phase 1
BMS-777607	+++ IC50: 3.9 nM	Axl,RON,Tyro3	Phase 2
Tivantinib (ARQ 197)	+ Ki: 0.355 μM		Phase 3
JNJ-38877605	++ IC50: 4 nM		Phase 1
PF-04217903	++ IC50: 4.8 nM		Phase 1
MGCD-265 analog	++++ IC50: 1 nM	RON,VEGFR2,VEGFR1	Phase 2
Capmatinib (INCB28060)	++++ IC50: 0.13 nM		
BMS-754807	++ IC50: 5.6 nM	Insulin Receptor,IGF-1R,TrkB	Phase 2

抑制剂选择性比较

抑制剂名称	c-Met	其他靶点	临床阶段
BMS-794833	+++ IC50: 1.7 nM	VEGFR2	
AMG-208	++ IC50: 9 nM		Phase 2
MK-2461	++++ IC50: 1.0 nM	c-Met (Y1235D),c-Met (Y1230C),c-Met (N1100)	Phase 2
Golvatinib (E7050)	+ IC50: 14 nM	VEGFR2	Phase 2
AMG-458	++++ Ki: 0.5 nM		
Tepotinib (EMD 1214063)	++ IC50: 4 nM		Phase 2
NVP-BVU972	+ IC50: 14 nM		
JNJ-38877618(OMO-1)	+++ IC50: 2 nM	MET (M1268T)	
Altiratinib	+++ IC50: 2.7 nM	MET Y1230C,TrkC,TrkA	
SAR125844	++++ IC50: 0.22 nM	MET Y1235D,MET M1250T,TRKA/NTRK1	
Glumetinib (SCC244)	++++ IC50: 0.42 nM		
Savolitinib(AZD6094, HMPL-504)	++ IC50: 5 nM	p-Met	Phase 3
S49076	++++ IC50: 1 nM	Mer,Axl,FGFR3	
Merestinib (LY2801653)	+++ Ki: 2 nM		Phase 2
AMG 337	++++ IC50: 1 nM		Phase 2
NPS-1034	+ IC50: 48 nM	Axl	

HER2

抑制剂选择性比较

抑制剂名称	HER2	其他靶点	临床阶段
Lapatinib (GW-572016) Ditosylate	+++ IC50: 9.2 nM	EGFR,ErbB4	Phase 4
Afatinib (BIBW2992)	++ IC50: 14 nM	EGFR (L858R),EGFR (wt),ErbB4	Phase 4
Neratinib (HKI-272)	+ IC50: 59 nM	EGFR,KDR,Src	Phase 3
Canertinib (CI-1033)	+++ IC50: 9.0 nM	EGFR	Phase 2
Lapatinib	+++ IC50: 9.2 nM	EGFR,ErbB4	Phase 4
CP-724714	++ IC50: 10 nM		Phase 2
Sapitinib (AZD8931)	++++ IC50: 3 nM	ErbB3,EGFR	Phase 2
CUDC-101	++ IC50: 15.7 nM	EGFR,HDAC,HDAC1	Phase 1
Mubritinib (TAK 165)	++++ IC50: 6.0 nM		Phase 1
AEE788 (NVP-AEE788)	++++ IC50: 6 nM	EGFR,c-Abl,FLT1	Phase 2
AC480 (BMS-599626)	+ IC50: 30 nM	HER1,HER4	Phase 1
TAK-285	+ IC50: 17 nM	EGFR/HER1,HER4,MEK1	Phase 1
Tyrphostin AG 879	+ IC50: 1.0 μM	Trk	
Lapatinib ditosylate monohydrate	+++ IC50: 9.2 nM	EGFR,ErbB4	
Irbinitinib (ARRY-380, ONT-380)	+++ IC50: 8 nM	p95 HER2	Phase 2
Afatinib (BIBW2992) Dimaleate	++ IC50: 14 nM	EGFR (L858R),EGFR (wt),EGFR (L858R/T790M)	Phase 4
Poziotinib (HM781-36B)	++++ IC50: 5.3 nM	HER1,HER4	Phase 2
HER2-Inhibitor-1	√		
Pertuzumab (anti-HER2)	√		
Trastuzumab (anti-HER2)	√		

IGF-1R

抑制剂选择性比较

抑制剂名称	IGF-1R	Insulin Receptor	其他靶点	临床阶段
Linsitinib (OSI-906)	+++ IC50: 35 nM	++ IC50: 75 nM	IRR	Phase 3
NVP-AEW541	++ IC50: 0.15 μM	++ IC50: 0.14 μM	FLT3,Tek,FLT1	
GSK1904529A	+++ IC50: 27 nM	+++ IC50: 25 nM		
NVP-ADW742	+ IC50: 0.17 μM			
BMS-536924	++ IC50: 100 nM	+++ IC50: 73 nM	FAK,MEK,LCK	
AG-1024	+ IC50: 7 μM	+ IC50: 57 μM		

抑制剂选择性比较

抑制剂名称	IGF-1R	Insulin Receptor	其他靶点	临床阶段
GSK1838705A	+++ IC50: 2 nM	++++ IC50: 1.6 nM	ALK	
BMS-754807	++++ IC50: 1.8 nM	++++ IC50: 1.7 nM	TrkB,Met,TrkA	Phase 2
PQ 401	+ IC50: <1 μM			
Picropodophyllin (PPP)	++++ IC50: 1 nM			Phase 3
AZD3463	√		ALK	

FLT3

抑制剂选择性比较

抑制剂名称	FLT3	其他靶点	临床阶段
Quizartinib (AC220)	+++ IC50: 1.1 nM		Phase 3
Dovitinib (TKI-258, CHIR-258)	++++ IC50: 1 nM	c-Kit,VEGFR3/FLT4,FGFR1	Phase 3
Amuvatinib (MP-470)	+ IC50: 81 nM	c-Kit (D816H),PDGFRα (V561D)	Phase 2
Tandutinib (MLN518)		c-Kit,PDGFRβ,CSF-1R	Phase 2
TG101209		JAK2,RET,JAK3	
KW-2449	++++ IC50: 1 nM	Abl (T315I),Abl,FGFR1	Phase 1
ENMD-2076		RET,Aurora A,VEGFR3/FLT4	Phase 2
Dovitinib (TKI-258) Dilactic Acid	++++ IC50: 1 nM	c-Kit,VEGFR3/FLT4,FGFR1	Phase 3
Pacritinib (SB1518)		JAK2 (V617F),JAK2,TYK2	Phase 3
TCS 359	+ IC50: 42 nM		
ENMD-2076 L-(+)-Tartaric acid	+++ IC50: 1.86 nM	RET,Aurora A,VEGFR3/FLT4	Phase 2
Gilteritinib (ASP2215)	++++ IC50: 0.29 nM	Axl	Phase 3
TAK-659	++ IC50: 4.6 nM	Syk,ZAP-70,JAK3	Phase 2
Brigatinib (AP26113)	++ IC50: 2.1 nM	ALK,ROS1,IGF1R	Phase 3
UNC2025	++++ IC50: 0.8 nM	Mer,Axl,Tyro3	
AMG 925		CDK4	
Dovitinib (TKI258) Lactate		c-Kit,VEGFR3/FLT4,FGFR1	Phase 3
G-749	++++ IC50: 0.4 nM	Mer,Aurora B,RET	
AZD2932	++ IC50: 7 nM	PDGFRβ,VEGFR-2,c-Kit	
R406	√	Syk	
Go6976	√	JAK2,PKCα,PKCβ1	

FGFR

抑制剂选择性比较

抑制剂名称	FGFR	FGFR1	FGFR2	FGFR3	FGFR4	其他靶点	临床阶段
Ponatinib (AP24534)		++++ IC50: 2.2 nM				Abl,PDGFRα,VEGFR2	Phase 3
BGJ398 (NVP-BGJ398|Infigratinib)		++++ IC50: 0.9 nM	++++ IC50: 1.4 nM	++++ IC50: 1.0 nM	+ IC50: 60 nM		Phase 2
Nintedanib (BIBF 1120)		+ IC50: 69 nM	++ IC50: 37 nM	+ IC50: 108 nM	+ IC50: 610 nM	VEGFR3,VEGFR2,LCK	Phase 4
PD173074		++ IC50: ~25 nM				VEGFR2	
Dovitinib (TKI-258, CHIR-258)		+++ IC50: 8 nM		+++ IC50: 9 nM		FLT3,c-Kit,VEGFR3/FLT4	Phase 3
AZD4547		++++ IC50: 0.2 nM	++++ IC50: 2.5 nM	++++ IC50: 1.8 nM		KDR	Phase 3
Danusertib (PHA-739358)		++ IC50: 47 nM				Aurora A,Abl,TrkA	Phase 2
Brivanib (BMS-540215)		+ IC50: 148 nM				VEGFR2,Flk1,VEGFR1	Phase 3
Dovitinib (TKI-258) Dilactic Acid		+++ IC50: 8 nM		+++ IC50: 9 nM		FLT3,c-Kit,VEGFR3/FLT4	Phase 3
MK-2461		+ IC50: 65 nM	++ IC50: 39 nM	+ IC50: 50 nM		c-Met (M1250T),c-Met (Y1235D),c-Met (Y1230H)	Phase 2
Brivanib Alaninate (BMS-582664)		+ IC50: 148 nM				VEGFR2,Flk1,VEGFR1	Phase 3
SSR128129E		+ IC50: 1.9 μM					Phase 3
Derazantinib(ARQ-087)		+++ IC50: 4.5 nM	++++ IC50: 1.8 nM	+++ IC50: 4.5 nM	++ IC50: 34 nM	RET,DDR2,PDGFRβ	Phase 2
Nintedanib Ethanesulfonate Salt		+ IC50: 69 nM	++ IC50: 37 nM	+ IC50: 108 nM		VEGFR3,VEGFR2,VEGFR1	
H3B-6527					++++ IC50: <1.2 nM		Phase 1
Roblitinib (FGF401)					++++ IC50: 1.1 nM		Phase 2

抑制剂选择性比较

抑制剂名称	FGFR	FGFR1	FGFR2	FGFR3	FGFR4	其他靶点	临床阶段
PRN1371		++++ IC50: 0.6 nM	++++ IC50: 1.3 nM	+++ IC50: 4.1 nM	++ IC50: 19.3 nM	CSF1R	Phase 1
PD-166866 (PD166866)		+ IC50: 52.4 nM					
BLU-554 (BLU554)					+++ IC50: 5 nM		Phase 1
S49076		++ IC50: 18 nM	++ IC50: 17 nM	++ IC50: 15 nM		Met,Mer,Axl	
SU5402		++ IC50: 30 nM				VEGFR2,PDGFRβ	
BLU9931				+ IC50: 150 nM	++++ IC50: 3 nM		
FIIN-2		+++ IC50: 3.09 nM	+++ IC50: 4.3 nM	++ IC50: 27 nM	++ IC50: 45.3 nM		
Dovitinib (TKI258) Lactate		+++ IC50: 8 nM		+++ IC50: 9 nM		FLT3,c-Kit,VEGFR3/FLT4	Phase 3
CH5183284 (Debio-1347)		++ IC50: 9.3 nM	+++ IC50: 7.6 nM	++ IC50: 22 nM	+ IC50: 290 nM		Phase 2
LY2874455		++++ IC50: 2.8 nM	++++ IC50: 2.6 nM	+++ IC50: 6.4 nM	+++ IC50: 6 nM	VEGFR2	Phase 1
Alofanib(RPT835)			√				
Erdafitinib (JNJ-42756493)	√						Phase 3

c-Kit

抑制剂选择性比较

抑制剂名称	c-Kit	其他靶点	临床阶段
Dasatinib	++ IC50: 37 nM	Abl,Src	Phase 4
Imatinib Mesylate (STI571)	+ IC50: 100 nM	PDGFR,v-Abl	Phase 4
Axitinib	++++ IC50: 1.7 nM	VEGFR1/FLT1,VEGFR2/Flk1,VEGFR3	Phase 3
Pazopanib HCl (GW786034 HCl)	+ IC50: 140 nM	VEGFR1,VEGFR2,VEGFR3	Phase 4
Dovitinib (TKI-258, CHIR-258)	++++ IC50: 2 nM	FLT3,VEGFR3/FLT4,FGFR1	Phase 3
Masitinib (AB1010)	+ IC50: 200 nM	Lyn B,PDGFRα,PDGFRβ	Phase 3
Tivozanib (AV-951)	++ IC50: 78 nM	VEGFR2,VEGFR3,EphB2	Phase 3
Amuvatinib (MP-470)	+++ IC50: 10 nM	PDGFRα (V561D),FLT3 (D835Y)	Phase 2
Motesanib Diphosphate (AMG-706)	+++ IC50: 8 nM	VEGFR1,VEGFR2,VEGFR3	Phase 3
OSI-930	+ IC50: 80 nM	FLT1,KDR,CSF-1R	Phase 1
Ki8751	++ IC50: 40 nM	VEGFR2,PDGFRα	
Telatinib	++++ IC50: 1 nM	VEGFR3,VEGFR2,PDGFRα	Phase 2
Pazopanib	++ IC50: 74 nM	VEGFR1,VEGFR2,VEGFR3	Phase 4
Dovitinib (TKI-258) Dilactic Acid	++++ IC50: 2 nM	FLT3,FGFR1,VEGFR3/FLT4	Phase 3
Tyrphostin AG 1296	+ IC50: 1.8 μM	PDGFR,FGFR (Swiss 3T3)	
Ripretinib (DCC-2618)	+++ IC50: 8 nM	PDGFR	Phase 3
Regorafenib Monohydrate	+++ IC50: 7 nM	RET,Raf-1,murine VEGFR2	
Avapritinib (BLU-285)	++++ IC50: 0.5 nM	PDGFRα (D842V)	Phase 3
Sitravatinib (MGCD516)	+++ IC50: 6 nM	DDR2,EPHA3,Axl	Phase 2
Pexidartinib (PLX3397)	+++ IC50: 10 nM	CSF-1R,Flt3	Phase 3
Dasatinib Monohydrate	++ IC50: 37 nM	Abl ,Src	Phase 4
Dovitinib (TKI258) Lactate	++++ IC50: 2 nM	FLT3,VEGFR3/FLT4,FGFR1	Phase 3
AZD2932	+++ IC50: 9 nM	PDGFRβ,Flt3,VEGFR-2	
Sunitinib Malate	√	FLT3,PDGFRβ,VEGFR2	Phase 4
PDGFR inhibitor 1	√	PDGFR	
Sunitinib	√	FLT3 ,PDGFRβ ,VEGFR2	Phase 4

Src

抑制剂选择性比较

抑制剂名称	Src	Lck	Fyn	Lyn	Yes	其他靶点	临床阶段
Dasatinib	++++ IC50: 0.8 nM					Abl,c-Kit (D816V),c-Kit (wt)	Phase 4
Saracatinib (AZD0530)	++++ IC50: 2.7 nM	+++ IC50: <4 nM	++ IC50: 10 nM	+++ IC50: 5 nM		c-YES,EGFR (L861Q), EGFR (L858R)	Phase 3
Bosutinib (SKI-606)	++++ IC50: 1.2 nM					Abl	Phase 4
KX2-391	++ GI50: 26 nM						Phase 3

抑制剂选择性比较

抑制剂名称	Src	Lck	Fyn	Lyn	Yes	其他靶点	临床阶段
NVP-BHG712	+ IC50: 1.266 μM					EphB4,C-Raf,c-Abl	
PP2		+++ IC50: 4 nM	+++ IC50: 5 nM				
PP121	++ IC50: 14 nM					PDGFR,Hck,VEGFR	
PP1		+++ IC50: 5 nM	+++ IC50: 6 nM			Kit,EGFR	Phase 3
MNS (3,4-Methylenedioxy-β-nitrostyrene, MDBN)	+ IC50: 29.3 μM					p97,Syk	Phase 2
KX1-004	+ IC50: 40 μM						
Dasatinib hydrochloride	++++ IC50: 0.8 nM					Abl,c-Kit (D816V),c-Kit (wt)	
UM-164	++++ Kd: 2.7 nM					p38α,p38β	
Repotrectinib (TPX-0005)	+++ IC50: 5.3 nM					WT ALK,ALK(L1196M), ALK(G1202R)	Phase 2
CCT196969	++ IC50: 0.03 μM	++ IC50: 0.02 μM				CRAF,V600E-BRAF,BRAF	
SU6656	+ IC50: 280 nM		+ IC50: 170 nM	+ IC50: 130 nM	++ IC50:20 nM		
Dasatinib Monohydrate	++++ IC50: 0.8 nM					Abl ,c-Kit (D816V),c-Kit (wt)	Phase 4
WH-4-023	+++ IC50: 6 nM	++++ IC50: 2 nM					
AD80	√					S6 Kinase,Raf,RET V804M	
Quercetin	√					Sirtuin,PKC,PI3Kγ	Phase 4

ALK

抑制剂选择性比较

抑制剂名称	ALK	其他靶点	临床阶段
Crizotinib (PF-02341066)	+ IC50: 24 nM	c-Met	Phase 4
TAE684 (NVP-TAE684)	++ IC50: 3 nM		
Alectinib (CH5424802)	+++ IC50: 1 nM		Phase 3
Ceritinib (LDK378)	++++ IC50: 0.2 nM	Insulin Receptor,IGF-1R	Phase 2
AP26113-analog (ALK-IN-1)	++++ IC50: 0.07 nM	EGFR(C797S/del19),IGF1R,EGFR(del19)	
GSK1838705A	+++ IC50: 0.5 nM	Insulin Receptor,IGF-1R	
AZD3463	+++ Ki: 0.75 nM	IGF-1R	
ASP3026	+ IC50: 3.5 nM		Phase 1
TP0427736 HCl	++ IC50: 1.9 nM		
Alectinib hydrochloride	++ IC50: <4 nM		
Belizatinib (TSR-011)	+++ IC50: 0.7 nM	TrkC,TrkB,TrkA	
Repotrectinib (TPX-0005)	++ IC50: 1.08 nM	Src	Phase 2
Brigatinib (AP26113)	++++ IC50: 0.37 nM	FLT3,IGF1R,EGFR(C797S/del19)	Phase 3
Lorlatinib (PF-6463922)	++++ Ki: <0.02 nM	LTK (TYK1),FER,FES (FPS)	Phase 2
X-376	√		
Entrectinib (RXDX-101)	√	TrkB,TrkA,TrkC	Phase 3

Tie-2

抑制剂选择性比较

抑制剂名称	Tie-2	其他靶点	临床阶段
MGCD-265 analog	++++ IC50: 7 nM	Met,RON,VEGFR2	Phase 2
Tie2 kinase inhibitor	++ IC50: 0.25 μM		
Altiratinib	+++ IC50: 8 nM	MET Y1230C,TrkC,TrkA	
Pexmetinib (ARRY-614)	√	p38 MAPK	Phase 1

c-RET

抑制剂选择性比较

抑制剂名称	c-RET	其他靶点	临床阶段
Regorafenib (BAY 73-4506)	++++ IC50: 1.5 nM	Raf-1,VEGFR2,Kit	Phase 4
Danusertib (PHA-739358)	++ IC50: 31 nM	Aurora A,Abl,TrkA	Phase 2
TG101209	++ IC50: 17 nM	JAK2,FLT3,JAK3	
WHI-P180		KDR	
GSK3179106	++++ IC50: 0.3 nM		
Apatinib	++ IC50: 13 nM	VEGFR2	Phase 4
Regorafenib Monohydrate		Raf-1,murine VEGFR2,KIT	
2-D08	+++ IC50: 11 nM	sumoylation,Axl,IRAK4	Phase 4
BMS-935177	+ IC50: 110 nM	BTK,TEC,BLK	
AD80	++++ IC50: 0.4 nM	Raf,S6 Kinase,Src	
BAW2881 (NVP-BAW2881)	+ IC50: 410 nM	hVEGFR2,C-Raf-1,B-RAFV599E	

TAM Receptor

抑制剂选择性比较

抑制剂名称	Mer	AxL	Tyro3	其他靶点	临床阶段
BMS-777607	++ IC50: 14 nM	++++ IC50: 1.1 nM	+++ IC50: 4.3 nM	RON,Met,FLT3	Phase 2
R428 (BGB324|Bemcentinib)		++ IC50: 14 nM			Phase 2
UNC2250	++++ IC50: 1.7 nM		+ IC50: 100 nM		
2-D08				sumoylation,IRAK4,ROS1	Phase 4
Gilteritinib (ASP2215)		++++ IC50: 0.73 nM		FLT3	Phase 3
Sitravatinib (MGCD516)	+++ IC50: 2 nM	++++ IC50: 1.5 nM		DDR2,EPHA3,VEGFR3 (FLT4)	Phase 2
RXDX-106 (CEP-40783)	+ IC50: 29 nM	+++ IC50: 7 nM	++ IC50: 29 nM	c-Met,VEGFR2	Phase 1
S49076	+++ IC50: 2 nM	+++ IC50: 7 nM		Met,FGFR3,FGFR2	
UNC2025	++++ IC50: 0.74 nM		++ IC50: 17 nM	FLT3	
TP-0903		+ IC50: 27 nM			Phase 2
NPS-1034		++ IC50: 10.3 nM		Met	
LDC1267	+++ IC50: <5 nM	+ IC50: 29 nM	++ IC50: 8 nM		
UNC2881	+++ IC50: 4.3 nM	+ IC50: 360 nM	+ IC50: 250 nM		

CSF-1R

抑制剂选择性比较

抑制剂名称	CSF-1R	其他靶点	临床阶段
Linifanib (ABT-869)	+++ IC50: 3 nM	VEGFR1/FLT1,FLT3,VEGFR2/KDR	Phase 3
OSI-930	++ IC50: 15 nM	FLT1,KDR,LCK	Phase 1
GW2580	+ IC50: 30 nM		
CEP-32496(RXDX-105)	+++ Kd: 9 nM	RET,PDGFRβ,LCK	
Pexidartinib (PLX3397)	++ IC50: 20 nM	Kit,Flt3	Phase 3
BLZ945	++++ IC50: 1 nM		Phase 2

Ephrin Receptor

抑制剂选择性比较

抑制剂名称	Ephrin receptor	其他靶点
NVP-BHG712	+++ ED50: 25 nM	C-Raf,c-Src,c-Abl

BTK

抑制剂选择性比较

抑制剂名称	BTK	其他靶点	临床阶段
Ibrutinib (PCI-32765)	++++ IC50: 0.5 nM	BLK,Bmx,FGR	Phase 4
Spebrutinib (CC-292, AVL-292)	++++ IC50: <0.5 nM		Phase 2
CNX-774	+++ IC50: <1 nM		
Fenebrutinib (GDC-0853)	+++ Ki: 0.91 nM	BTK C481R,BTK C481S,BTK T474M	
Evobrutinib	+ IC50: 37.9 nM		
BTK inhibitor 1 (Compound 27)	++++ IC50: 0.11 nM		
ARQ 531	++++ IC50: 0.85 nM	BRK,LCK,YES	Phase 1
BMS-935177	++ IC50: 2.8 nM	TEC,BLK,BMX	
tirabrutinib(GS-4059/ONO-4059) hydrochloride	+++ IC50: 2.2 nM		Phase 1
Olmutinib (HM61713, BI 1482694)	++ IC50: 13.9 nM	mutant EGFR	Phase 2
Acalabrutinib (ACP-196)	++ IC50: 3nM		Phase 3
ONO-4059 analogue	+ IC50: 23.9 nM		
LFM-A13	+ Ki: 1.4 μM		
RN486	++ IC50: 4 nM		
CGI1746	+++ IC50: 1.9 nM		
Zanubrutinib (BGB-3111)	√		
Btk inhibitor 2	√		Phase 3

