

Table 1: Small molecule inhibitors of protein kinases approved for clinical use or in late Phase 3 clinical trials

Name	Structure	Reported target	Company	Approved for clinical use	Name	Structure	Reported target	Company	Approved for clinical use
Fasudil (Erl)		ROCK1/2	Asahi Kasei	1995 cerebral vasospasm, PAH	Afatinib		Her2 EGFR	BI	2013 NSCLC with EGFR mutations
Sirrolimus (Rapamune)		mTOR	Wyeth Pfizer	1999 kidney transplantation	Ibrutinib		Bruton's Tyrosine Kinase	Janssen, Pharmacia-cyclic	2013 mantle cell lymphoma, CLL
Imatinib		Bcr-Abl c-KIT PDGFR	Novartis	2001 chronic myelogenous leukemia	Ceritinib		ALK	Novartis	2014 NSCLC with Alk translocations
Gefitinib		EGFR	AZ	2003 NSCLC	Idelalisib		PI3K δ	Gilead, Calistoga, ICOS	2014 chronic lymphocytic leukemia, FL
Erlotinib		EGFR	Genetech Roche	2004 NSCLC, pancreatic cancer	Nintedanib		VEGFR, PDGFR, FGFR	BI	2014 idiopathic pulmonary fibrosis
Sorafenib		Multiple Tyrosine kinases targeted	Onyx Bayer	2005 renal cancer, HCC	Alectinib		ALK	Roche, Chugai	2014 Alk-rearranged NSCLC
Sunitinib		Multiple Tyrosine-kinases targeted	Sugen Pfizer	2006 renal cancer, Imatinib resistant GIST	Palbociclib		CDK4/6	Pfizer	2015 advanced (metastatic) breast cancer
Lapatinib		EGFR, ERBB2	GSK	2007 breast cancer	Lenvatinib		VEGFRs	Eisai	2015 thyroid cancer (DTC), kidney cancer
Dasatinib		Multiple Tyrosine-kinases targeted	BMS	2007 chronic myelogenous leukemia, ALL	Cobimetinib		MEK	Roche, Exelixis	2015 melanoma
Nilotinib		Bcr-Abl	Novartis	2007 chronic myelogenous leukemia	Osimertinib		EGFR	AZ	2015 NSCLC with EGFR mutation
Everolimus		mTOR	Novartis	2009 several cancers	Olumetinib		EGFR	BI	2016 NSCLC with EGFR mutation
Temsirolimus		mTOR	Wyeth Pfizer	2009 advanced renal cell carcinoma	Ribociclib		CDK4/6	Novartis	2017 advanced (metastatic) breast cancer
Pazopanib		VEGFR2 PDGFR c-KIT	GSK	2009 renal cancer	Brigatinib		ALK, EGFR	Ariad	2017 NSCLC with EGFR mutation
Crizotinib		ALK/MET	Pfizer	2011 NSCLC with Alk mutation	Midostaurin		FLT3 KIT	Novartis	2017 acute myeloid leukemia, mastocytosis
Vandetanib		Multiple Tyrosine kinases targeted	AZ	2011 thyroid cancer	Neratinib		EGFR	Wyeth, Pfizer	2017 breast cancer - HER2
Ruxolitinib		JAKs	Incyte, Novartis	2011 myelofibrosis	Baricitinib		JAKs	Incyte Eli Lilly	2017 rheumatoid arthritis
Vemurafenib		BRAF	Roche, Plexxikon	2011 metastatic melanoma BRAFV600E	Abemaciclib		CDK4/6	Eli Lilly	2017 advanced (metastatic) breast cancer
Axitinib		VEGFRs PDGFRB c-KIT	Pfizer	2011 renal cell carcinoma	Copanlisib		PI3K mTOR	Bayer	2017 follicular lymphoma
Regorafenib		VEGFR2 TIE2 others PTKs	Bayer	2012 colorectal cancer, GIST, HCC	Acalabrutinib		Bruton's Tyrosine Kinase	AZ Acerta Pharma	2017 mantle cell lymphoma, CLL
Tofacitinib		JAKs	Pfizer	2012 rheumatoid arthritis	Fostamatinib		SYK	Rigel	2018 autoimmune thrombocytopenia
Cabozantinib		VEGFR2, PDGFR, KIT	Exelixis	2012 medullary thyroid cancer	Simotinib		EGFR	Jiangsu Sincere Pharm.	2018 NSCLC
Ponatinib		SRC, Abl	Ariad	2012 chronic myelogenous leukemia, ALL	Binimetinib		MEK RAF	ARRAY Novartis	2018 melanoma
Bosutinib		Bcr-Abl SRC	Pfizer	2012 chronic myelogenous leukemia	Duvelisib		PI3K δ / γ	Infinity Verastem	2018 CLL, SLL
Radotinib		Bcr-Abl PDGFR	Daewoong	2012 chronic myelogenous leukemia	Dacomitinib		EGFR	Pfizer	2018 NSCLC with EGFR mutations
Dabrafenib		BRAF	GSK	2013 skin cancer	Gilteritinib		FLT3 AXL	Astellas	2018 acute myeloid leukemia
Trametinib		MEK1/2	GSK	2013 skin cancer					