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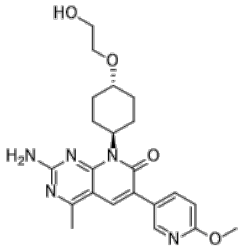
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-04691502
Cat. No.	:	PC-23844
CAS No.	:	1013101-36-4
Molecular Formula	:	$C_{22}H_{27}N_5O_4$
Molecular Weight	:	425.49
Target	:	PI3K
Solubility	:	10 mM in DMSO



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Biological Activity

PF-04691502 is a potent, selective, ATP-competitive PI3K/mTOR dual inhibitor with Ki of 1.8/1.2 nM (human/mouse PI3Kα), 2.1/1.6/1.9 nM (PI3Kβ/δ/γ) and 16 nM (human mTOR).
PF-04691502 inhibits recombinant mouse PI3Kα in an ATP-competitive inhibitor.
PF-04691502 shows no significant inhibitory activity against > 80 protein kinases at 10 uM, including class III PI3K family member hVps34, PI3K downstream kinases AKT, PDK1, p70S6K, and MAPK family members such as MEK, ERK, p38, and JNK.
PF-04691502 potently inhibits AKT phosphorylation on S473 and T308 in cancer cell lines with IC50 values of 3.8 to 20 nmol/L and 7.5 to 47 nmol/L, respectively.
PF-04691502 induces cell cycle G1 arrest, concomitant with upregulation of p27 Kip1 and reduction of Rb.
PF-04691502 exhibits antitumor activity was observed in U87 (PTEN null), SKOV3 (PIK3CA mutation), and gefitinib- and erlotinib-resistant non–small cell lung carcinoma xenografts.

References

Yuan J, et al. Mol Cancer Ther. 2011 Nov;10(11):2189-99.

Kinross KM, et al. Mol Cancer Ther. 2011 Aug;10(8):1440-9.

Cheng H, et al. ACS Med Chem Lett. 2012 Nov 7;4(1):91-7.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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