Trk Receptor

抑制剂选择性比较

抑制剂名称	TrkA	TrkB	TrkC	Trk receptor	其他靶点	临床阶段
BMS-754807	++ IC50: 7.4 nM	+++ IC50: 4.1 nM			Insulin Receptor,IGF-1R,Met	Phase 2
GW441756	++++ IC50: 2 nM					
Altiratinib	++++ IC50: 0.85 nM	+++ IC50: 4.6 nM	++++ IC50: 0.85 nM		MET Y1230C,MET D1228N,MET Y1230H	
Selitrectinib（LOXO-195)	++++ IC50: 0.6 nM		+++ IC50: 2.5 nM		TRKA G595R,TRKC G623R,TRKC G696A	
CH7057288	++++ IC50: 1.1 nM	++ IC50: 7.8 nM	++ IC50: 5.1 nM			
BMS-935177	+ IC50: 30 nM	+ IC50: 100 nM			BTK,TEC,BLK	
PF-06273340	++ IC50: 6 nM	+++ IC50: 4 nM	+++ IC50: 3 nM			Phase 1
Sitravatinib (MGCD516)	+++ IC50: 5 nM	+ IC50: 9 nM			DDR2,EPHA3,Axl	Phase 2
ANA-12		+ Kd: 10 nM				
GNF-5837	++ IC50: 8 nM	+ IC50: 12 nM	++ IC50: 7 nM			
Belizatinib (TSR-011)	√				ALK	
Larotrectinib (LOXO-101) sulfate				√		Phase 2
Entrectinib (RXDX-101)	√				ALK,ROS1	Phase 3

ACK

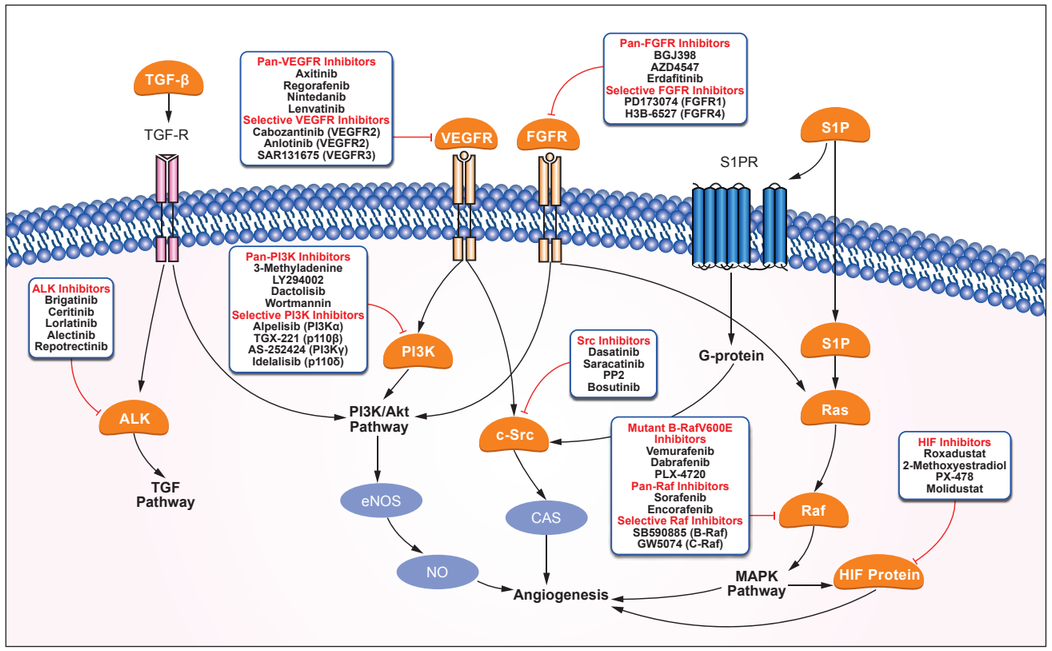
抑制剂选择性比较

抑制剂名称	TNK2
XMD16-5	√
XMD8-87	√

注：

1. 更多细节，例如半抑制浓度（IC_{50}）以及任何抑制剂的相关工作浓度，请访问www.selleck.cn。
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Angiogenesis



VEGFR
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JAK
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EGFR
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PDGFR
详细信息在第25页

HER2
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FLT3
详细信息在第27页

FGFR
详细信息在第27页

HIF
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Src
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ALK
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BTK
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VDA

抑制剂选择性比较

抑制剂名称	VDA	其他靶点	临床阶段
DMXAA (Vadimezan)	++ Ki: 20 μM		Phase 2
Plinabulin (NPI-2358)	+++ IC50: 9.8 nM-18 nM		Phase 3
Verteporfin	√	YAP/TEAD interaction	Phase 4

Bcr-Abl

抑制剂选择性比较

抑制剂名称	Bcr-Abl	Abl	其他靶点	临床阶段
Dasatinib	++++ IC50: 0.6 nM	++++ IC50: 0.6 nM	Src,c-Kit (D816V),c-Kit (wt)	Phase 4
Imatinib Mesylate (STI571)		+ IC50: 600 nM	c-Kit,PDGFR	Phase 4
Ponatinib (AP24534)	++++ IC50: 0.37 nM	++++ IC50: 0.37 nM	PDGFRα,VEGFR2,FGFR1	Phase 3
Nilotinib (AMN-107)	++ IC50: <30 nM			Phase 4
Danusertib (PHA-739358)	++ IC50: 25 nM	++ IC50: 25 nM	Aurora A,TrkA,RET	Phase 2
AT9283		+++ IC50: 4 nM	JAK3,JAK2,Aurora B	Phase 2
Degrasyn (WP1130)	+ IC50: 1.8 μM		DUB	
Bafetinib (INNO-406)	++ IC50: 5.8 nM	++ IC50: 5.8 nM	Lyn	Phase 2
KW-2449	++ IC50: 14 nM	+++ IC50: 4 nM	FLT3 (D835Y),FLT3,FGFR1	Phase 1
NVP-BHG712		+ IC50: 1.667 μM	EphB4,C-Raf,c-Src	
PP121	++ IC50: 18 nM	++ IC50: 18 nM	PDGFR,Hck,VEGFR	
Rebastinib (DCC-2036)		+++ IC50: 4 nM	FLT3,KDR,Tie-2	Phase 2
GZD824 Dimesylate(HQP1351)	++++ IC50: 0.34 nM	++++ IC50: 0.15 nM		
GNF-2	+ IC50: 268 nM			
Nilotinib hydrochloride	++ IC50: <30 nM			
Dasatinib hydrochloride	++++ IC50: 0.6 nM	++++ IC50: 0.6 nM	Src,c-Kit (D816V),c-Kit (wt)	
Asciminib (ABL001)	++++ IC50: 0.45 nM	++++ IC50: 0.45 nM		Phase 3
GNF-7	+++ IC50: <5 nM	+ IC50: 133 nM		
Radotinib	+ IC50: 34 nM			Phase 3
Dasatinib Monohydrate	++++ IC50: 0.6 nM	++++ IC50: 0.6 nM	Src,c-Kit (D816V),c-Kit (wt)	Phase 4
GNF-5	+ IC50: 220 nM			
PD173955	+++ IC50: 1 nM-2 nM		Src	

Syk

抑制剂选择性比较

抑制剂名称	Syk	其他靶点	临床阶段
R406	++ IC50: 41 nM	Flt3	
R788 (Fostamatinib) Disodium	++ IC50: 41 nM		Phase 3
R406 (free base)	++ IC50: 41 nM		
PRT062607 (P505-15, BIIB057) HCl	++++ IC50: 1 nM	FGR,MLK1	Phase 2
Fostamatinib (R788)	++ IC50: 41 nM	Adenosine A3 receptor,Adenosine transporter, Monoamine transporter	Phase 3
MNS (3,4-Methylenedioxy-β-nitrostyrene, MDBN)	+ IC50: 2.5 μM	p97,Src	Phase 2
R112	+ Ki: 96 nM		
TAK-659	++++ IC50: 3.2 nM	FLT3,ZAP-70,JAK3	Phase 2
PRT-060318 2HCl	++++ IC50: 4nM		
Entospletinib (GS-9973)	+++ IC50: 7.7 nM		
RO9021	+++ IC50: 5.6 nM		
BAY-61-3606	+++ Ki: 7.5 nM		
Piceatannol	√	Lyn,cAK,PKC	

FAK

抑制剂选择性比较

抑制剂名称	FAK	其他靶点	临床阶段
PF-00562271 Besylate	++++ IC50: 1.5 nM	CDK2/CyclinE,CDK3/CyclinE,CDK1/CyclinB	Phase 1
PF-562271	++++ IC50: 1.5 nM	CDK2/CyclinE,CDK3/CyclinE,CDK1/CyclinB	
PF-573228	++ IC50: 4 nM		
TAE226 (NVP-TAE226)	++ IC50: 3.5 nM	Insulin Receptor,IGF-1R,c-Met	
PF-03814735	+ IC50: 22 nM	Aurora A,Aurora B,FLT1	Phase 1
PF-562271 HCl	++++ IC50: 1.5 nM	CDK2/CyclinE,CDK3/CyclinE,CDK1/CyclinB	
BI-4464	+ IC50: 17 nM		
GSK2256098	++++ Ki: 0.4 nM		Phase 2
PF-431396	++ IC50: 2 nM		
PND-1186 (VS-4718)	++++ IC50: 1.5 nM		Phase 1
Y15	√		
Defactinib (VS-6063, PF-04554878)	√		Phase 2
Solanesol (Nonaisoprenol)	√		

注：

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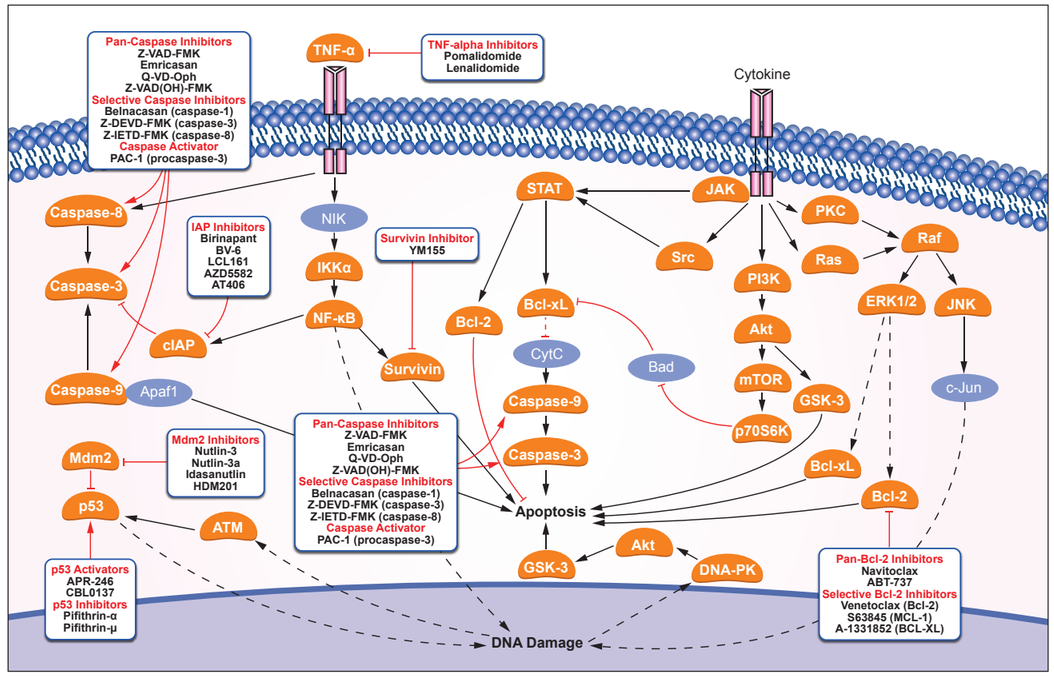
Apoptosis



PD-1/PD-L1
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c-RET
详细信息在第30页

Bcl-2

抑制剂选择性比较

抑制剂名称	Bcl-2	Bcl-B	Bcl-w	Bcl-xL	Mcl-1	Bax	Bfl-1	其他靶点	临床阶段
ABT-737	+++ EC50: 30.3 nM	+ EC50: 1.82 μM	+++ EC50: 197.8 nM	+++ EC50: 78.7 nM					
Navitoclax (ABT-263)	++++ Ki: <=1 nM		++++ Ki: <=1 nM	++++ Ki: <=0.5 nM					Phase 2
Obatoclax Mesylate (GX15-070)	+++ Ki: 0.22 μM								Phase 3
TW-37	++ Ki: 0.29 μM			+ Ki: 1.11 μM	++ Ki: 0.26 μM				
Venetoclax (ABT-199, GDC-0199)	++++ Ki: <0.01 nM								Phase 3
AT101	++ Ki: 0.32 μM			++ Ki: 0.48 μM	+++ Ki: 0.18 μM				Phase 2
HA14-1	+ IC50: 9 μM								
Sabutoclax	++ IC50: 0.32 μM			++ IC50: 0.31 μM	+++ IC50: 0.20 μM		++ IC50: 0.62 μM		
AZD5991					++++ IC50: 0.7 nM				
S64315 (MIK665)					+++ Ki: 1.2 nM				
S55746 (S 055746,BCL201)	+++ Ki: 1.3 nM								
BH3I-1				+ Ki: 2.4 μM				p53/MDM2	
A-1331852				++++ Ki: <0.01 nM					
A-1155463				++++ Ki: <0.01 nM					
A-1210477					+++ IC50: 26.2 nM				
UMI-77					++ Ki: 490 nM				
Gambogic Acid	+ IC50: 1.06 μM	++ IC50: 0.66 μM	+++ IC50: 0.02 μM	+ IC50: 1.47 μM	+ IC50: 0.79 μM		+ IC50: 1.06 μM	Caspase	
BTSA1						√			
Marinopyrrole A (Maritoclax)					√				

Caspase

抑制剂选择性比较

抑制剂名称	Caspase	Caspase-1	Caspase-3	Caspase-8	Caspase-9	Caspase-4	Capase-7	Caspase-2	Caspase-5	Caspase-6	Caspase-10	临床阶段
Belnacasan (VX-765)		++++ Ki: 0.8 nM				++++ Ki: <0.6 nM						Phase 2
Ac-DEVD-CHO		+++ Ki: 18 nM	++++ Ki: 230 pM	++++ Ki: 0.92 nM	++ Ki: 60 nM	++ Ki: 132 nM	+++ Ki: 1.6 nM	+ Ki: 1.71 μM	++ Ki: 205 nM	+++ Ki: 31 nM	+++ Ki: 12 nM	
Q-VD-Oph		++ IC50: 25 nM-400 nM	++ IC50: 25 nM-400 nM	++ IC50: 25 nM-400 nM	++ IC50: 25 nM-400 nM							
Z-VAD-FMK	√											
Z-IETD-FMK				√								
Emricasan	√											Phase 2
Z-VAD(OH)-FMK (Caspase Inhibitor VI)	√											
Z-DEVD-FMK			√									

p53

抑制剂选择性比较

抑制剂名称	p53
Pifithrin-α (PFTα) HBr	√
Pifithrin-μ	√
Cyclic Pifithrin-α hydrobromide	√
ReACp53	√

TNF-alpha

抑制剂选择性比较

抑制剂名称	TNF-α	其他靶点	临床阶段
Pomalidomide	++++ IC50: 13 nM		Phase 3
Necrostatin-1	+ EC50: 490 nM		
QNZ (EVP4593)	++++ IC50: 7 nM	NF-κB	
RIPA-56	++++ IC50: 13 nM		
GSK'963	++ IC50: 29 nM		Phase 4
GSK2982772	++ IC50: 16 nM		Phase 2
Thalidomide	√	E3 Ligase	Phase 4
Acetylcysteine	√	ROS/ROS1	Phase 4
GSK'547	√		
Adalimumab (anti-TNF-alpha)	√		
GSK481	√		

Mdm2

抑制剂选择性比较

抑制剂名称	Mdm2	MDMX	其他靶点	临床阶段
Nutlin-3	++ IC50: 180 nM			
NSC 207895		+ IC50: 2.5 μM	p53	
Nutlin-3a	+++ IC50: 90 nM			
Nutlin-3b	+ IC50: 13.6 μM			
MX69	++ Kd: 2.34 μM			
NVP-CGM097	++++ IC50: 1.7 nM			
MI-773 (SAR405838)	++++ Ki: 0.88 nM		p53	Phase 1
Idasanutlin (RG-7388)	+++ IC50: 6 nM			Phase 3
RG-7112	+++ Kd: 11 nM			
HDM201	√			Phase 2
YH239-EE	√			

Survivin

抑制剂选择性比较

抑制剂名称	Survivin	临床阶段
YM155 (Sepantronium Bromide)	+++ IC50: 0.54 nM	Phase 2

IAP

抑制剂选择性比较

抑制剂名称	cIAP	XIAP	其他靶点	临床阶段
Birinapant	++++ Kd: <1 nM	++ Kd: 45 nM		Phase 2
GDC-0152	+++ Ki: 17 nM	++ Ki: 28 nM	MLXBIR3SG	Phase 1
AT406 (SM-406)	++++ Ki: 1.9 nM	+ Ki: 66.4 nM		Phase 1
AZD5582	+++ IC50: 15 nM	+++ IC50: 15 nM		
Embelin		+ IC50: 4.1 μM	5-LO,mPGES-1	
BV-6	√			
LCL161	√			Phase 2

PERK

抑制剂选择性比较

抑制剂名称	PERK
GSK2606414	++++ IC50: 0.4 nM
GSK2656157	+++ IC50: 0.9 nM
ISRIB (trans-isomer)	++ IC50: 5 nM
Salubrinal	√

Serine/threonin Kinase

抑制剂选择性比较

抑制剂名称	Serine/threonin kinase	其他靶点	临床阶段
CID 2011756	+ IC50: 0.7 μM		
GSK'872 (GSK2399872A)	+++ IC50: 1.3 nM		
CRT0066101	+++ IC50: 2 nM		
BAY 1217389	++++ IC50: 0.63 nM		Phase 1
ML-7 HCl	++ Ki: 0.3 μM	PKA,PKC	
CID755673	++ IC50: 180 nM		

ASK

抑制剂选择性比较

抑制剂名称	ASK1	临床阶段
Selonsertib (GS-4997)	+++ pIC50: 8.3	Phase 2
NQDI-1	++ IC50: 3 μM	

注：

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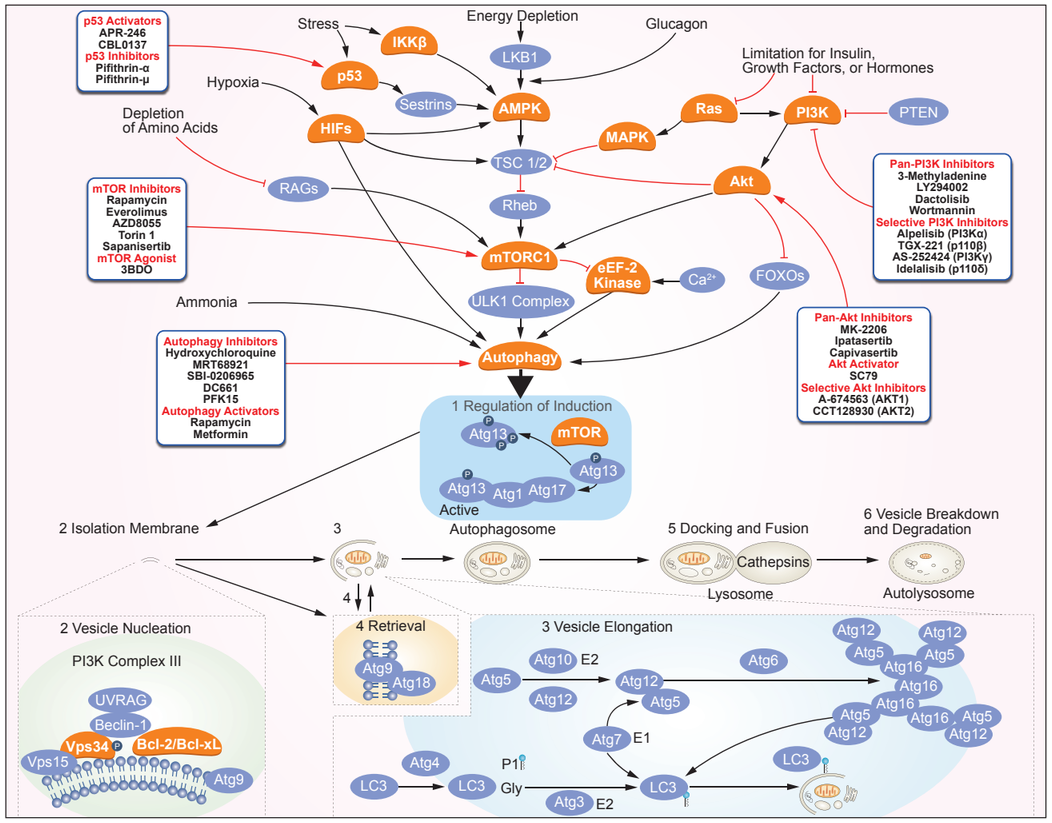
Autophagy



CXCR

详细信息在第20页

Autophagy

抑制剂选择性比较

抑制剂名称	Autophagy	其他靶点	临床阶段
ULK-101	+++ IC50: 8.3 nM		
EAD1	+ IC50: 5.8 μM		
Autophinib	+++ IC50: 40 nM	Vps34	
MRT68921 HCl	++++ IC50: 1.1 nM		
SBI-0206965	++ IC50: 108 nM		
PFK15	++ IC50: 207 nM		
Valproic acid sodium salt (Sodium valproate)	√	HDAC,GABA receptor	Phase 4
PFK158	√		
DC661	√		
CA-5f	√		
PHY34	√		
IITZ-01	√		
ROC-325	√		
Lys05	√		
Hydroxychloroquine Sulfate	√	TLR9	Phase 4

LRRK2

抑制剂选择性比较

抑制剂名称	LRRK2	其他靶点
LRRK2-IN-1	++ IC50: 6 nM	DCLK2
GSK2578215A	++ IC50: 8.9 nM	
GNE-9605	+++ IC50: 19 nM	
GNE-7915	++++ IC50: 9 nM	
GNE-0877	++++ Ki: 0.7 nM	
URMC-099	+ IC50: 11 nM	Abl1,MLK3,MLK1

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ER stress & UPR

PERK
详细信息在第37页

ASK
详细信息在第37页

JNK

抑制剂选择性比较

抑制剂名称	JNK1	JNK2	JNK3	JNK	其他靶点	临床阶段
SP600125	+++ IC50: 40 nM	+++ IC50: 40 nM	++ IC50: 90 nM	+ IC50: 0.4 μM	Aurora A,TrkA,FLT3	
JNK-IN-8	++++ IC50: 4.7 nM	+++ IC50: 18.7 nM	++++ IC50: 1 nM		Kit (V559D,T670I),Kit (V559D)	
Bentamapimod (AS602801)	++ IC50: 80 nM	++ IC50: 90 nM	+ IC50: 230 nM			
Tanzisertib(CC-930)	+++ IC50: 0.061 μM	++++ IC50: 0.007 μM	++++ IC50: 0.006 μM			Phase 2
BI-78D3				+ IC50: 280 nM		
JNK Inhibitor IX		+ pIC50: 6.5	++ pIC50: 6.7			

HSP (e.g. HSP90)

抑制剂选择性比较

抑制剂名称	HSP70	HSP90	HSP90α	HSP90β	HSP105	其他靶点	临床阶段
Tanespimycin (17-AAG)		+++ IC50: 5 nM					Phase 3
Luminespib (AUY-922, NVP-AUY922)		+++ IC50: 13 nM	+++ IC50: 13 nM	+++ IC50: 21 nM			Phase 2
Alvespimycin (17-DMAG) HCl		+ IC50: 62 nM					Phase 2
Ganetespib (STA-9090)		+++ IC50: 4 nM					Phase 3
BIIB021		++++ Ki: 1.7 nM					Phase 2
Onalespib (AT13387)		+++ IC50: 18 nM					Phase 2
Geldanamycin		+ Kd: 0.78 μM				p185	
NVP-BEP800		+ IC50: 58 nM		+ IC50: 58 nM			
SNX-2112 (PF-04928473)		++ Ka: 30 nM	++ Ka: 30 nM	++ Ka: 30 nM			
PF-04929113 (SNX-5422)		++ Kd: 41 nM				HER2	Phase 2
KW-2478		++++ IC50: 3.8 nM					Phase 2
XL888		++ IC50: 24 nM					Phase 1
Apoptozole	+ Kd: 0.14 μM						
VER155008	+ IC50: 0.5 μM						
VER-50589		+++ IC50: 21 nM		+++ IC50: 21 nM			
CH5138303		++++ Kd: 0.48 nM	++++ Kd: 0.48 nM				
VER-49009		++ IC50: 47 nM		++ IC50: 47 nM			
NMS-E973		+++ DC50: <10 nM					
PU-H71		+ IC50: 51 nM					Phase 1
HSP990 (NVP-HSP990)		++++ IC50: 0.6 nM	++++ IC50: 0.6 nM	++++ IC50: 0.8 nM			Phase 1
TRC051384	√						
KNK437					√		

