OSI-930

Cat. No.: HY-10204

CAS No.: 728033-96-3

Molecular Formula: $C_{22}H_{16}F_3N_3O_2S$

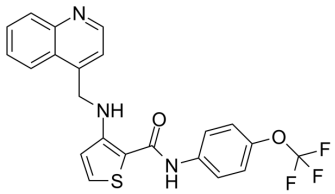
Molecular Weight: 443.44

Target: c-Fms; c-Kit; VEGFR; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (112.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2551 mL	11.2755 mL	22.5510 mL
	5 mM	0.4510 mL	2.2551 mL	4.5102 mL
	10 mM	0.2255 mL	1.1275 mL	2.2551 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

OSI-930 is an orally selective inhibitor of Kit, KDR and CSF-1R (c-Fms) with IC_{50}s of 80 nM, 9 nM and 15 nM, respectively. OSI-930 also moderately inhibits Flt-1, c-Raf, Lck and low activity against PDGFRα/β, Flt-3 and Abl. OSI-930 has antitumor activity [1].

IC_{50} & Target

KDR 9 nM (IC_{50})	Flt-1 8 nM (IC_{50})	Kit 80 nM (IC_{50})	PDGFRβ 6900 nM (IC_{50})
PDGFRα 3408 nM (IC_{50})	CSF-1R 15 nM (IC_{50})	c-Raf 41 nM (IC_{50})	Flt-3 1303 nM (IC_{50})

	Lck 22 nM (IC_{50})	Abl 4738 nM (IC_{50})

In Vitro

OSI-930 inhibits cell proliferation in the HMC-1 cell line with IC_{50} of 14 nM but has no significant effect on the growth of COLO-205 cell line that does not express constitutively active mutant receptor tyrosine kinase[1].OSI-930 induces apoptosis in HMC-1 cell line with an EC_{50} value of 34 nM[1].OSI-930 inactivates purified, recombinant cytochrome P450 3A4 with a K_i of 24 μM in a time- and concentration-dependent manner[2]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

OSI-930 (oral gavage; once a day; 38 days; 200 mg/kg) exhibits potent antitumor activity in a broad range of preclinical xenograft models[1].

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Animal Model:	Female nu/nu CD-1 mice bearing HMC-1, NCI-SNU-5, COLO-205 and U251 xenograft models[1]
Dosage:	200 mg/kg
Administration:	Oral gavage; once a day; 38 days
Result:	Showed a significant level of inhibition of Kit, KDR and CSF-1R.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Commun Biol. 2022 Jul 28;5(1):750.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Garton AJ, et al. 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, 66(2):1015-1024.

[2]. Lin HL, et al. Inactivation of cytochrome P450 (P450) 3A4 but not P450 3A5 by OSI-930, a thiophene-containing anticancer drug. Drug Metab Dispos. 2011, 39(2), 345-350.

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