Deramciclane

Cat. No.: HY-101630

CAS No.: 120444-71-5

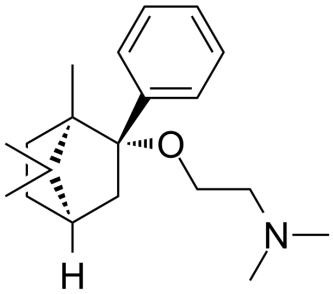
Molecular Formula: $C_{20}H_{31}NO$

Molecular Weight: 301.47

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (331.71 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3171 mL	16.5854 mL	33.1708 mL
	5 mM	0.6634 mL	3.3171 mL	6.6342 mL
	10 mM	0.3317 mL	1.6585 mL	3.3171 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 (8.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Deramciclane has a high affinity for 5-HT_{2A} and 5-HT_{2C} receptors; it acts as an antagonist at both receptor subtypes and has inverse agonist properties at the 5-HT_{2C} receptors without direct stimulatory agonist.

IC_{50} & Target	5-HT_{2A} Receptor	5-HT_{2C} Receptor

In Vitro

Deramciclane is a novel anxiolytic agent that binds with high affinity to 5-$HT_{2A/2C}$ receptors. The interactions of Deramciclane with the serotonin 5-HT_{2C} receptor are characterized further using receptor phosphoinositide hydrolysis assays and receptor autoradiography. Deramciclane antagonizes 5-HT_{2C} receptor mediated 5-HT-stimulated

	phosphoinositide hydrolysis with an IC_{50} value of 168 nM. Deramciclane also decreases basal phosphoinositide hydrolysis by up to 33% (EC_{50}= 93 nM) in a physiological system in the choroid plexus, suggesting that Deramciclane possesses inverse agonist properties at this receptor[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Deramciclane 3 and 10 mg/kg does not change the dopamine levels significantly at any time point versus the basal level whereas 30 mg/kg of Deramciclane significantly increases the levels at 40-100 min and at 160-240 min ($P<0.05$). Deramciclane is a putative antiserotonergic compound that reduces 5-HT-induced phosphoinositol hydrolysis and a variety of actions caused by serotonergic agonists. The receptor binding profile of Deramciclane is rather similar to that of ritanserin. Deramciclane has a high affinity for 5-HT_{2A} and 5-HT_{2C} receptors; it acts as an antagonist at both receptor subtypes and has inverse agonist properties at the 5-HT_{2C} receptors without direct stimulatory agonist effects. Deramciclane has been shown to have anxiolytic-like activity in several animal tests[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Mice[2] Male Wistar rats are used. Samples for determination of basal levels of dopamine, DOPAC and HVA are collected for 60 min. and after that the drugs (doses refer to the salts) are given intraperitoneally in a volume of 5 mL/kg of body weight. Treatments are Deramciclane fumarate 3 mg/kg (=7.2 μmol/kg), 10 mg/kg (=24 μmol/kg) and 30 mg/kg (=72 μmol/kg); D-amphetamine sulfate 2 mg/kg (=5.4 μmol/kg); Ritanserin 1 mg/kg (=2.1 μmol/kg) and Buspirone hydrochloride 5 mg/kg (=12 μmol/kg). All drugs are suspended in 0.5% carboxymethylcellulose (CMC) dissolved in 0.9% saline. Vehicle control group (n=5) are injected intraperitoneally with 5 mL/kg of 0.5% CMC solution. There are nine rats in each treatment group.The doses of Deramciclane fumarate are considered to produce plasma levels comparable to therapeutic plasma levels in human beings (3 mg/kg) or about three times higher (10 mg/kg) 1-3 hr after the administration of the drug. Behavioural data from earlier Deramciclane studies in rats indicates that Deramciclane has some antidopaminergic activity at high doses (20-40 mg/kg). The highest dose of Deramciclane (30 mg/kg) is selected in this dose range. Fairly high doses of the reference drugs are chosen based on the literature and our own experience to detect the ability of selected drugs to modify extracellular dopamine levels in either the striatum or the nucleus accumbens. After administration of each drug, samples are collected for 240 min. and then divided into two aliquots (35 μL/15 μL). The first aliquot of the samples is stored at 4°C and assayed for dopamine within 24 hr. The other aliquot is frozen and stored at -70°C until assayed for DOPAC and HVA. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. P?lvim?ki EP, et al. Deramciclane, a putative anxiolytic drug, is a serotonin 5-HT2C receptor inverse agonist but fails to induce 5-HT2C receptor down-regulation. Psychopharmacology (Berl). 1998 Mar;136(2):99-104.

[2]. K??ri?inen TM, et al. Comparison of the effects of deramciclane, ritanserin and buspirone on extracellular dopamine and its metabolites in striatum and nucleus accumbens of freely moving rats. Basic Clin Pharmacol Toxicol. 2008 Jan;102(1):50-8.

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