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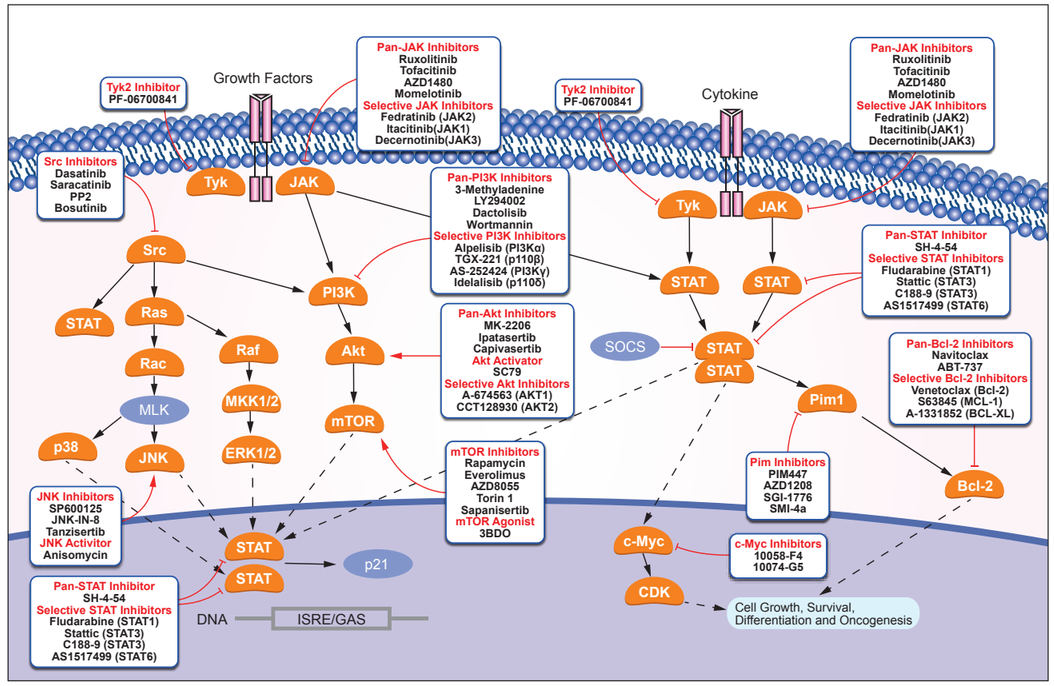
JAK/STAT



JAK 详细信息在第9页

EGFR 详细信息在第24页

Pim 详细信息在第10页

STAT

抑制剂选择性比较

抑制剂名称	STAT1	STAT3	STAT5	STAT6	其他靶点	临床阶段
S3I-201		+ IC50: 86 μM				
Stattic		+ IC50: 5.1 μM				
Niclosamide		++ IC50: 0.7 μM				Phase 2
AS1517499				++++ IC50: 21 nM		
C188-9		++++ Kd: 4.7 nM				
BP-1-102		+++ Kd: 504 nM				
SH-4-54		+++ Kd: 300 nM	+++ Kd: 464 nM			
Cryptotanshinone		++ IC50: 4.6 μM				
Fludarabine	√					Phase 4
Nifuroxazide	√					
HJC0152		√				
SH5-07 (SH-5-07)		√				
APTSTAT3-9R		√				
Ochromycinone (STA-21)		√				Phase 2
Napabucasin(BBI608)		√				Phase 3
HO-3867		√				
Artesunate		√			EXP1(a membrane glutathione S-transferase)	Phase 4

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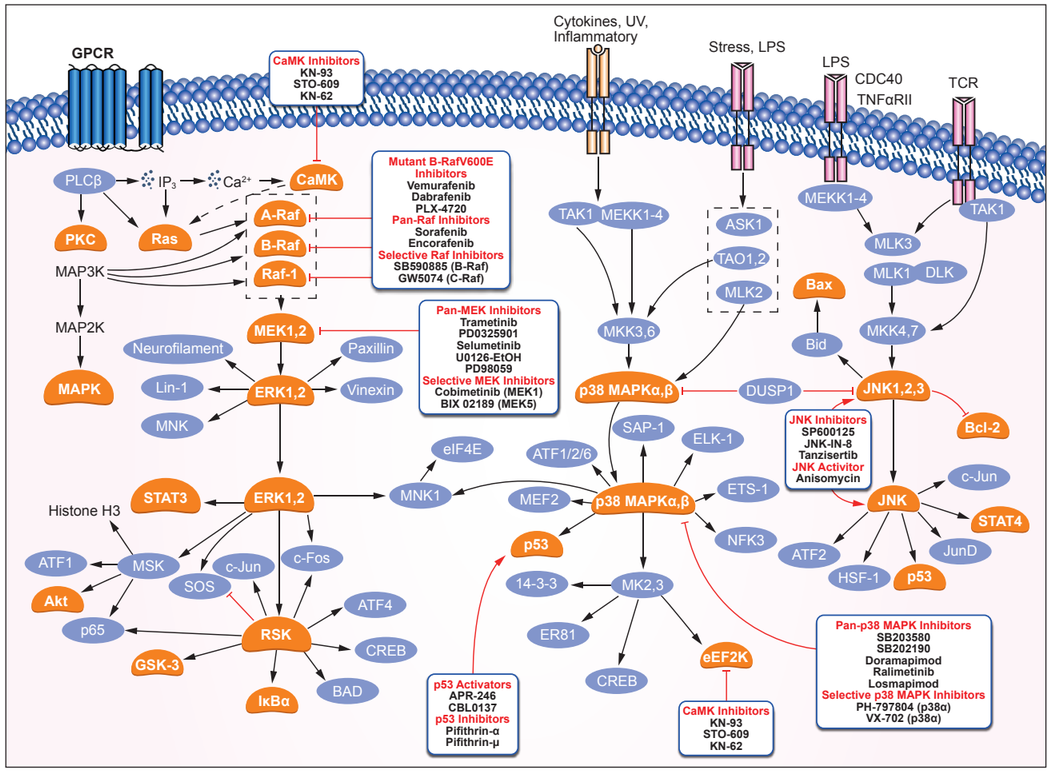
MAPK



JNK

详细信息在第40页

MEK

抑制剂选择性比较

抑制剂名称	MEK	MEK1	MEK1/2	MEK2	MEK5	其他靶点	临床阶段
Selumetinib (AZD6244)		+++ IC50: 14 nM		+ Kd: 530 nM			Phase 3
PD0325901	++++ IC50: 0.33 nM						Phase 2
Trametinib (GSK1120212)		++++ IC50: 0.92 nM		++++ IC50: 1.8 nM			Phase 4
U0126-EtOH		+ IC50: 0.07 μM		++ IC50: 0.06 μM			
PD184352 (CI-1040)		++ IC50: 17 nM		++ IC50: 17 nM			Phase 2
PD98059		+ IC50: 2 μM					
BIX 02189					++++ IC50: 1.5 nM	ERK5	
Pimasertib (AS-703026)			+ IC50: 5 nM-2 μM				Phase 2
BIX 02188					+++ IC50: 4.3 nM		
TAK-733		++++ IC50: 3.2 nM					Phase 1
AZD8330			+++ IC50: 7 nM			ERK phosphorylation	Phase 1
Binimetinib (MEK162, ARRY-162, ARRY-438162)	+++ IC50: 12 nM						Phase 3
SL-327		+ IC50: 0.18 μM		+ IC50: 0.22 μM		AP-1	
Refametinib (RDEA119, Bay 86-9766)		++ IC50: 19 nM		++ IC50: 47 nM			Phase 2
GDC-0623		++++ IC50: 0.13 nM					Phase 1
BI-847325		++ IC50: 25 nM		+++ IC50: 4 nM		Aurora B (Xenopus laevis),Aurora C (Human),Aurora A (Human)	

抑制剂选择性比较

抑制剂名称	MEK	MEK1	MEK1/2	MEK2	MEK5	其他靶点	临床阶段
Cobimetinib (GDC-0973, RG7420)		+++ IC50: 4.2 nM					Phase 3
PD318088			√				
Honokiol	√					Akt-phosphorylation	
Myricetin		√				PI3Kγ	

Raf

抑制剂选择性比较

抑制剂名称	Raf	C-Raf/Raf-1	B-Raf	A-raf	其他靶点	临床阶段
Vemurafenib (PLX4032, RG7204)		+ IC50: 48 nM	+ IC50: 31 nM		SRMS,ACK1,MAP4K5 (KHS1)	Phase 4
Sorafenib Tosylate	+++ IC50: 6 nM	+++ IC50: 6 nM	++ IC50: 22 nM		VEGFR2/Flk1,mPDGFRβ,PDGFRβ	Phase 3
PLX-4720		+++ IC50: 6.7 nM	++ IC50: 13 nM		BRK	
Dabrafenib (GSK2118436)		+++ IC50: 6.3 nM	++++ IC50: 0.7 nM			Phase 4
GDC-0879			++++ IC50: 0.13 nM			
RAF265 (CHIR-265)			+ IC50: 3 nM-60 nM		VEGFR2	Phase 2
AZ 628		++ IC50: 29 nM	+ IC50: 34 nM			
NVP-BHG712		+ IC50: 0.395 μM			EphB4,c-Src,c-Abl	
SB590885			++++ Ki: 0.16 nM			
ZM 336372		+ IC50: 70 nM				
Sorafenib	+++ IC50: 6 nM	+++ IC50: 6 nM	++ IC50: 22 nM		mVEGFR2(Flk1),mVEGFR3, mPDGFRβ	Phase 4
GW5074		+++ IC50: 9 nM				
TAK-632		++++ IC50: 1.4 nM	+++ IC50: 8.3 nM		Aurora B,PDGFRβ,FGFR3	
CEP-32496(RXDX-105)		+ Kd: 39 nM	++ Kd: 14 nM		RET,PDGFRβ,LCK	
B-Raf IN 1		++ IC50: 25 nM	++ IC50: 24 nM			
AZ304		+ IC50: 68 nM	+ IC50: 38 nM		p38,CSF1R	
PLX8394		++ IC50: 23 nM	++++ IC50: 3.8 nM			
Dabrafenib Mesylate		+++ IC50: 6.3 nM	++++ IC50: 0.7 nM			
Regorafenib Monohydrate	++++ IC50: 2.5 nM	++++ IC50: 2.5 nM	++ IC50: 19 nM		RET,murine VEGFR2,KIT	
RAF709		++++ IC50: 0.4 nM	++++ IC50: 1 nM			
Lifirafenib (BGB-283)		+++ IC50: 7 nM	++ IC50: 23 nM	++++ IC50: 1 nM	EGFR,EGFR(T790M/L858R)	Phase 1
CCT196969		++ IC50: 0.01 μM	+ IC50: 0.1 μM		LCK,Src,V600E-BRAF	
BAW2881 (NVP-BAW2881)		++ IC50: 24 nM			hVEGFR2,B-RAFV599E,c-Abl	
LY3009120		++++ IC50: 4.3 nM	+++ IC50: 5.8 nM			Phase 1
RO5126766 (CH5126766)		+ IC50: 56 nM	+++ IC50: 8.2 nM		MEK1	Phase 1
Encorafenib (LGX818)			√			Phase 3
LXH254			√			Phase 1
PLX7904	√					
MLN2480	√					Phase 2

p38 MAPK

抑制剂选择性比较

抑制剂名称	p38 MAPK	p38α	p38β	其他靶点	临床阶段
SB203580	+ IC50: 0.3 μM-0.5 μM			PKB	
Doramapimod (BIRB 796)		++++ IC50: 38 nM			Phase 2
SB202190 (FHPI)		++ IC50: 50 nM	++ IC50: 100 nM		
Ralimetinib (LY2228820)		++++ IC50: 7 nM			Phase 2
VX-702		+++ IC50: 4 nM-20 nM			Phase 2
PH-797804		++ IC50: 26 nM	++ IC50: 102 nM		Phase 2
VX-745		+++ IC50: 10 nM	+ IC50: 220 nM		Phase 2
TAK-715		++++ IC50: 7.1 nM	+ IC50: 0.20 μM		Phase 2
SD 0006		+++ IC50: 0.016 μM	+ IC50: 0.677 μM		

抑制剂选择性比较

抑制剂名称	p38 MAPK	p38α	p38β	其他靶点	临床阶段
Pamapimod (R-1503, Ro4402257)		+++ IC50: 0.014 μM	+ IC50: 0.48 μM		
BMS-582949	+++ IC50: 13 nM				Phase 2
SB239063		++ IC50: 44 nM	++ IC50: 44 nM		
Losmapimod (GW856553X)		++++ pKi: 8.1	+++ pKi: 7.6		Phase 3
Skepinone-L		++++ IC50: 5 nM			
UM-164		√		c-Src	
Pexmetinib (ARRY-614)	√			Tie-2	Phase 1

ERK

抑制剂选择性比较

抑制剂名称	ERK1	ERK2	ERK5	ERK	其他靶点	临床阶段
SCH772984	+++ IC50: 4 nM	++++ IC50: 1 nM				
AZD0364		++++ IC50: 0.6 nM				
MK-8353 (SCH900353)	++ IC50: 20 nM	+++ IC50: 7 nM				
Magnolin	++ IC50: 87 nM	++ IC50: 16.5 nM				
LY3214996	+++ IC50: 5 nM	+++ IC50: 5 nM				Phase 1
Pluripotin (SC1)	++ Kd: 98 nM				RasGAP	
VX-11e		+++ Ki: <2 nM				
DEL-22379			+ IC50: 0.5 μM	+ IC50: 0.5 μM		
Ulixertinib (BVD-523, VRT752271)		++++ IC50: <0.3 nM				Phase 2
Ravoxertinib (GDC-0994)	++++ IC50: 1.1 nM	++++ IC50: 0.3 nM				Phase 1
FR 180204	+ Ki: 0.31 μM	++ Ki: 0.14 μM				
ERK5-IN-1			+ IC50: 162 nM			
CC-90003	√					

TOPK

抑制剂选择性比较

抑制剂名称	TOPK
OTS964	++ IC50: 28 nM
OTS514 hydrochloride	+++ IC50: 2.6 nM

MNK

抑制剂选择性比较

抑制剂名称	MNK1	MNK2	临床阶段
eFT-508 (eFT508)	+++ IC50: 2.4 nM	++++ IC50: 1 nM	Phase 2
CGP 57380	++ IC50: 2.2 μM		

Mixed Lineage Kinase

抑制剂选择性比较

抑制剂名称	MLKL	MLK1	MLK2	MLK3	其他靶点
URMC-099		+++ IC50: 19 nM	++ IC50: 42 nM	++++ IC50: 14 nM	Abl1,LRRK2,VEGFR1/FLT1
Necrosulfonamide	√				

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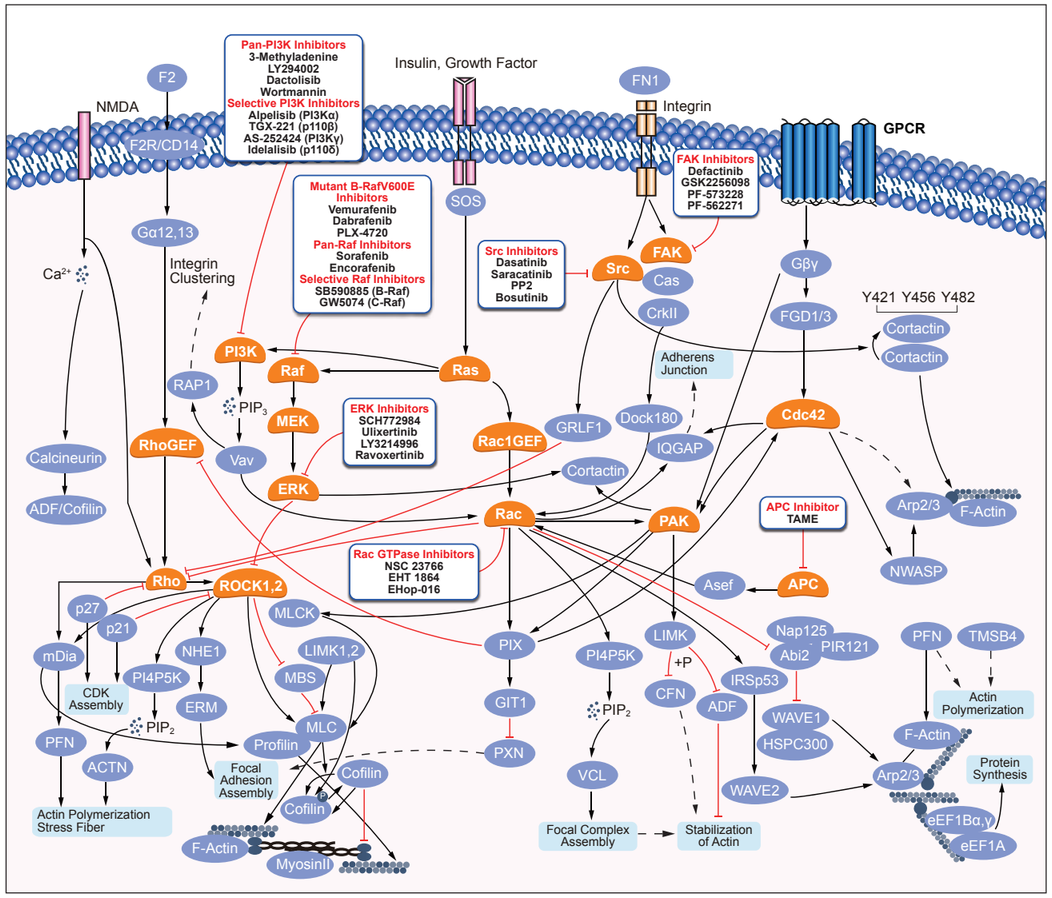
Cytoskeletal Signaling



Akt

详细信息在第4页

Bcr-Abl

详细信息在第33页

FAK

详细信息在第34页

HSP (e.g. HSP90)

详细信息在第40页

Wnt/beta-catenin

抑制剂选择性比较

抑制剂名称	Wnt/beta-catenin	其他靶点	临床阶段
XAV-939	+++ IC50: 4 nM		
ICG-001	+ IC50: 3 μM		
IWR-1-endo	++ IC50: 180 nM		
Wnt-C59 (C59)	++++ IC50: 74 pM		
IWP-2	+++ IC50: 27 nM		
IWP-L6	++++ EC50: 0.5 nM		
iCRT14	+ Ki: 54 μM		
IWP-O1	++++ EC50: 80 pM		

抑制剂选择性比较

抑制剂名称	Wnt/beta-catenin	其他靶点	临床阶段
iCRT3	+++ IC50: 8.2 nM		
LF3	+ IC50: 1.65 μM		
PNU-74654	++ Kd: 450 nM		
KYA1797K	++ IC50: 0.75 μM		
PRI-724	++ IC50: 150 nM		Phase 2
WIKI4	+++ IC50: 15 nM		
LGK-974	√		Phase 1
KY02111	√		
Adavivint (SM04690)	√		
Isoquercitrin	√		
IQ-1	√		
Salinomycin (from Streptomyces albus)	√		
FH535	√	PPARγ,PPARδ	

PKC

抑制剂选择性比较

抑制剂名称	PKC	PKCα	PKCβ	PKCγ	PKCδ	PKCε	PKCζ	PKCη	PKCθ	其他靶点	临床阶段
Enzastaurin (LY317615)		++ IC50: 39 nM	+++ IC50: 6 nM	+ IC50: 83 nM		+ IC50: 110 nM					Phase 3
Sotrastaurin		++++ Ki: 0.95 nM	++++ Ki: 0.64 nM		++++ Ki: 2.1 nM	++++ Ki: 3.2 nM		++++ Ki: 1.8 nM	++++ Ki: 0.22 nM		Phase 2
Staurosporine		++++ IC50: 2 nM		++++ IC50: 5 nM	++ IC50: 20 nM	+ IC50: 73 nM		++++ IC50: 4 nM		c-Fgr,phosphorylase kinase, S6 kinase	Phase 2
Go 6983		+++ IC50: 7 nM	+++ IC50: 7 nM	+++ IC50: 6 nM	+++ IC50: 10 nM		++ IC50: 60 nM				
Bisindolylmaleimide I (GF109203X)		++ IC50: 20 nM	+++ IC50: 16 nM	++ IC50: 20 nM							
Bisindolylmaleimide IX (Ro 31-8220 Mesylate)		++++ IC50: 5 nM	+++ IC50: 14 nM	++ IC50: 27 nM		++ IC50: 24 nM					
Daphnetin	+ IC50: 25.01 μM									EGFR,PKA	
Dequalinium Chloride	+ IC50: 7 μM-18 μM										Phase 3
PKC-theta inhibitor									+++ IC50: 12 nM		
Ruboxistaurin HCl(LY333531)		+ IC50: 0.36 μM	++++ IC50: 4.7 nM	+ IC50: 0.3 μM	+ IC50: 0.25 μM			++ IC50: 0.052 μM			Phase 3
Midostaurin (PKC412)		++ IC50: 22 nM	++ IC50: 30 nM	++ IC50: 24 nM	+ IC50: 330 nM	+ IC50: 1.25 μM		+ IC50: 160 nM		PPK,KDR,c-Syk	Phase 3
Go6976	+++ IC50: 7.9 nM	++++ IC50: 2.3 nM	+++ IC50: 6.2 nM							FLT3,JAK2	
2-Methoxy-1,4-naphthoquinone			√								
Quercetin	√									Sirtuin,Src,PI3Ky	Phase 4
Myricitrin		√									

Kinesin

抑制剂选择性比较

抑制剂名称	Kinesin	临床阶段
Ispinesib (SB-715992)	+++ Ki app: 1.7 nM	Phase 2
SB743921 HCl	++++ IC50: 14.4 nM	Phase 2
AZ 3146	+ IC50: ~35 nM	
GSK923295	++ Ki: 3.2 nM	Phase 1
BAY 1217389	+++ IC50: 0.63 nM	Phase 1
MPI-0479605	++ IC50: 1.8 nM	
ARQ 621	√	Phase 1

Microtubule Associated

抑制剂选择性比较

抑制剂名称	Microtubule Associated	其他靶点	临床阶段
Paclitaxel	++++ IC50: 0.1 pM		Phase 4
Vincristine sulfate	+ IC50: 32 μM		Phase 4
Patupilone (EPO906, Epothilone B)	+++ EC0.01: 1.8 μM		Phase 3
Lexibulin (CYT997)	++++ IC50: 10 nM-100 nM		Phase 2
Epothilone A	+++ EC0.01: 2 μM		
Fosbretabulin (Combretastatin A4 Phosphate (CA4P)) Disodium	++ IC50: 2.4 μM		Phase 3
CW069	+ IC50: 75 μM		
Combretastatin A4	+++ Kd: 0.4 μM		Phase 1
CK-636	++ IC50: 4 μM		
Docetaxel	√		Phase 4
ABT-751 (E7010)	√		Phase 2
Nocodazole	√	Abl,Abl (E255K),Abl (T315I)	
Cabazitaxel	√		Phase 4

