

Product data sheet



MedKoo Cat#: 531862 Name: GRI977143 CAS: 325850-81-5 Chemical Formula: C ₂₂ H ₁₇ NO ₃ S Exact Mass: 375.0929 Molecular Weight: 375.4420	
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:

GRI977143 is a selective lysophosphatidic acid 2 (LPA2) receptor non-lipid agonist (EC₅₀ = 3.3 μM). GRI977143 does not activate other LPA GPCRs at concentrations up to 10 μM.

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	10.0	26.64
DMSO	24.57	65.44
DMSO:PBS (pH 7.2) (1:40)	0.025	0.07

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.77 mL	25.55 mL
5 mM	0.51 mL	2.55 mL	5.11 mL
10 mM	0.26 mL	1.28 mL	2.55 mL
50 mM	0.05 mL	0.26 mL	0.51 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. Kiss GN, Lee SC, Fells JI, Liu J, Valentine WJ, Fujiwara Y, Thompson KE, Yates CR, Sümegei B, Tigyi G. Mitigation of radiation injury by selective stimulation of the LPA(2) receptor. *Biochim Biophys Acta*. 2013 Jan;1831(1):117-25. doi: 10.1016/j.bbali.2012.08.020. PMID: 23127512; PMCID: PMC3491361.
2. Kiss GN, Fells JI, Gupte R, Lee SC, Liu J, Nusser N, Lim KG, Ray RM, Lin FT, Parrill AL, Sümegei B, Miller DD, Tigyi G. Virtual screening for LPA2-specific agonists identifies a nonlipid compound with antiapoptotic actions. *Mol Pharmacol*. 2012 Dec;82(6):1162-73. doi: 10.1124/mol.112.079699. Epub 2012 Sep 11. PMID: 22968304; PMCID: PMC3502618.

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.
2. Kaji I, Roland JT, Watanabe M, Engevik AC, Goldstein AE, Hodges CA, Goldenring JR. Lysophosphatidic Acid Increases Maturation of Brush Borders and SGLT1 Activity in MYO5B-deficient Mice, a Model of Microvillus Inclusion Disease.

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Gastroenterology. 2020 Oct;159(4):1390-1405.e20. doi: 10.1053/j.gastro.2020.06.008. Epub 2020 Jun 12. PMID: 32534933; PMCID: PMC8240502.

7. Bioactivity

Biological target:

GRI977143 is a specific LPA₂ receptor agonist, with an EC₅₀ of 3.3 μM.

In vitro activity

GRI (GRI977143) selectively protected LPA₂ MEF cells and reduced caspase 9 activation by 37% ± 1% but was without any effect in the vector-transduced MEF cells.

Reference: Biochim Biophys Acta. 2013 Jan;1831(1):117-25. <https://pubmed.ncbi.nlm.nih.gov/23127512/>

In vivo activity

On the contrary, GRI977143 also inhibited inhibition of β-hexosaminidase release at 100 μM concentration, which was ten-fold higher than the effective concentration of H2L5186303 (10 μM) (Figure 2A). This may imply a non-specific off-target effect of the LPA₂ agonist, GRI977143 (Figure 2A).

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

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.