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产品名称：Seletalisib
产品别名： UCB5857

生物活性：

Description	Seletalisib (UCB5857) is potent and selective PI3Kδ inhibitor with an IC_{50} of 12 nM.
IC_{50} & Target	PI3Kδ
	12 nM (IC_{50})
In Vitro	Seletalisib is a potent, ATP-competitive and highly selective PI3Kδ inhibitor able to block AKT phosphorylation following activation of the BCR in a B-cell line. Seletalisib inhibits N-formyl peptides (fMLP)-stimulated but not phorbol myristate acetate (PMA)-stimulated superoxide release from human neutrophils consistent with a PI3Kδ-specific activity. No indications of cytotoxicity are observed in PBMCs or other cell types treated with seletalisib. seletalisib blocks human T-cell production of several cytokines from activated T-cells. Seletalisib inhibits T-cell differentiation to Th1, Th2, and Th17 subtypes. Additionally, seletalisib inhibits B-cell proliferation and cytokine release. In human whole blood assays, seletalisib inhibits CD69 expression upon B-cell activation and anti-IgE-mediated basophil degranulation[1].
In Vivo	Seletalisib significantly inhibits IL-2 release following TCR stimulation in the rat. The inhibition is observed at all tested doses of seletalisib with almost complete inhibition reached at dose levels ⩾1 mg/kg. Seletalisib has potent in vivo effects with an estimated IC50 value of <10 nM[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 83.3 mg/mL (172.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0710 mL	10.3552 mL	20.7104 mL
	5 mM	0.4142 mL	2.0710 mL	4.1421 mL
	10 mM	0.2071 mL	1.0355 mL	2.0710 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 →90% corn oil

Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.18 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]. Allen RA, et al. Seletalisib: Characterization of a Novel, Potent, and Selective Inhibitor of PI3Kδ. J Pharmacol Exp Ther. 2017 Apr 25. pii: jpet.116.237347.

实验参考：

Animal Administration	Rats: Rats are dosed with seletalisib (0.1-10 mg/kg in 500 μL volume) or vehicle via oral gavage 30 min prior to i.v. administration of anti- CD3 antibody administered in a 200 μL dose volume. The vehicle is methylcellulose or saline for oral and i.v. administration, respectively. Seletalisib levels and IL-2 levels are measured[1].
Kinase Assay	Seletalisib is dissolved 1 mM solution in DMSO, and tested in a concentration response (seletalisib), to explore the effects of PI3Kδ-specific inhibition compared with complete inhibition of class I PI3K signaling. In addition, seletalisib is tested in the BioMap BT cell system at concentrations of 1000, 100, 10, and 1 nM. An activity profile is generated based on the effect of the compounds on the levels of cellular readouts, including cytokines, growth factors, adhesion molecules, and proliferation endpoints[1].
References	[1]. Allen RA, et al. Seletalisib: Characterization of a Novel, Potent, and Selective Inhibitor of PI3Kδ. J Pharmacol Exp Ther. 2017 Apr 25. pii: jpet.116.237347.