
Supplementary information

**Kinase drug discovery 20 years after
imatinib: progress and future directions**

In the format provided by the
authors and unedited

Table S1. The 76 clinically approved kinase inhibitors up to March 2021.

Drugs for cancer and other diseases are marked in blue and red, respectively. Tyrosine specific protein kinases (Y) are highted in red, serine/threonine-specific kinases (S/T) in blue, and phosphatidylinositol 3-kinases (PI-3Ks) in black. Where inhibitors have multiple approvals, only the date of the first approval is given. *Rapamycin derivatives. ** approved in Korea; *** approved in China

Year	Drug	Brand Name	Disease (cancers, others)	Target Kinase (Y,S/T,PI-3K)
1995	HA1077	Fasudil	cerebral vasospasm	ROCK1/2
1999	Sirolimus	Rapamycin	organ transplantation	mTOR
2001	Imatinib	Gleevec	CML, GIST	Abl,c-KIT, PDGFR
2002	Gefitinib	Iressa	lung	EGFR
2004	Erlotinib	Tarceva	lung, pancreatic	EGFR
2005	Sorafenib	Nexavar	renal carcinoma, HCC	multi-targeted
2006	Sunitinib	Sutent	renal carcinoma	multi-targeted
2007	Lapatinib	Tyverb	breast	EGFR, HER2
2007	Dasatinib	Sprycel	CML, ALL	Abl, others
2007	Nilotinib	Tasigna	CML	Abl, others
2009	Rapamycin*	Everolimus	kidney transplantation	TOR
2009	Rapamycin*	Temsirolimus	kidney transplantation	TOR
2009	Pazopanib	Votrient	renal carcinoma	VEGFR, others
2011	Crizotinib	Xalkori	lung	ALK, ROS1
2011	Vandetanib	Caprelsa	thyroid	VEGFR, EGFR
2011	Ruxolitinib	Jakavi	myelofibrosis	JAKs
2011	Vemurafenib	Zelboraf	malignant melanoma	BRAF[V600E]
2011	Axitinib	Inlyta	renal carcinoma	VEGFR, PDGFR
2011	Icotinib***	Conmana	lung	EGFR
2012	Regorafenib	Stiverga	colorectal, others	VEGFR2, TIE2
2012	Tofacitinib	Xeljanz	rheumatoid arthritis	JAKs
2012	Cabozantinib	Cabometyx	medullary thyroid	MET, others
2012	Ponatinib	Iclusig	CML, ALL	Abl, others
2012	Bosutinib	Bosulif	CML	Abl, others
2012	Radotinib**	Supect	CML	Abl, others
2013	Dabrafenib	Tafinlar	melanoma	BRAF[V600E]
2013	Trametinib	Mekinist	melanoma	MEK1/MEK2
2013	Afatinib	Giotrif	lung	EGFR
2013	Ibrutinib	Imbruvica	lymphoma, ALL	BTK
2014	Ripasudil	Glanatec	glaucoma,	ROCK1/2
2014	Ceritinib	Zykadia	lung	ALK
2014	Idelalisib	Zydelig	CLL	PI-3K δ
2014	Nintedanib	Ofev,Vargatef	lung fibrosis	multi-targeted
2014	Alectinib	Alecensa	lung	ALK
2015	Palbociclib	Ibrance	advanced breast	CDK4/CDK6
2015	Lenvatinib	Lenvima	thyroid and kidney	VEGFR
2015	Cobimetinib	Cotellic	melanoma	MEK1/2

2015	Osimetinib	Tagrisso	lung	mutant EGFR
2016	Olmotinib	Olita	lung	mutant EGFR
2017	Ribociclib	Kisqali	advanced breast	CDK4/CDK6
2017	Brigatinib	Akunbrig	lung cancer	EGFR, ALK
2017	Midostaurin	Rydapt	AML, mastocytosis	multi-targeted
2017	Neratinib	Nerlynx	breast	HER2
2017	Baricitinib	Olumiant	rheumatoid arthritis	JAKs
2017	Abernaciclib	Verzenio	advanced breast	CDK4/CDK6
2017	Copanlisib	Aliqopa	follicular lymphoma	TOR/PI-3K δ
2017	Netarsudil	Rocklatan	glaucoma	ROCK1/2
2017	Acalabrutinib	Calquence	mantle cell lymphoma	BTK
2018	Fostamatinib	Tavalisse	thrombocytopenia	multi-targeted
2018	Anlotinib***	None	lung	EGFR
2018	Encorafenib	Braftovi	melanoma	RAF[V600E]
2018	Binimetinib	Mektovi	melanoma	MEK1/2
2018	Duvelisib	Copictra	CLL, SLL	PI3K γ/δ
2018	Dacomitinib	Vizimpro	lung	EGFR
2018	Gilteritinib	Xospata	AML	mutant FLT3
2018	Larotrectinib	Vitrakvi	NTRK fusions	TRK
2018	Lorlatinib	Lorviqua	lung	ALK/ROS1
2019	Erdafitinib	Balversa	bladder	FGFR1-4
2019	Apelisib	Piqray	breast	PI3K α
2019	Pexidartinib	Turalio	TGCT (joint tumours)	CSF1R, KIT, FLT3
2019	Entrectinib	Rozlytrek	NTRK	ROS1/ALK/TRK
2019	Upadacitinib	Rinvok	rheumatoid arthritis	JAKs
2019	Tepotinib	Tepmetko	lung	MET
2019	Fedratinib	Inrebic	myelofibrosis (bone marrow)	JAK2
2019	Umbralisib	None	marginal zone lymphoma	PI3K δ
2019	Zanubrutinib	Brukinsa	mantle cell lymphoma	BTK
2020	Avapritinib	Ayvakit	GIST	mutant PDGFR
2020	Tucatinib	Tukyssa	breast	HER2
2020	Pemigatinib	Pemazyre	cholangiocarcinoma	FGFR
2020	Capmatinib	Tabrecta	lung caused by MET mutation	MET
2020	Selpercatinib	Retevmo	lung and thyroid	RET
2020	Pralsetinib.	Gavreto	lung and thyroid	RET
2020	Ripretinib	Qinlock	GIST and mastocytosis	KIT, PDGFR α
2020	Selumitinib	Koselugo	neurofibromatosis type I	MEK1/2
2021	Tivozanib	Fotivda	renal cell carcinoma	VEGFR
2021	Trilaciclib	Cosela	lung cancer	CDK4/CDK6