Integrin

抑制剂选择性比较

抑制剂名称	Integrin	临床阶段
Cilengitide trifluoroacetate	+++ IC50: 4.1 nM	Phase 3
A-205804	++ IC50: 20 nM	
Cyclo(RGDyK)	++ IC50: 20 nM	
SB273005	++++ IC50: 0.3 nM	
RGD (Arg-Gly-Asp) Peptides	√	
Tirofiban	√	Phase 4
Lifitegrast	√	Phase 4
Tirofiban Hydrochloride	√	Phase 2
ATN-161 (Ac-PHSCN-NH2)	√	Phase 2
Cyclo (-RGDfK)	√	Phase 3

PAK

抑制剂选择性比较

抑制剂名称	PAK	PAK1	PAK3	PAK2	PAK4	PAK5	PAK6	其他靶点
IPA-3	+ IC50: 2.5 μM	+ IC50: 2.5 μM						
FRAX1036	++ Ki: 72.4 nM	++ Ki: 23.3 nM		++ Ki: 72.4 nM	+ Ki: 2.4 μM			
FRAX486	+++ IC50: 14 nM	+++ IC50: 14 nM	++ IC50: 39 nM	++ IC50: 33 nM	+ IC50: 575 nM			
FRAX597	++++ IC50: 13 nM	++++ IC50: 8 nM	+++ IC50: 19 nM	++++ IC50: 13 nM				
PF-3758309	++++ IC50: 99 nM	++++ Ki: 13.7 nM	++ IC50: 99 nM	+ IC50: 190 nM	+++ Ki: 18.7 nM	+++ Ki: 18.1 nM	+++ Ki: 17.1 nM	
KPT-9274	√							NAMPT

Dynamin

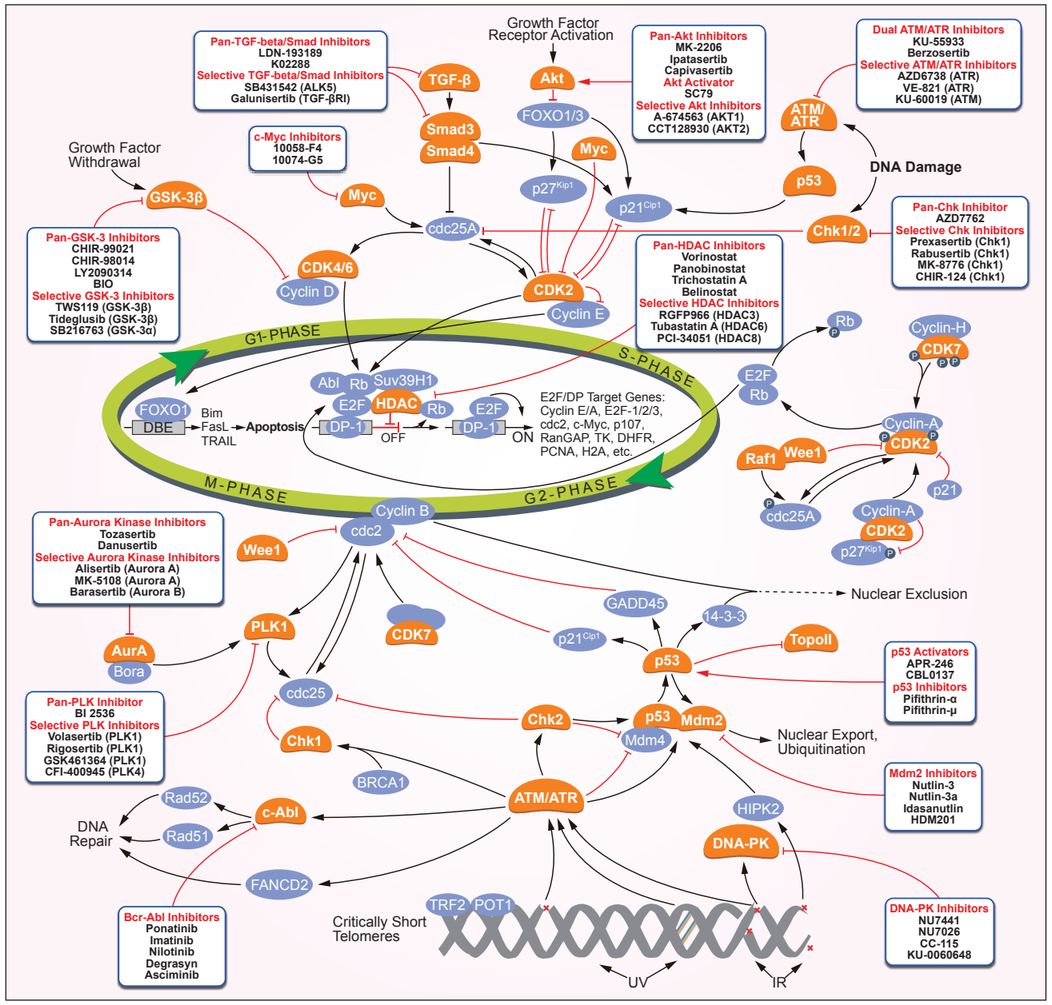
抑制剂选择性比较

抑制剂名称	Dynamin
Dynasore	++ IC50: ~15 μM
Mdivi-1	+++ IC50: 1 μM-10 μM
Dyngo-4a	++++ IC50: 0.38 μM

注：

1. 更多细节，例如半抑制浓度（IC_{50}）以及任何抑制剂的相关工作浓度，请访问www.selleck.cn。
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Cell Cycle



PD-1/PD-L1

详细信息在第17页

Aurora Kinase

详细信息在第10页

CDK

抑制剂选择性比较

抑制剂名称	CDK1	CDK2	CDK3	CDK4	CDK5	CDK6	CDK7	CDK9	CLK	CDK	Cdc	CDK8	其他靶点	临床阶段
Palbociclib (PD-0332991) HCl				++++ IC50: 9 nM		+++ IC50: 15 nM								Phase 4
Roscovitine (Seliciclib,CYC202)	+ IC50: 0.65 μM	+ IC50: 0.7 μM			++ IC50: 0.16 μM						+ IC50: 0.65 μM		ERK2	Phase 2
SNS-032 (BMS-387032)		+++ IC50: 38 nM			+ IC50: 340 nM		++ IC50: 62 nM	++++ IC50: 4 nM					GSK-3α	Phase 1
Dinaciclib (SCH727965)	++++ IC50: 3 nM	++++ IC50: 1 nM			++++ IC50: 1 nM			++++ IC50: 4 nM						Phase 3
Flavopiridol (Alvocidib)	+++ IC50: 30 nM	+++ IC50: 40 nM		+++ IC50: 20-40 nM		++ IC50: 60 nM	+ IC50: 875 nM	+++ IC50: 20 nM						Phase 2

抑制剂选择性比较

抑制剂名称	CDK1	CDK2	CDK3	CDK4	CDK5	CDK6	CDK7	CDK9	CLK	CDK	Cdc	CDK8	其他靶点	临床阶段
AT7519	++ IC50: 210 nM	++ IC50: 47 nM	+ IC50: 360 nM	++ IC50: 100 nM	+++ IC50: 13 nM	++ IC50: 170 nM		+++ IC50: <10 nM					GSK-3α,GSK3β	Phase 2
Flavopiridol HCl	+++ IC50: 40 nM	+++ IC50: 40 nM		+++ IC50: 40 nM		+++ IC50: 40 nM	+ IC50: 300 nM							Phase 2
JNJ-7706621	++++ IC50: 9 nM	++++ IC50: 3 nM	++ IC50: 58 nM	+ IC50: 253 nM		++ IC50: 175 nM							Aurora A,Aurora B, VEGFR2	
AZD5438	+++ IC50: 16 nM	++++ IC50: 6 nM						+++ IC50: 20 nM						Phase 1
MK-8776 (SCH 900776)		++ IC50: 0.16 μM											Chk1	Phase 2
PHA-793887	++ IC50: 60 nM	++++ IC50: 8 nM		++ IC50: 62 nM	++++ IC50: 5 nM		+++ IC50: 10 nM	++ IC50: 138 nM					GSK-3β	Phase 1
BS-181 HCl							+++ IC50: 21 nM							
Palbociclib (PD0332991) Isethionate				++++ IC50: 9 nM		+++ IC50: 15 nM								Phase 4
A-674563		++ Ki: 46 nM											Akt1,PKA,GSK-3β	
abemaciclib mesylate (LY2835219)				++++ IC50: 2 nM		+++ IC50: 10 nM								Phase 2
BMS-265246	++++ IC50: 6 nM	++++ IC50: 9 nM		++ IC50: 230 nM										
PHA-767491	+ IC50: 250 nM	+ IC50: 240 nM			+ IC50: 460 nM			+++ IC50: 34 nM		+++ IC50: 10 nM	+++ IC50: 10 nM		GSK-3β,MK2,PLK1	
Milciclib (PHA-848125)	+ IC50: 398 nM	++ IC50: 45 nM		++ IC50: 160 nM	+ IC50: 265 nM		++ IC50: 150 nM						TrkA	Phase 2
R547	++++ Ki: 2 nM	++++ Ki: 3 nM		++++ Ki: 1 nM										
NU6027	+ Ki: 2.5 μM	+ Ki: 1.3 μM											ATR,DNA-PK	
P276-00	++ IC50: 79 nM	++ IC50: 224 nM		++ IC50: 63 nM		+ IC50: 396 nM	+ IC50: 2.87 μM	+++ IC50: 20 nM					GSK-3β	Phase 2
ICEC0942 (CT7001)	+ IC50: 1.8 μM	+ IC50: 620 nM					+++ IC50: 40 nM	+ IC50: 1.2 μM						
G1T38				++++ IC50: 1 nM		++++ IC50: 2 nM		+++ IC50: 28 nM						
CVT-313		+ IC50: 0.5 μM												
SEL120(SEL120-34, SEL120-34A)												++++ IC50: 4.4 nM	CDK19	
AZD4573								++++ IC50: <0.004 μM						
Atuveciclib (BAY-1143572)								+++ IC50: 13 nM					GSK-3α,GSK3β	Phase 1
Abemaciclib				++++ IC50: 2 nM		+++ IC50: 10 nM								Phase 3
NU2058	+ IC50: 26 μM	+ IC50: 17 μM												
MSC2530818												++++ IC50: 2.6 nM		
Senexin A												+ Kd: 0.83 μM	CDK19	
LY2857785							+ IC50: 0.246 μM	+++ IC50: 0.011 μM				+++ IC50: 0.016 μM		
LDC4297 (LDC044297)							++++ IC50: 0.13 nM							
ON123300				++++ IC50: 3.87 nM		+++ IC50: 9.82 nM							ARK5,PDGFRβ, FGFR1	
Kenpaullone	+ IC50: 0.4 μM	+ IC50: 0.68 μM			+ IC50: 0.85 μM								GSK-3β,ERK2,c-Src	
K03861		++++ Kd: 18.6 nM												
THZ1 2HCl							++++ IC50: 3.2 nM							
AT7519 HCl	++ IC50: 210 nM	++ IC50: 47 nM	+ IC50: 360 nM	++ IC50: 100 nM	+++ IC50: 13 nM	++ IC50: 170 nM		+++ IC50: <10 nM					GSK-3β	Phase 2
Purvalanol A	++++ IC50: 4 nM	+++ IC50: 35 nM		+ IC50: 850 nM							++++ IC50: 4 nM			
Ro-3306	+++ Ki: 20 nM												PKCδ,SGK,ERK	
SU9516	+++ IC50: 40 nM	+++ IC50: 22 nM		++ IC50: 200 nM										
XL413 (BMS-863233)										++++ IC50: 3.4 nM	++++ IC50: 3.4 nM		Pim1,CK2	Phase 2
LDC000067		+ IC50: 2.441 μM						++ IC50: 44 nM						
ML167									++ IC50: 136 nM					
TG003									+++ IC50: 15 nM					
Ribociclib (LEE011)				√										Phase 3
Wogonin								√					N-acetyltransferase	

Chk

抑制剂选择性比较

抑制剂名称	Chk1	Chk2	其他靶点	临床阶段
AZD7762	+++ IC50: 5 nM	++ IC50: <10 nM		Phase 1
Rabusertib (LY2603618)	++ IC50: 7 nM			Phase 2
MK-8776 (SCH 900776)	+++ IC50: 3 nM		CDK2	Phase 2
CHIR-124	++++ IC50: 0.3 nM		FLT3,PDGFR,GSK-3	
PF-477736	++++ Ki: 0.49 nM	+ Ki: 47 nM	VEGFR2,Fms,YES	Phase 1
GDC-0575 (ARRY-575, RG7741)	+++ IC50: 1.2 nM			Phase 1
Chk2 Inhibitor II (BML-277)		+ IC50: 15 nM		
CCT245737	+++ IC50: 1.4 nM			
SAR-020106	+ IC50: 13.3 nM			
Prexasertib HCl (LY2606368)	++++ Ki: 0.9 nM	++ IC50: 8 nM	RSK	Phase 2

ROCK

抑制剂选择性比较

抑制剂名称	ROCK	ROCK1	ROCK2	其他靶点	临床阶段
Y-27632 2HCl		++ Ki: 140 nM	+ Ki: 300 nM		
Thiazovivin	+ IC50: ~0.5 μM				
Fasudil (HA-1077) HCl			+ Ki: 330 nM	PKA,PKG,PKC	Phase 3
GSK429286A		+++ IC50: 14 nM	++ IC50: 63 nM		
RKI-1447		+++ IC50: 14.5 nM	+++ IC50: 6.2 nM		
GSK180736A (GSK180736)	++ IC50: 100 nM			GRK2	
Hydroxyfasudil (HA-1100) HCl		+ IC50: 0.73 μM	+ IC50: 0.72 μM	PKA	
Y-39983 HCl	++++ IC50: 3.6 nM				
Netarsudil (AR-13324) 2HCl	++++ Ki: 2 nM			norepinephrine transporter (NET)	Phase 3
GSK269962A HCl		++++ IC50: 1.6 nM	++++ IC50: 4 nM	MSK1,RSK1	
Ripasudil (K-115) hydrochloride dihydrate		++ IC50: 51 nM	+++ IC50: 19 nM		Phase 4
KD025 (SLx-2119)			++ IC50: 60 nM		Phase 2
AT13148		+++ IC50: 6 nM	++++ IC50: 4 nM	PKA,p70S6K,Akt1	Phase 1

PLK

抑制剂选择性比较

抑制剂名称	PLK1	PLK2	PLK3	PLK4	其他靶点	临床阶段
BI 2536	++++ IC50: 0.83 nM	++ IC50: 3.5 nM	+ IC50: 9.0 nM			Phase 2
Volasertib (BI 6727)	++++ IC50: 0.87 nM					Phase 3
Rigosertib (ON-01910)	+ IC50: 9 nM	+ IC50: 260 nM			PDGFR,Bcr-Abl,Flt1	Phase 3
GSK461364	+++ Ki: 2.2 nM					Phase 1
MLN0905	+++ IC50: 2 nM					
Ro3280	++ IC50: 3 nM					
CFI-400945				++ IC50: 2.8 nM	TrkA,TrkB,Tie-2	Phase 2
SBE 13 HCl	++++ IC50: 200 pM					
NMS-P937 (NMS1286937)	+++ IC50: 2 nM					Phase 1
HMN-214	√					

APC

抑制剂选择性比较

抑制剂名称	APC
TAME	√

Wee1

抑制剂选择性比较

抑制剂名称	Wee1	其他靶点	临床阶段
Adavosertib (MK-1775)	+++ IC50: 5.2 nM		Phase 2
PD0166285	++ IC50: 24 nM	Myt1,Chk1	

Rho

抑制剂选择性比较

抑制剂名称	Rho	临床阶段
NSC 23766	+ IC50: 50 μM	
EHop-016	+++ IC50: 1.1 μM	
ZCL278	+ Kd: 11.4 μM	
MBQ-167	+++ IC50: 78 nM	
KRpep-2d	++++ IC50: 1.6 nM	
ARS-853 (ARS853)	++ IC50: 2.5 μM	
Salirasib	++ Ki: 2.6 μM	Phase 2
ML141	+++ IC50: 200 nM	
EHT 1864 2HCl	++++ Kd: 40 nM	
Zoledronic Acid	√	Phase 4
Azathioprine	√	Phase 4
CCG-1423	√	
K-Ras(G12C) inhibitor 9	√	
K-Ras(G12C) inhibitor 6	√	
K-Ras(G12C) inhibitor 12	√	
6H05	√	

DYRK

抑制剂选择性比较

抑制剂名称	DYRK	DYRK1	DYRK2	其他靶点
AZ191		++++ IC50: 17 nM		
Harmine hydrochloride		+++ IC50: 33 nM	++ IC50: 1.9 μM	MNB
ID-8	√			

c-Myc

抑制剂选择性比较

抑制剂名称	c-Myc
10074-G5	+++ Kd: 2.8 μM
10058-F4	√

注：

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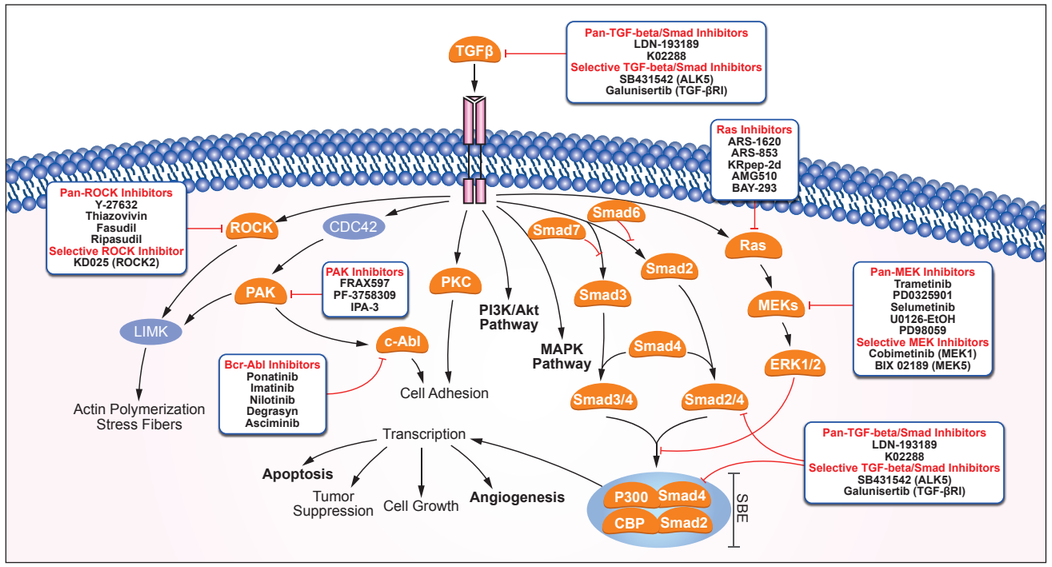
TGF-beta/Smad



Bcr-Abl 详细信息在第33页

PKC 详细信息在第46页

ROCK 详细信息在第50页

抑制剂选择性比较

抑制剂名称	ALK1	ALK2	ALK3	ALK4	TGFβRI/ALK5	ALK6	TGFβRII	TGF-β	Smad3	其他靶点	临床阶段
SB431542					+ IC50: 94 nM						
LDN-193189		++++ IC50: 5 nM	+++ IC50: 30 nM								
Galunisertib (LY2157299)					++ IC50: 56 nM						Phase 3
LY2109761					++ Ki: 38 nM		+ Ki: 300 nM				
SB525334					+++ IC50: 14.3 nM						
SB505124				+ IC50: 129 nM	++ IC50: 47 nM						
GW788388					+++ IC50: 18 nM						
LY364947					++ IC50: 59 nM		+ IC50: 0.4 μM			RIPK2,CK1δ, MLK-7K	
RepSox					++++ IC50: 4 nM						
LDN-193189 2HCl		++++ IC50: 5 nM	+++ IC50: 30 nM								
K02288	++++ IC50: 1.8 nM	++++ IC50: 1.1 nM	++ IC50: 34.4 nM			++++ IC50: 6.4 nM					
LDN-214117		+++ IC50: 24 nM									
SD-208					++ IC50: 48 nM						
Vactosertib (TEW-7197)				+++ IC50: 13 nM	+++ IC50: 11 nM						Phase 1
ML347	++ IC50: 46 nM	+++ IC50: 32 nM									
LDN-212854	++++ IC50: 2.4 nM	++++ IC50: 1.3 nM	++ IC50: 85.8 nM	+ IC50: 2133 nM	+ IC50: 9276 nM						
DMH1		+ IC50: 107.9 nM									
Pirfenidone								√			Phase 4
LY 3200882					√						
Alantolactone									√	STAT3	
SIS3 HCl									√		

注：

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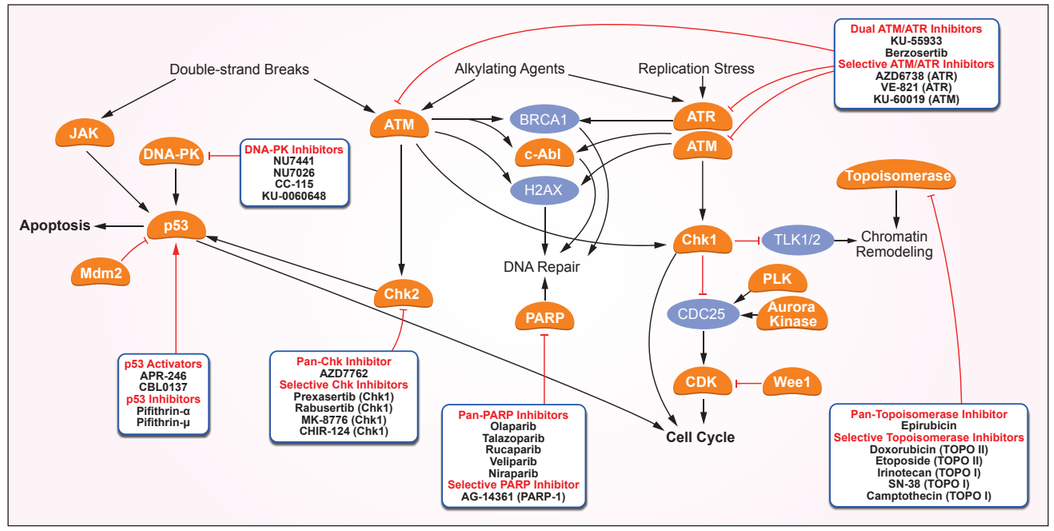
2. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

DNA Damage



HDAC 详细信息在第7页

ATM/ATR 详细信息在第5页

PARP 详细信息在第8页

Sirtuin 详细信息在第11页

DNA-PK 详细信息在第6页

Topoisomerase

抑制剂选择性比较

抑制剂名称	Topoisomerase	Topo I	Topo II	Topo IV	其他靶点	临床阶段
Camptothecin		++ IC50: 0.68 μM				Phase 2
Topotecan HCl		++++ IC50: 2 nM				Phase 4
Idarubicin HCl			+++ IC50: 3.3 ng/mL		Multicellular spheroids	Phase 4
Daunorubicin HCl	+++ Ki: 20 nM					Phase 4
Betulinic acid		++ IC50: 5 μM			HIV-1,Aminopeptidase N	Phase 2
Flumequine			+ IC50: 15 μM			
Doxorubicin (Adriamycin) HCl			√			Phase 4
Etoposide			√			Phase 4
Epirubicin HCl	√					Phase 4
Mitoxantrone 2HCl			√			Phase 4
Moxifloxacin HCl			√			Phase 4
Irinotecan HCl Trihydrate		√				Phase 4
SN-38		√				Phase 2
Amonafide			√			Phase 3
Teniposide			√			Phase 4
Gatifloxacin	√					Phase 4

