



Bibliometric Graphic of the quinazoline series reported as EGFR TKI

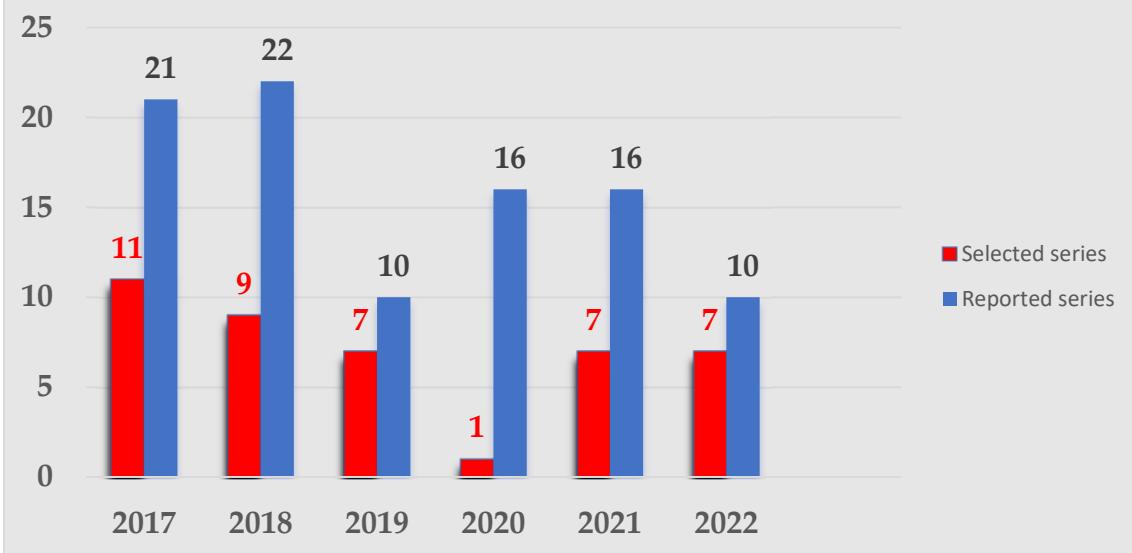


Figure S1. Bibliometric graphic of the reported series of quinazoline derivatives as EGFR TKIs found in the Scopus Database (Blue) and selected series for the discussion of SAR (red) in the period 2017-present

Table S1. *In vitro* efficiency of novel quinazoline derivatives (2017-present) as potential EGFR inhibitors.

Reference	Compound	Kinase assay		Cytotoxicity assay
		Type of kinase ($IC_{50} \pm SD$, nM)		Cell line ($IC_{50} \pm SD$, μM)
Chen et al. [104]	Compound 1	EGFR ^{wt} (20.72 ± 6.41)		A431 (1.35 ± 0.32); A549 (21.17 ± 0.47); NCI-H1975 (12.70 ± 2.98); SW480 (12.50 ± 0.28);
	Gefitinib	EGFR ^{wt} (3.22 ± 1.48)		A431 (4.45 ± 0.25); A549 (8.83 ± 3.80); NCI-H1975 (5.53 ± 0.30); SW480 (6.08 ± 0.32);
	Lapatinib	EGFR ^{wt} (27.06 ± 3.77)		A431 (4.80 ± 0.71); A549 (14.90 ± 1.21); NCI-H1975 (9.08 ± 5.82); SW480 (12.58 ± 1.35);
Zhang et al. [105]	Compound 2	EGFR ^{wt} (7.0 ± 1.4) EG-FR ^{L858R} (322.5 ± 8.7) EG-FR ^{L858R/T790M} (>2000) EGFR ^{T790M} (9.3 ± 0.9)		A431 (1.31 ± 0.55); A549 (1.65 ± 0.29); NCI-H1975 (4.87 ± 0.68); HCC827 (0.37 ± 0.06) SW480 (3.27 ± 1.25);
	Gefitinib	EGFR ^{wt} (3.2 ± 1.5) EGFR ^{L858R} (1.5 ± 0.5) EGFR ^{L858R/T790M} (>2000) EGFR ^{T790M} (127.9 ± 5.5)		A431 (4.45 ± 0.25); A549 (21.17 ± 0.47); NCI-H1975 (12.70 ± 2.98); HCC827 ($1.60 \pm 0.30 \times 10^3$) SW480 (12.50 ± 0.28);
Tu et al. [106]	Compound 3	EGFR(56)		A549 (1.32 ± 0.38); HepG2 (0.07 ± 0.61); MCF-7 (0.91 ± 0.29); PC-3 (0.91 ± 0.29);
	Afatinib	EGFR(1.6)		A549 (1.40 ± 0.83); HepG2 (1.40 ± 0.83); MCF-7 (2.63 ± 1.06); PC-3 (2.63 ± 1.06);
Wang et al.	Compound 4	EGFR ^{wt} (6.3);		A549 (5.9 ± 0.25); HepG2 (4.63 ± 0.36); MCF-7 (2.37 ± 0.29);

[107]		EGFR ^{L858R/T790M} (8.4)	0.34); H1975 (1.72 ± 0.85);
	Afatinib	EGFR ^{wt} (4); EGFR ^{L858R/T790M} (3.8)	A549(1.33 ± 0.09); HepG2 (1.40 ± 0.08); MCF-7 (2.63 ± 0.16); H1975 (0.49 ± 0.08);
Zhang et al. [109]	Compound 5	EGFR(1), VEGFR2(79)	HT-29(1.76); MCF-7(7.28); H460(26);
Sun et al. [110]	Vandetanib	EGFR(11), VEGFR2(15)	HT-29(18.95); MCF-7(11.83); H460(37.10);
