Product Data Sheet

Product Name: (±)-J 113397
Cat. No.: GC18090

Chemical Properties

Cas. No.	217461-40-0		
Chemical Name	1-((3R,4R)-1-(cyclooctylmethyl)-3-(hydroxymethyl)piperidin-4-yl)-3-ethyl-1H-benzo[d]imidazol-2(3H)-one		
SMILES	CCN(C1=O)C2=CC=CC=C2N1[C@]3([H])CCN(C[C@@]3([H])CO)CC4CCCCCCC4		
Formula	$C_{24}H_{37}N_3O_2$	M.Wt	399.57
Solubility	<19.98mg/ml in DMSO; <19.98mg/ml in ethanol	Storage	Desiccate at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	(±)-J 113397		

Background

(±)-J 113397 is a potent and selective non-peptidyl antagonist of ORL1 receptor, with a Ki value of 1.8 nM for cloned human ORL1 [1].

The ORL1 receptor is a G protein-coupled. It is structurally related to the opioid receptors. The heptadecapeptide nociceptin/orphanin FQ is the endogenous ligand [2].

In CHO-ORL1 cells, nociceptinr/orphanin FQ dose-dependently suppressed the accumulation of cyclic AMP stimulated by forskolin with an EC value of 0.22 ± 0.011 nM. Treatment with J-113397 at increasing concentration shifted the concentration-response curve of nociceptinr/orphanin FQ to the right. Data indicated that J-113397 inhibited the interaction between nociceptinr/orphanin FQ and ORL1 in a competitive manner [1].

In a tail-flick test, an i.c.v. injection of nociceptinr/orphanin FQ at 0.01-1 nmol or saline was given to mice. I.c.v. injection of saline did not obviously change the latency of tail-flick. Nociceptinr/orphanin FQ at doses of more than 0.1 nmol shortened the latency. At the high concentration, the effect of nociceptinr/orphanin FQ reached a maximal decrease

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at 15 min after the injection of J-113397. The effect of nociceptinr/orphanin FQ lasted for more than 60 min. J-113397 inhibited the shortening of mouse tail-flick latency induced by nociceptinr/orphanin FQ dose-dependently. J-113397 at 30 mg/kg completely reversed the hyperalgesia elicited by nociceptinr/orphanin FQ [1].

References:

[1]. Ozaki S, Kawamoto H, Itoh Y, et al. In vitro and in vivo pharmacological characterization of J-113397, a potent and selective non-peptidyl ORL1 receptor antagonist. European journal of pharmacology, 2000, 402(1): 45-53.
[2]. Mollereau C, Mouledous L. Tissue distribution of the opioid receptor-like (ORL1) receptor. Peptides, 2000, 21(7): 907-917.