Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Cebranopadol

Catalog No: tcsc1323

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
863513-91-1

Formula:
$C_{24}H_{27}FN_2O$

Pathway:
GPCR/G Protein;Neuronal Signaling

Target:
Opioid Receptor;Opioid Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
GRT6005

Observed Molecular Weight:
378.48

Product Description

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Cebranopadol is an analgesic **NOP** and **opioid receptor** agonist with **K_i**s/**EC_{50}**s of 0.9 nM/13 nM, 0.7 nM/1.2 nM, 2.6 nM/17 nM, 18 nM/110 nM for human NOP, MOP, KOP and delta-opioid peptide (DOP) receptor, respectively.

IC50 & Target: EC50: 13±2 nM (hNOP receptor), 1.2±0.4 nM (hMOP receptor), 17±5 nM (hKOP receptor), 110±28 nM (hDOP receptor) [1]

In Vitro: Cebranopadol binds with high affinity (subnanomolar to nanomolar range) to nociceptin/orphanin FQ peptide (NOP) and opioid receptors, with K_i of 1±0.5 nM, 2.4±1.2 nM, and 64±11 nM for rat NOP, mu-opioid peptide (MOP) receptor, and kappa-opioid peptide (KOP) receptor, and with K_i of 0.9±0.2 nM, 0.7±0.3 nM, and 2.6±1.4 nM for Rat NOP, MOP, and KOP receptor[1].

In Vivo: Cebranopadol exhibits highly potent and efficacious antinociceptive and antihypersensitive effects in several rat models of acute and chronic pain (tail-flick, rheumatoid arthritis, bone cancer, spinal nerve ligation, diabetic neuropathy) with ED_{50} values of 0.5-5.6 μg/kg after intravenous and 25.1 μg/kg after oral administration. In comparison with selective MOP receptor agonists, cebranopadol is more potent in models of chronic neuropathic than acute nociceptive pain. Cebranopadol's duration of action is long (up to 7 hours after intravenous 12 μg/kg; >9 hours after oral 55 μg/kg in the rat tail-flick test). The antihypersensitive activity of cebranopadol in the spinal nerve ligation model is partially reversed by pretreatment with the selective NOP receptor antagonist J-113397 or the opioid receptor antagonist naloxone, indicating that both NOP and opioid receptor agonism are involved in this activity. Development of analgesic tolerance in the chronic constriction injury model is clearly delayed compared with that from an equianalgesic dose of morphine (complete tolerance on day 26 versus day 11, respectively). Unlike morphine, cebranopadol did not disrupt motor coordination and respiration at doses within and exceeding the analgesic dose range. Cebranopadol, by its combination of agonism at NOP and opioid receptors, affords highly potent and efficacious analgesia in various pain models with a favorable side effect profile[1].