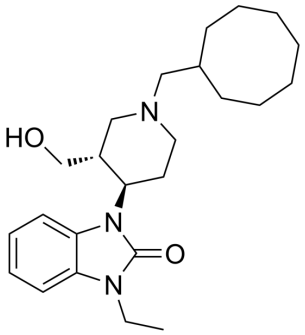
J-113397

Cat. No.:	HY-114072
CAS No.:	256640-45-6
Molecular Formula:	$C_{24}H_{37}N_3O_2$
Molecular Weight:	399.57
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

J-113397 is the first potent and selective nonpeptidyl ORL1 receptor antagonist (K_i: cloned human ORL1=1.8 nM) without any agonistic effects on other opioid receptors[1].

IC_{50} & Target

NOP Receptor/ORL1	κ Opioid Receptor/KOR	μ Opioid Receptor/MOR	δ Opioid Receptor/DOR
1.8 ± 0.24 nM (Ki)	640 ± 87 nM (Ki)	1000 ± 160 nM (Ki)	>10000 nM (Ki)

In Vitro

J-113397 (0-500 nM) inhibits NociceptinNociceptin/orphanin FQ (HY-P0183)-stimulated [^{35}S]GTPγS binding to CHO cells expressing ORL1 (CHO-ORL1) but had no effect on [^{35}S]GTPγS binding by itself[1].

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

Cell Viability Assay[1]

Cell Line:	CHO-ORL1 cells
Concentration:	0, 0.1, 1, 10, 100, 200, 500 nM
Incubation Time:	10 min
Result:	Inhibited nociceptin/orphanin FQ-stimulated [^{35}S]guanosine 5′-O-(γ-thio)triphosphate (GTPγS) binding to Chinese Hamster Ovary (CHO) cells expressing ORL1 (CHO-ORL1) with an IC_{50} value of 5.3 nM but had no effect on [^{35}S]GTPγS binding by itself.

In Vivo

J-113397 (0-30 mg/kg; subcutaneously (s.c.); once) dose-dependently inhibits hyperalgesia elicited by intracerebroventricular (i.c.v.) administration of NociceptinNociceptin/orphanin FQ (HY-P0183) in a tail-flick test with mice[1].

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

Animal Model:	Male ICR mice (15–25 g)[1]
Dosage:	0, 3, 10, 30 mg/kg
Administration:	Subcutaneously (s.c.), 10 min prior to administering 0.1 nmol nociceptin/orphanin FQ to the mice

Result:	Dose-dependently inhibited hyperalgesia elicited by intracerebroventricular (i.c.v.) administration of nociceptin/orphanin FQ in a tail-flick test with mice.

REFERENCES

[1]. Ozaki S, et al. In vitro and in vivo pharmacological characterization of J-113397, a potent and selective non-peptidyl ORL1 receptor antagonist. Eur J Pharmacol. 2000 Aug 18;402(1-2):45-53.

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