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Tyrosine Kinase Inhibitors At A Glance

Tyrosine Kinases (TKs) are enzymes that catalyze the addition of a phosphate from ATP to a tyrosine residue on a substrate protein. Tyrosine phosphorylation serves as a molecular signal during embryogenesis and tissue remodeling in adults. Humans have more than 90 known tyrosine kinases: 58 receptor-linked and 32 cytosolic. Due to their involvement in cell proliferation, TKs are attractive targets for therapeutic intervention in various forms of cancer. TK inhibitors (TKIs) inhibit proliferation, survival, invasion, and angiogenesis in cancer cells. High TK activity in fibroblasts is directly associated to the ability of these cells to help heal wounds as well as in tissue remodeling and transformation. Deregulation of TKs has been linked to several human developmental abnormalities. Inhibitors help turn off the TKs when they become mutated or get constitutively turned on in various disease states. BioVision's portfolio includes an extensive collection of individual TK inhibitors and also convenient sets of inhibitors for specific classes of TKs (for example the receptor-type TK inhibitor set).

This handy list of TK inhibitors, their targets and IC_{50} concentrations takes the guesswork out of the equation and minimizes time-consuming literature search and helps you select the appropriate inhibitors for your research goal with confidence. Print it and stick it in your lab for everyday reference and simply use it as your go-to resource for information.

Tyrosine Kinase Inhibitors

Inhibitor Name	Size	Cat #	Target 1	Target 2	Target 3	Target 4
A 83-01	1 mg	1725-1	ALK4 (45 nM)	ALK5 (12 nM)	ALK7 (7.5 nM)	
EZSolution™ A83-01, Sterile-Filtered	1 ml	1989-1	ALK4 (45 nM)	ALK5 (12 nM)	ALK7 (7.5 nM)	
ABT-869	1 mg, 5 mg	1615-1, -5	VEGF receptors (0.2 nM VEGF-stimulated proliferation in human endothelial cells)	PDGF receptors (2nM PDGFRβ, 4nM KDR, 7 nM CSF-1R)		
AG 1478	5 mg, 25 mg	1800-5, -25	EGFR Tyrosine Kinase (3 nM)	PDGFR kinases (>100 µM)	HER2-NEU kinases (>100 µM)	
AG-1296	5 mg	2376-5	PDGF receptor kinase (1 µM)	c-kit	FGF kinase	
Altenusin, Penicillium sp.	1 mg, 5 mg	2146-1, -5	Sphingomyelinase (N-SMase)	pp60c-Src	CSF-1/m-CSF receptor	Myosin light chain kinase
AMG-208	5 mg, 25 mg	2553-5, -25	c-Met			
Anomalin A	1 mg	2151-1	Lck (p56lck; lymphocyte specific tyrosine kinase)			
AT9283	5 mg, 25 mg	2432-5, -25	pan-Aurora kinase (3 nM for both Aurora A and B)	JAK2/JAK3 (1.2/1.1 nM)	c-Abl (110 nM)	Abl/T315I (4 nM)
Axitinib (AG-013736)	5 mg, 25 mg	1581-5, -25	VEGFR-1, 2, 3 (100 pM, 200 pM, 100 pM)	PDGFR (5 nM)	PDGFR-β (1.6 nM)	cKIT (CD117) (1.7 nM)
AZD-3463	5 mg, 25 mg	2371-5, -25	ALK (Anaplastic Lymphoma Kinase) (~22 nM)	IGFR1		
BAY 43-9006	25 mg, 100 mg	1594-25, -100	Raf1, B-Raf (6 nM, 22 nM)	VEGFR-2, 3 (90 nM, 15 nM)	PDGFR-β (20 nM)	Flt-3, cKIT (57 nm, 58 nM)
EZSolution™ BAY 43-9006	25 mg	2031-25	Raf1, B-Raf (6 nM, 22 nM)	VEGFR-2, 3 (90 nM, 15 nM)	PDGFR-β (20 nM)	Flt-3, cKIT (57 nm, 58 nM)
BAY 43-9006, Free base	25 mg, 100 mg	2142-25, -100	Raf1, B-Raf (6 nM, 22 nM)	VEGFR-2, 3 (90 nM, 15 nM)	PDGFR-β (20 nM)	Flt-3, cKIT (57 nm, 58 nM)
Bay 61-3606 Hydrochloride	1 mg, 5 mg	1796-1, -5	Spleen Tyrosine Kinase (Syk) (10 nM)			

Tyrosine Kinase Inhibitors cont...