Hamad et al. [111]	Compound 6	EGFR(10); VEGFR2(80);	HCT116 (8.35); MCF-7(15.66); B16(5.57);
	Sorafenib	EGFR(20); VEGFR2(80);	HCT116 (10.55); MCF-7(17.87); B16(9.29);
Gan et al. [112]	Compound 7	EGFR(60.1); NF-κB (300)	MDA-MB-231(0.9) ^a
	Gefitinib	EGFR(9.7); NF-κB (4000)	MDA-MB-231 (14.2) ^a
Hou et al. [113]	Compound 8	EGFR ^{wt} (0.8); EGFR ^{L858R/T790M} (2.7);	H1975(1.59 ± 0.35); A549(0.27 ± 0.04); HeLa(2.68 ± 0.17); MCF-7(1.26 ± 0.24);
	Afatinib	EGFR ^{wt} (0.6); EGFR ^{L858R/T790M} (3.5);	H1975(0.49 ± 0.08); A549(1.33 ± 0.09); HeLa(2.63 ± 0.16); MCF-7(1.40 ± 0.08);
Zhang el al. [114]	Compound 9	EGFR ^{wt} (5); EGFR ^{L858R} (2.7); EGFR ^{d746-750} (1.3);	A431(2.352); H1975(5.34); Ba/F3-EGFR ^{L858R} (0.182); Ba/F3 EGFR ^{Del E746_A750} (0.178); HCC82 (0.098); NCI-H1975(5.34);
	Gefitinib	EGFR ^{wt} (0.5);	A431(0.768); H1975(5.34);
	Compound 10	EGFR ^{wt} (93.2 ± 8.0); EGFR ^{T790M} (93.2 ± 8.0); SI (2.72) ^b	A549(6.10 ± 0.69); A431(13.86 ± 0.22); H1977(1.22 ± 0.11);
	Gefitinib	EGFR ^{wt} (17.1 ± 4.2); EGFR ^{T790M} (17.1 ± 4.2) SI (0.05) ^b	A549(1.22 ± 0.11); A431(1.22 ± 0.11); H1977(11.29 ± 0.53);
	Erlotinib	EGFR ^{wt} (15.3 ± 5.6); EGFR ^{T790M} (362.8 ± 64.2) SI (0.04) ^b	A549(11.29 ± 0.53); A431(11.64 ± 0.77); H1977(12.83 ± 0.96);
	Osimertinib	EGFR ^{wt} (362.8 ± 64.2); EGFR ^{T790M} (13.4 ± 2.5) SI (4.6) ^b	A549(8.36 ± 0.75); A431(5.88 ± 0.46); H1977(0.95 ± 0.03);
Tang et al. [116]	Compound 11	EGFR ^{wt} (0.72 ± 0.11); EGFR ^{T790M} (0.67 ± 0.08); HER2(75.1 ± 8.5); HER4(2.0 ± 0.0);	Good antiproliferative activity on A431, NCI-H1975, HCC827, A549, NCI-1650, SK-BR-3, BT-474, SKOV3, T47D, MCF-7, NIH3T3, SW620 cells (exact values not provided)
	Afatinib	EGFR ^{wt} (8.2 ± 1.2); EGFR ^{T790M} (3.7 ± 1.2); HER2(12.5 ± 0.9); HER4(7.2 ± 2.5);	Good antiproliferative activity on A431, NCI-H1975, HCC827, A549, NCI-1650, SK-BR-3, BT-474, SKOV3, T47D, MCF-7, NIH3T3, SW620 cells (exact values not provided)
Zou et al. [117]	Compound 12	-	A431(3.4); A439 (>50)
Zhang et al.	Erlotinib	-	A431(3.0); A439 (>50)
Zhang et al.	Compound 13	EGFR ^{wt} (5.06 ± 1.92);	SW480(5.58 ± 1.43); A549(7.35 ± 1.42);