抑制剂选择性比较

抑制剂名称	Topoisomerase	Topo I	Topo II	Topo IV	其他靶点	临床阶段
Dexrazoxane HCl (ICRF-187, ADR-529)			√			Phase 3
Genistein			√		EGFR	Phase 4
Levofloxacin			√			Phase 4
Pirarubicin			√			Phase 4
Ciprofloxacin				√		Phase 4
Marbofloxacin			√			
Novobiocin Sodium			√			
Enoxacin			√			
Ofloxacin			√			Phase 4
Nalidixic acid			√			
Ellagic acid		√				Phase 2
Beta-Lapachone		√			IDO1	Phase 2
Clinafloxacin				√		
Levofloxacin hydrate			√			
Voreloxin (SNS-595) hydrochloride			√			Phase 3
Pefloxacin Mesylate Dihydrate			√			
(S)-10-Hydroxycamptothecin		√				Phase 1

Telomerase

抑制剂选择性比较

抑制剂名称	Telomerase	其他靶点
BIBR 1532	+++ IC50: 100 nM	
Costunolide	++ IC50: 65 μM	FPTase
RHPS 4 methosulfate	√	

MTH1

抑制剂选择性比较

抑制剂名称	MTH1
TH287	++++ IC50: 0.8 nM
TH588	+++ IC50: 5 nM
(S)-crizotinib	++ IC50: 72 nM

注：

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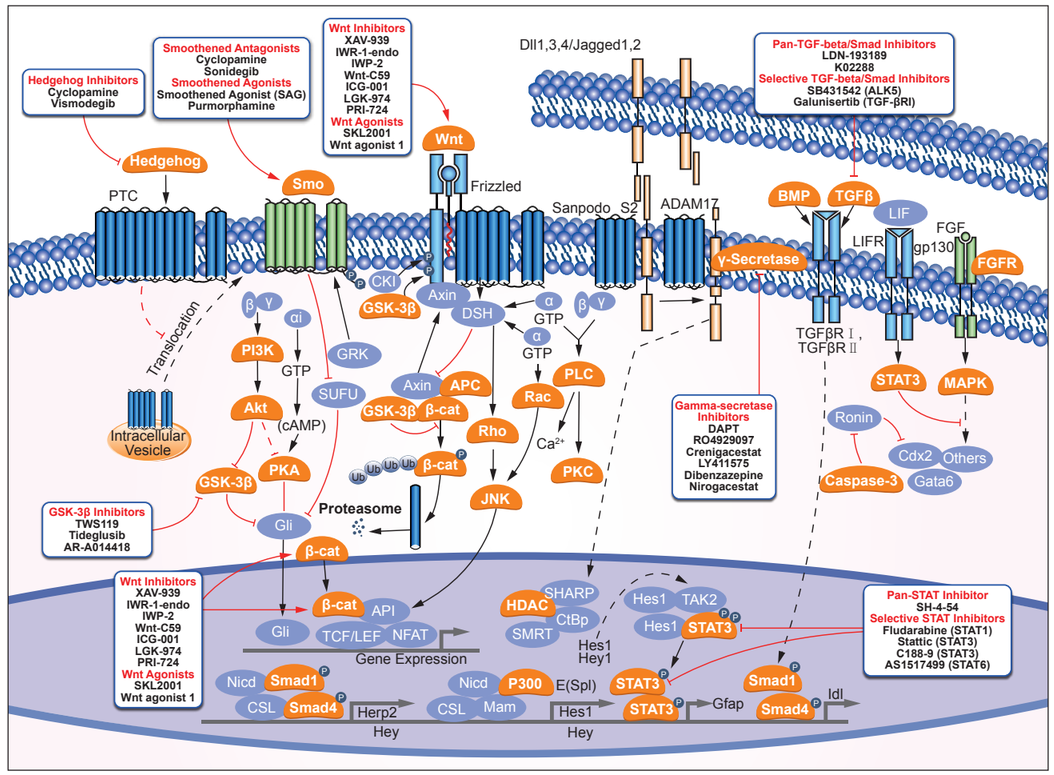
Stem Cells & Wnt



GSK-3

详细信息在第4页

JAK

详细信息在第9页

STAT

详细信息在第41页

TGF-beta/Smad

详细信息在第52页

Wnt/beta-catenin

详细信息在第45页

ROCK

详细信息在第50页

Gamma-secretase

抑制剂选择性比较

抑制剂名称	γ secretase	Aβ	Notch	其他靶点	临床阶段
DAPT (GSI-IX)		+ IC50: 20 nM			Phase 4
RO4929097	+++ IC50: 4 nM		+++ IC50: 5 nM	Aβ40	Phase 2
Semagacestat (LY450139)		++ IC50: 10.9 nM	++ IC50: 14.1 nM		Phase 3
Avagacestat (BMS-708163)		++++ IC50: 0.27 nM			Phase 2
Dibenzazepine (YO-01027)	+++ IC50: 2.6 nM		+++ IC50: 2.9 nM		
LY411575	++++ IC50: 0.078 nM		++++ IC50: 0.39 nM		
IMR-1			+ IC50: 26 μM		
L-685,458	++ Ki: 17 nM				

抑制剂选择性比较

抑制剂名称	γ secretase	Aβ	Notch	其他靶点	临床阶段
FLI-06			+ EC50: 2.3 μM		
Crenigacestat (LY3039478)			++++ IC50: ~1 nM		Phase 2
Nirogacestat (PF-03084014, PF-3084014)	++ IC50: 6.2 nM				Phase 2
MK-0752		√		Aβ	Phase 2
NGP 555	√				Phase 1
CHF 5074	√				

Hedgehog/Smoothened

抑制剂选择性比较

抑制剂名称	Hedgehog	Smoothened	GLI	其他靶点	临床阶段
Vismodegib (GDC-0449)	+++ IC50: 3 nM				Phase 4
Cyclopamine		++ IC50: 46 nM			
Sonidegib (Erismodegib, NVP-LDE225)		++++ IC50: 1.3 nM			Phase 3
PF-5274857		+++ IC50: 5.8 nM			
GANT61			+ IC50: 5 μM		
SANT-1		++++ Kd: 1.2 nM			
Glasdegib (PF-04449913)		++ IC50: 5 nM			Phase 3
Taladegib (LY2940680)		√			Phase 2
BMS-833923		√			Phase 2
Jervine	√			Shh	
HPI-4 (Ciliobrevin A)	√				
MK-4101		√			

Casein Kinase

抑制剂选择性比较

抑制剂名称	CK1	CK2	其他靶点	临床阶段
Silmitasertib (CX-4945)		++++ IC50: 1 nM		Phase 2
Longdaysin	+ IC50: 5.6 μM		CDK7,ERK2	
TTP 22		+++ IC50: 100 nM		
SR-3029	+++ IC50: 44 nM		CK1ε	
TBB		++ Ki: 0.4 μM	CK1	
Ellagic Acid hydrate		++++ IC50: 0.04 μM	Lyn,PKA	
D 4476	++ IC50: 200 nM		ALK5	

Hippo pathway

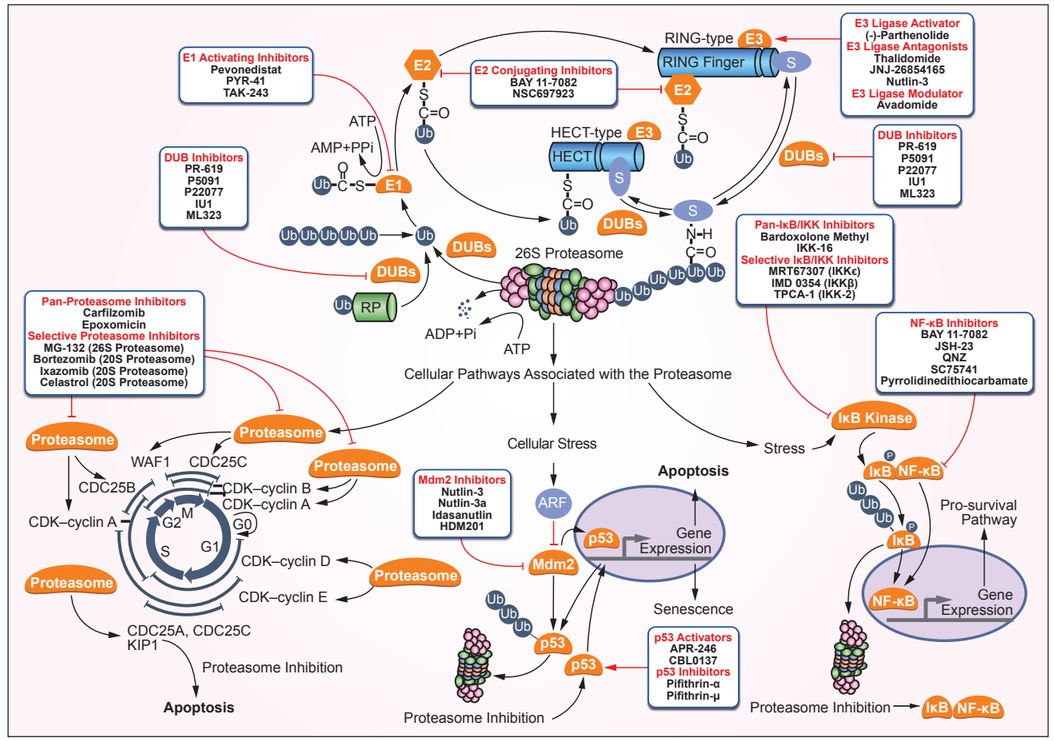
抑制剂选择性比较

抑制剂名称	YAP/TEAD interaction	MST1	MST2	其他靶点	临床阶段
XMU-MP-1		++ IC50: 71.1 nM	+++ IC50: 38.1 nM		
YAP-TEAD Inhibitor 1 (Peptide 17)	++++ IC50: 25 nM				
Verteporfin	√			VDA	Phase 4
Super-TDU	√				

注：

1. 更多细节，例如半抑制浓度（IC_{50}）以及任何抑制剂的相关工作浓度，请访问www.selleck.cn。
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Ubiquitin



Proteasome

抑制剂选择性比较

抑制剂名称	Proteasome	20S proteasome	临床阶段
Bortezomib (PS-341)		++++ Ki: 0.6 nM	Phase 4
MG-132		+ IC50: 100 nM	
Carfilzomib (PR-171)	+++ IC50: 5 nM		Phase 4
Ixazomib Citrate (MLN9708)		+++ IC50: 3.4 nM	Phase 3
Ixazomib (MLN2238)		++++ IC50: 3.4 nM	
Oprozomib (ONX 0912)		++ IC50: 36 nM	Phase 2
Delanzomib (CEP-18770)		+++ IC50: 3.8 nM	Phase 2
Celastrol		+ IC50: 2.5 μM	
VR23	++++ IC50: 1 nM		
PI-1840		++ IC50: 27 nM	
Epoxomicin		√	

DUB

抑制剂选择性比较

抑制剂名称	DUB	USP/UBP	UCH	其他靶点	临床阶段
PR-619		++ EC50: 7.20 μM	+++ EC50: 2.95 μM	JOSD2,SENP6 core,DEN1	
P5091 (P005091)		++ IC50: 4.3 μM			
TCID			+++ IC50: 0.6 μM		Phase 1
LDN-57444			++++ IC50: 0.88 μM		
IU1		++ IC50: 4.7 μM			

抑制剂选择性比较

抑制剂名称	DUB	USP/UBP	UCH	其他靶点	临床阶段
P22077		+ IC50: 8.6 μM			
VLX1570	+ IC50: ~10 μM				Phase 2
ML323	++++ IC50: 76 nM				
b-AP15			+++ IC50: 2.1 μM		
Degrasyn (WP1130)	√			Bcr-Abl	

E3 Ligase

抑制剂选择性比较

抑制剂名称	E3 Ligase	CRBN	其他靶点	临床阶段
Nutlin-3	+++ IC50: 180 nM			
JNJ-26854165 (Serdemetan)	√		p53	Phase 1
Thalidomide	√		TNF-alpha	Phase 4
TAME	√			
Tenovin-1	√		p53	
RITA (NSC 652287)	√		p53	Phase 2
Avadomide(CC-122)		√		Phase 2

p97

抑制剂选择性比较

抑制剂名称	p97	其他靶点	临床阶段
NMS-873	++++ IC50: 30 nM		
DBeQ	+++ IC50: 1.5 μM		
MNS (3,4-Methylenedioxy-β-nitrostyrene, MDBN)	++ IC50: 1.7 μM	Syk,Src	Phase 2

E1 Activating

抑制剂选择性比较

抑制剂名称	E1 Activating
PYR-41	+++ IC50: <10 μM

E2 Conjugating

抑制剂选择性比较

抑制剂名称	E2 conjugating	其他靶点
BAY 11-7082	√	IκBα phosphorylation
NSC697923	√	

注：

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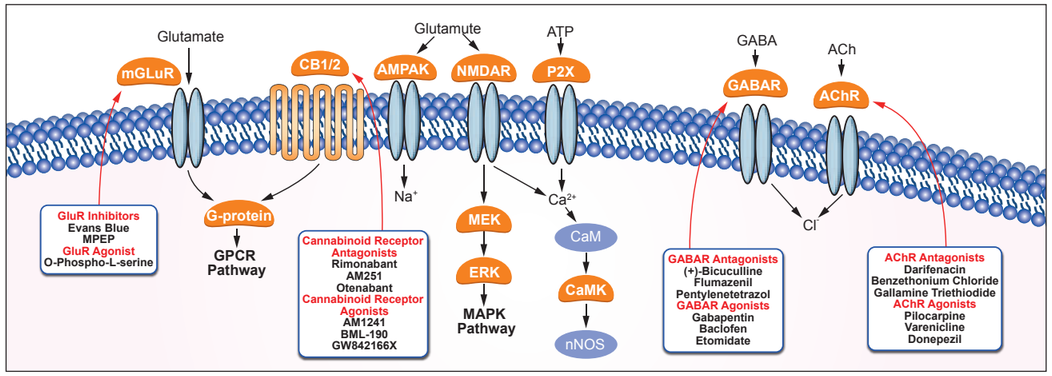
Neuronal Signaling



COX

详细信息在第18页

Gamma-secretase

详细信息在第55页

Histamine Receptor

详细信息在第19页

Beta Amyloid

抑制剂选择性比较

抑制剂名称	Beta Amyloid	其他靶点	临床阶段
DAPT (GSI-IX)	++ IC50: 20 nM		Phase 4
RO4929097	+++ IC50: 14 nM	γ secretase,γ secretase(ICN)	Phase 2
MK-0752	+++ IC50: 5 nM		Phase 2
Avagacestat (BMS-708163)	++++ IC50: 0.27 nM		Phase 2
LY2811376	+ EC50: ~300 nM	BACE1	Phase 1
Tabersonine hydrochloride	√		
EUK 134	√		

5-HT Receptor

抑制剂选择性比较

抑制剂名称	5-HT	5-HT1	5-HT2	5-HT3	5-HT4	5-HT6	5-HT7	5-HT5	其他靶点	临床阶段
Ketanserin			+++ Ki: 2.5 nM							Phase 4
RS-127445			++++ pIC50: 10.4							
Asenapine maleate		+++ pKi: 8.4	++++ pKi: 9.75			++++ pKi: 9.6	++++ pKi: 9.94	+++ pKi: 8.84	α2B-adrenergic receptor, D3 receptor,H1 receptor	Phase 4
WAY-100635 Maleate	+++ IC50: 0.95 nM									
Risperidone		++ Ki: 14.9 nM	++++ Ki: 0.17 nM				++ Ki: 6.6 nM	+ Ki: 206 nM	α2c-adrenergic receptor, D2 receptor,D3 receptor	Phase 4
Vortioxetine (Lu AA21004) HBr		++ Ki: 15 nM		+++ Ki: 3.7 nM			++ Ki: 19 nM		SERT	Phase 4
Blonanserin			++ Ki: 3.98 nM						dopamine D2 receptor	Phase 3
BMY 7378 Dihydrochloride		++ pIC50: 5.9	+ pIC50: 5.5						α1D-adrenoceptor,Dopamine D2 receptor,α2C-adrenoceptor	
PRX-08066 Maleic acid			+++ IC50: 3.4 nM							Phase 2
SB742457						++++ pKi: 9.63				Phase 2
SB269970 HCl							++ pKi: 8.3			
BRL-15572(dihydrochloride)		++ pKi: 5.2	+ pKi: 6.6			+ pKi: 5.9	+ pKi: 6.3			
Desvenlafaxine	+ Ki: 40.2 nM								Norepinephrine (NE)	Phase 4
Sertraline HCl	++									Phase 4

抑制剂选择性比较

抑制剂名称	5-HT	5-HT1	5-HT2	5-HT3	5-HT4	5-HT6	5-HT7	5-HT5	其他靶点	临床阶段
Lamotrigine	+ IC50: 240 μM								Sodium channel	Phase 4
Escitalopram Oxalate	+++ Ki: 0.89 nM									Phase 4
Azasetron HCl				++++ IC50: 0.33 nM						
Loxapine Succinate			++ Ki: 6.6 nM						D4 receptor (human),D2 receptor (Human), D2 receptor (bovine)	Phase 4
SB 271046 hydrochloride						+++ pKi: 8.92				
Amitriptyline HCl			+ IC50: 235 nM		++ IC50: 7.31 nM				Serotonin receptor,Norepinephrine receptor, Sigma 1 receptor	Phase 4
Palonosetron				++++ Ki: 0.17 nM						
Iurasidone		++ Ki: 6.4 nM	++++ Ki: 0.5 nM				++++ Ki: 0.5 nM		D2 receptor	Phase 4
Tropisetron				++ Ki: 5.3 nM					α7 nAChR	Phase 4
Perospirone hydrochloride		+++ Ki: 2.9 nM	+++ Ki: 0.61 nM						D2 receptor	
Ramosetron Hydrochloride				++++ Ki: 0.091 nM						Phase 3
Filibanserin		+++ Ki: 1 nM	+ Ki: 49 nM						D4 receptor	Phase 4
Sarpogrelate hydrochloride			++++ Kd: 0.2 nM							Phase 3
Citalopram HBr	+++ IC50: 1.8 nM									Phase 3
Ondansetron Hydrochloride Dihydrate				+ IC50: 810 nM						Phase 1
Cyproheptadine hydrochloride sesquihydrate			+++ IC50: 0.6 nM						SETD7/9	
Pimavanserin			+++ pIC50: 8.7							Phase 3
Desvenlafaxine Succinate	+ Ki: 40.2 nM								Norepinephrine (NE)	Phase 4
VUF 10166				++++ Ki: 0.04 nM						
Atomoxetine HCl	+ Ki: 77 nM								Norepinephrine (NE) transporter, DA transporter	Phase 4
LY310762 HCl		+ Ki: 249 nM								
Olanzapine			√						D2 receptor	Phase 4
Clozapine			√							Phase 4
Fluoxetine HCl	√									Phase 4
Latrepirdine 2HCl	√								Histamine receptor,GluR	Phase 3
Agomelatine			√							Phase 4
Venlafaxine HCl	√									Phase 4
Paroxetine HCl	√								AChR	Phase 4
Dapoxetine HCl	√									Phase 4
Ziprasidone HCl	√								Dopamine receptor	Phase 4
Iloperidone	√									Phase 4
Mirtazapine	√									Phase 4
Granisetron HCl				√						Phase 4
Fluvoxamine maleate	√									Phase 4
Clomipramine HCl	√									Phase 4
Tropisetron HCl				√						Phase 4
Ondansetron HCl				√						Phase 4
Duloxetine HCl	√									Phase 4
Ondansetron				√						Phase 4
Cinitapride Hydrogen Tartrate		√								
Nafronyl oxalate salt			√							
Duloxetine	√								norepinephrine reuptake	
Granisetron				√						Phase 4
Alosetron Hydrochloride				√						Phase 2
Cyclobenzaprine HCl			√							Phase 4
Palonosetron HCl				√						Phase 4
Trazodone HCl	√									Phase 4

GluR

抑制剂选择性比较

抑制剂名称	NMDA receptor	AMPA receptor	mGluR5	GluR	其他靶点	临床阶段
(-)-Huperzine A (HupA)				+++ Ki: 7 nM		
CTEP (RO4956371)			++++ IC50: 2.2 nM			

抑制剂选择性比较

抑制剂名称	NMDA receptor	AMPA receptor	mGluR5	GluR	其他靶点	临床阶段
IEM 1754 2HBr		+ IC50: 6 μM				
MPEP			++ IC50: 36 nM			
Riluzole	√				Sodium channel,Glutamate release	Phase 4
Latrepirdine 2HCl				√	5-HT,Histamine receptor	Phase 3
Evans Blue		√			vesicular glutamate uptake	

Adrenergic Receptor

抑制剂选择性比较

抑制剂名称	Adrenergic Receptor	α-adrenergic receptor	β-adrenergic receptor	其他靶点	临床阶段
Asenapine maleate		++++ pKi: 8.9		5-HT2C,5-HT2A,5-HT7	Phase 4
Nebivolol HCl			++++ IC50: 0.8 nM		Phase 4
BMY 7378 Dihydrochloride		+++ pKi: 6.54	+ pIC50: 5.1	5-HT1A,Dopamine D2 receptor, 5-HT1C	
Propranolol HCl			+++ IC50: 12 nM		Phase 4
Naftopidil		+++ Ki: 1.2 nM			Phase 4
Naftopidil DiHCl		++ IC50: 0.2 μM		5-HT1A	
Timolol Maleate			+++ Ki: 1.97 nM		Phase 4
Betaxolol HCl			+ IC50: 6 μM		Phase 3
Esmolol			++ Ki: 194 nM		
Rauwolscine hydrochloride		+++ Ki: 12 nM			
Atenolol			+ Kd: 0.25 μM		Phase 4
Piribedil		++ pKi: 7.1		D3 receptor,D2 receptor	Phase 3
ICI-118551 Hydrochloride			++++ Ki: 0.7nM		
Levobetaxolol HCl			++++ Ki: 0.76 nM		Phase 3
Doxazosin Mesylate		√			Phase 2
Alfuzosin HCl		√			Phase 4
Silodosin		√			Phase 4
Phentolamine Mesylate		√			Phase 3
Prazosin HCl		√			Phase 4
Bisoprolol fumarate			√		Phase 4
Terazosin HCl Dihydrate		√			Phase 4
Metoprolol Tartrate			√		Phase 4
Carvedilol		√			Phase 4
Maprotiline HCl	√				Phase 3
Sotalol HCl			√	Potassium channel	Phase 4
Phenoxybenzamine HCl		√			Phase 4
Acebutolol HCl			√		Phase 4
Prazosin		√			
Metoprolol succinate			√		Phase 4
Landiolol hydrochloride			√		
Metoprolol			√		Phase 4
Dapiprazole Hydrochloride	√				
Atipamezole		√			
Atipamezole hydrochloride		√			
Labetalol HCl		√			Phase 4
Carteolol HCl			√		Phase 4
Tolazoline HCl		√			
Esmolol HCl			√		Phase 4
Cisatracurium Besylate	√				Phase 4
Betaxolol			√		Phase 3
Ivabradine HCl	√				Phase 4
Yohimbine HCl		√			Phase 4

