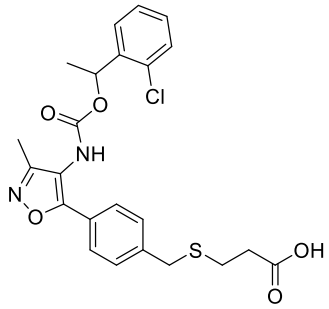
Product data sheet

MedKoo Cat#: 526788 Name: Ki16425 CAS: 355025-24-0 Chemical Formula: $C_{23}H_{23}ClN_2O_5S$ Exact Mass: 474.1016 Molecular Weight: 474.956	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Ki16425 is a LPA receptor antagonist with selectivity for LPA1 and LPA3. It exhibits Ki values of 0.34, 6.5, and 0.93 μM for the human LPA1, LPA2, and LPA3 receptors, respectively. Ki16425 reduces nerve growth factor-induced neurite outgrowth in pheochromocytoma 12 cells. ki16425 blunts abdominal and systemic inflammation in a mouse model of peritoneal sepsis.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	12.0	25.27
DMSO	62.88	132.38
DMSO:PBS (pH 7.2) (1:4)	0.2	0.42
Ethanol	52.0	109.48

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.11 mL	10.53 mL	21.05 mL
5 mM	0.42 mL	2.11 mL	4.21 mL
10 mM	0.21 mL	1.05 mL	2.11 mL
50 mM	0.04 mL	0.21 mL	0.42 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Moughal NA, Waters CM, Valentine WJ, Connell M, Richardson JC, Tigyi G, Pyne S, Pyne NJ. Protean agonism of the lysophosphatidic acid receptor-1 with Ki16425 reduces nerve growth factor-induced neurite outgrowth in pheochromocytoma 12 cells. J Neurochem. 2006 Sep;98(6):1920-9. doi: 10.1111/j.1471-4159.2006.04009.x. PMID: 16945108.
2. Yamada T, Sato K, Komachi M, Malchinkhuu E, Tobo M, Kimura T, Kuwabara A, Yanagita Y, Ikeya T, Tanahashi Y, Ogawa T, Ohwada S, Morishita Y, Ohta H, Im DS, Tamoto K, Tomura H, Okajima F. Lysophosphatidic acid (LPA) in malignant ascites stimulates motility of human pancreatic cancer cells through LPA1. J Biol Chem. 2004 Feb 20;279(8):6595-605. doi: 10.1074/jbc.M308133200. Epub 2003 Dec 3. PMID: 14660630.

In vivo study

1. Ma L, Matsumoto M, Xie W, Inoue M, Ueda H. 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 Apr;109(2):603-10. doi: 10.1111/j.1471-4159.2009.05987.x. Epub 2009 Feb 13. PMID: 19222705.

Product data sheet

2. Sánchez-Marín L, Ladrón de Guevara-Miranda D, Mañas-Padilla MC, Alén F, Moreno-Fernández RD, Díaz-Navarro C, Pérez-Del Palacio J, García-Fernández M, Pedraza C, Pavón FJ, Rodríguez de Fonseca F, Santín LJ, Serrano A, Castilla-Ortega E. Systemic blockade of LPA1/3 lysophosphatidic acid receptors by ki16425 modulates the effects of ethanol on the brain and behavior. Neuropharmacology. 2018 May 1;133:189-201. doi: 10.1016/j.neuropharm.2018.01.033. Epub 2018 Jan 31. PMID: 29378212.

7. Bioactivity

Biological target:

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.

In vitro activity

Ki16425 reduced the LPA-induced activation of p42/p44 mitogen activated protein kinase (MAPK), while acting as a weak stimulator of p42/p44 MAPK on its own, properties typical of a protean agonist. Significantly, Ki16425 also reduced the NGF-induced stimulation of p42/p44 MAPK and inhibited NGF-stimulated neurite outgrowth.

Reference: J Neurochem. 2006 Sep;98(6):1920-9. https://pubmed.ncbi.nlm.nih.gov/16945108/

In vivo activity

The blockade of nerve injury-induced neuropathic pain by Ki-16425 was maximum as late as 3 h after the injury but not after this critical period. The administration of Ki-16425 at 3 h but not at 6 h after injury also blocked neurochemical changes, including up-regulation of voltage-gated calcium channel alpha(2)delta-1 subunit expression in dorsal root ganglion and reduction of substance P expression in the spinal dorsal horn. All of these results using Ki-16425 suggest that lysophosphatidic acid 1 receptor-mediated signaling which underlies the development of neuropathic pain works at an early stage of the critical period after nerve injury.

Reference: J Neurochem. 2009 Apr;109(2):603-10. https://pubmed.ncbi.nlm.nih.gov/19222705/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.