Ro 64-6198

Cat. No.: HY-12844
CAS No.: 280783-56-4
Molecular Formula: C₂₆H₃₁N₃O
Molecular Weight: 401.54
Target: Opioid Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage:
- Powder: -20°C 3 years, 4°C 2 years
- In solvent: -80°C 6 months, -20°C 1 month

BIOLOGICAL ACTIVITY

Description
Ro 64-6198 is a potent, selective, nonpeptide, high-affinity, high cellular permeability and brain penetration N/OFQ receptor (NOP) agonist with an EC₅₀ value of 25.6 nM. Ro 64-6198 is at least 100 times more selective for the NOP receptor over the classic opioid receptors. Ro 64-6198 can be used for stress and anxiety, addiction, neuropathic pain, cough, and anorexia[1][2].

IC₅₀ & Target
Nociceptin receptor[1]

In Vitro
Ro 64-6198 also produces rapid desensitization of the NOP receptor. In vitro studies shows that treatment with Ro 64-6198 results in a functional desensitization of the receptor, a loss in binding sites, and an apparent decrease in binding affinity. The desensitization produced by Ro 64-6198 is not reversed by acidic washes[1]. Ro 64-6198 does recruit both arrestin3 (EC₅₀ of 0.912 µM) and arrestin2 (EC₅₀ of 1.20 µM) to the NOP receptor in a concentration-dependent manner comparably with N/OFQ[2].

In Vivo
At low doses Ro 64-6198 is anxiolytic in several neophobic tests, including the marble burying test in mice, the elevated plus maze in rats and the open field test in rats. In the marble burying test, at 1 mg/kg, i.p., Ro 64-6198 produces a decrease in the number of marbles buried, without altering locomotor activity, indicating a decrease in neophobia and anxiety. Ro 64–6198 selectively increases the number of open arm transitions and time spent in the open arms of the elevated plus maze at doses of 0.32-3 mg/kg, i.p., without affecting closed arm transitions or locomotor activity in the closed arms. In the open field test, Ro 64–6198, at doses of 0.32-3 mg/kg, attenuates the inhibition of exploration that results from the stress of a novel environment[1].

REFERENCES
[1]. Shoblock JR. The pharmacology of Ro 64-6198, a systemically active, nonpeptide NOP receptor (opiate receptor-like 1, ORL-1) agonist with diverse preclinical therapeutic activity. CNS Drug Rev. 2007 Spring;13(1):107-36.
Caution: Product has not been fully validated for medical applications. For research use only.

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