Inhibitor Name	Size	Cat #	Target 1	Target 2	Target 3	Target 4
BIBF1120	5 mg, 25 mg	2167-5, -25	VEGFR (13-34 nM)	PDGFR (59-65 nM)	FGFR (37-610 nM)	
BIBW2992 (Tovok)	1 mg, 5 mg	1616-1, -5	EGFR (0.5 nM)	HER2 (14 nM)		
BMS-599626	1 mg, 5 mg	1614-1, -5	HER1, 2, 4 (20 nM, 30 nM, 190 nM)			
BMS-777607	5 mg, 25 mg	2455-5, -25	Met kinase superfamily (c-Met - 3.9 nM, Axl - 1.1 nM, RON - 1.8 nM, Tyro3 - 4.3 nM)			
Bosutinib (SKI-606)	5 mg, 25 mg	1584-5, -25	Src (1.2 nM)	Abl (1 nM)		
BTK Inhibitor, CNX-774	5 mg, 25 mg	2600-5, -25	BTK (< 1 nM)			
BTK Inhibitor, PCI-32765	5 mg, 25 mg	2298-5, -25	BTK (0.5 nM)			
Canertinib (CI-1033, PD-183805)	5 mg, 50 mg	1617-5, -50	Pan-erbB tyrosine kinase (EGFR - 0.8 nM, HER2 - 19 nM, ErbB4 -7 nM)			
Carbozantinib	5 mg, 25 mg	1935-5, -25	MET (1.8 nM)	VEGFR2 (0.035 nM)	FLT3/Tie2 (14.4 nM)	Kit (4.6 nM)
Cediranib (AZD2171)	1 mg, 5 mg	1613-1, -5	VEGFR-1, 2, 3 (5 nM, 1 nM, 3 nM)	c-Kit (2 nM)	PDGF- AA (40 nM)	
CP-690550	5 mg, 25 mg	1622-5, -25	JAK-1, 2, 3 (3.2 nM, 4.1 nM, 1.6 nM)			
Crizotinib	5 mg, 25 mg	1934-5, -25	ALK (24 nM)	cMet (11 nM)		
CUDC-101	5 mg, 25 mg	1966-5, -25	HDAC (4.4 nM)	EGFR (2.4 nM)	HER2 (15.7 nM)	
Dasatinib (BMS-354825, Sprycel)	25 mg, 100 mg	1586-25, -100	BCR–ABL (<1 nM)	Src (3 nM)	Lyn (8.5 nM)	Src family (0.2–1.1 nM)
EZSolution™ Dasatinib	25 mg	2029-25	BCR–ABL (<1 nM)	Src (3 nM)	Lyn (8.5 nM)	Src family (0.2–1.1 nM)
DiscoveryPak™ EGFR Tyrosine Kinase Inhibitor Set	5 inhibitors	K858-5	Pan ErbB	EGFR (ErbB1, ErbB2)		
PathwayReady™ EGFR Signaling Inhibitor Panel	14 inhibitors	K863-14	"EGFR, JAK2, JAK3, Akt1, Akt2, ErbB1, ErbB2, PI3K, MEK1, Src family, p78 SR kinase, p38 MAPK, Raf, PDGF, VEGF, JNK, Stat3, cRaf			
Emodin	25 mg, 50 mg, 100 mg, 250 mg	1875-25, -50, -100, -250	p56lck (18.5 µM)			
Erlotinib, Free Base	100 mg, 1 g	2048-100, -1000	EGFR (2 nM), EGFR autophosphorylation in tu (20 nM)			
Erlotinib, Hydrochloride Salt	100 mg, 1 g	1588-100, -1000	EGFR (2 nM)			
Fostamatinib Disodium	1 mg, 5 mg	1936-1, -5	Spleen tyrosine kinase (Syk) (41 nM)	Flt3 (200 nM)	Adenosine A3 receptor (81 nM)	Adenosine transporter (1.84 µM), Monoamine transporter (2.74 µM)
Gefitinib	5 mg, 25 mg	1589-5, -25	EGFR (33 nM)			
EZSolution™ Gefitinib	5 mg	2030-5	EGFR (33 nM)			
Genistein	10 mg, 100 mg	1533-10, -100	Protein Tyrosine Kinases (~1-10 µM), p60v-src (25 µM)	EGFR (autophosphorylation = 2.6 µM, 22 µM)	TNF alpha (40 nM)	PKA/PKC (>350 µM)
Genistin	10 mg	1535-10	Protein Tyrosine Kinases (~1-10 µM), p60v-src (25 µM)	EGFR (autophosphorylation = 2.6 µM, 22 µM)		

