产品名称：OSI-930
产品别名：OSI-930

生物活性：

Description

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. IC50 value: 9 nM(VEGFR2); 15 nM(CSF1R); 80 nM (Kit activated) [1] Target: VEGFR2/Kit/CSF1R in vitro: 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]. in vivo: 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].

Solvent&Solubility

In Vitro:

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

H_2O : < 0.1 mg/mL (insoluble)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.64 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.64 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。

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.