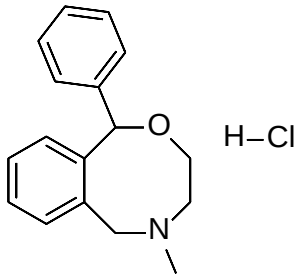
Product data sheet

MedKoo Cat#: 329820 Name: Nefopam HCl CAS: 23327-57-3 (HCl) Chemical Formula: $C_{17}H_{20}ClNO$ Molecular Weight: 289.803	
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:

Nefopam is a centrally-acting non-opioid analgesic drug. It is widely used, mainly in European countries, for the relief of moderate to severe pain as an alternative to opioid analgesic drugs. Animal studies have shown that nefopam has a potentiating (analgesic-sparing) effect on morphine and other opioids by broadening the antinociceptive action of the opioid and possibly other mechanisms, generally lowering the dose requirements of both when they are used concomitantly.

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	6.75	23.29
Water	16.75	57.80

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.45 mL	17.25 mL	34.51 mL
5 mM	0.69 mL	3.45 mL	6.90 mL
10 mM	0.35 mL	1.73 mL	3.45 mL
50 mM	0.07 mL	0.35 mL	0.69 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. Poon R, Hong H, Wei X, Pan J, Alman BA. A high throughput screen identifies Nefopam as targeting cell proliferation in β-catenin driven neoplastic and reactive fibroproliferative disorders. PLoS One. 2012;7(5):e37940. doi: 10.1371/journal.pone.0037940. Epub 2012 May 30. PMID: 22666417; PMCID: PMC3364163.
2. Novelli A, Groppetti A, Rossoni G, Manfredi B, Ferrero-Gutiérrez A, Pérez-Gómez A, Desogus CM, Fernández-Sánchez MT. Nefopam is more potent than carbamazepine for neuroprotection against veratridine in vitro and has anticonvulsant properties against both electrical and chemical stimulation. Amino Acids. 2007;32(3):323-32. doi: 10.1007/s00726-006-0419-6. Epub 2006 Oct 6. PMID: 17021653.

In vivo study

1. Cabañero D, Maldonado R. Synergism between oral paracetamol and nefopam in a murine model of postoperative pain. Eur J Pain. 2021 Sep;25(8):1770-1787. doi: 10.1002/ejp.1787. Epub 2021 May 20. PMID: 33909343.
2. Chae JW, Kang DH, Li Y, Kim SH, Lee HG, Choi JI, Yoon MH, Kim WM. Antinociceptive effects of nefopam modulating serotonergic, adrenergic, and glutamatergic neurotransmission in the spinal cord. Neurosci Lett. 2020 Jul 13;731:135057. doi: 10.1016/j.neulet.2020.135057. Epub 2020 May 23. PMID: 32450186.

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7. Bioactivity

Biological target:

Nefopam is a centrally-acting non-opioid analgesic drug.

In vitro activity

The screen identified Neofopam, as an agent that inhibited cell numbers to 42% of baseline in cell cultures from β-catenin driven fibroproliferative disorders. Nefopam decreased cell proliferation and β-catenin protein level to 50% of baseline in these same cell cultures. The half maximal effective concentration in-vitro was 0.5 uM and there was a plateau in the effect after 48 hours of treatment.

Reference: PLoS One. 2012;7(5):e37940. https://pubmed.ncbi.nlm.nih.gov/22666417/

In vivo activity

Mechanical and heat antinociception induced by oral doses of paracetamol, nefopam or their combination was studied by isobolographic analysis in a murine model of postsurgical pain. Oral nefopam induced dose-dependent antinociception with similar efficacy for mechanical and heat hypersensitivity (ED50 s 5.42 ± 0.81 vs. 5.83 ± 0.72). Combinations of increasing isoeffective doses revealed that combined ED17.5 s (85.76 mg/kg paracetamol and 1.9 mg/kg nefopam) and ED35 s (132.67 mg/kg and 3.73 mg/kg) showed synergistic effects leading to 75% and 90% mechanical antinociception, respectively.

Reference: Eur J Pain. 2021 Sep;25(8):1770-1787. https://pubmed.ncbi.nlm.nih.gov/33909343/