AChR

抑制剂选择性比较

抑制剂名称	AChR	mAChR	nAChR	AChE	其他靶点	临床阶段
Donepezil HCl				+++ IC50: 8.12 nM		Phase 4
(-)-Huperzine A (HupA)				++++ Ki: 7 nM		
PNU-120596			++ EC50: 216 nM			
Galanthamine HBr				++ IC50: 0.35 μM		
Atropine sulfate monohydrate		++++ IC50: 2.5 nM				Phase 4
Aclidinium Bromide		++++ Ki: 0.16 nM				Phase 4
Scopolamine HBr		+++ IC50: 55.3 nM				Phase 4
Rivastigmine Tartrate	+ IC50: 5.5 μM					Phase 4
5-hydroxymethyl Tolterodine (PNU 200577, 5-HMT, 5-HM)		++++ Kb: 0.84 nM				
Gallamine Triethiodide	+ IC50: 68.0 μM					
Darifenacin HBr		++++ pKi: 8.9				Phase 4
Jatrorrhizine chloride				++ IC50: 872 nM		
Tropisetron			++++ Ki: 6.9 nM		5-HT3 receptor	Phase 4
Donepezil				++++ IC50: 6.7 nM		Phase 4
Acotiamide				++ IC50: 3 μM		
Jatrorrhizine				++ IC50: 872 nM		
Palmatine				++ IC50: 0.51 μM	BChE	
Loganin				+ IC50: 3.95 μM	BChE,BACE1	
Itopride hydrochloride				++ IC50: 2.04 μM	dopamine D2-receptor	Phase 3
Vinblastine sulfate			+ IC50: 8.9 μM			Phase 4
Benzethonium Chloride			+++ IC50: 49 nM			
Flavoxate HCl		+ IC50: 12.2 μM				Phase 4
Homatropine Bromide		+++ IC50: 162.5 nM				
Homatropine Methylbromide		+++ IC50: 162.5 nM				
Procaine HCl			+ IC50: 45.5 μM		5-HT3,Sodium channel, NMDA receptor	Phase 4
Hyoscyamine	+++ IC50: 7.5 nM					
Tropicamide		+++ IC50: 8 nM				Phase 4
Tiotropium Bromide hydrate	√					Phase 4
Fesoterodine Fumarate	√					Phase 4
Tolterodine tartrate	√					Phase 4
Pancuronium dibromide	√					
Paroxetine HCl	√				5-HT	Phase 4
Amfebutamone (Bupropion) HCl	√				Dopamine receptor	Phase 4
Oxybutynin	√					Phase 4
Solifenacin succinate		√				Phase 4
Trospium chloride	√					Phase 4
Ipratropium Bromide		√				Phase 4
Methscopolamine		√				
Rocuronium Bromide	√					Phase 4
Otilonium Bromide		√				Phase 4
Irsogladine	√				PDE	Phase 1
Pyridostigmine Bromide	√					Phase 4
Neostigmine Bromide	√					Phase 4
Scopolamine HBr trihydrate		√				
Huperzine B				√		
Revefenacin		√				Phase 3
Dehydroevodiamine hydrochloride				√		
Umeclidinium bromide		√				Phase 3
Diphenidol HCl		√				Phase 4
Pentoxyverine Citrate		√				Phase 1
Hexamethonium Dibromide	√				Dopamine subtype 2 receptor	
Diphemanil Methylsulfate		√				
Catharanthine			√			
Oxybutynin hydrochloride		√				Phase 4

Dopamine Receptor

抑制剂选择性比较

抑制剂名称	D1 receptor	D2 receptor	D3 receptor	D5 receptor	DAT	Dopamine receptor	D4 receptor	其他靶点	临床阶段
Benztropine mesylate					++ IC50: 118 nM				Phase 4
Trifluoperazine 2HCl		++++ IC50: 1.1 nM							
Chlorprothixene	+++ Ki: 18 nM	++++ Ki: 2.96 nM	+++ Ki: 4.56 nM	+++ Ki: 9 nM				5-HT6,H1 receptor,5-HT7	Phase 3
Lurasidone HCl		++++ IC50: 1.68 nM						5-HT7,5-HT2A,5-HT1A	Phase 4
Loxapine Succinate	+++ Ki: 24 nM	+++ Ki: 24 nM					+++ Ki: 7.5 nM	5-HT2 (human), 5-HT2 (bovine)	Phase 4
lurasidone		++++ Ki: 1 nM						5-HT7 receptor,5-HT2A, 5-HT1A receptor	Phase 4
Perospirone hydrochloride		++++ Ki: 1.4 nM						5-HT2 receptor, 5HT1A receptor	
Tetrahydroberberine		++ pKi: 6.08						5-HT1A	
Penfluridol	+ Ki: 1.6 μM					+ Ki: 1.6 μM			
Ropinirole HCl		++ Ki: 29 nM							Phase 4
Rotundine	++ IC50: 166 nM	+ IC50: 1.47 μM	+ IC50: 3.25 μM					5-HT1A	
Olanzapine		√						5-HT2	Phase 4
Quetiapine Fumarate						√		Adrenergic Receptor, Histamine receptor	Phase 4
Chlorpromazine HCl						√		Potassium channel	Phase 4
Amfebutamone (Bupropion) HCl						√		AChR	Phase 4
Ziprasidone HCl						√		5-HT receptor	Phase 4
Domperidone		√							Phase 4
Paliperidone						√			Phase 4
Amisulpride						√			Phase 4
Phenothiazine		√							
Levosulpiride		√							Phase 3
Metoclopramide						√			
Molindone hydrochloride		√							
Sulpiride		√							Phase 4
Prochlorperazine dimaleate salt		√							Phase 4
Metoclopramide HCl		√							Phase 4
Alizapride HCl						√			Phase 4
Azaperone						√			

Opioid Receptor

抑制剂选择性比较

抑制剂名称	δ-opioid receptor	κ-opioid receptor	μ-opioid receptor	ORL1	Opioid receptor	临床阶段
JTC-801				+ IC50: 94 nM		
Naltrexone HCl			+++ IC50: 8 nM		+++ IC50: 8 nM	Phase 4
Alvimopan	+++ IC50: 4.4 nM	++ Ki: 40 nM	++++ Ki: 0.77 nM			
Alvimopan dihydrate (LY246736 dihydrate)	+++ Ki: 4.4 nM	++ Ki: 40 nM	++++ Ki: 0.77 nM			
Naloxone HCl					√	Phase 4
Racecadotril					√	Phase 4

GABA Receptor

抑制剂选择性比较

抑制剂名称	GABA receptor	GABAA receptor	其他靶点	临床阶段
(+)-Bicuculline		+++ IC50: 2 μM		
Ginkgolide A	++ Ki: 14.5 μM			
Valproic acid sodium salt (Sodium valproate)	√		Autophagy,HDAC	Phase 4
Flumazenil		√		Phase 4
Niflumic acid	√		COX-2	
Securinine	√			
Thiocolchicoside		√		Phase 4

抑制剂选择性比较

抑制剂名称	GABA receptor	GABAA receptor	其他靶点	临床阶段
Homotaurine	√			Phase 3
Pentylenetetrazol	√			Phase 2
Bemegride		√		

P-gp

抑制剂选择性比较

抑制剂名称	P-gp	其他靶点	临床阶段
Zosuquidar (LY335979) 3HCl	++ Ki: 60 nM		Phase 3
Tariquidar	+++ Kd: 5.1 nM		Phase 3
Elacridar (GF120918)	√	BCRP	
SC144	√		
Schisandrin B (Sch B)	√	ATR	

P2 Receptor

抑制剂选择性比较

抑制剂名称	P2 receptor	P2X receptor	P2Y receptor	临床阶段
MRS 2578			++ IC50: 37 nM	
Ticagrelor			++++ Ki: 2 nM	Phase 4
Ticlopidine HCl	+ IC50: ~2 μM			Phase 4
A-804598		+++ IC50: 9 nM		
A-317491		+++ Ki: 9 nM		
A-438079 HCl		++ pIC50: 6.9		
Prasugrel			√	Phase 4
Clopidogrel Bisulfate			√	Phase 4
Cangrelor Tetrasodium			√	Phase 4
Prasugrel Hydrochloride			√	

OX Receptor

抑制剂选择性比较

抑制剂名称	OX1 receptor	OX2 receptor	临床阶段
Almorexant HCl	+++ IC50: 6.6 nM	++++ IC50: 3.4 nM	Phase 3
SB408124	++ Ki: 27 nM		
SB-334867	√		

BACE

抑制剂选择性比较

抑制剂名称	BACE	其他靶点	临床阶段
LY2886721	+++ IC50: 10.2 nM		Phase 2
LY2811376	++ IC50: 239 nM-249 nM	Aβ	Phase 1
Loganin	+ IC50: 47.97 μM		
Verubecestat (MK-8931)	++++ Ki: 0.37 nM		Phase 3
Lanabecestat（AZD3293, LY3314814）	+++ Ki: 0.4 nM		Phase 1
Verubecestat (MK-8931) Trifluoroacetat	++++ Ki: 0.37 nM		Phase 3
AZD3839	++ Ki: 26.1 nM		Phase 1

Substance P

抑制剂选择性比较

抑制剂名称	Substance P	其他靶点
Aprepitant	+++ IC50: 0.1 nM	Phase 4
Netupitant	√	Phase 3

NMDAR

抑制剂选择性比较

抑制剂名称	NMDA receptor	其他靶点	临床阶段
(-)-MK 801 maleate	++++ Ki: 30.5 nM		
(+)-MK 801 maleate	+++ Kd: 37.2 nM		
Ifenprodil Tartrate	+++ IC50: 0.3 μM		Phase 2
Procaine HCl	++ IC50: 0.296 mM	5-HT3,nAChR,Sodium channel	Phase 4
Felbamate	+ IC50: 1.8 mM		Phase 2
Tiletamine Hydrochloride	√		
6-Methoxy-2-naphthoic acid	√		
Mephenesin	√		
Linalool	√		
Spermidine trihydrochloride	√	Autophagy	
Kynurenic acid	√	glutamate receptors,α7 nicotinic acetylcholine receptor	Phase 1

CaMK

抑制剂选择性比较

抑制剂名称	CaMKII	CaMKIII	CaMKKα	CaMKKβ	其他靶点
NH125		+++ IC50: 60 nM			
STO-609			+++ Ki: 0.25 μM	++++ Ki: 47 nM	
KN-62	+ Ki: 0.9 μM				CaMK Ⅰ,P2RX7,CaMKⅣ
KN-93 Phosphate	++ Ki: 0.37 μM				

GlyT

抑制剂选择性比较

抑制剂名称	GlyT1	GlyT2	其他靶点	临床阶段
Amoxapine	++ IC50: 1 mM	+++ IC50: 92 μM		
Bitopertin	++++ IC50: 22 nM			Phase 3
Sarcosine	√		N-methyl-D-aspartate receptor,GlyR	Phase 2

注：

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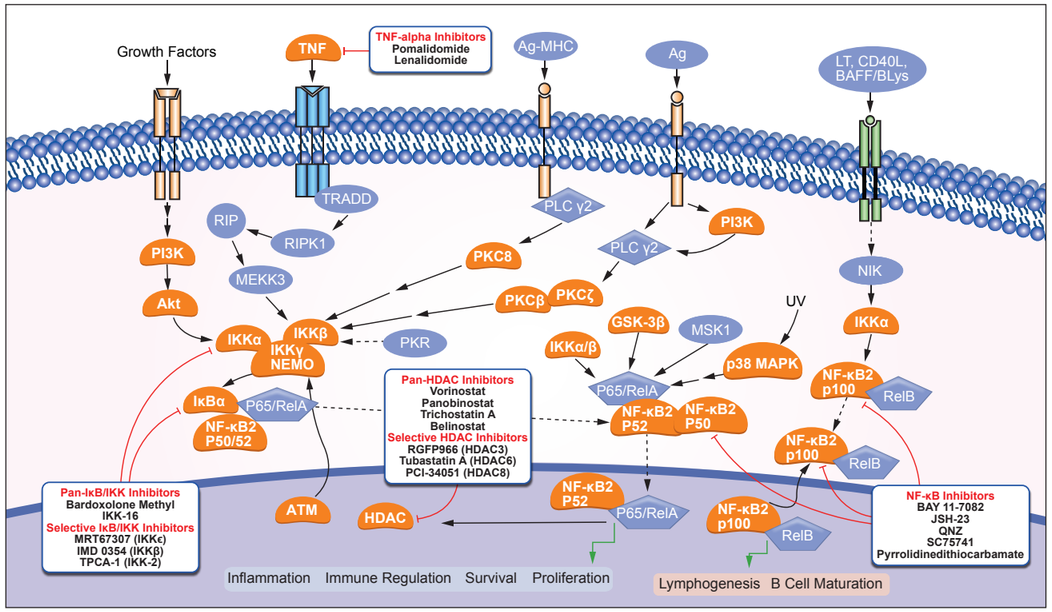
NF-κB



HDAC
详细信息在第7页

NF-κB

抑制剂选择性比较

抑制剂名称	NF-κB	其他靶点	临床阶段
QNZ (EVP4593)	++++ IC50: 11 nM	TNF-α	
JSH-23	+ IC50: 7.1 μM		
CBL0137 (CBL-0137)	++ EC50: 0.47 μM	FACT,p53	Phase 1
SC75741	+++ EC50: 200 nM		
Curcumin	√	HDAC,Nrf2,p300 histone acetylatransferase	Phase 4
Sodium 4-Aminosalicylate	√		
Caffeic Acid Phenethyl Ester	√		Phase 4
Sodium salicylate	√		Phase 1
Pyrrolidinedithiocarbamate ammonium	√		Phase 3
(-)-Parthenolide	√	p53,MDM2 ubiquitination,HDAC1	
Andrographolide	√		Phase 4

IκB/IKK

抑制剂选择性比较

抑制剂名称	IκB	IKK	其他靶点	临床阶段
BAY 11-7082	++ IC50: 10 μM		E2-conjugating enzymes	
IKK-16 (IKK Inhibitor VII)		+++ IC50: 40 nM		
TPCA-1		++++ IC50: 17.9 nM		
BMS-345541		++ IC50: 0.3 μM		
SC-514		++ IC50: 3 μM-12 μM	CDK2/CyclinA,AUR2,PRAK	

抑制剂选择性比较

抑制剂名称	IκB	IKK	其他靶点	临床阶段
Bay 11-7085	++ IC50: 10 μM			
Rosmarinic acid		+ IC50: 12 μM		Phase 4
MRT67307 HCl		+++ IC50: 160 nM		
PS-1145		+++ IC50: 88 nM		
LY2409881		++++ IC50: 30 nM		
IMD 0354		√		
Bardoxolone Methyl		√	NF-κB,Nrf2	Phase 3
Mesalamine		√		Phase 4
Dehydrocostus Lactone		√		Phase 2
AZD3264		√		
WS6		√	EBP1	
WS3		√	EBP1	

NOD1

抑制剂选择性比较

抑制剂名称	NOD1
ML130 (Nodinitib-1)	+++ IC50: 0.56 μM

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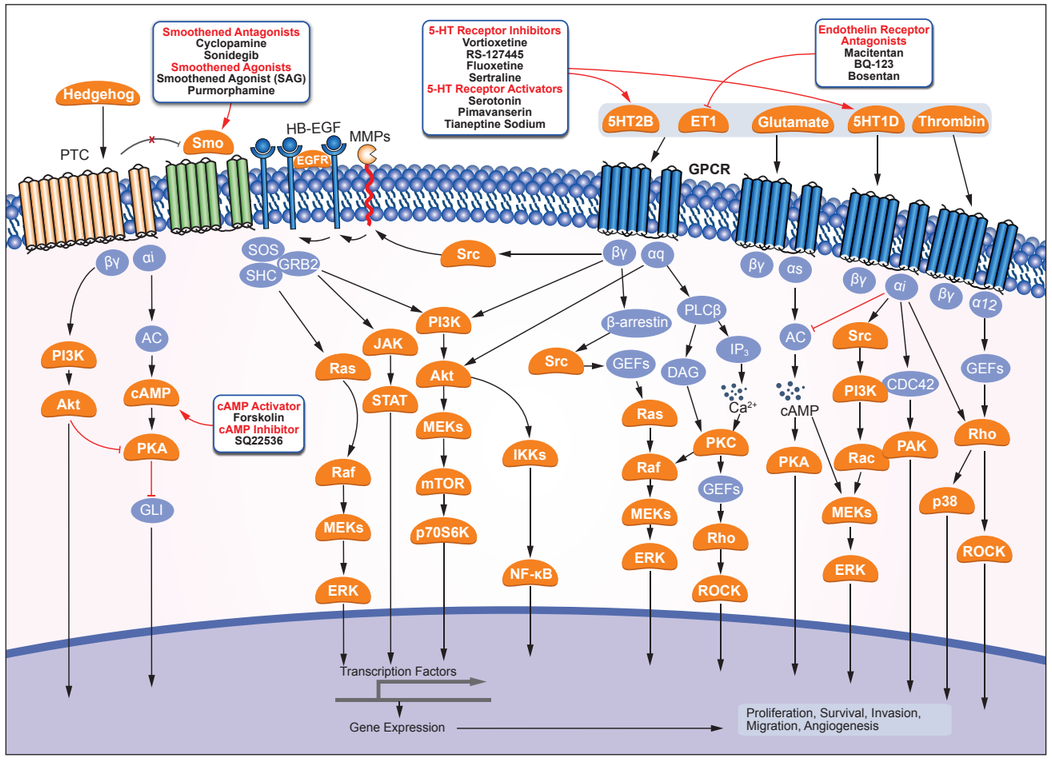
GPCR & G Protein



5-HT Receptor
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Adrenergic Receptor
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Histamine Receptor
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Dopamine Receptor
详细信息在第63页

Opioid Receptor
详细信息在第63页

Hedgehog/Smoothened
详细信息在第56页

OX Receptor
详细信息在第64页

CXCR
详细信息在第20页

Cannabinoid Receptor

抑制剂选择性比较

抑制剂名称	CB1	CB2	其他靶点	临床阶段
Rimonabant	+++ IC50: 13.6 nM	++ IC50: 1.64 μM		Phase 4
Otenabant (CP-945598) HCl	++++ Ki: 0.7 nM			Phase 3
AM251	√			
Olivetol	√		CYP1A1,CYP2C19	

Endothelin Receptor

抑制剂选择性比较

抑制剂名称	ET-A	ET-B	临床阶段
Zibotentan (ZD4054)	++ IC50: 21 nM		Phase 3
Bosentan Hydrate	+++ Ki: 4.7 nM	++ Ki: 95 nM	Phase 4
Macitentan	++++ IC50: 0.5 nM	+ IC50: 391 nM	Phase 4
BQ-123	+++ IC50: 7.3 nM		Phase 2
Ambrisentan	√		Phase 4

S1P Receptor

抑制剂选择性比较

抑制剂名称	S1PR	S1PR1	S1PR5	SphK	S1PR2	临床阶段
Fingolimod (FTY720) HCl	++++ IC50: 0.033 nM					Phase 4
PF-543				+++ IC50: 2.0 nM		
PF 429242	+ IC50: 170 nM					
Ponesimod		++ EC50: 5.7 nM				Phase 3
Ozanimod (RPC1063)		+++ EC50: 0.41 nM	++ EC50: 11 nM			Phase 3
JTE 013					++ IC50: 17 nM	
Siponimod (BAF312)		++++ EC50: 0.39 nM	+++ EC50: 0.98 nM			Phase 3
Opaganib (ABC294640)				+ IC50: 60 μM		Phase 2
Fingolimod	√					

SGLT

抑制剂选择性比较

抑制剂名称	SGLT1	SGLT2	其他靶点	临床阶段
Dapagliflozin		++++ EC50: 1.1 nM		Phase 4
Canagliflozin		+++ IC50: 3.7 nM		Phase 4
Empagliflozin (BI 10773)		++ IC50: 3.1 nM		Phase 4
Canagliflozin hemihydrate		+++ IC50: 2 nM		
Ertugliflozin		++++ IC50: 0.877 nM		Phase 4
Ipragliflozin (ASP1941)		+ IC50: 7.4 nM	mouse SGLT2,rat SGLT2	Phase 4
Tofogliflozin(CSG 452)		++ IC50: 2.9 nM		Phase 4
Sotagliflozin (LX4211)	+ IC50: 36 nM	+++ IC50: 1.8 nM		Phase 3
Dapagliflozin propanediol monohydrate		√		
Phloretin	√			

LPA Receptor

抑制剂选择性比较

抑制剂名称	LPA1	LPA2	LPA3
Ki16425	+++ Ki: 0.34 μM	+ Ki: 6.5 μM	++ Ki: 0.93 μM
Ki16198	+++ Ki: 0.34 μM		++ Ki: 0.93 μM
ONO-7300243	++++ IC50: 0.16 μM		

PAFR

抑制剂选择性比较

抑制剂名称	PAFR
Ginkgolide B	+++ IC50: 3.6 μM

PKA

抑制剂选择性比较

抑制剂名称	PKA	其他靶点	临床阶段
A-674563	+++ Ki: 16 nM	Akt1,CDK2,GSK-3β	
H 89 2HCl	++ Ki: 48 nM	S6K1	
Daphnetin	+ IC50: 9.33 μM	EGFR,PKC	
AT13148	++++ IC50: 3 nM	ROCK2,ROCK1,p70S6K	Phase 1

Adenosine Receptor

抑制剂选择性比较

抑制剂名称	Adenosine Receptor	其他靶点	临床阶段
Istradefylline	+++ Ki: 2.2 nM		Phase 3
AZD-4635 (HTL1071)	++++ Ki: 1.7 nM		Phase 2
A2AR antagonist 1	++ Ki: 4 nM		
SCH58261	+++ Ki: 2.0 nM		
Reversine	+ Ki: 0.66 μM	Aurora A,Aurora B,Aurora C	
Proxyphylline	√		
ZM241385	√		

CaSR

抑制剂选择性比较

抑制剂名称	CaSR
NPS-2143	+++ IC50: 43 nM

Vasopressin Receptor

抑制剂选择性比较

抑制剂名称	Vasopressin receptor 1	Vasopressin receptor 2	临床阶段
Tolvaptan		++++ IC50: 3 nM	Phase 4
Mozavaptan	++ IC50: 1.2 μM	+++ IC50: 14 nM	
Conivaptan HCl	√		Phase 4

cAMP

抑制剂选择性比较

抑制剂名称	AC	EPAC1	EPAC2	PACAP receptor	其他靶点
PACAP 6-38				++++ IC50: 2 nM	CARTp
Bithionol	+ IC50: 4.0 μM				
ESI-09		++ IC50: 3.2 μM	+++ IC50: 1.4 μM		
HJC0350			+++ IC50: 0.3 μM		
PACAP 1-27				√	
SQ22536	√				

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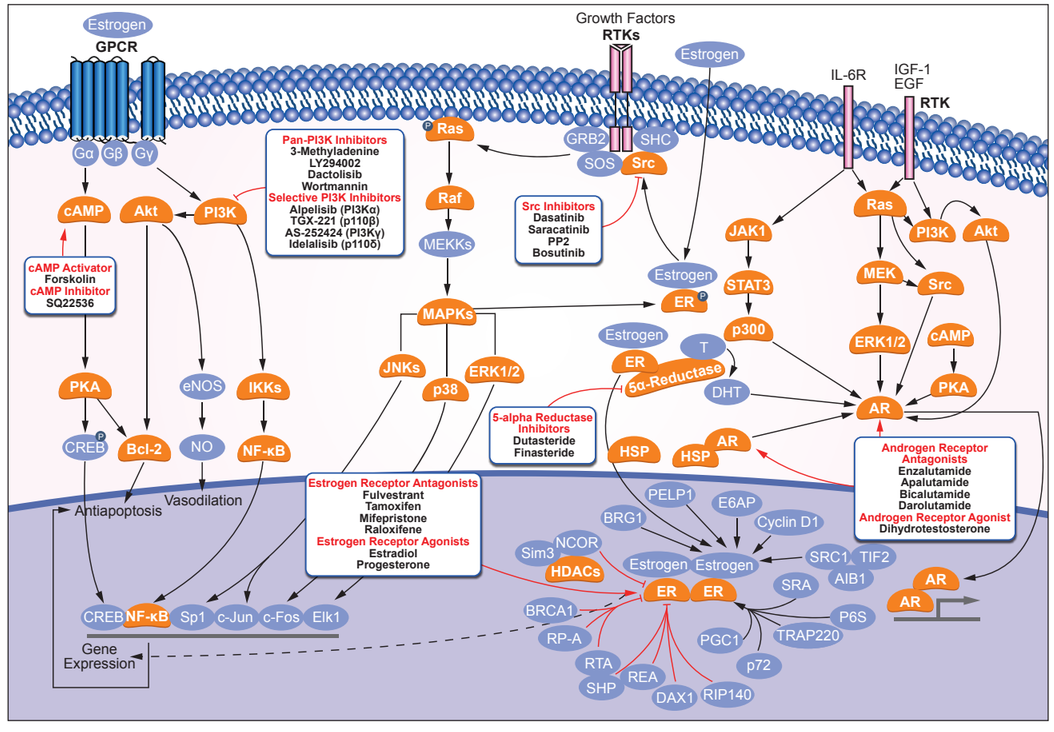
Endocrinology & Hormones



