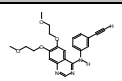
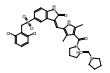
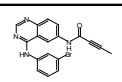
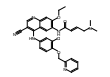
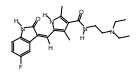
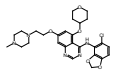
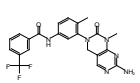
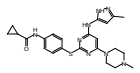
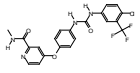
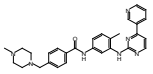
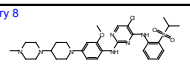
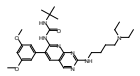
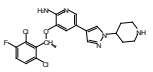
Table 1. Targets of the 14 protein kinase inhibitors used to screen 500 human cancer cell lines, including chemical structures, enzymatic and cellular IC50 values, potential "off-targets" and relevant references to published literature.

No	Name	Structure	Kinase Targets	Known off-targets	Not Active IC50>10uM	Literature
1	Erlotinib	Chemistry 1 	EGFR (enzyme IC50 = 0.4nM), Erbb2 (enzyme IC50 = 870nM), Erbb4 (enzyme IC50 = 1130nM)	Abl (Kd=770 nM), EphA6 (Kd=930 nM), Gak (Kd=40 nM), Lck (Kd=530nM), RIPK (Kd=410 nM), STK10 (Kd=83 nM), ULK (Kd=630nM)		Nature Biotechnology, 2005, v23, No. 3, 2005, p329. Cancer Research, 64, 6652-659, 2004.
2	PHA665752	Chemistry 2 	c-Met (enzyme IC50 = 9 nM; cellular EC50 = 42 nM), Ron (enzyme IC50 68 nM; cellular EC50 = 900 nM)	Flk-1 (enzyme IC50 200 nM, cellular EC50 = 2.5 uM), c-Abl (enzyme IC50= 1.4uM), FGFR1 (enzyme IC50 3 uM); EGFR (enzyme IC50 3.8 uM), c-Src (enzyme IC50 6 uM)	IC50>10 u for IGF1R, PDGFR, Aurora2, PKA, PKB, Cdk2, p38alpha, MK2,3, PKC, MAPk, GSK3beta, CAMK	Cancer Research, 2003 Nov 1;63(21):7345-55.
3	CL-387,785	Chemistry 13 	EGFR (EC50 cellular = 50 nM), L858R EGFR (cellular EC50 < 300nM), L858R/T790M (cellular EC50 = 1 uM)	None Reported		Biochemical Pharmacology, Vol 57, p917-925, 1999; Cancer Research 2005; 65: (16)
4	HKI-272	Chemistry 12 	EGFR (IC50 enzyme 92 nM), Her-2 (IC50 enzyme 59 nM), cellular EC50 (SK-BR-3 2nM, BT 474 2nM, A431 81nM, SW620 690nM)	None reported		J. Med.Chem. 2005, 48, 1107-1131. Cancer Research, 64, 3958-3965.
5	Sunitinib	Chemistry 11 	PDGFR (IC50 enzyme = 3 nM), VEGFR2 (IC50 enzyme = 27 nM), FGFR1 (IC50 enzyme= 170 nM), Kit family members (enzyme IC50 ~ 10 - 500 nM).	AAK (Kd=130nM), Abl (Kd=870 nM), Aurora C (Kd=310 nM), BIKE (Kd=38 nM), CAMK2A (Kd=370 nM), CAMK2g (Kd=760 nM), CLK1 (Kd=100 nM), CLK2 (Kd=190 nM), CLK4 (Kd=80nM), DAPK2 (Kd=470 nM), DAPK3 (Kd=300nM),EphA7 (Kd=710 nM), EphB1 (Kd=960 nM), FGFR2 (Kd=530nM), FGFR2 (Kd=300nM), FGR (Kd=290nM), FLT3 (Kd=0.8 nM), FLT4 (Kd=35nM), GAK (Kd=120nM), InsR (Kd=180 nM), Jak1 (Kd=9 nM), Kit (Kd=0.7 nM), Lyn (Kd=540nM), MAP4K5 (Kd=77nM), MYLK2 (Kd=57nM), Nek2 (Kd=500 nM), NTRK1 (kd=220nM), PCTK1 (kd=130 nM), PDGFRb (Kd=0.2 nM), PHKG1 (Kd=70 nM), PGHK2 (Kd=39 nM), PRKAA1 (Kd=52 nM), PTK2 (Kd=610 nM), RPSKA2 (Kd=58 nM), RPSKA3 (Kd=55 nM), RPSKA5 (Kd=250 nM), SLK (Kd=81nM),STK10 (Kd=640 nM), STK16 (Kd=360 nM), STK17a (Kd=21 nM), STK17b (Kd=220 nM), STK18 (Kd=380nM), STK4 (Kd=100 nM), TNIK (Kd=25 nM), TTK (Kd=230 nM), KDR (Kd=0.2 nM)		Heryanto, B., et al. 2003. Reproduction 125, 334. Sun, L., et al. 2003. J. Med. Chem. 46, 1116. Liao, A.T., et al. 2002. Blood 100, 585. Nature Biotechnology, 2005, v23, No. 3, 2005, p329
6	AZ628	Structure Not disclosed	Not reported - putatively Raf-selective			
