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Produktinformation

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- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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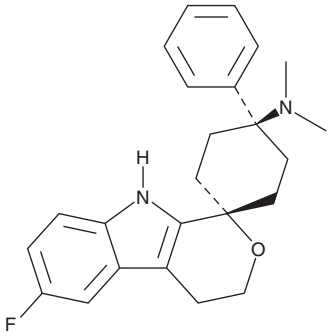
PRODUCT INFORMATION

Cebranopadol

Item No. 29500

CAS Registry No.:	863513-91-1
Formal Name:	(1α,4β)-6′-fluoro-4′,9′-dihydro-N,N-dimethyl-4-phenyl-spiro[cyclohexane-1,1′(3′H)-pyrano[3,4-b]indol]-4-amine
Synonym:	GRT6005
MF:	$C_{24}H_{27}FN_2O$
FW:	378.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 287 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Cebranopadol is supplied as a solid. A stock solution may be made by dissolving the cebranopadol in the solvent of choice, which should be purged with an inert gas. Cebranopadol is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of cebranopadol in these solvents is approximately 0.2 and 2 mg/ml, respectively.

Description

Cebranopadol is an agonist of nociceptin-, μ-, κ-, and δ-opioid receptors (K_is = 0.9, 0.7, 2.6, and 18 nM, respectively).[1] It is greater than 100-fold selective for these receptors over a panel of more than 100 ion channels, neurotransmitter transporters, receptors, and enzymes, but does bind to the serotonin (5-HT) receptor subtype 5-HT_{5A} with a K_i value of 8.7 nM. Cebranopadol inhibits nociceptin-, DAMGO-, and SNC 80-induced GTPγS binding in CHO cells expressing nociceptin-, μ-, and δ-opioid receptors, respectively (EC_{50}s = 13, 1.2, and 110 nM, respectively), as well as U69,593-induced GTPγS binding in HEK293 cells expressing the κ-opioid receptor (EC_{50} = 17 nM). It increases the paw withdrawal threshold in rat models of bone cancer pain and streptozotocin-induced diabetic neuropathy (ED_{50}s = 3.6 and 0.5 μg/kg, respectively, i.v.). Cebranopadol also increases paw weight bearing on the ipsilateral side in a rat model of arthritis induced by complete Freund's adjuvant (CFA) and *M. tuberculosis* (ED_{50} = 5.5 μg/kg, i.v.).

Reference

1. Linz, K., Christoph, T., Tzschentke, T.M., *et al*. Cebranopadol: A novel potent analgesic nociceptin/orphanin FQ peptide and opioid receptor agonist. *J. Pharmacol. Exp. Ther.* **349(3)**, 535-548 (2014).

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