Opioid Receptor

详细信息在第63页

5-alpha Reductase

抑制剂选择性比较

抑制剂名称	5-alpha Reductase	临床阶段
Finasteride	+++ Ki: 10.2 nM	Phase 4
Dutasteride	√	Phase 4

Estrogen/progestogen Receptor

抑制剂选择性比较

抑制剂名称	Estrogen receptor	Progesterone receptor	其他靶点	临床阶段
Fulvestrant	+++ IC50: 0.94 nM			Phase 4
Raloxifene HCl	++ IC50: 5.7 nM			Phase 4
Mifepristone		++++ IC50: 0.2 nM	Glucocorticoid receptor	Phase 4
Bazedoxifene HCl	+ IC50: 23 nM			Phase 4
Tamoxifen Citrate	√			Phase 4
Toremifene Citrate	√			Phase 4
Dienogest		√		Phase 4
Clomifene citrate	√			Phase 4
Drospirenone		√		Phase 4
Ulipristal		√		Phase 4

抑制剂选择性比较

抑制剂名称	Estrogen receptor	Progesterone receptor	其他靶点	临床阶段
Megestrol Acetate		√	Androgen Receptor	Phase 4
Pregnenolone		√		Phase 4
Estriol	√			Phase 4
Estrone	√			Phase 2
Bazedoxifene Acetate	√			Phase 4
Cyclofenil	√			
PHTPP	√			
AZD9496	√			Phase 1
Chlorotrianisene	√			Phase 4
Endoxifen HCl	√			Phase 2
Ospemifene	√			Phase 4
Tamoxifen	√			Phase 4

Androgen Receptor

抑制剂选择性比较

抑制剂名称	Androgen Receptor	其他靶点	临床阶段
Enzalutamide (MDV3100)	+++ IC50: 36 nM		Phase 4
Bicalutamide	++ IC50: 0.16 μM		Phase 4
Ostarine (GTx-024, MK-2866)	++++ Ki: 3.8 nM		Phase 3
Apalutamide (ARN-509)	+++ IC50: 16 nM		Phase 2
Galeterone	+ IC50: 384 nM	CYP17	Phase 3
Flutamide	++ Ki: 55 nM		Phase 4
Cyproterone Acetate	+++ IC50: 7.1 nM		Phase 4
AZD3514	+ Ki: 2.2 μM		Phase 1
Spironolactone	++ IC50: 77 nM		Phase 4
Ligandrol (LGD-4033, VK-5211)	++++ Ki: 1 nM		
Triptophenolide	++ IC50: 260 nM	AR-T877A,AR-F876L	
Testolone (RAD140)	++++ Ki: 7 nM		Phase 1
EPI-001	+ IC50: ~6 μM	PPARγ	
Darolutamide (ODM-201)	+++ Ki: 11 nM		Phase 3
Dehydroepiandrosterone (DHEA)	√		Phase 4
Megestrol Acetate	√	progestogen Receptor	Phase 4
RU58841	√		
Nilutamide	√		

RAAS

抑制剂选择性比较

抑制剂名称	AT1 receptor	AT2 receptor	ACE	Renin	RAAS	临床阶段
Aliskiren Hemifumarate				+++ IC50: 1.5 nM		Phase 4
Candesartan	++++ IC50: 0.26 nM					Phase 4
Losartan Potassium (DuP 753)	+ IC50: 20 nM					Phase 4
Enalaprilat Dihydrate			+++ IC50: 1.94 nM			Phase 4
Irbesartan	+++ IC50: 1.3 nM					Phase 4
PD123319		+ IC50: 34 nM				
Perindopril Erbumine			++++ IC50: 1.05 nM			Phase 3
Candesartan Cilexetil					++++ IC50: 0.26 nM	Phase 4
Ramipril			++ IC50: 5 nM			Phase 4
Captopril			++ IC50: 6 nM			Phase 4
Azilsartan Medoxomil	+++ IC50: 2.6 nM					Phase 4
Imidapril HCl			+++ IC50: 2.6 nM			Phase 3
Losartan	+ IC50: 20 nM					Phase 4

抑制剂选择性比较

抑制剂名称	AT1 receptor	AT2 receptor	ACE	Renin	RAAS	临床阶段
Eprosartan Mesylate	++++ Kd: 0.83 nM					Phase 4
Azilsartan	+++ IC50: 2.6 nM					Phase 4
Telmisartan		√				Phase 4
Valsartan		√				Phase 4
Benazepril HCl			√			Phase 4
Enalapril Maleate			√			Phase 4
Olmesartan Medoxomil	√					Phase 4
Cilazapril Monohydrate			√			
Lisinopril			√			Phase 4
Moexipril HCl			√			Phase 2
Temocapril HCl			√			
Quinapril HCl			√			Phase 4
Delapril Hydrochloride			√			
Zofenopril calcium			√			
Fimasartan	√					Phase 4
Sacubitril/valsartan (LCZ696)					√	Phase 4
Fosinopril Sodiu			√			Phase 4

Aromatase

抑制剂选择性比较

抑制剂名称	Aromatase	其他靶点	临床阶段
Letrozole	++++ IC50: 0.07 nM-20 nM		Phase 4
Anastrozole	+++ IC50: 15 nM		Phase 4
Exemestane	+++ IC50: 30 nM		Phase 4
Formestane	++ IC50: 80 nM		
Aminoglutethimide	++ IC50: 10 μM		Phase 3
alpha-Naphthoflavone	++++ Ki: 5 nM		
Obacunone	+ IC50: 28.4 μM	Nrf2	

GPR

抑制剂选择性比较

抑制剂名称	GPR	临床阶段
AZD1981	+++ IC50: 4 nM	Phase 2
OC000459	++ IC50: 13 nM	Phase 2

Glucocorticoid Receptor

抑制剂选择性比较

抑制剂名称	Glucocorticoid Receptor	临床阶段
AL082D06	+++ Ki: 210 nM	
Eplerenone	√	Phase 4

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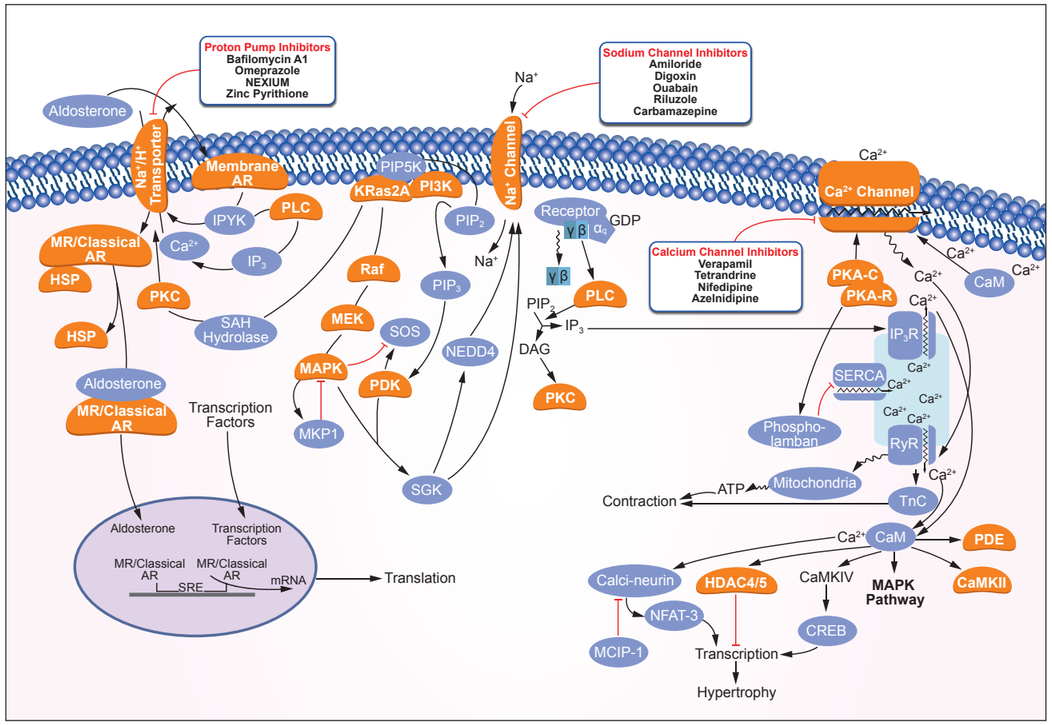
Transmembrane Transporters



GABA Receptor

详细信息在第63页

P-gp

详细信息在第64页

Calcium Channel

抑制剂选择性比较

抑制剂名称	Calcium Channel	其他靶点	临床阶段
Amlodipine Besylate	+++ IC50: 1.9 nM		Phase 4
Manidipine 2HCl	+++ IC50: 2.6 nM		Phase 4
Felodipine	++++ IC50: 0.15 nM		Phase 4
Manidipine	+++ IC50: 2.6 nM		Phase 4
Nisoldipine	++ IC50: 10 nM		Phase 4
Nilvadipine	++++ IC50: 0.03 nM		Phase 3
Flunarizine 2HCl	++ Ki: 68 nM		Phase 4
Nitrendipine	+ IC50: 95 nM		Phase 4
Cardamonin	+ IC50: 454 nM	NF-kB	
Levetiracetam	√		Phase 4
Cilnidipine	√		Phase 4
Amiloride HCl	√	Sodium channel,uPA	Phase 4
Verapamil HCl	√		Phase 4
Ranolazine 2HCl	√		Phase 4
Amlodipine	√		Phase 4
Isradipine	√		Phase 3
Ranolazine	√		Phase 4
Econazole nitrate	√		Phase 3
Nimodipine	√		Phase 4

抑制剂选择性比较

抑制剂名称	Calcium Channel	其他靶点	临床阶段
Dronedarone HCl	√	Potassium channel,Sodium channel	Phase 4
Lacidipine	√		Phase 4
Nicardipine HCl	√		Phase 4
Clevidipine Butyrate	√		Phase 4
Nifedipine	√		Phase 4
HC-030031	√		
Benidipine HCl	√		Phase 4
Azelnidipine	√		Phase 4
Tetracaine HCl	√		Phase 4
Pinaverium bromide	√		
Fendiline hydrochloride	√		
Efonidipine	√		
ML204	√		
Levamlodipine	√		Phase 4
Cinnarizine	√	Histamine receptor	Phase 4
Lercanidipine hydrochloride	√		
SKF96365	√		
Lomerizine 2HCl	√		
Cinepazide maleate	√		Phase 2
Tetrandrine	√		
Diltiazem HCl	√		Phase 4

Sodium Channel

抑制剂选择性比较

抑制剂名称	Sodium Channel	其他靶点	临床阶段
Carbamazepine	++ IC50: 131 μM		Phase 4
A-803467	++++ IC50: 8 nM		
Camostat Mesilate	+++ IC50: 50 nM		
Ouabain	++++ Ki: 15 nM		
Ambroxol HCl	+++ IC50: 35.2 μM-22.5 μM		Phase 3
Triamterene	+++ IC50: 4.5 μM	ENaCαS583	Phase 4
Procaine HCl	++ IC50: 60 μM	5-HT3,nAChR,NMDA receptor	Phase 4
Proparacaine HCl	+ ED50: 3.4 mM		Phase 3
Oxcarbazepine	+ IC50: 160 μM		Phase 4
Riluzole	√	NMDA receptor,Glutamate release	Phase 4
Bupivacaine HCl	√		Phase 4
Amiloride HCl	√	T-type calcium channel,uPA	Phase 4
Rufinamide	√		Phase 3
Zonisamide	√		Phase 4
Phenytoin Sodium	√		Phase 4
Amiloride HCl dihydrate	√		Phase 4
Dronedarone HCl	√	Potassium channel,Calcium channel	Phase 4
Phenytoin	√		Phase 4
Lamotrigine	√	5-HT (human platelets),5-HT (rat brain synaptosomes)	Phase 4
Primidone	√		Phase 2
(-)-Sparteine Sulfate	√		
Quinidine sulfate	√		Phase 3
Procainamide HCl	√	DNA methyltransferase	Phase 4
Mexiletine HCl	√		Phase 4
Benzocaine	√		Phase 4
Tolperisone HCl	√		Phase 2
Levobupivacaine HCl	√		Phase 4
Dibucaine HCl	√		

抑制剂选择性比较

抑制剂名称	Sodium Channel	其他靶点	临床阶段
Ibutilide Fumarate	√		Phase 4
Vinpocetine	√		Phase 3
Propafenone HCl	√		Phase 4

ATPase

抑制剂选择性比较

抑制剂名称	ATPase	临床阶段
Brefeldin A	+++ IC50: 0.2 μM	
(-)-Blebbistatin	+ IC50: 0.5 μM-5 μM	
Sodium orthovanadate	+++ IC50: 40 nM	
PF-3716556	++ pIC50: ~6.5	
CB-5083	++++ IC50: 11 nM	Phase 1
Oligomycin A	√	
Ciclopirox	√	Phase 4
Ciclopirox ethanolamine	√	
Esomeprazole sodium	√	Phase 4
BTB06584	√	
Golgicide A	√	

Potassium Channel

抑制剂选择性比较

抑制剂名称	Potassium Channel	其他靶点	临床阶段
TRAM-34	+++ Kd: 20 nM		
Glimepiride	++++ IC50: 3 nM		Phase 4
Gliquidone	+++ IC50: 27.2 nM		Phase 4
Vonoprazan Fumarate (TAK-438)	++++ IC50: 19 nM		Phase 3
ML133 HCl	++ IC50: 290 nM		
Gliclazide	++ IC50: 184 nM		Phase 4
4-Aminopyridine	√		Phase 4
Dofetilide	√		Phase 4
Chlorpromazine HCl	√	Dopamine receptor	Phase 4
Amiodarone HCl	√		Phase 4
Repaglinide	√		Phase 4
Quinine HCl Dihydrate	√		Phase 4
Tolbutamide	√		Phase 1
Dronedarone HCl	√	Calcium channel,Sodium channel	Phase 4
Glyburide (Glibenclamide)	√		Phase 4
Nateglinide	√		Phase 4
Mitiglinide Calcium	√		Phase 4

Proton Pump

抑制剂选择性比较

抑制剂名称	Proton Pump	其他靶点	临床阶段
Bafilomycin A1(Baf-A1)	+++ IC50: 0.44 nM		
PF-3716556	++ pIC50: ~6.5		
Lansoprazole	√		Phase 4
Omeprazole	√		Phase 4
NEXIUM (esomeprazole magnesium)	√		Phase 4
Zinc Pyrithione	√		
Dexlansoprazole	√		Phase 4

抑制剂选择性比较

抑制剂名称	Proton Pump	其他靶点	临床阶段
Revaprazan Hydrochloride	√		Phase 2
Rabeprazole	√		Phase 4
Ilaprazole	√	TOPK	Phase 4
Rabeprazole sodium	√		Phase 4
Pantoprazole sodium	√	HIF-1α	
Tenatoprazole	√		Phase 2

CFTR

抑制剂选择性比较

抑制剂名称	CFTR	临床阶段
VX-809 (Lumacaftor)	++++ EC50: 0.1 μM	Phase 3
CFTRinh-172	+++ Ki: 300 nM	
IOWH032	++ IC50: 1.01 μM	Phase 2
GlyH-101	+ Ki: 4.3 μM	
Ataluren (PTC124)	√	Phase 4
Tezacaftor (VX-661)	√	Phase 3
FDL169	√	

TRPV

抑制剂选择性比较

抑制剂名称	TRPV	其他靶点	临床阶段
SB705498	+ pIC50: 7.1		Phase 2
AMG-517	++++ IC50: 1 nM-2 nM		
GSK2193874	+++ IC50: 0.002 μM		
SB366791	++ IC50: 5.7 nM		
Probenecid	√	organic anion transport,TAS2R16	Phase 4
Capsazepine	√	Na,K-ATPase	

CRM1

抑制剂选择性比较

抑制剂名称	CRM1	其他靶点	临床阶段
Selinexor (KPT-330)	√		Phase 2
KPT-185	√		
KPT-276	√		
Eltanexor (KPT-8602)	√		Phase 2
Piperlongumine	√	reactive oxygen species (ROS),PI3K/Akt/mTOR,TrxR1	
Verdinexor (KPT-335)	√		Phase 1

MCT

抑制剂选择性比较

抑制剂名称	MCT1	MCT	临床阶段
BAY-8002		√	
α-cyano-4-hydroxycinnamic acid(α-CHCA)		√	
AZD3965	√		Phase 1

注：

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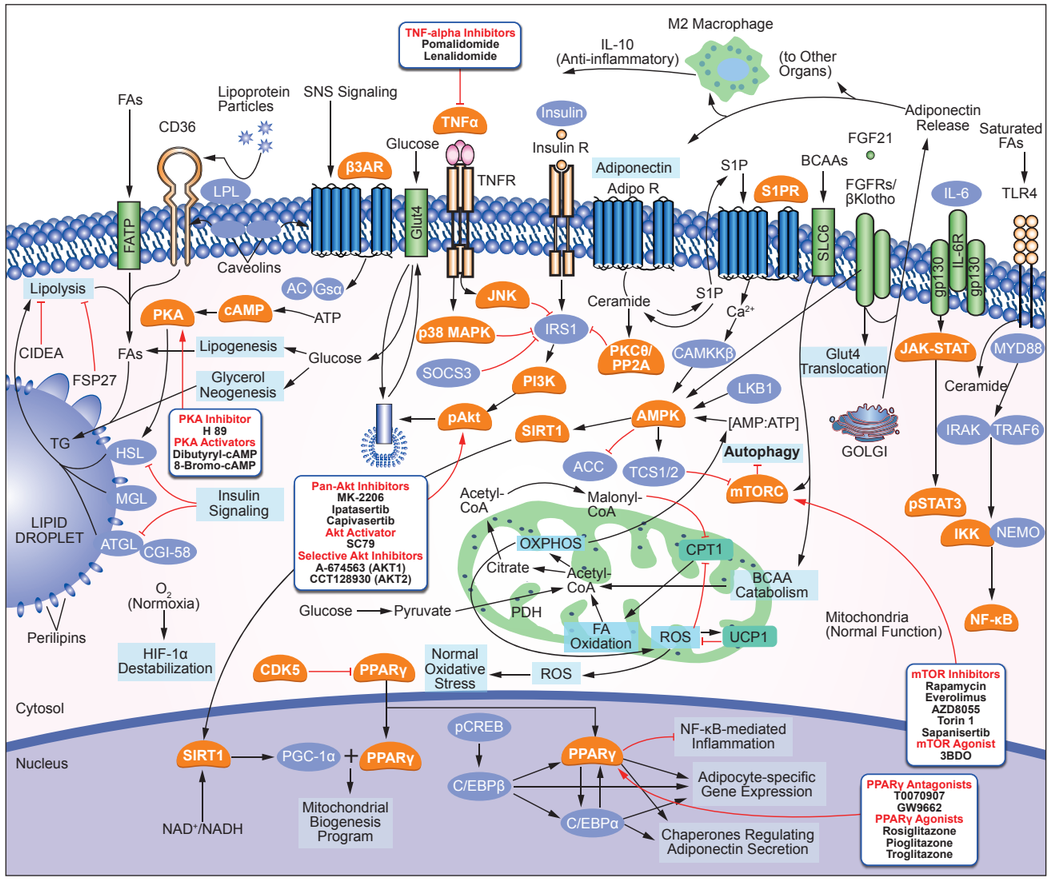
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Metabolism



HSP (e.g. HSP90)

详细信息在第40页

Casein Kinase

详细信息在第56页

IDO/TDO

详细信息在第20页

PPAR

抑制剂选择性比较

抑制剂名称	PPARα	PPARβ/δ	PPARγ	PPAR	PPARδ	其他靶点	临床阶段
GW9662	+++ IC50: 32 nM		+++ IC50: 3.3 nM				
T0070907			++++ IC50: 1 nM				
GSK3787		++ pIC50: 6.6			++ pIC50: 6.6		
GSK0660				++ IC50: 155 nM			
Harmine			√			MAO-A	
Fenofibric acid	√						Phase 4
FH535			√			Wnt/β-catenin	

P450 (e.g. CYP17)

抑制剂选择性比较

抑制剂名称	CYP1	CYP2	CYP3	CYP17	P450	其他靶点	临床阶段
Abiraterone				++++ IC50: 2 nM			Phase 4
Abiraterone Acetate				+++ IC50: 72 nM			Phase 4
Avasimibe	+ IC50: 13.9 μM	+ IC50: 2.9 μM				ACAT	
Ketoconazole					+ IC50: 0.19 mM	Testosterone 6 beta-hydroxylase	Phase 4
Itraconazole			++++ IC50: 6.1 nM				Phase 4
TAK-700 (Orteronel)					+++ 20-lyase (Human)		Phase 3
Galeterone				++ IC50: 300 nM		Androgen Receptor	Phase 3
Cobicistat (GS-9350)			++ IC50: 30 nM-285 nM				Phase 4
Ozagrel					++++IC50: 11 nM		Phase 4
Ozagrel HCl					++++IC50: 11 nM		Phase 4
Alizarin	++ IC50: 2.7 μM						
7-Hydroxyflavone	+++ Ki: 0.015 μM						
Diallyl sulfide		+ IC50: 17.3 μM					
Sulfaphenazole		++ Ki: 0.3 μM					
Benzbromarone		+++ Ki: 19.3 nM					Phase 4
PF-4981517			+++ IC50: 30 nM				
Apigenin		++ Ki: 2 μM					
Ritonavir			√			HIV	Phase 4
Posaconazole			√			lanosterol 14α-demethylase	Phase 4
Voriconazole					√		Phase 4
Fluconazole					√		Phase 4
Clarithromycin			√				Phase 4
Thiabendazole	√						
Methoxsalen		√				CYP2A5	Phase 4
Acetylshikonin					√		
Gentiopicroside		√					
Sodium Danshensu					√		
Naringenin	√						Phase 1
Diosmetin	√						
Piperine			√				Phase 2
Naringin					√		
Baicalein		√					

PDE

抑制剂选择性比较

抑制剂名称	PDE	PDE1	PDE2	PDE3	PDE4	PDE5	PDE6	PDE10A	其他靶点	临床阶段
Roflumilast					++++ IC50: 0.7 nM					Phase 4
Sildenafil Citrate						++++ IC50: 3.5 nM	+++ IC50: 33 nM			Phase 4
Cilomilast					+++ IC50: 100 nM					Phase 3
Tadalafil						++++ IC50: 1.8 nM				Phase 4
Vardenafil HCl Trihydrate						++++ IC50: 0.7 nM				Phase 4
Pimobendan				++ IC50: 0.32 μM						
GSK256066					++++ IC50: 3.2 pM					Phase 2
Mardepodect (PF-2545920)								++++ IC50: 0.37 nM		
Rolipram					++ IC50: 130 nM				PDE4D	Phase 2
Cilostazol				++ IC50: 0.2 μM						Phase 4
Milrinone			++ IC50: 5.2 μM	++ IC50: 2.1 μM					ATPase	Phase 4
Avanafil						++++ IC50: 1 nM				Phase 4
S- (+)-Rolipram					++ IC50: 0.75 μM					
Aminophylline	+ IC50: 0.12 mM								adenosine receptor	Phase 4
Fenspiride HCl				+ pIC50: 3.44	+ pIC50: 4.16					