[118]			NCI-H1975(3.01 ± 1.07); A431(3.64 ± 0.51);
	Gefitinib	EGFR ^{wt} (8.2 ± 1.2);	SW480(12.50 ± 0.28); A549(21.17 ± 0.47); NCI-H1975(12.70 ± 2.98); A431(4.45 ± 0.25);
	Lapatinib	EGFR ^{wt} (27.06 ± 3.77);	SW480(12.58 ± 1.35); A549(14.90 ± 1.21); NCI-H1975(9.08 ± 5.82); A431(4.80 ± 0.71);
Ding et al. [119]	Compound 14	EGFR (0.12 ± 0.03); HER-2(174.9 ± 19.6); HDAC1 (0.72 ± 0.11); HDAC6 (3.2 ± 0.5);	A549 (0.63 ± 0.12); A431 (0.49 ± 0.06); BT-474 (3.88 ± 0.06); SK-BR-3 (0.69 ± 0.03); NCI-H1975(8.05 ± 1.15);
	Lapatinib	EGFR (23.9 ± 1.4);	A549 (1.74 ± 0.28); A431 (0.15 ± 0.01); BT-474 (0.10 ± 0.02); SK-BR-3 (0.06 ± 0.01); NCI-H1975(7.25 ± 0.38);
	Vorinostat	HDAC1 (10.8 ± 0.7); HER2 (10.8 ± 1.4);	A549 (2.57 ± 0.37); A431 (2.29 ± 0.04); BT-474 (2.67 ± 0.38); SK-BR-3 (2.58 ± 0.13); NCI-H1975(1.90 ± 0.09);
Wei et al. [120]	Compound 15	EGFR(5.90); VEGFR-2(36.78);	^c A549($14.87, 72.99^d, 80.31^e$); H446($16.76, 76.34^d, 79.03^e$);
	Vandetanib	EGFR(19.76); VEGFR-2(33.26);	^c A549($21.06, 67.26^d, 81.44^e$); H446($25.58, 77.67^d, 82.02^e$);
Cheng et al. [121]	Compound 16	EGFR(120)	A549 ($1.59 \pm 0.81, 1.09 \pm 0.88^d$); HT-29($2.46 \pm 1.56, 1.35 \pm 0.91^d$);
	Lapatinib	EGFR(11)	A549 ($11.30 \pm 2.34, 13.26 \pm 3.66^d$); HT-29($6.81 \pm 1.24, 8.85 \pm 1.05^d$);
Elkamhawy et al. [124]	Compound 17	EGFR(1.8) HER2(87.8)	-
	Staurosporine	EGFR(88.1) HER2(35.5)	-
	Lapatinib	EGFR(10) HER2(9)	-
Quin et al. [123]	Compound 18	EGFR(10.29)	A549(9.95); NCI-H157 (11.66); T293(>100); WI-38(90.55);
	Gefitinib	EGFR(10.41)	-
	Erlotinib	EGFR(11.65)	A549(7.26); NCI-H157 (6.88); T293(65.56); WI-38(89.38);
Zheng et al. [125]	Compound 19	EGFR(3.2 ± 0.2)	HepG2 (8.3 ± 0.6)
	ZM447439	EGFR(110)	HepG2 (1.4 ± 0.2)
Ismail et al. [126]	Compound 20	EGFR(37 ± 2)	HepG2(12.00 ± 0.70); MCF-7(3.00 ± 0.10);
	Erlotinib	EGFR(73 ± 5)	HepG2(25 ± 1.50); MCF-7(20 ± 0.93);
Ahmed et al. [127]	Compound 21	EGFR(46.90 ± 1.02); HER2(37.64 ± 1.89);	AU-565(1.54 ± 0.08); MDA-MB-231 (2.67 ± 0.16); MCF10A (35.31 ± 1.83);
	Lapatinib	EGFR(53.10 ± 1.2); HER2(56.20 ± 2.99);	AU-565(0.483 ± 0.03); MDA-MB-231 (9.29 ± 0.56); MCF10A (39.57 ± 2.05);