Tyrosine Kinase Inhibitors cont...

Inhibitor Name	Size	Cat #	Target 1	Target 2	Target 3	Target 4
GNF-2	5 mg, 25 mg	2470-5, -25	Bcr-Abl (267 nM)			
GSK1904529A	5 mg, 25 mg	2286-5, -25	IGF-1R (27 nM)	Irnsulin receptor (25 nM)		
GW-786034	5 mg, 25 mg	1916-5, -25	VEGFR-1, 2, 3 (10 nM, 30 nM, 47 nM)	PDGFR (84 nM)	FGFR (74 nM)	
GW2580	5 mg, 25 mg	1740-5, -25	c-FMS (30 nM)			
EZSolution™ GW2580	5 mg	2549-5	c-FMS (30 nM)			
Harmine	50 mg, 250 mg	2561-50, -250	DYRK1A (DYRKA1A - 33 nM, DYRKA1B -166 nM, DYRK2 - 1.9 µM, DYRK4 - 80 µM)			
Hypericin	1 mg, 5 mg	2526-1, -5	PKC (3.4 µM), TK (7.5 µM)	Casein Kinase II (6 nM)	MAP Kinase (4 nM)	Insulin R (20-29 nM), EGFR (35 nM)
Imatinib Mesylate (CGP-57148B, STI-571)	100 mg, 1 g	1625-100, -1000	Bcr-Abl (630 nm), v-Abl (600 nM)	PDGFR (100 nM)	c-Kit (100 nM)	
Imatinib, Free base	100 mg, 1 g	2141-100, -1000	Bcr-Abl (630 nm), v-Abl (600 nM)	PDGFR (100 nM)	c-Kit (100 nM)	
JAK Inhibitor, Pyridone 6	500 µg, 1 mg	2534-500, -1000	JAK-1, 2, 3 (15 nM, 1 nM, 5 nM)	Tyk-2 (1 nM)	TKs (130 nM- 10 mM)	
PathwayReady™ JAK/STAT Signaling Inhibitor Panel	8 inhibitors	K864-8	JAK-2, 3	STAT - 3, 5		
Lapatinib Ditosylate (Tykerb, Tyverb, GW-572016)	25 mg, 100 mg	1624-25, -100	EGFR (10.8 nM)	ErbB2 (9.8 nM)	ErbB4 (367 nM)	
Lapatinib, Free base	25 mg, 100 mg	2138-25, -100	EGFR (10.8 nM)	ErbB2 (9.8 nM)	ErbB4 (367 nM)	
LFM-A13	5 mg, 25 mg	2414-5, -25	Bruton's tyrosine kinase (BTK) (2.5 -17 µM)	Polo-like kinase (PLK) (PLK1 - 37.3 µM, PLK3 - 61 µM)		
Linsitinib, Free base	5 mg, 25 mg	2294-5, -25	IGF-1R (35 nM)	Insulin receptor (75 nM)		
Masitinib	5 mg, 25 mg	2007-5, -25	Kit (200 nM)	PDGFRα/β (540 nM/800 nM)		
MK-1775	5 mg, 25 mg	2373-5, -25	WEE1 (5.2 nM)			
Motesanib	5 mg, 25 mg	2022-5, -25	VEGFR-1, 2, 3 (2 nM, 3 nM, 6 nM)	PDGFR (84 nM)	Kit (8 nM)	
Neratinib	5 mg, 25 mg	2023-5, -25	HER2 (59 nM)	EGFR (92 nM)		
Nilotinib	25 mg, 100 mg	1750-25, -100	Bcr-Abl (<30 nM)			
NVP-BHG712	5 mg, 25 mg	2464-5, -25	EphB4 (25 nM)	c-Raf (0.395 µM)	c-Src (1.266 µM)	c-Abl (1.667 µM)
NVP-TAE684	5 mg	1683-5	Anaplastic Lymphoma Kinase (ALK) (3 nM)			
PD 153035 Hydrochloride	2 mg	1656-2	EGFR (25 pM)	ErbB-4 (49 nM)		
PD 173074	1 mg	1675-1	FGFR1 (21.5 nM)	VEGFR2 (100-200 nM)		
Piceatannol	5 mg, 25 mg	2072-5, -25	Syk kinase (~10 µM)	PKA catalytic subunit, PKC (3 µM, 8 µM)	MLCK (12 µM)	CDPK (19 µM)
PP1	1 mg, 5 mg	1927-1, -5	p56lck (5 nM)	p59fynT (6 nM)	Hck (20 nM)	Src (170 nM)
PP2	1 mg, 5 mg	1767-1, -5	Src (36-100 nM)	Lck (31 nM), p56lck (4 nM), p59fynT (5 nM)	RIP2 (19 nM), Hck (5 nM)	CK1δ (41 nM)
PP3	10 mg, 50 mg	1797-10, -50	Negative control for PP2	EGFR Kinase (2.7 µM)		
Quizartinib	1 mg, 5 mg	2008-1, -5	FLT3-ITD (1.1 nM)	FLT3 -wt (4.2 nM)		

