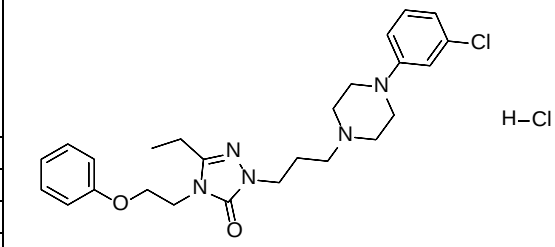
Product data sheet

MedKoo Cat#: 540313 Name: Nefazodone HCl CAS: 82752-99-6 Chemical Formula: $C_{25}H_{33}Cl_2N_5O_2$ Exact Mass: 505.2011 Molecular Weight: 506.472	
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:
Nefazodone HCl is an inhibitor of 5-HT2 receptors, SERT, NET, and hERG K+ channels used to treat mood disorders. It decreases immobility time in the forced swim test.

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	36.0	71.08
Water	2.0	3.95

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.97 mL	9.87 mL	19.74 mL
5 mM	0.39 mL	1.97 mL	3.95 mL
10 mM	0.20 mL	0.99 mL	1.97 mL
50 mM	0.04 mL	0.20 mL	0.39 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. Krajnc E, Visentin M, Gai Z, Stieger B, Samodelov SL, Häusler S, Kullak-Ublick GA. Untargeted Metabolomics Reveals Anaerobic Glycolysis as a Novel Target of the Hepatotoxic Antidepressant Nefazodone. J Pharmacol Exp Ther. 2020 Nov;375(2):239-246. doi: 10.1124/jpet.120.000120. Epub 2020 Aug 26. PMID: 32848075.

2. Ren Z, Chen S, Zhang J, Doshi U, Li AP, Guo L. Endoplasmic Reticulum Stress Induction and ERK1/2 Activation Contribute to Nefazodone-Induced Toxicity in Hepatic Cells. Toxicol Sci. 2016 Dec;154(2):368-380. doi: 10.1093/toxsci/kfw173. Epub 2016 Sep 9. PMID: 27613715; PMCID: PMC5727583.

In vivo study

1. Hamadjida A, Nuara SG, Bédard D, Frouni I, Kwan C, Gourdon JC, Huot P. Nefazodone reduces dyskinesia, but not psychosis-like behaviours, in the parkinsonian marmoset. Naunyn Schmiedebergs Arch Pharmacol. 2018 Dec;391(12):1339-1345. doi: 10.1007/s00210-018-1549-6. Epub 2018 Aug 7. PMID: 30088028.

2. Nakada N, Kawamura A, Kamimura H, Sato K, Kazuki Y, Kakuni M, Ohbuchi M, Kato K, Tateno C, Oshimura M, Usui T. Murine Cyp3a knockout chimeric mice with humanized liver: prediction of the metabolic profile of nefazodone in humans. Biopharm Drug Dispos. 2016 Jan;37(1):3-14. doi: 10.1002/bdd.1990. PMID: 26352195.

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7. Bioactivity

Biological target:

Nefazodone hydrochloride (BMY-13754) is a potent and selective 5HT2A (Ki=5.8 nM) antagonist.

In vitro activity

In glucose-containing medium, nefazodone-induced ATP depletion from Huh7 cells was biphasic. Huh7 cells in glucose-free medium were more sensitive to nefazodone than those in glucose-containing medium, losing the biphasic inhibition. Nefazodone-induced ATP depletion in primary cultured mouse hepatocytes, mainly dependent on oxidative phosphorylation, was monophasic. At lower extracellular concentrations, nefazodone inhibited the oxygen consumption of Huh7 cells, whereas at higher extracellular concentrations, it also inhibited the extracellular acidification.

Reference: J Pharmacol Exp Ther. 2020 Nov;375(2):239-246. https://pubmed.ncbi.nlm.nih.gov/32848075/

In vivo activity

Six common marmosets developed parkinsonism following administration of MPTP, after which they were treated chronically with L-DOPA to induce stable dyskinesia and PLBs. In behavioural experiments, nefazodone (0.01, 0.1 and 1 mg/kg) or vehicle was administered in combination with L-DOPA and its effects on dyskinesia, PLBs and parkinsonian disability were assessed. The addition of nefazodone 0.01, 0.1 and 1 mg/kg to L-DOPA reduced the severity of peak dose dyskinesia by ≈ 21%, ≈ 39% and ≈ 42% (all $P < 0.05$), while it did not have any significant effect on PLBs, when compared to L-DOPA/vehicle.

Reference: Naunyn Schmiedebergs Arch Pharmacol. 2018 Dec;391(12):1339-1345. https://pubmed.ncbi.nlm.nih.gov/30088028/

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.