Mphahlele et al. [128]	Compound 22	EGFR(40.7 ± 0.31)	A549(89.16); Caco-2(6.45); C3A(12.20); MCF-7(39.07); HeLa(25.51);
	Gefitinib	EGFR(38.9 ± 0.89)	A549(51.29); Caco-2(27.91); C3A(5.01); MCF-7(30.74); HeLa(98.80);
Ding et al. [129]	Compound 23	EGFR(2.4); PI3K α (317) PI3K β (9412); PI3K $\gamma\delta$ (3560); PI3K δ (8672);	A549(8.23 ± 0.34); BT549(1.02 ± 0.08); HCT-116(5.60 ± 0.24); MCF-7(5.59 ± 0.21); SK-HEP-1(6.10 ± 0.26); SNU638(4.10 ± 0.13);
	Gefitinib	EGFR(2.3);	A549(8.27 ± 0.42); BT549(6.56 ± 0.35); HCT-116(5.98 ± 0.72); MCF-7(26.7 ± 1.02); SK-HEP-1(10.1 ± 0.32); SNU638(7.56 ± 0.24);
	Dactolisib	PI3K α (16.4) PI3K β (35.9); PI3K $\gamma\delta$ (23.6); PI3K δ (78.4);	A549(0.62 ± 0.07); BT549(0.74 ± 0.08); HCT-116(0.84 ± 0.12); MCF-7(1.33 ± 0.14); SK-HEP-1(1.82 ± 0.23); SNU638(1.24 ± 0.13);
Zhang et al. [130]	Compound 24	EGFR ^{wt} (27.0 ± 6.8); EGFR ^{T790M} (9.2 ± 2.1);	A549(6.54 ± 0.5); A431(4.04 ± 0.34); H1975(1.94 ± 0.14);
	Gefitinib	EGFR ^{wt} (17.1 ± 4.2); EGFR ^{T790M} (378.4 ± 56.8);	A549(15.59 ± 1.03); A431(8.37 ± 0.46); H1975(10.78 ± 0.45);
	Osimertinib	EGFR ^{wt} (58.2 ± 12.6); EGFR ^{T790M} (8.1 ± 2.2);	A549(-); A431(5.32 ± 0.43); H1975(0.98 ± 0.01);
Chang et al. [132]	Compound 25	EGFR(3.62)	HepG2(4.61); A549(9.50); DU145(6.79); MCF-7(9.80); SH-SY5Y(7.77);
	Gefitinib	EGFR(3.62)	HepG2(29.79); A549(12.08); DU145(8.63); MCF-7(12.05); SH-SY5Y(18.21);
Wang et al. [133]	Compound 26	-	A431(1.27 ± 0.95); A549(1.67 ± 0.38); Hela(3.77 ± 0.63); HL-60(3.11 ± 0.01); SMMC-7721(5.37 ± 0.02); BGC823(1.66 ± 0.38); SK-OV-3(5.26 ± 1.30); HepG2(3.98 ± 0.88);
	Gefitinib	-	A431(12.93 ± 4.54); A549(13.75 ± 5.73); Hela(17.92 ± 1.50); HL-60(17.72 ± 1.76); SMMC-7721(23.27 ± 0.66); BGC823(>10); SK-OV-3(12.31 ± 0.33); HepG2(>10);
Ju et al. [134]	Compound 27	EGFR ^{wt} (1.4 ± 0.2); HER2(2.1 ± 0.6); EGFR ^{T790M} (16.5 ± 2.3);	A431(0.958 ± 0.034); A549(3.4 ± 0.4);
	Gefitinib	EGFR ^{wt} (5.8 ± 0.2); HER2(-); EGFR ^{T790M} (148.7 ± 6.3);	A431(2.47 ± 0.07); A549(11.08 ± 2.29);
	Lapatinib	EGFR ^{wt} (10.85 ± 0.11); HER2(32.7 ± 1.4); EGFR ^{T790M} (>2500);	A431(2.66 ± 0.27); A549(3.64 ± 0.77);
