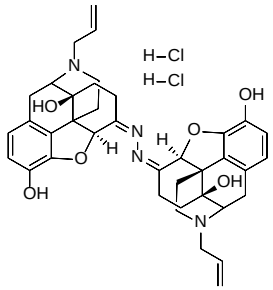
Product data sheet

MedKoo Cat#: 562325 Name: Naloxonazine Dihydrochloride CAS: 880759-65-9 Chemical Formula: $C_{38}H_{44}Cl_2N_4O_6$ Molecular Weight: 723.692	
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:
Naloxonazine Dihydrochloride is a potent and selective antagonist for μ1 opioid receptors.

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
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.38 mL	6.91 mL	13.82 mL
5 mM	0.28 mL	1.38 mL	2.76 mL
10 mM	0.14 mL	0.69 mL	1.38 mL
50 mM	0.03 mL	0.14 mL	0.28 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. De Muylder G, Vanhollebeke B, Caljon G, Wolfe AR, McKerrow J, Dujardin JC. Naloxonazine, an Amastigote-Specific Compound, Affects Leishmania Parasites through Modulation of Host-Encoded Functions. PLoS Negl Trop Dis. 2016 Dec 30;10(12):e0005234. doi: 10.1371/journal.pntd.0005234. PMID: 28036391; PMCID: PMC5201425.

2. Hahn EF, Pasternak GW. Naloxonazine, a potent, long-lasting inhibitor of opiate binding sites. Life Sci. 1982 Sep 20-27;31(12-13):1385-8. doi: 10.1016/0024-3205(82)90387-3. PMID: 6292633.

In vivo study

1. Chien CC, Lee YJ, Fan LW, Ho IK, Tien LT. Naloxonazine, a specific mu-opioid receptor antagonist, attenuates the increment of locomotor activity induced by acute methamphetamine in mice. Toxicol Lett. 2012 Jul 7;212(1):61-5. doi: 10.1016/j.toxlet.2012.04.022. Epub 2012 May 4. PMID: 22564758.

2. Mhatre M, Holloway F. Micro1-opioid antagonist naloxonazine alters ethanol discrimination and consumption. Alcohol. 2003 Feb;29(2):109-16. doi: 10.1016/s0741-8329(03)00021-1. PMID: 12782252.

7. Bioactivity
Biological target:
Naloxonazine dihydrochloride is a specific μ-opioid receptor antagonist with an IC_{50} of 5.4 nM.

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In vitro activity

Naloxonazine, on the other hand, produces a potent, dose-dependent inhibition of binding at opiate binding sites which is resistant to washing. Despite the washes, naloxonazine at 50 nm abolishes high affinity binding with some inhibition seen at concentrations down to 10 nM.

Reference: Life Sci. 1982 Sep 20-27;31(12-13):1385-8. https://pubmed.ncbi.nlm.nih.gov/6292633/

In vivo activity

This study investigates the effects of naloxonazine, a specific mu-opioid receptor antagonist, on the locomotor behavioral response and phosphorylation pattern of dopamine and cAMP-regulated phosphoprotein of Mr32 (DARPP-32) in striatal dopaminergic transmissions induced by acute administration of METH to mice. Mice were injected with a single dose of naloxonazine (20 mg/kg, i.p.) 60 min before injecting (i.p.) either saline or 1 mg/kg of METH. Results show that pretreatment with naloxonazine significantly attenuated the acute METH-induced increase in locomotor activity and phosphor-Thr75 DARPP-32 levels.

Reference: Toxicol Lett. 2012 Jul 7;212(1):61-5. https://pubmed.ncbi.nlm.nih.gov/22564758/