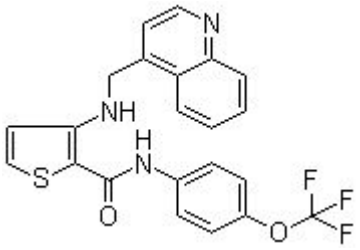
Product Introduction

OSI-930

OSI-930 is a potent inhibitor of **Kit**, **KDR** and **CSF-1R** with **IC50** of 80 nM, 9 nM and 15 nM, respectively; also potent to Flt-1, c-Raf and Lck and low activity against PDGFRα/β, Flt-3 and Abl. Phase 1.

Technical Data:

Molecular Weight (MW):	443.44	
Formula:	C22H16F3N3O2S	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 89 mg/mL	
	Water <1 mg/mL	
	Ethanol 3 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	728033-96-3	

Biological Activity

OSI-930 inhibits the cell proliferation in the HMC-1 cell line with IC50 of 14 nM without significant effect on growth of the COLO-205 cell line that does not express a constitutively active mutant receptor tyrosine kinase. Moreover, OSI-930 also induces apoptosis in HMC-1 cell line with EC50 of 34 nM. [1] A recent study shows that OSI-930 inactivates purified, recombinant cytochrome P450 (P450) 3A4 with a Ki of 24 μM in a time- and concentration-dependent mode. [2]

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

OSI-930, administrated at the maximally efficacious dose of 200 mg/kg by oral gavage, exhibits potent antitumor activity in a broad range of preclinical xenograft models including HMC-1, NCI-SNU-5, COLO-205 and U251 xenograft models. [1]

References

[1] Garton AJ, et al. Cancer Res. 2006, 66(2):1015-1024.
[2] Lin HL, et al. Drug Metab Dispos. 2011, 39(2), 345-350.

Note：Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.