产品名称：GSK1904529A
产品别名：GSK1904529A

生物活性：

Description

GSK1904529A is a selective inhibitor of IGF-1R and IR with IC50 of 27 nM and 25 nM, >100-fold more selective for IGF-1R/InsR than Akt1/2, Aurora A/B,B-Raf, CDK2, EGFR etc. IC50 value: 27/25 nM (IGF1R/IR) [1] Target: IGF1R/IR in vitro: GSK1904529A is a reversible, ATP-competitive inhibitor and has enzyme-inhibitor binding values against IGF-1R and IR with Ki of 1.6 nM and 1.3 nM, respectively. GSK1904529A potently inhibits the ligand-induced phosphorylation of IGF-1R and IR at concentrations above 0.01 μM, followed by blocking downstream signaling (AKT, IRS-1, and ERK). GSK1904529A potently inhibits NIH-3T3/LISN, TC-71, SK-N-MC, SK-ES RD-ES cells with IC50 of 60 nM, 35 nM, 43 nM, 61 nM and 62 nM, respectively. GSK1904529A also inhibits other multiple myeloma and Ewing's sarcoma cell lines including NCI-H929, MOLP-8, LP-1 and KMS-12-BM etc. GSK1904529A induces cell cycle arrest at the G1 phase in cell lines COLO 205, MCF-7, and NCI-H929, which are sensitive to GK1904529A [1]. in vivo: GSK1904529A indicates 98% tumor growth inhibition in NIH-3T3/LISN tumor-bearing mice at a dose of 30 mg/kg (orally, twice-daily) and 75% in COLO 205 xenografts mice (once daily). Among HT29 and BxPC3 xenografts, GSK1904529A produces moderate tumor growth inhibition with no side effects at a dose of 30 mg/kg. Meanwhile, GSK1904529A shows minimal effects on blood glucose levels. GSK1904529A (~3.5 μM in blood) completely inhibits IGF-1R phosphorylation. GSK1904529A has been implicated in treatment of various IGF-1R-dependent tumors including prostate, colon, breast, pancreatic, ovarian, and sarcomas [1].

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (**58.69 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1738 mL	5.8688 mL	11.7376 mL
	5 mM	0.2348 mL	1.1738 mL	2.3475 mL
	10 mM	0.1174 mL	0.5869 mL	1.1738 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: ≥ 2.75 mg/mL (3.23 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (3.23 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 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。

References	[1]. Sabbatini P, et al. Antitumor activity of GSK1904529A, a small-molecule inhibitor of the insulin-like growth factor-I receptor tyrosine kinase. Clin Cancer Res, 2009, 15(9), 3058-3067.