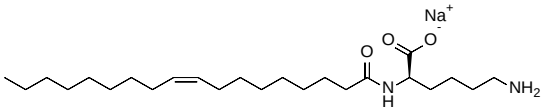
Product data sheet

MedKoo Cat#: 574134 Name: Oleoyl-D-lysine sodium CAS: NONE Chemical Formula: $C_{24}H_{45}N_2NaO_3$ Exact Mass: 432.3328 Molecular Weight: 432.6248	
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:
Oleoyl-D-lysine sodium is an inhibitor of glycine transporter 2a (GlyT2a). It selectively inhibits GlyT2a over GlyT1b and reduces allodynia in a nerve ligation rat model of neuropathic pain.

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	23.11
DMSO	30.0	69.34
Ethanol	50.0	115.57
PBS (pH 7.2)	0.25	0.58

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.31 mL	11.56 mL	23.11 mL
5 mM	0.46 mL	2.31 mL	4.62 mL
10 mM	0.23 mL	1.16 mL	2.31 mL
50 mM	0.05 mL	0.23 mL	0.46 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. Mostyn SN, Rawling T, Mohammadi S, Shimmon S, Frangos ZJ, Sarker S, Yousuf A, Vetter I, Ryan RM, Christie MJ, Vandenberg RJ. Development of an N-Acyl Amino Acid That Selectively Inhibits the Glycine Transporter 2 To Produce Analgesia in a Rat Model of Chronic Pain. J Med Chem. 2019 Mar 14;62(5):2466-2484. doi: 10.1021/acs.jmedchem.8b01775. Epub 2019 Feb 20. PMID: 30714733; PMCID: PMC6420064.

In vivo study

1. Wilson BS, Peiser-Oliver J, Gillis A, Evans S, Alamein C, Mostyn SN, Shimmon S, Rawling T, Christie MJ, Vandenberg RJ, Mohammadi SA. Peripheral Administration of Selective Glycine Transporter-2 Inhibitor, Oleoyl-D-Lysine, Reverses Chronic Neuropathic Pain but Not Acute or Inflammatory Pain in Male Mice. J Pharmacol Exp Ther. 2022 Sep;382(3):246-255. doi: 10.1124/jpet.122.001265. Epub 2022 Jul 2. PMID: 35779948.

7. Bioactivity
Biological target:

Oleoyl-D-lysine sodium is an inhibitor of glycine transporter 2a (GlyT2a).

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In vitro activity

For 33 (oleoyl-D-lysine), GlyT2 was potently inhibited (IC50 48.3 nM), while little inhibition of GlyT1 was seen at maximal concentrations (3 μM). This study also tested 33 at Nav, Cav channels, and α7 nicotinic acetylcholine receptors (Table 3S) which are known pain target to rule out analgesia through this mechanism, and no significant inhibition was observed. The cannabinoid receptors, CB1 and CB2, as well as the sphingosine-1-phosphate receptor are targeted by similar lipid based ligands, such as anandamide, and so these receptors were also screened for 33 activity (Table 4S), but no activity as either an agonist or antagonist was observed for all three receptors.

Reference: J Med Chem. 2019 Mar 14;62(5):2466-2484. https://pubmed.ncbi.nlm.nih.gov/30714733/

In vivo activity

Here, intraperitoneally administered oleoyl-D-lysine, a lipid-based GlyT2 inhibitor, was characterized in mouse models of acute (hot plate), inflammatory (complete Freund's adjuvant), and chronic neuropathic (chronic constriction injury) pain. Oleoyl-D-lysine produced near complete antiallodynia for chronic neuropathic pain, but no antiallodynia/analgesia in inflammatory or acute pain. Oleoyl-D-lysine (30 mg/kg) did not cause any respiratory depression, a problematic side effect of opiates. These results show the safe and effective reversal of neuropathic pain in mice by oleoyl-D-lysine and provide evidence for a distinct role of glycine in chronic pain over acute or short-term pain conditions.

Reference: J Pharmacol Exp Ther. 2022 Sep;382(3):246-255. https://pubmed.ncbi.nlm.nih.gov/35779948/