上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：GW627368
产品别名：GW627368

生物活性：

Description	GW627368 (GW627368X) is a novel, potent and selective competitive antagonist of prostanoid EP4 receptor with additional human TP receptor affinity, with pKi values of 7.0 and 6.8 for human prostanoid EP4 and TP receptors respectively[1].
IC_{50} & Target	pKi ： 7.0 (hEP4), 6.8 (hTP)[1]
In Vitro	GW627368 (GW627368X) appears to bind to human prostanoid TP receptors but not the TP receptors of other species[1]. GW627368 (GW627368X) (10 μM) produces 100% inhibition of U-46619 (EC100)-induced aggregation (approximate pA2 approximately 7.0) in human washed platelets[1]. GW627368 (GW627368X) is devoid of agonist activity and actually produced a significant and concentration-related reduction in basal cAMP levels with pIC50 value of 6.3[1]. GW627368 (GW627368X) induces inhibition of proliferation and invasion of human SUM149 IBC tumor cells beginning at 0.1 μM, with inhibition of proliferation and invasion of MDA-MB-231 non-IBC cells at higher concentrations[2].
In Vivo	GW627368 (GW627368X) (0-15 mg/kg; p.o.; every alternate day for 28 days) shows significant tumor regression characterized by tumor reduction and induction of apoptosis[3].

Animal Model:	6-8 weeks Swiss albino mice[3]
Dosage:	0 mg/kg, 5 mg/kg, 10 mg/kg, 15 mg/kg, 15 mg/kg
Administration:	Oral administration, every alternate day for 28 days
Result:	Displayed anti-tumor and anti-proliferative potential in sarcoma 180 bearing mice.

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**183.61 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8361 mL	9.1807 mL	18.3614 mL
	5 mM	0.3672 mL	1.8361 mL	3.6723 mL
	10 mM	0.1836 mL	0.9181 mL	1.8361 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 (4.59 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.59 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 (4.59 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.59 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]. Wilson RJ, et al. GW627368X ((N-{2-[4-(4,9-diethoxy-1-oxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)phenyl]acetyl} benzene sulphonamide): a novel, potent and selective prostanoid EP4 receptor antagonist. Br J Pharmacol. 2006 Jun;148(3):326-39. [2]. Robertson FM, et al. Molecular and pharmacological blockade of the EP4 receptor selectively inhibits both proliferation and invasion of human inflammatory breast cancer cells. J Exp Ther Oncol. 2008;7(4):299-312. [3]. Parida S, et al. Molecular inhibition of prostaglandin E2 with GW627368X: Therapeutic potential and preclinical safety assessment in mouse sarcoma model. Cancer Biol Ther. 2015;16(6):922-32.