Ki16425

Cat. No.: HY-13285

CAS No.: 355025-24-0

Molecular Formula: $C_{23}H_{23}ClN_2O_5S$

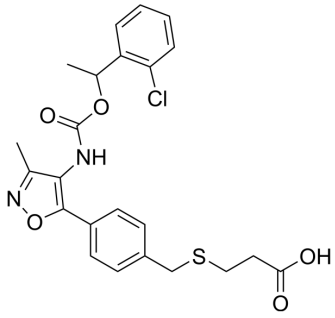
Molecular Weight: 474.96

Target: LPL Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ki16425 (Debio 0719) is a subtype-selective, competitive antagonist of the EDG-family receptors, LPA1 and LPA3 with K_is of 0.34 μM and 0.93 μM, respectively. Ki16425 (Debio 0719) reduces the LPA-induced activation of p42/p44 MAPK[1][2]. Ki16425 can also inhibit 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

CUSTOMER VALIDATION

- Cell Metab. 2022 Mar 10;S1550-4131(22)00083-3.
- EBioMedicine. 2020 Feb;52:102652.
- iScience. 2023 Jul 19.
- Int J Mol Sci. 2022 Jul 5;23(13):7452.
- Mol Pharm. 2023 Oct 16.

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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]. 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.

[4]. Yu FX, et al. Regulation of the Hippo-YAP pathway by G-protein-coupled receptor signaling. Cell. 2012 Aug 17;150(4):780-91.

Caution: Product has not been fully validated for medical applications. For research use only.

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