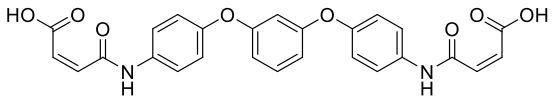
Product data sheet

MedKoo Cat#: 531950 Name: H2L5186303 CAS#: 139262-76-3 Chemical Formula: $C_{26}H_{20}N_2O_8$ Exact Mass: 488.1220 Molecular Weight: 488.45	
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:
H2L5186303 is a potent and selective lysophosphatidic acid 2 (LPA2) receptor antagonist (IC50 values are 8.9, 1230 and 27354 nM).

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
DMSO	48.84	100

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.05 mL	10.24 mL	20.47 mL
5 mM	0.41 mL	2.05 mL	4.09 mL
10 mM	0.2 mL	1.02 mL	2.05 mL
50 mM	0.04 mL	0.2 mL	0.41 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. Takahashi K, Minami K, Otagaki S, Ishimoto K, Fukushima K, Fukushima N, Honoki K, Tsujiuchi T. Lysophosphatidic acid receptor-2 (LPA2) and LPA5 regulate cellular functions during tumor progression in fibrosarcoma HT1080 cells. Biochem Biophys Res Commun. 2018 Sep 18;503(4):2698-2703. doi: 10.1016/j.bbrc.2018.08.026. Epub 2018 Aug 7. PMID: 30093116.
2. Olianas MC, Dedoni S, Onali P. LPA1 is a key mediator of intracellular signalling and neuroprotection triggered by tetracyclic antidepressants in hippocampal neurons. J Neurochem. 2017 Oct;143(2):183-197. doi: 10.1111/jnc.14150. Epub 2017 Sep 11. PMID: 28815598.

In vivo study

1. Lee YJ, Im DS. Efficacy Comparison of LPA2 Antagonist H2L5186303 and Agonist GRI977143 on Ovalbumin-Induced Allergic Asthma in BALB/c Mice. Int J Mol Sci. 2022 Aug 28;23(17):9745. doi: 10.3390/ijms23179745. PMID: 36077141; PMCID: PMC9456302.

7. Bioactivity
Biological target:

H2L5186303 is a selective lysophosphatidic acid 2 (LPA2) receptor antagonist (IC50 = 9 nM in a LPA-elicited calcium mobilization assay). It inhibits LPA1 and LPA3 at much higher concentrations (IC50s = 27,354 and 4,504 nM, respectively).

In vitro activity

Product data sheet

The high cell invasion activity of human fibrosarcoma HT1080-M6 cells was significantly suppressed by H2L5186303, suggesting that LPA2 acts as a key regulator of malignant properties in HT1080 cells.

Reference: Biochem Biophys Res Commun. 2018 Sep 18;503(4):2698-2703. https://pubmed.ncbi.nlm.nih.gov/30093116/

In vivo activity

H2L5186303 showed strong suppressive efficacy in a single experimental protocol of ovalbumin (OVA)-induced allergic asthma when administered before OVA sensitization and challenge, such as suppression of airway hyper responsiveness, inflammatory cytokine levels, mucin production, and eosinophil numbers.

Reference: Int J Mol Sci. 2022 Aug 28;23(17):9745. https://pubmed.ncbi.nlm.nih.gov/36077141/