Robalzotan hydrochloride

Cat. No.: HY-10351A

CAS No.: 184674-99-5

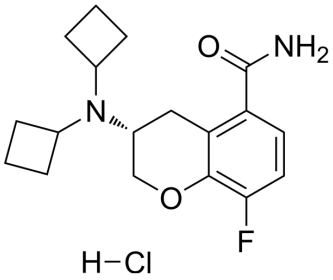
Molecular Formula: $C_{18}H_{24}ClFN_2O_2$

Molecular Weight: 354.85

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Robalzotan hydrochloride (NAD-299 hydrochloride) is a potent and selective 5-Hydroxytryptamine 1A (5-HT1A) inhibitor. Robalzotan hydrochloride increases the firing rate of 5-HT cells. Robalzotan hydrochloride induces 5-HT1A receptor occupancy. Robalzotan hydrochloride has the potential for the research of a cholinergic deficit in the central -nervous system[1][2][3].

IC_{50} & Target

$5\text{-}HT_{1A}$ Receptor

In Vivo

Robalzotan hydrochloride (1-100 μg/kg; i.v.) significantly increases the activity of such neurons at 5 μg/kg in rats, and reverses the acute inhibitory effect of citalopram (HY-121203) (300 μg/kg i.v.) or paroxetine (HY-122272) (100 μg/kg, i.v.) on the activity of 5-HT neurons in the dorsal raphe nucleus in rats[1].

Robalzotan hydrochloride (5, 50 μg/kg; i.v.) increases the firing rate of the 5-HT cells[1].

Robalzotan hydrochloride (2-100 μg/kg; i.v.) occupies 5-HT1A receptors in a dose-dependent in monkeys[2].

Robalzotan hydrochloride (0.3; 1 and 3 μmol/kg s.c) causes a dose-dependent increase of extracellular ACh levels in the rat FC[3].

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

Animal Model:	300-600 g, male Sprague-Dawley rats (5-HT cells)[1]
Dosage:	1, 5, 12.5, 25, 50, 100 μg/kg
Administration:	I.v.
Result:	Significantly increased the activity of such neurons at 5 μg/kg, increasing doses of robalzotan 5-100 μg/kg or 50-400 mg/kg did not further affect the firing rate of 5-HT neurons.

Animal Model:	3-4 kg, cynomolgus monkeys[2]
Dosage:	2, 10, 20, 100 μg/kg
Administration:	I.v.
Result:	Occupied 5-HT1A receptors in a dose-dependent and saturable manner and he highest 5-

	HT1A receptor occupancy (70-80%) was attained after 100 μg/kg.

REFERENCES

[1]. Arborelius L, et al. The 5-HT(1A) receptor antagonist robalzotan completely reverses citalopram-induced inhibition of serotonergic cell firing. Eur J Pharmacol. 1999 Oct 8;382(2):133-8.

[2]. Farde L, et al. PET-Determination of robalzotan (NAD-299) induced 5-HT(1A) receptor occupancy in the monkey brain. Neuropsychopharmacology. 2000 Apr;22(4):422-9.

[3]. Hu, Xiao Jing, et al. Modulation of acetylcholine release by serotonergic 5-HT1A and 5-HT1B receptors : a microdialysis study in the awake rat. 2007.

Caution: Product has not been fully validated for medical applications. For research use only.

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