Product data sheet



| MedKoo Cat#: 522475 | | |
|---|--|--|
| Name: JTC-801 HCl | | |
| CAS: 244218-51-7 (free base). | | |
| Chemical Formula: C ₂₆ H ₂₆ ClN ₃ O ₂ | | |
| Molecular Weight: 447.963 | | $\begin{array}{cccccccccccccccccccccccccccccccccccc$ |
| Product supplied as: | Powder | |
| Purity (by HPLC): | ≥ 98% | |
| Shipping conditions | Ambient temperature | Ö |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |] |
| | In solvent: -80°C 3 months; -20°C 2 weeks. | |

1. Product description:

JTC-801 is an opioid analgesic drug used in scientific research. JTC-801 is a selective antagonist for the nociceptin receptor, also known as the ORL-1 receptor. The noiciceptin receptor has complex effects which are involved in many processes involved in pain and inflammation responses, and activation of this receptor can either increase or reduce pain depending on dose. Drugs acting at the noiciceptin receptor may influence the effects of traditional analgesics such as NSAIDs, μ -opioid agonists, and cannabinoids. JTC-801 is an orally active drug that blocks the nociceptin receptor and produces analgesic effects in a variety of animal studies, and is particularly useful for neuropathic pain and allodynia associated with nerve injury.

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 | 30.0 | 66.97 | | |
| DMSO | 66.2 | 147.78 | | |
| DMSO:PBS (pH 7.2) | 0.16 | 0.36 | | |
| (1:5) | | | | |
| Ethanol | 19.99 | 44.62 | | |
| Water | 78.27 | 174.72 | | |

4. Stock solution preparation table:

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---------------------------------------|---------|----------|----------|
| 1 mM | 2.23 mL | 11.16 mL | 22.32 mL |
| 5 mM | 0.45 mL | 2.23 mL | 4.46 mL |
| 10 mM | 0.22 mL | 1.12 mL | 2.23 mL |
| 50 mM | 0.05 mL | 0.22 mL | 0.45 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. Yamada H, Nakamoto H, Suzuki Y, Ito T, Aisaka K. Pharmacological profiles of a novel opioid receptor-like1 (ORL(1)) receptor antagonist, JTC-801. Br J Pharmacol. 2002 Jan;135(2):323-32. doi: 10.1038/sj.bjp.0704478. PMID: 11815367; PMCID: PMC1573142.
- 2. Zhao B, Hu T. JTC-801 inhibits the proliferation and metastasis of the Hep G2 hepatoblastoma cell line by regulating the phosphatidylinositol 3-kinase/protein kinase B signalling pathway. Oncol Lett. 2019 Feb;17(2):1939-1945. doi: 10.3892/ol.2018.9780. Epub 2018 Nov 30. PMID: 30675258; PMCID: PMC6341900.

In vivo study

Product data sheet



- 1. Zhang Y, Simpson-Durand CD, Standifer KM. Nociceptin/orphanin FQ peptide receptor antagonist JTC-801 reverses pain and anxiety symptoms in a rat model of post-traumatic stress disorder. Br J Pharmacol. 2015 Jan;172(2):571-82. doi: 10.1111/bph.12701. Epub 2014 Jul 1. PMID: 24666365; PMCID: PMC4292969.
- 2. Koyama T, Fukuda K. Nociceptin receptor antagonist JTC-801 inhibits nitrous oxide-induced analgesia in mice. J Anesth. 2009;23(2):301-3. doi: 10.1007/s00540-009-0739-2. Epub 2009 May 15. PMID: 19444578.

7. Bioactivity

Biological target:

JTC-801 is a selective opioid receptor-like1 (ORL1) receptor antagonist, binding to ORL1 receptor with a Ki value of 8.2 nM.

In vitro activity

JTC-801 inhibited the binding of [(3)H]-nociceptin to human ORL(1) receptors expressed in HeLa cells with a K(i) value of 44.5 nM.

Reference: Br J Pharmacol. 2002 Jan;135(2):323-32. https://pubmed.ncbi.nlm.nih.gov/11815367/

In vivo activity

JTC-801 treatment reversed SPS-induced mechanical allodynia, thermal hyperalgesia, anxiety-like behaviour and hypocortisolism in rats. Elevated N/OFQ levels in serum, CSF, PAG and hippocampus at day 21 of SPS were blocked by JTC-801; daily JTC-801 treatment also reversed NOP receptor protein and mRNA up-regulation in amygdala and PAG.

Reference: Br J Pharmacol. 2015 Jan;172(2):571-82. https://pubmed.ncbi.nlm.nih.gov/24666365/

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.