产品名称：Ki16425
产品别名：Debio 0719

生物活性：

Description	Ki16425 (Debio 0719) is a subtype-selective, competitive antagonist of the EDG-family receptors, LPA1 and LPA3 with Kis of 0.34 μM and 0.93 μM, respectively. Ki16425 (Debio 0719) reduces the LPA-induced activation of p42/p44 MAPK[1][2]. Ki16425 also can inhibits LPA-induced dephosphorylation of Yes-associated protein (YAP)/TAZ in HEK293A cells[3].	
IC_{50} & Target	Ki: 0.34 μM (LPA1), 0.93 μM (LPA3), 6.5 μM (LPA2)[1]	
In Vitro	Ki16425 (10 μM; 1.5 hours; HEK293A cells) treatment blocks LPA-induced dephosphorylation of YAP/TAZ in HEK293A cells. Ki16425 partially inhibits the ability of serum to repress YAP/TAZ phosphorylation, particularly at low serum concentrations (0.2%)[3].	
	Western Blot Analysis[3]	
	Cell Line:	HEK293A cells
	Concentration:	10 μM
	Incubation Time:	1.5 hours
	Result:	Blocked LPA-induced dephosphorylation of YAP/TAZ. Partially inhibited the ability of serum to repress YAP/TAZ phosphorylation.
In Vivo	Ki16425 (Debio 0719) (1-30 mg/kg; i.p.; at 30 min prior to LPA injection) inhibits LPA-induced neuropathic pain-like behaviors[4].	
	Animal Model:	20-24 g male standard ddY-strain mice[4]
	Dosage:	1-30 mg/kg
	Administration:	Intraperitoneal injection; at 30 minutes prior to LPA injection
	Result:	LPA-induced neuropathic pain behaviors were attenuated in a dose-dependent manner.

Solvent&Solubility

In Vitro:

DMSO： ≥ 100 mg/mL (210.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1054 mL	10.5272 mL	21.0544 mL
5 mM	0.4211 mL	2.1054 mL	4.2109 mL
10 mM	0.2105 mL	1.0527 mL	2.1054 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 (5.26 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.26 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.26 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.26 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]. Ohta H, et al. Ki16425, a subtype-selective antagonist for EDG-family lysophosphatidic acid receptors.Mol Pharmacol, 2003, 64(4), 994-1005. [2]. Moughal NA, et al. Protean agonism of the lysophosphatidic acid receptor-1 with Ki16425 reduces nerve growth factor-induced neurite outgrowth in pheochromocytoma 12 cells. J Neurochem, 2006, 98(6), 1920-1929. [3]. Yu FX, et al. Regulation of the Hippo-YAP pathway by G-protein-coupled receptor signaling. Cell. 2012 Aug 17;150(4):780-91. [4]. Ma L, et al. Evidence for lysophosphatidic acid 1 receptor signaling in the early phase of neuropathic pain mechanisms in experiments using Ki-16425, a lysophosphatidic acid 1 receptor antagonist. J Neurochem, 2009, 109(2), 603-610.