Amrhein et	Compound 28	EGFR ^{wt} (> 10^4);	Ba/F3-EGFR ^{wt} (> 10^4);

al. [135]		EGFR ^{del19} (119.1); EGFR ^{L858R} (820); EGFR ^{L858R/T790M(>10⁴)} ;	Ba/F3-EGFR ^{del19} (0.197); Ba/F3-EGFR ^{del19/C797S} (0.147); Ba/F3-EGFR ^{L858R} (0.385); Ba/F3-EGFR ^{L858R/C797S} (0.749); Ba/F3-EGFR ^{L858R/T790M(>10⁴)} ;
	Gefitinib	EGFR ^{wt(>100)} ; EGFR ^{del19} (0.9); EGFR ^{L858R} (3.8); EGFR ^{L858R/T790M(>100)} ;	Ba/F3-EGFR ^{wt} (0.053); Ba/F3-EGFR ^{del19} (0.01); Ba/F3-EGFR ^{del19/C797S} (0.012); Ba/F3-EGFR ^{L858R} (0.021); Ba/F3-EGFR ^{L858R/C797S} (0.054); Ba/F3-EGFR ^{L858R/T790M(>10⁴)} ;
	Osimertinib	EGFR ^{wt} (34.5); EGFR ^{del19} (0.5); EGFR ^{L858R} (1.8); EGFR ^{L858R/T790M} (0.8);	Ba/F3-EGFR ^{wt} (0.053); Ba/F3-EGFR ^{del19} (0.005); Ba/F3-EGFR ^{del19/C797S} (1.32); Ba/F3-EGFR ^{L858R} (0.002); Ba/F3-EGFR ^{L858R/C797S} (1.475); Ba/F3-EGFR ^{L858R/T790M} (0.027);
	Yamahana et al. [136]	Compound 29 Gefitinib	- A431(31.2); A431(39.4);
Shindo et al. [173]	Compound 30	-	PC9(0.00042); H1975(0.19); A431(7.30); HEK293(9.06); SW620(10.4);
	Afatinib	-	PC9(0.00042); H1975(0.19); A431(1.79); HEK293(1.78); SW620(2.98);
Castelli et al. [174]	Compound 31	EGFR ^{wt} (0.62 ± 0.08);	A549(0.027 ± 0.035); H1975(1.4 ± 0.10);
	Gefitinib	EGFR ^{wt} (0.47 ± 0.05);	A549(0.060 ± 0.025); H1975(9.1 ± 1.1);
OuYang et al. [175]	Compound 32	EGFR ^{wt} (5); EGFR ^{T790M} (26);	A549(1.09 ± 0.04); MCF-7(1.34 ± 0.13); PC-3(1.23 ± 0.09);
	Afatinib	EGFR ^{wt} (5); EGFR ^{T790M} (7);	A549(0.71 ± 0.05); MCF-7(0.93 ± 0.09); PC-3(2.51 ± 0.18);
Pawara et al. [176]	Compound 33	EGFR ^{wt} (20.2 ± 1.2); EGFR ^{L858R/T790M} (6.2 ± 0.6);	NCI-H1975(0.171 ± 0.019); A549(0.540 ± 0.022); HepG2(1.010 ± 0.29); SI ^f (3.15);
	Gefitinib	-	NCI-H1975(11.71 ± 0.22); A549(9.25 ± 0.18); HepG2(51.74 ± 0.27); SI ^f (0.78);
	WZN4002	EGFR ^{wt} (26.2 ± 1); EGFR ^{L858R/T790M} (8 ± 0.8);	NCI-H1975(0.202 ± 0.015); A549(0.580 ± 0.035); HepG2(1.200 ± 0.015); SI ^f (2.87);