7	AZD05030	Chemistry 3 	Src (IC50, enzyme=3 nM)	Csk (IC50, enzyme=840 nM); c-Yes (IC50, enzyme= 4 nM), Lck (IC50, enzyme= 4 nM), c-Abl (IC50, enzyme= 30 nM), c-Kit (IC50, enzyme= 200 nM), EGFR (IC50, enzyme= 2590 nM)	Flt-1, Flt-4, FGFR-1, MEK, Aurora-#, Cdk2, PDGFa,b, MAPPKK, GSK3b, Chk, JNK, PDK, PKA, PKCa, PI3K	Breast Cancer Res Treat. 2006 Jun;97(3):263-74; Inhibitors of growth factor signalling. J. Med. Chem. 2006, 49, 6465-6488 (kinase selectivity)
8	Compound 14	Chemistry 4 	Bcr-abl (EC50, cell = 3nM), Bmx (EC50, cell = 30 nM), EphA3 (EC50, cell = 2nM), Eph B4 (EC50, cell = 4nM), FGFR1 (EC50, cell = 80nM), Flt1 (EC50, cell = 236nM), KDR (EC50, cell = 22nM), c-Kit (EC50, cell = 4 nM), Lck (EC50, cell = 5 nM), PDGFRa (EC50, cell = 4 nM), PDGFRb (EC50, cell = 6 nM), Ret (EC50, cell = 77 nM), Src (EC50, cell = 13 nM), Syk (EC50, cell = 71 nM), Tie2 (EC50, cell = 200 nM) , TrkC (EC50, cell = 30 nM), Bmx (IC50 enzyme= 1.4 nM), FGFR3 (IC50 enzyme = 13 nM), Fes (IC50 enzyme = 1 nM)		cellular EC50>2000 nM for ALK, FLT3, InsR, Met, Ron, TrkA, Tyk2, Zap-70	Chemistry & Biology, 13, 779-786, 2006 (inhibitor 14 in manuscript)
9	MK-0457	Chemistry 5 	Aurora A, B, C (Ki enzyme=0.6, 18 and 4.6 nM), FLT-3 (enzyme IC50= 30 nM)		"Selective against 55 other kinases"	Nature Medicine, 10, 3, 2004, p262
10	Sorafenib	Chemistry 10 	B-raf (IC50 enzyme = 200 nM, EC50 cellular = 3 uM), KDR (EC50 cellular 500 nM)	Abl (Kd=130 nM), EphA5 (Kd=360 nM), EphA6 (Kd=240 nM), EphA7 (Kd=670 nM), EphA8 (Kd=960nM), FLT3 (Kd=20 nM), FLT4 (Kd=16nM), Frk (Kd=440nM), Kit (Kd=740nM), p38alpha (Kd=260 nM), p38beta (Kd=200 nM), PDGFRbeta (Kd=41nM), STK10 (Kd=140 nM), VEGFRII (Kd=93 nM)		Endocrine-Related Cancer, 2001, 8, 219-225; Nature Biotechnology, 2005, v23, No. 3, 2005, p329
11	Imatinib	Chemistry 9 	Bcr-abl (EC50 cell= 200 nM), PDGFRa (EC50 cell = 90 nM), c-Kit (EC50 cell = 100 nM),	DDR1 (EC50 cellular < uM , IC50 enzyme =31) ,DDR (EC50 cellular ND, IC50 enzyme =112 nM)	Extensively profiled on biochemical and cellular panels of 100s of kinases	Nat Biotechnol. 2007 25(9):1035-44.2007
12	NVP-TAE684	Chemistry 8 	ALK (EC50 cell = 3 nM)	InsR (EC50 cellular = 1 uM, IC50 enzyme = 20 nM), IGF1R (EC50 cellular ?) FLT3 (EC50 cellular = 500 nM, IC50 enzyme = 3 nM), FLT-1 (EC50 cellular 872 nM), Tie 2 (EC50 cellular 1,167 nM, IC50 enzyme 12 nM), Syk (EC50 cellular 1,474 nM, IC50 =286 nM)	EC50 cellular > 1 uM for: Bcr-abl , Bmx , EphA3 , Eph B4 , FGFR1, KDR, c-Kit , Lck, PDGFRa , PDGFRb , Ret, Src , TrkC, Bmx, FGFR3, Fes	Proc Natl Acad Sci U S A. 2007 Jan 2;104(1):270-5. 2006
13	PD-173074	Chemistry 7 	FGFR1 (EC50 cellular = 21 nM, IC50 enzyme = 30 nM), VEGFRII (EC50 cellular 250 nM)	FGFR3 (cellular EC50 =30 nM), FGFR4	IC50 > 50 uM in enzyme assays for EGFR, InsR, Mek, PKC, Src (IC50 enzyme = 20 uM), PDGF (IC50 enzyme = 19 uM)	Blood. 2004 May 1;103(9):3521-8. 2004; EMCO Journal, Vol. 17, No. 20, 5869-5904, 1998
14	PF2341066	Chemistry 6 	c-Met (IC50 enzyme 8 nM), ALK (IC50 enzyme 20 nM), Ron (IC50 enzyme 300nM),	Axl(IC50 enzyme 294 nM), Tie2 (IC50 enzyme 448 nM), TrkA (IC50 enzyme 580 nM), Trk B (IC50 enzyme 399 nM), Abl (IC50 enzyme 1159 nM), IRK (IC50 enzyme 2887 nM), Lck (IC50 enzyme 2741 nM)	IC50>10 uM, Sky, VEGFRII, PDGFRbeta, Fms, EphB4, Bmx, EphB2, Fgr, Fyn, Cdk7/cyclinH/Mat1, cSrc, IGF1-R, Aurora-A, Syk, FGFR3, PKCu, BTK, Cdk1/cyclinB, p70S6K, PRK2, PAR-1Balpha, PKBbeta, Ret	Poster AACR, Abstract LB-271, Pfizer Global Research