Tyrosine Kinase Inhibitors cont...

Inhibitor Name	Size	Cat #	Target 1	Target 2	Target 3	Target 4
DiscoveryPak™ Receptor Tyrosine Kinase (RTK) Inhibitor Set	8 inhibitors	K859-8	VEGFR-1, 2, 3, Multi-RTK, PDGFR, c-Kit, Pan ErbB, EGFR (ErbB1, ErbB2), cFMS, FGFR1, ALK5			
Saracatinib (AZD0530)	5 mg, 25 mg	1582-5, -25	c-Src (2.7 nM), c-Yes/ Fyn/Lyn/Blk/Fgr/Lck (4-10 nM)	Bcr-Abl/v-Abl (30 nM)		
SB-431542	1 mg	1674-1	ALK5 (94 nM)	ALK4 (140 nM)		
EZSolution™ SB-431542	1 mg	1872-1	ALK5 (94 nM)	ALK4 (140 nM)		
EZSolution™ SB-431542, Sterile-Filtered	1 ml	1992-1	ALK5 (94 nM)	ALK4 (140 nM)		
SB1518 (Pacritinib)	1 mg, 5 mg	2450-1, -5	JAK2 (23 nM)	FLT3 (22 nM)		
SU 11274	5 mg, 25 mg	1938-5, -25	Met (10 -20 nM)	Flk (1.3 µM), PDGFRß (>20 µM), c-src/cdk2 (>10 µM), FGFR-1 (9.7 µM)	EGFR/Tie2 (>100 µM),	
SU 1498	1 mg, 5 mg	1836-1, -5	VEGFR2/Flk1 (700 nM)	PDGFR (>50 µM)	EGFR/HER2 (>100 µM)	
SU 6668	5 mg, 25 mg	1931-5, -25	PDGFRß (0.06 µM)	VEGFR2 (2.43 µM)	FGFR1 (3.04 µM)	
SU-5402	500 µg, 1 mg	1645-05, -1	FGFR1 (0.03 µM)	VEGFR2 (0.02 µM)	PDGFRβ (0.51 µM)	
EZSolution™ SU-5402	500 µg	2017-500	FGFR1 (0.03 µM)	VEGFR2 (0.02 µM)	PDGFRβ (0.51 µM)	
Sunitinib Malate (Sutent)	25 mg, 100 mg, 1 g	1611-25, -100, -1000	VEGFR2 (80 nM), PDGFRβ (2 nM), PDGFRα (69 nM)	FLT3-wt(250 nM), FLT3-ITD (50 nM), FLT3-Asp835 (30 nM)	CSF-1 (7 nM), CSF1R (0.012 µM)	Kit (1 - 10 nM)