Zhao et al. [178]	Compound 34	EGFR ^{wt} (0.35); EGFR ^{L858R} (1.1); EGFR ^{L858R/T790M} (1.5); HER2(5.7); HDAC1(75); HDAC2(12);	A549(>50); NCI-H838(>50); SK-BR-3(30.80 ± 7.18); A431(20.65 ± 5.37); NCI-H1975(1.82 ± 0.50); HL-7702(>25); FHC(>25);
	Afatinib	EGFR ^{wt} (0.32); EGFR ^{L858R} (0.88); EGFR ^{L858R/T790M} (3); HER2(6.2);	A549(1.90 ± 0.50); NCI-H838(9.92 ± 3.22); SK-BR-3(5.56 ± 1.69); A431(0.59 ± 0.27); NCI-H1975(0.74 ± 0.28); HL-7702(1.62 ± 0.37); FHC(1.55 ± 0.70);
	Osimertinib	EGFR ^{L858R/T790M} (0.43);	-
	Vorinostat	HDAC1(16);	A549(4.25 ± 0.53); NCI-H838(5.18 ± 2.26);

		HDAC2(13);	SK-BR-3(2.13 ± 1.10); A431(1.47 ± 0.42); NCI-H1975(2.04 ± 0.31); HL-7702(5.45 ± 2.65); FHC(2.59 ± 1.10);
Song et al. [179]	Compound 35	EGFR ^{wt} (45); EGFR ^{L858R/T790M} (6); HER2(212);	H1975(0.505); PC9(0.0009); A549(5.501); SKBR3 (0.115);
	Gefitinib	EGFR ^{wt} (12); EGFR ^{L858R/T790M} (460); HER2(151);	H1975(>10); PC9(0.061); A549(>10); SKBR3 (2.518);
	Afatinib	EGFR ^{wt} (9); EGFR ^{L858R/T790M} (14); HER2(37);	H1975(0.131); PC9(0.0001); A549(3.766); SKBR3 (0.0012);
Sun et al. [180]	Compound 36	EGFR(7±0.9); HER2(4±0.5);	N87(0.048 ± 0.006); H1975(1.67 ± 0.29); A431(0.633 ± 0.058); BT474(0.060 ± 0.007); Calu-3(0.177 ± 0.062);
	Gefitinib	EGFR(1±0.8); HER2(281±6.1);	N87(1.00 ± 0.1); H1975(>10); A431(0.550 ± 0.063); BT474(0.365 ± 0.044); Calu-3(0.985 ± 0.021);
	Erlotinib	EGFR(1±0.4); HER2(369±9.1);	N87(>10); H1975(5.51 ± 0.7); A431(0.750 ± 0.083); BT474(>10); Calu-3(0.926 ± 0.055);
Liu et al. [181]	Lapatinib	EGFR(22±3.5); HER2(13±4.1);	N87(0.053 ± 0.004); H1975(7.37 ± 0.75); A431(3.59 ± 0.59); BT474(0.037 ± 0.008); Calu-3(0.216 ± 0.035);
	Compound 37	EGFR ^{wt} (105); EGFR ^{L858R/T790M} (4.3); SI(24.4) ^g	H1975(8.70); A431(13.45); HCC827(0.65); A549(32.42); HBE(34.04);
	Gefitinib	EGFR ^{wt} (15.5); EGFR ^{L858R/T790M} (832.3); SI(0.019) ^g	H1975(10.89); A431(3.308); HCC827(0.006); A549(10.07); HBE(23.8);
Jiao et al. [182]	Rociletinib	EGFR ^{wt} (500); EGFR ^{L858R/T790M} (20); SI(25) ^g	H1975(0.137); A431(1.29); HCC827(0.031); A549(6.5); HBE(>40);
	Compound 38	EGFR(0.54); HER2(54.37);	NCI-H358(0.618); PC-9(0.092); Calu-3(1.345); NCI-H1781(>2);
	Afatinib	EGFR(0.27); HER2(3.88);	NCI-H358(0.0086); PC-9(0.0009); Calu-3(0.0101); NCI-H1781(0.002);
