Ro 64-6198

Cat. No.: HY-12844

CAS No.: 280783-56-4

Molecular Formula: $C_{26}H_{31}N_3O$

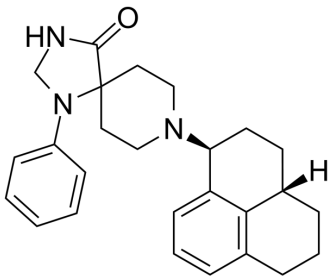
Molecular Weight: 401.54

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (124.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4904 mL	12.4521 mL	24.9041 mL
	5 mM	0.4981 mL	2.4904 mL	4.9808 mL
	10 mM	0.2490 mL	1.2452 mL	2.4904 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution

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 EC_{50} 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].

IC_{50} & 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 (EC_{50} of 0.912 μM) and arrestin2 (EC_{50} of 1.20 μM) to the NOP receptor in a concentration-dependent manner comparably with N/OFQ[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Br J Pharmacol. 2021 Oct 27.

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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.

[2]. Chang SD, et al. Novel Synthesis and Pharmacological Characterization of NOP Receptor Agonist 8-[(1S,3aS)-2,3,3a,4,5,6-Hexahydro-1H-phenalen-1-yl]-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one (Ro 64-6198). ACS Chem Neurosci. 2015 Dec 16;6(12):1956-64.

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