抑制剂选择性比较

抑制剂名称	PDE	PDE1	PDE2	PDE3	PDE4	PDE5	PDE6	PDE10A	其他靶点	临床阶段
Udenafil			+++ IC50: 101 nM	+++ IC50: 52 nM		+++ IC50: 8.25 nM	+++ IC50: 53.3 nM			
IBMX				+ IC50: 6.5 μM	+ IC50: 26.3 μM	+ IC50: 31.7 μM				
Cilostamide				+++ IC50: 27 nM						
Ibudilast			++ IC50: 0.11 μM		+++ IC50: 0.08 μM	++ IC50: 2.2 μM				
TAK-063								++++ IC50: 0.3 nM		Phase 2
Sildenafil						++++ IC50: 5.22 nM				Phase 4
PF-8380	++++ IC50: 2.8 nM									
Deltarasin	+++ Kd: 38 nM									
Luteolin		+ Ki: 15.0 μM	++ Ki: 6.4 μM	+ Ki: 13.9 μM	+ Ki: 11.1 μM	+ Ki: 9.5 μM				Phase 2
Icariin						++ IC50: 0.432 μM				Phase 3
Anagrelide HCl	√									Phase 4
Irsogladine	√								mAChR,AChR	Phase 1
Doxofylline	√									Phase 4
Dipyridamole	√									Phase 4
Dyphylline	√									
BRL-50481	√									
Crisaborole (AN2728)					√					
Sildenafil Mesylate						√				

Hydroxylase

抑制剂选择性比较

抑制剂名称	Hydroxylase	临床阶段
Nepicastat (SYN-117) HCl	+++ IC50: 8.5 nM	Phase 2
Osilodrostat (LCI699)	++++ IC50: 2.5 nM	Phase 3
Ro 61-8048	+++ IC50: 37 nM	
(R)-Nepicastat HCl	++ IC50: 18.3 nM	
Tetrahydropapaverine HCl	+ IC50: 5.7 μM	
Mildronate	√	Phase 2
4-Chloro-DL-phenylalanine	√	
DMOG	√	
Telotristat Etiprate (LX 1606 Hippurate)	√	Phase 3

Factor Xa

抑制剂选择性比较

抑制剂名称	Factor Xa	其他靶点	临床阶段
Rivaroxaban	++ IC50: 0.7 nM	Prothrombinase	Phase 4
Apixaban	++++ Ki: 0.08 nM		Phase 4
Edoxaban	+++ Ki: 0.561 nM		Phase 4
Edoxaban tosylate Monohydrate	√		

DHFR

抑制剂选择性比较

抑制剂名称	DHFR	其他靶点	临床阶段
Pemetrexed	++++ Ki: 7.2 nM	TS,GARFT	Phase 4
Methotrexate	++ IC50: 24 nM		Phase 4
Pyrimethamine	+++ IC50: 15.4 nM		Phase 4
Methotrexate disodium	++ IC50: 24 nM		
Pemetrexed Disodium Hydrate	++++ Ki: 7.2 nM	TS,GARFT	Phase 4
Pralatrexate	√		Phase 4
Diaveridine	√		

Dehydrogenase

抑制剂选择性比较

抑制剂名称	Dehydrogenase	其他靶点	临床阶段
Mycophenolate Mofetil	+++ IC50: 27 nM		Phase 4
AGI-5198	++ IC50: 70 nM		
MK-8245	++++ IC50: 1 nM		Phase 2
BAY 1436032	++++ IC50: 2.5 μM		
NCT-503	+ IC50: 0.56 μM		
ML390	+ IC50: 12 nM		
NCT-501	++ IC50: 40 nM		
SW033291	++++ IC50: 1.5 nM		
Vidofludimus	++ IC50: 134 nM		Phase 2
AGI-6780	+++ IC50: 23 nM		
Daidzin	+++ Ki: 20 nM		Phase 1
CPI-613	√		Phase 3
Leflunomide	√		Phase 4
Mycophenolic acid	√		Phase 4
Disulfiram	√		Phase 4
Trilostane	√		Phase 2
Teriflunomide	√		Phase 4
PluriSIn #1 (NSC 14613)	√		
Ammonium Glycyrrhizinate	√		
Gimeracil	√		Phase 3
3-Nitropropionic acid	√		
Vorasidenib (AG-881)	√		Phase 1
RRx-001	√	Nrf2-ARE	Phase 3
Ivosidenib (AG-120)	√		Phase 3
Isovaleramide	√		
gossypol-Acetic acid	√	Bcl2	Phase 3
Enoxolone	√		Phase 2
Emodin	√		
Fomepizole	√		Phase 2

Procollagen C Proteinase

抑制剂选择性比较

抑制剂名称	Procollagen C Proteinase
UK 383367	+++ IC50: 44 nM

Phospholipase (e.g. PLA)

抑制剂选择性比较

抑制剂名称	Phospholipase (e.g. PLA)	临床阶段
Varespladib (LY315920)	+++ IC50: 7 nM	Phase 3
Darapladib (SB-480848)	++++ IC50: 0.25 nM	Phase 3
Tanshinone I	++ IC50: 11 μM	Phase 4
Halobetasol Propionate	√	Phase 4
U73122	√	
Polydatin	√	Phase 2

Carbonic Anhydrase

抑制剂选择性比较

抑制剂名称	Carbonic Anhydrase	Carbonic Anhydrase I	Carbonic Anhydrase II	Carbonic Anhydrase IV	Carbonic Anhydrase IX	Carbonic Anhydrase XII	其他靶点	临床阶段
Dorzolamide HCl		+ Ki: 6000 nM	++++ Ki: 1.9 nM	+++ Ki: 31 nM				Phase 4
U-104					++ Ki: 45.1 nM	++++ Ki: 4.5 nM		
Tioxolone		+ Ki: 91 nM						
Brinzolamide			++++ IC50: 3.19 nM					Phase 4
Acetazolamide	+++ IC50: 10 nM							Phase 4
Methazolamide		++ Ki: 50 nM	+++ Ki: 14 nM	++ Ki: 36 nM				Phase 4
Topiramate	√						sodium channel, AMPA/kainate receptor, Calcium Channel	Phase 4
Dichlorphenamide	√							Phase 3
Mafenide Acetate	√							
Benzenesulfonamide	√							

MAO

抑制剂选择性比较

抑制剂名称	MAO-A	MAO-B	MAO	其他靶点	临床阶段
Safinamide Mesylate		+++ IC50: 98 nM			
Rasagiline Mesylate	+++ IC50: 412 nM	++++ IC50: 4.43 nM			Phase 4
Tranylcypromine (2-PCPA) HCl	++ IC50: 11.5 μM	++ IC50: 7 μM		LSD1	Phase 4
Moclobemide (Ro 111163)	++ IC50: 6.1 μM				Phase 3
Lazabemide		++++ Ki: 7.9 nM			
Rasagiline	+++ IC50: 412 nM	++++ IC50: 4.43 nM			
Safinamide		++++ Ki: 16.7 nM			
Harmine	++++ Ki: 0.048 μM			PPARγ	
Pargyline hydrochloride	++ Ki: 13 μM	+++ Ki: 0.5 μM			
Isatin	+ IC50: 58 μM	++ IC50: 14 μM	+ IC50: 15 μM		
Sennoside A			+ IC50: 17 μM		
Paeonol	+ IC50: 54.6 μM	+ IC50: 42.5 μM			
Glycyrrhizin (Glycyrrhizic Acid)			+++ IC50: 0.16 μM	11 beta-hydroxysteroid dehydrogenase,HMGB1	Phase 4
Iproniazid			√		

Liver X Receptor

抑制剂选择性比较

抑制剂名称	Liver X Receptor
SR9243	√

FAAH

抑制剂选择性比较

抑制剂名称	FAAH	其他靶点	临床阶段
URB597	+++ IC50: 4.6 nM		Phase 1
PF-3845	++ Ki: 230 nM		
JZL195	++++ IC50: 2 nM	MAGL	
JNJ-1661010	+++ IC50: 10 nM		
Biochanin A	+ IC50: 1.8 μM	EGFR	

CETP

抑制剂选择性比较

抑制剂名称	CETP	临床阶段
Anacetrapib (MK-0859)	+++ IC50: 7.9 nM	Phase 3
Torcetrapib	++ IC50: 37 nM	Phase 3
Evacetrapib (LY2484595)	++++ IC50: 5.5 nM	Phase 3
Dalcetrapib (JTT-705, RO4607381)	+ IC50: 0.2 μM	Phase 3

Lipase

抑制剂选择性比较

抑制剂名称	Lipase	其他靶点	临床阶段
JZL184	++++ IC50: 8 nM		
Atglistatin	+ IC50: 0.7 μM		
ABX-1431	+++ IC50: 14 nM	mMGLL	
XEN445	++ IC50: 0.237 μM		
Orlistat	√	Fatty acid synthesis	Phase 4
Tanshinone IIA	√		Phase 4

Transferase

抑制剂选择性比较

抑制剂名称	Transferase	临床阶段
Tipifarnib	+++ IC50: 0.6 nM	Phase 3
Lonafarnib	+++ IC50: 1.9 nM	Phase 3
Daporinad (FK866, APO866)	++++ Ki: 0.4 nM	Phase 2
A922500	++ IC50: 7 nM	
Lomeguatrib	++ IC50: 5 nM	
FTI 277 HCl	++++ IC50: 500 pM	
LB42708	+++ IC50: 1.2 nM	
PF-04620110	+ IC50: 19 nM	Phase 1
Tolcapone	+ Ki: 30 nM	Phase 4
GGTI 298 TFA salt	√	

Ferroptosis

抑制剂选择性比较

抑制剂名称	Ferroptosis
Ferrostatin-1 (Fer-1)	++ EC50: 60 nM
UAMC-3203	++++ IC50: 10 nM
Liproxstatin-1	+++ IC50: 22 nM

Lipoxygenase

抑制剂选择性比较

抑制剂名称	lipoxygenase	其他靶点	临床阶段
Abietic Acid	+++ IC50: 29.5 μM	PPARγ	
Zileuton	√		Phase 4
Nordihydroguaiaretic acid (NDGA)	√		Phase 2
Esculetin	√		
MK-886 (L-663,536)	√	PPARα,COX-1,COX-2	

HMG-CoA Reductase

抑制剂选择性比较

抑制剂名称	HMG-CoA Reductase	其他靶点	临床阶段
Simvastatin	++++ Ki: 0.1-0.2 nM		Phase 4
Rosuvastatin Calcium	+++ IC50: 11 nM		Phase 4
Lovastatin	++++ IC50: 3.4 nM		Phase 4
Fluvastatin Sodium	+++ IC50: 8 nM		Phase 4
Pravastatin sodium	++ IC50: 5.6 μM		Phase 4
Clinofibrate	+ IC50: 0.47 mM		
SR-12813	++ IC50: 850 nM	pregnane X receptor	
Pitavastatin Calcium	√	cholesterol esters	Phase 4
Atorvastatin Calcium	√		Phase 4
Mevastatin	√		
Rosuvastatin	√		Phase 4

AhR

抑制剂选择性比较

抑制剂名称	AhR	临床阶段
StemRegenin 1 (SR1)	++ IC50: 127 nM	Phase 2
CH-223191	+++ IC50: 30 nM	
BAY-218	√	
UM729	√	

NAMPT

抑制剂选择性比较

抑制剂名称	NAMPT	其他靶点	临床阶段
KPT-9274	++ IC50: ~120 nM	PAK4	
GMX1778 (CHS828)	+++ IC50: <25 nM		Phase 1
STF-118804	√		

Decarboxylase

抑制剂选择性比较

抑制剂名称	decarboxylase	临床阶段
Carbidopa	+++ IC50: 29 μM	Phase 4
Benserazide HCl	√	Phase 4
Eflornithine hydrochloride hydrate	√	
Methyldopa	√	Phase 4

GLUT

抑制剂选择性比较

抑制剂名称	GLUT1
BAY-876	+++ IC50: 0.002 μM
WZB117	++ IC50: 10μM
STF-31	√

PKM

抑制剂选择性比较

抑制剂名称	PKM2
PKM2 inhibitor(compound 3k)	+++ IC50: 2.95 μM

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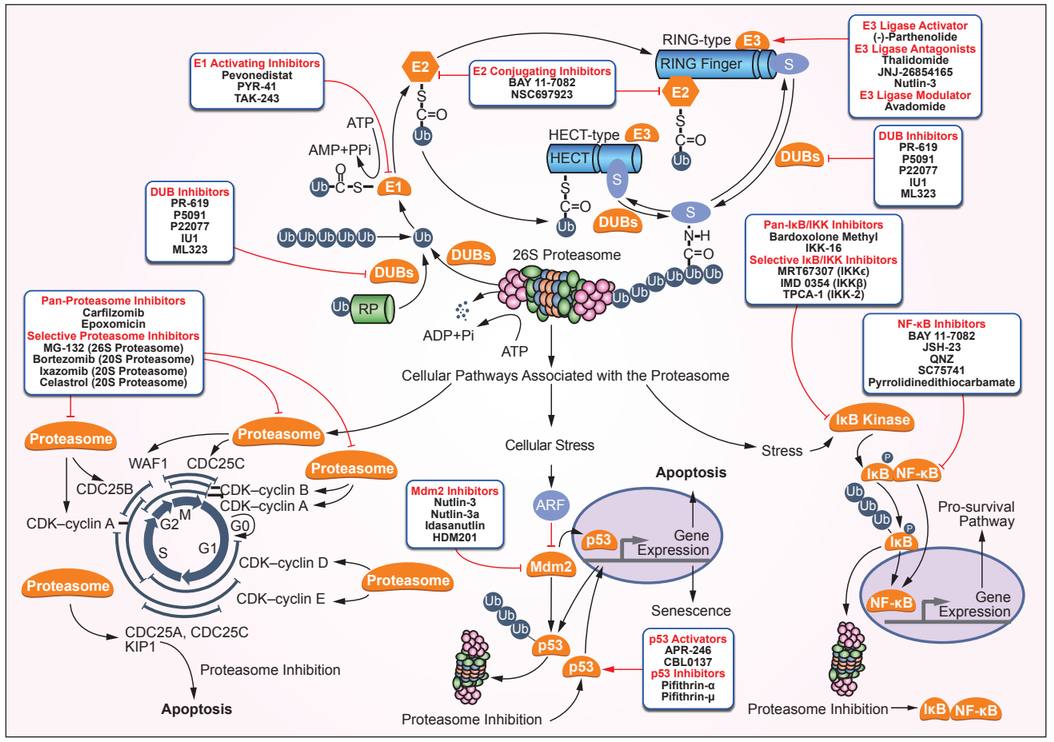
Proteases



Proteasome
详细信息在第57页

Caspase
详细信息在第36页

Gamma-secretase
详细信息在第55页

HCV Protease

抑制剂选择性比较

抑制剂名称	HCV Protease	其他靶点	临床阶段
Daclatasvir (BMS-790052)	+++ EC50: 9 pM-50 pM		Phase 4
Telaprevir (VX-950)	++ IC50: 0.35 μM		Phase 4
Lomibuvir (VX-222, VCH-222)	+ IC50: 0.94 μM		Phase 2
Danoprevir (ITMN-191)	++ IC50: 0.2 nM-3.5 nM		Phase 3
Paritaprevir (ABT-450)	+++ EC50: 0.21 nM		Phase 4
Grazoprevir	++++ Ki: 0.01 nM	gt1b R155K,gt1b D168V,gt1b D168Y	Phase 4
Elbasvir	√		Phase 4
Ombitasvir (ABT-267)	√		Phase 4
Daclatasvir Dihydrochloride	√		
Simeprevir	√		Phase 4
Velpatasvir	√		Phase 4
Ledipasvir (GS5885)	√		Phase 4

DPP-4

抑制剂选择性比较

抑制剂名称	DPP-4	其他靶点	临床阶段
Sitagliptin phosphate monohydrate	+ IC50: 19 nM		Phase 4
Linagliptin	++++ IC50: 1 nM		Phase 4
Vildagliptin (LAF-237)	+++ IC50: 2.3 nM		Phase 4
Saxagliptin	+ IC50: 26 nM		Phase 4
Alogliptin（SYR-322）benzoate	++ IC50: <10 nM		Phase 4
Alogliptin	+++ IC50: 2.93 nM		Phase 4
Sitagliptin	++ IC50: 18 nM		Phase 4
Trelagliptin succinate	++ IC50: 4 nM		Phase 3
Omarigliptin (MK-3102)	++++ IC50: 1.6 nM		Phase 4
Teneligliptin hydrobromide	+++ IC50: 1.75 nM	DPP-9	
Saxagliptin hydrate	√		
Trelagliptin	√		Phase 4

HIV Protease

抑制剂选择性比较

抑制剂名称	HIV Protease	其他靶点	临床阶段
Lopinavir	++++ Ki: 1.3 pM		Phase 4
Atazanavir Sulfate	++ Ki: 2.66 nM		
Amprenavir	+ IC50: 14.6 ng/mL	PXR	Phase 4
Nelfinavir Mesylate	+++ Ki: 2 nM		Phase 4
Ritonavir	√	CYP3A4	Phase 4
Darunavir Ethanolate	√		Phase 4
Atazanavir	√		Phase 4
Limonin	√		

MMP

抑制剂选择性比较

抑制剂名称	MMP	临床阶段
Batimastat (BB-94)	+++ IC50: 3 nM	
Ilomastat (GM6001, Galardin)	++++ Ki: 0.36 nM	
SB-3CT	+ Ki: 13.9 nM	
Marimastat (BB-2516)	+++ IC50: 5 nM	Phase 3
NSC 405020	√	
Doxycycline Hyclate	√	Phase 4
Nobiletin	√	

Serine Protease

抑制剂选择性比较

抑制剂名称	Serine Protease	其他靶点	临床阶段
Gabexate Mesylate	++ IC50: 0.19 μM		Phase 3
Aprotinin	+++ Ki: 9.5 nM	Thrombin,Trypsin,kallikrein	Phase 4
Alvelestat (AZD9668)	++++ IC50: 12 nM		Phase 2
Nafamostat Mesylate	√		
PMSF	√	cysteine protease	
Sivelestat sodium tetrahydrate	√		Phase 4
Sivelestat (ONO-5046)	√		
Leupeptin Hemisulfate	√	Cysteine protease	
AEBSF HCl	√		

Tyrosinase

抑制剂选择性比较

抑制剂名称	tyrosinase	monophenolase	diphenolase	临床阶段
Deoxyarbutin	++++ IC50: 50 nM			
Kojic acid	++ IC50: 0.28 mM			
Hexylresorcinol	+++ IC50: 0.85 μM	++ IC50: 1.24 μM	+++ IC50: 0.85 μM	
Arbutin	+ IC50: 1.09 mM			Phase 3
Monobenzone	√			
Aloin	√			

Cysteine Protease

抑制剂选择性比较

抑制剂名称	Cysteine Protease	其他靶点	临床阶段
Odanacatib (MK-0822)	++++ IC50: 0.2 nM		Phase 3
E-64	+++ IC50: 9 nM		
2-cyano-Pyrimidine	++ IC50: 170 nM		
PD 151746	+ IC50: 260 nM		
Calpeptin	++ ID50: 34 nM		
Cathepsin Inhibitor 1	+++ pIC50: 6.7	cathepsin B	
PMSF	√	chymotrypsin	
Aloxistatin(E64d)	√		
Loxistatin Acid (E-64C)	√		
Leupeptin Hemisulfate	√	serine protease	
Z-FA-FMK	√		
MG-101 (ALLN)	√		Phase 3

Glutaminase

抑制剂选择性比较

抑制剂名称	glutaminase	临床阶段
UPGL00004	+++ IC50: 29 nM	
BPTES	++ IC50: 0.16 μM	
CB-839	++++ IC50: 24 nM	Phase 2

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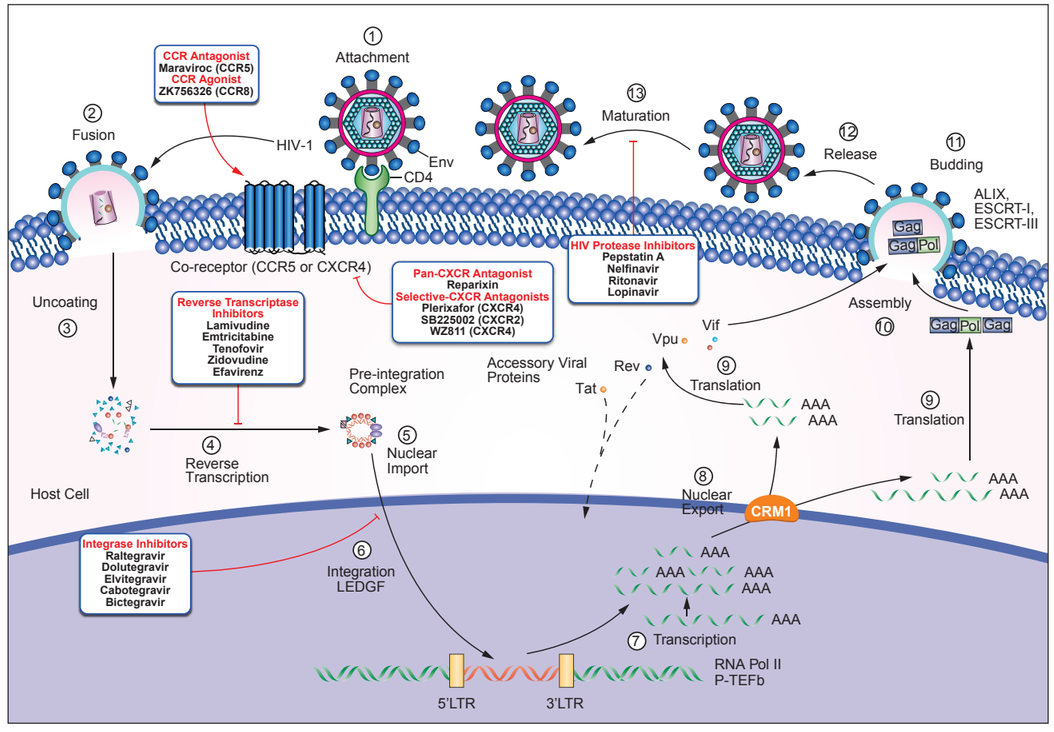
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。

Microbiology



HCV Protease
详细信息在第86页

CCR
详细信息在第19页

HIV Protease
详细信息在第87页

Integrase

抑制剂选择性比较

抑制剂名称	Integrase	其他靶点	临床阶段
Raltegravir (MK-0518)	+ IC50: 40 nM		Phase 4
Elvitegravir (GS-9137, JTK-303)	++++ IC50: 0.7 nM		Phase 4
Dolutegravir (GSK1349572)	+++ IC50: 2.7 nM		Phase 4
BMS-707035	++ IC50: 15 nM		Phase 2
MK-2048	+++ IC50: 1.5 nM		Phase 1
Dolutegravir Sodium	+++ IC50: 2.7 nM		
Raltegravir potassium	√		Phase 3
Cabotegravir (GSK744, GSK1265744)	√		Phase 3
Salicylanilide	√	reverse transcriptase	

Reverse Transcriptase

抑制剂选择性比较

抑制剂名称	Reverse Transcriptase	其他靶点	临床阶段
Didanosine	++ IC50: 490 nM		Phase 4
Dapivirine (TMC120)	++++ IC50: 24 nM		Phase 3
Delavirdine (mesylate)	+++ IC50: 0.26 μM		
Tenofovir	√		Phase 4
Tenofovir Disoproxil Fumarate	√		Phase 4
Emtricitabine	√		Phase 4
Entecavir Hydrate	√		
Adefovir Dipivoxil	√		Phase 4
Nevirapine	√		Phase 4
Lamivudine	√		Phase 4
Stavudine (d4T)	√		Phase 4
Telbivudine	√		Phase 4
Etravirine (TMC125)	√		Phase 4
Zidovudine	√		Phase 4
Zalcitabine	√		Phase 4
Abacavir sulfate	√		Phase 4
Foscarnet Sodium	√	RNA polymerase,DNA polymerase	Phase 4
Rilpivirine	√		Phase 4
Adefovir	√		Phase 4
Abacavir	√		Phase 4
Efavirenz	√		Phase 4
Tenofovir Alafenamide (GS-7340)	√		Phase 4
Salicylanilide	√	integrase	

注：

1. 更多细节，例如半抑制浓度（IC_{50}）以及任何抑制剂的相关工作浓度，请访问www.selleck.cn。
2. "+"代表抑制作用的强度。"+"越多，抑制作用越强。
3. 红色的"√"表示该化合物对相应的亚型有抑制作用，但抑制强度暂时没有相关数据。