Park et al. [28]	Poziotinib	EGFR(0.33); HER2(1.69);	NCI-H358(0.001); PC-9(0.00037); Calu-3(0.0027); NCI-H1781(0.00023);
	Compound 39	EGFR ^{wt} (>50*10 ⁴); EGFR ^{del19/T790M/C797S} (17.9);	-
	Zhou et al. [207]	EGFR ^{wt} (>10 ⁵); EGFR ^{L858R/T790M} (740); SI(>13.5) ⁱ	A549(14.33 ± 1.16); NCI-H460(17.81 ± 1.25); H1975(13.41 ± 1.14); Ba/F3-EGFR ^{Del19/T790M/C797S} (91) ^h
Afatinib		EGFR ^{wt} (6); EGFR ^{L858R/T790M} (10);	-

		SI(0.6) ⁱ	
	AZD9291	EGFR ^{WT} (28); EGFR ^{L858R/T790M} (12); SI(2.3) ^j	A549(0.66 ± 0.08); NCI-H460(-); H1975(0.073 ± 0.15); Ba/F3-EGFR ^{Del19/T790M/C797S} (-) ^h
Dou et al. [211]	Compound 41	EGFR ^{L858R/T790M/C797S} (128)	BaF3-EGFR ^{L858R/T790M/C797S} (0.75 ± 0.29); BaF3-EGFR ^{19del/T790M/C797S} (0.09 ± 0.03);
	Vandetanib	EGFR ^{L858R/T790M/C797S} (369)	BaF3-EGFR ^{L858R/T790M/C797S} (4.28 ± 0.17); BaF3-EGFR ^{19del/T790M/C797S} (3.21 ± 0.49);
	Brigatinib	EGFR ^{L858R/T790M/C797S} (8)	BaF3-EGFR ^{L858R/T790M/C797S} (0.56 ± 0.16); BaF3-EGFR ^{19del/T790M/C797S} (0.17 ± 0.05);
Li et al. [206]	Compound 42	EGFR ^{WT} (2.5 ± 0.8): EGFR ^{L858R/T790M} (35.3 ± 12.1); EGFR ^{L858R/T790M/C797S} (2.2 ± 1.1); EGFR ^{Del19/T790M/C797S} (331.3 ± 25.3);	BaF3 (7.68 ± 0.73); BaF3- EGFR ^{L858R/T790M/C797S} (0.64 ± 0.14); H1975(3.03 ± 0.49); A431(1.24 ± 0.16);
	AZD9291	EGFR ^{WT} (216.2 ± 109.4); EGFR ^{L858R/T790M} (2.8 ± 2.0); EGFR ^{L858R/T790M/C797S} (461.7 ± 234.0);	BaF3 (5.11 ± 0.49); BaF3- EGFR ^{L858R/T790M/C797S} (3.93 ± 0.38); H1975(0.03 ± 0.01); A431(1.44 ± 0.03);
	Brigatinib	EGFR ^{WT} (35.9 ± 2.8); EGFR ^{L858R/T790M} (4.0 ± 0.1); EGFR ^{L858R/T790M/C797S} (1.6 ± 0.1);	BaF3 (7.31 ± 0.98); BaF3- EGFR ^{L858R/T790M/C797S} (0.42 ± 0.09);

^a Growth inhibition ^bSelectivity Index (SI) = EGFR WT IC50 value/EGFR T790M IC50 value, ^c Expressed as inhibition ratios (%), ^d under hypoxia condition, ^e under hypoxia condition + irradiation; ^fSI = IC₅₀ A549 / IC₅₀ H1975; ^gSI = IC₅₀ EGFR^{WT}: IC₅₀ EGFR^{L858R/T790M}; ^h % Inhibition (10 μM); ⁱ SI = IC₅₀ (EGFR^{WT})/IC₅₀ (EGFR^{L858R/T790M}); ^j % inhibition at 100nM