Discovery of the highly potent PI3K/mTOR dual inhibitor PF-04979064 through structure based drug design

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Supplemental Material Section

Invitrogen KSS data, % inhibition at 1 μ M of PF-04979064 tested at ATP concentration = Km, average of n=4:

STK6: 1.00%

CDK2: 0.50%

CHEK1: 7.75%

CHEK2: 1.50%

SRC: 8.25%

EGFR: -1.50%

EPHA2: 1.50%

FGFR: -1.00%

GSK3 β : 0.500%

INSR: 7.50%

JAK3: 1.75%

KDR: 8.25%

LCK1: 7.75%

MAPK1: 2.00%

MAPKAPK2: -0.250%

MARK1: -1.00%

MET: 3.25%

STK3: 8.00%

NEK2: 0.25%

PAK4: -2.00%

PIM2: 1.25%

AKT1: -3.0%

ROCK1: 5.25%

SGK: -1.75%

TEK: 5.75%

NTRK1: 9.25%

TAOK2: 2.25%

CAMK2A: 6.75%

CSNK1A1: 9.50%

CSNK2A2: 3.0%

MAP4K4: 22.8%

MST4: -2.00%

MYLK2: 0.750%

PRKCB2: 0.250%

PDK1: 7.25%

P28: 3.25%