

Product Data Sheet

Product Name: OSI-930
Cat. No.: GC14957

Chemical Properties

Cas. No. 728033-96-3

Chemical Name 3-(quinolin-4-ylmethylamino)-N-[4-(trifluoromethoxy)phenyl]thiophene-2-carboxamide

SMILES C1=CC=C2C(=C1)C(=CC=N2)CNC3=C(SC=C3)C(=O)NC4=CC=C(C=C4)OC(F)(F)F

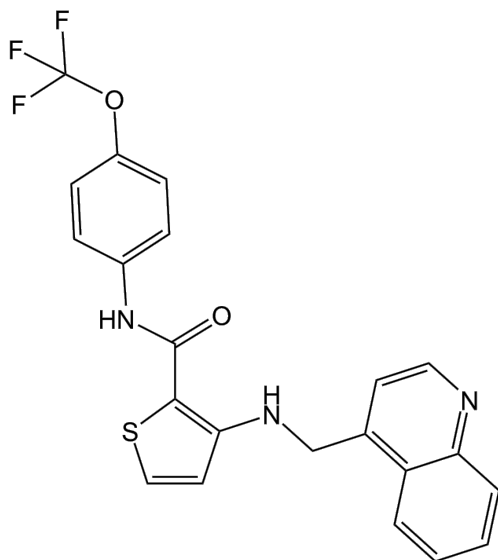
Formula C22H16F3N3O2S M.Wt 443.44

Solubility $\geq 22.15\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

OSI-930 is a novel, potent inhibitor of Flt1, kinase insert domain receptor (KDR), CSF-1R, Lck, c-Raf and activated receptor tyrosine kinases Kit with IC_{50} values of 8, 9, 15, 22, 41 and 80 nM, respectively [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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OSI-930 has been demonstrated to inhibit proliferation and induce apoptosis in the HMC-1 cell line which is highly dependent on Kit signaling for survival. On the contrary, OSI-930 revealed no growth inhibition of COLO-205 cell line under normal culture conditions [1].

OSI-930 has shown to time- and concentration-dependently inactivate P450 3A4. Additionally, OSI-930 could reduce CO difference spectra of P450 3A4 [2].

References:

- [1] Garton AJ1, Crew AP, Franklin M, Cooke AR, Wynne GM, Castaldo L, Kahler J, Winski SL, Franks A, Brown EN, Bittner MA, Keily JF, Briner P, Hidden C, Srebernak MC, Pirrit C, O'Connor M, Chan A, Vulevic B, Henninger D, Hart K, Sennello R, Li AH, Zhang T, Richardson F, Emerson DL, Castelhana AL, Arnold LD, Gibson NW. OSI-930: a novel selective inhibitor of Kit and kinase insert domain receptor tyrosine kinases with antitumor activity in mouse xenograft models. *Cancer Res.* 2006 Jan 15;66(2):1015-24.
- [2] Lin HL1, Zhang H, Medower C, Hollenberg PF, Johnson WW. Inactivation of cytochrome P450 (P450) 3A4 but not P450 3A5 by OSI-930, a thiophene-containing anticancer drug. *Drug Metab Dispos.* 2011 Feb;39(2):345-50.

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