EZSolution™ Sunitinib Malate	25 mg	1804-25	VEGFR2 (80 nM), PDGFRβ (2 nM), PDGFRα (69 nM)	FLT3-wt(250 nM), FLT3-ITD (50 nM), FLT3-Asp835 (30 nM)	CSF-1 (7 nM), CSF1R (0.012 µM)	Kit (1 - 10 nM)
Sunitinib, Free base	25 mg, 100 mg, 1 g	2097-25, -100, -1000	VEGFR2 (80 nM), PDGFRβ (2 nM), PDGFRα (69 nM)	FLT3-wt(250 nM), FLT3-ITD (50 nM), FLT3-Asp835 (30 nM)	CSF-1 (7 nM), CSF1R (0.012 µM)	Kit (1 - 10 nM)
Syk Inhibitor	1 mg, 5 mg	1983-1, -5	Syk (14 nM)			
TAK 165	5 mg, 25 mg	1951-5, -25	HER2/ErbB2 (6 nM)			
Tandutinib	5 mg, 25 mg	2024-5, -25	FLT3 (0.22 µM)	PDGFRβ (0.20 µM)	c-Kit (0.17 µM)	
Tyrphostin AG 1295	5 mg	1571-5	PDGFR (0.3-0.5 µM)			
Tyrphostin AG 490	5 mg	1570-5	EGFR (0.1 µM)	ErbB2 (13.5 µM)	JAK2 (~10 µM)	
Vandetanib	25 mg, 100 mg	1751-25, -100	"VEGFR (40 nM), VEGFR3 (110 nM) "	EGFR/HER1 (500 nM)		
Vatalanib Dihydrochloride	5 mg, 25 mg	2025-5, -25	VEGFR-1 (77 nM), VEGFR-2 (FLK-1/KDR - 37 nM)	PDGFR-β (580 nM), c-KIT (730 nM)	FLT-4 (660 nM)	c-FMS (1.4 µM)
Vatalanib, Free Base	5 mg, 25 mg	2026-5, -25	VEGFR-1 (77 nM), VEGFR-2 (FLK-1/KDR - 37 nM)	PDGFR-β (580 nM), c-KIT (730 nM)	FLT-4 (660 nM)	c-FMS (1.4 µM)
WHI-P131	5 mg, 25 mg	1853-5, -25	JAK3 (78 µM)			
WP1066	5 mg, 25 mg	1809-5, -25	JAK/STAT3 (2.3 µM)			
EZSolution™ WP1066	5 mg	2546-5	JAK/STAT3 (2.3 µM)			
WZ4002	5 mg, 25 mg	2461-5, -25	EGFR (2 nM)			
XL019	5 mg, 25 mg	2609-5, -25	JAK-1, 2 ,3 (130 nM, 2 nM, 250 nM)	Tyk-2 (340 nM)		