产品名称：**PI-3065**
产品别名：**PI-3065**

生物活性：

Description

PI-3065 is a potent inhibitor of PI3K p110δ, with IC_{50} and K_i values of 5 nM and 1.5 nM, and exhibits less potent activity against p110α, p110β, p110γ with IC_{50}s of 910, 600, >10000 nM.

IC_{50} & Target

p110α	p110β	p110δ
910 nM (IC_{50})	600 nM (IC_{50})	5 nM (IC_{50})

In Vitro

PI-3065 exhibits no inhibition of the growth of 4T1 cells, which do not express detectable levels of p110δ[1].

In Vivo

PI-3065 (75 mg/kg, p.o.) inhibits the growth of 4T1 tumours in the BALB/c mice without obvious body weight loss[1].

Solvent&Solubility

In Vitro:

DMSO : 25 mg/mL (**49.34 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9738 mL	9.8689 mL	19.7379 mL
5 mM	0.3948 mL	1.9738 mL	3.9476 mL
10 mM	0.1974 mL	0.9869 mL	1.9738 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 (4.93 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.93 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 (4.93 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.93 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]. Ali K, et al. Inactivation of PI(3)K p110δ breaks regulatory T-cell-mediated immune tolerance to cancer. Nature. 2014 Jun 19;509(7505):407-11.

实验参考：	
Animal Administration	Female WT BALB/c mice are orthotopically inoculated in the mammary fat pad on day 0 with 1×10^5 4T1 cells. Drug (75 mg/kg PI-3065, once daily) or vehicle (0.5% methylcellulose with 0.2% Tween 80) is administered by oral gavage from day -1 (administered 12 h prior to tumour cell inoculation). Tumour growth is monitored weekly by caliper measurement or by measuring luminescence using a Xenogen imaging platform. On day 35, mice are euthanized, tumours and peripheral organs extracted for in vitro luminescence measurement, followed by fixation in 4% PFA and H&E staining. KPC mice are allowed to develop advanced lesions of 5-10 mm (determined by ultrasound imaging) before treatment with vehicle or PI-3065 for a total of 14 days. [1]
References	[1]. Ali K, et al. Inactivation of PI(3)K p110δ breaks regulatory T-cell-mediated immune tolerance to cancer. Nature. 2014 Jun 19;509(7505):407-11.