

Table1: 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	Name	Structure	Reported target	Company	Approved for clinical use
Fasudil (Erl)		ROCK1/2	Asahi Kasei	1995 cerebral vasospasm PAH	Bosutinib		Bcr-Abl SRC	Pfizer	2012 chronic myelogenous leukemia	Copanlisib		PI3K mTOR	Bayer	2017 follicular lymphoma
Sirolimus (Rapamune)		mTOR	Wyeth Pfizer	1999 kidney transplantation	Radotinib		Bcr-Abl PDGFR	Daewoong	2012 chronic myelogenous leukemia	Netarsudil		ROCK	Aerie	2017 glaucoma (topical)
Imatinib		Bcr-Abl c-KIT PDGFR	Novartis	2001 chronic myelogenous leukemia	Dabrafenib		BRAF	GSK	2013 skin cancer	Tivozanib		VEGFR	EUSA Pharma	2017 RCC
Gefitinib		EGFR	AZ	2003 NSCLC	Trametinib		MEK1/2	GSK	2013 skin cancer	Acalabrutinib		Bruton's Tyrosine Kinase	AZ Acerta Pharma	2017 mantle cell lymphoma, CLL
Erlotinib		EGFR	Genentech Roche	2004 NSCLC pancreatic cancer	Afatinib		Her2 EGFR	BI	2013 NSCLC with EGFR mutations	Fostamatinib		SYK	Rigel	2018 autoimmune thrombocyto-penia
Sorafenib		Multiple Tyrosine kinases targeted	Onyx Bayer	2005 renal cancer HCC	Ibrutinib		Bruton's Tyrosine Kinase	Janssen Pharmacycl ic	2013 mantle cell lymphoma, CLL	Simotinib		EGFR	Jiangsu Sincere Pharm.	2018 NSCLC
Sunitinib		Multiple Tyrosine-kinases targeted	Sugen Pfizer	2005 renal cancer, Imatinib resistant Gastro-Intestinal Stromal Tumour	Ripasudil		ROCK	Kowa	2014 glaucoma ocular hypertension	Encorafenib		MEK RAF	ARRAY Novartis	2018 melanoma
Lapatinib		EGFR ERBB2	GSK	2007 breast cancer	Ceritinib		ALK	Novartis	2014 NSCLC with ALK translocations	Binimetinib		MEK RAF	ARRAY Novartis	2018 melanoma
Dasatinib		Multiple Tyrosine-kinases targeted	BMS	2007 chronic myelogenous leukemia , ALL	Idelalisib		PI3K δ	Gilead, Calistoga, ICOS	2014 chronic lymphocytic leukemia, FL	Duvelisib		PI3K δ/ γ	Infinity Verastem	2018 CLL SLL
Nilotinib		Bcr-Abl	Novartis	2007 chronic myelogenous leukemia	Nintedanib		VEGFR PDGFR FGFR	BI	2014 idiopathic pulmonary fibrosis	Dacomitinib		EGFR	Pfizer	2018 NSCLC with EGFR mutations
Everolimus		mTOR	Novartis	2009 renal cell carcinoma	Alectinib		ALK	Roche, Chugai	2014 NSCLC with ALK translocations	Gilteritinib		FLT3 AXL	Astellas	2018 acute myeloid leukemia
Temsirolimus		mTOR	Wyeth Pfizer	2009 advanced renal cell carcinoma	Palbociclib		CDK4/6	Pfizer	2015 advanced (metastatic) breast cancer	Larotrectinib		NTRK	LOXO Bayer	2018 cancer with NTRK fusions
Pazopanib		VEGFR2 PDGFR c-KIT	GSK	2009 renal cancer	Lenvatinib		VEGFRs	Eisai	2015 thyroid cancer (DTC), kidney cancer	Lorlatinib		ALK ROS	Pfizer	2018 NSCLC
Crizotinib		ALK MET	Pfizer	2011 NSCLC with Alk mutation	Cobimetinib		MEK	Roche, Exelixis	2015 melanoma	Erdafitinib		FGFR	Astex Janssen	2019 urothelial cancer
Vandetanib		Multiple Tyrosine kinases targeted	AZ	2011 thyroid cancer	Osimertinib		EGFR	AZ	2015 NSCLC with EGFR mutation	Alpelisib		PI3Kα	Novartis	2019 breast cancer
Ruxolitinib		JAKs	Incyte Novartis	2011 myelofibrosis	Olmotinib		EGFR	BI	2016 NSCLC with EGFR mutation	Pexidartinib		CSF1R c-KIT	Plexxikon	2019 TGCT
Vemurafenib		BRAF	Roche Plexikon	2011 metastatic melanoma BRAFV600E	Ribociclib		CDK4/6	Novartis	2017 advanced (metastatic) breast cancer	Entrectinib		TRK ROS ALK	Ignity Roche	2019 NSCLC
Axitinib		VEGFRs PDGFRs c-KIT	Pfizer	2011 renal cell carcinoma	Brigatinib		ALK EGFR	Ariad	2017 NSCLC with EGFR mutation	Upadacitinib		JAK	AbbVie	2019 rheumatoid arthritis
Regorafenib		VEGFR2 TIE2 others PTKs	Bayer	2012 colorectal cancer GIST, HCC	Midostaurin		FLT3 KIT	Novartis	2017 acute myeloid leukemia mastocytosis	Fedratinib		JAK	Celgene	2019 myelofibrosis
Tofacitinib		JAKs	Pfizer	2012 rheumatoid arthritis	Neratinib		EGFR	Wyeth Pfizer	2017 breast cancer HER2	Umbraisib		PI3Kδ	TG Therapeutic	2019 marginal zone lymphoma
Cabozantinib		VEGFR2 PDGFR KIT	Exelixis	2012 medullary thyroid cancer	Baricitinib		JAKs	Incyte Eli Lilly	2017 rheumatoid arthritis	Zanubrutinib		Bruton's Tyrosine Kinase	Beigene	2019 mantle cell lymphoma
Ponatinib		SRC Abl	Ariad	2012 chronic myelogenous leukemia, ALL	Abemaciclib		CDK4/6	Eli Lilly	2017 advanced (metastatic) breast cancer	Avapritinib		PDGFR KIT	Blueprint	2020 Gastro-Intestinal Stromal Tumour