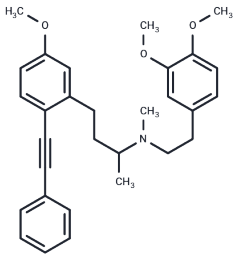
Data Sheet (Cat.No.T11979)

TargetMol

McN5691

Chemical Properties



CAS No. : 99254-95-2

Formula: C30H35NO3

Molecular Weight: 457.6

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	McN5691 (RWJ26240) is a voltage-dependent calcium channel blocker with antihypertensive activity that can be used in the study of diseases caused by vascular smooth muscle abnormalities.
Targets(IC50)	Calcium Channel
In vitro	McN5691 has a complete high-affinity inhibition (Kd=39.5 nM) of specific diltiazem binding to benzothiazepine receptors on voltage-sensitive calcium channels in skeletal muscle microsomal membranes. In contrast to diltiazem, McN5691 inhibited specific dihydropyridine receptor binding, but this effect was biphasic, with both high-affinity (Kd=4.7 nM) and low-affinity (Kd=919.8 nM) components.McN5691 inhibited norepinephrine (NE)-induced constriction (10 μM) and calcium uptake (1 and 10 μM), and caused 1 μM NE contraction of rabbit thoracic aortic rings to produce concentration-dependent relaxation (EC50=159 μM) [1]. McN5691 (1 and 10 μM) prevented 60 mM KCl-induced contraction and calcium uptake and produced concentration-dependent relaxation (EC50=190 μM) in aortic rings contracted with 30 mM KCl. At concentrations of 10 μM or less, McN5691 (McN-5691) had no effect on basal tension or calcium uptake (45Ca) in isolated rings of rabbit thoracic aorta.
In vivo	McN5691 is extensively metabolized in dogs. In 0-24 hour urine and 0-48 hour fecal extracts, the levels of unchanged McN5691 were less than 0.1% and 19% of the dose, respectively, while in 4-hour plasma, the level of unchanged McN5691 was 36% of the sample [2]. In the McN5691 (McN-5691) study, vascular resistance tended to be higher in spontaneously hypertensive rats (SHR) than in Wistar-Kyoto (WKY), but the difference was only statistically significant in the cerebellum and midbrain [3]. Researchers investigated the excretion and metabolism of the 2-ethynylphenylalkylamine analog, the antihypertensive drug McN5691 (RWJ-26240), in beagles. Within 7 days of oral administration of 14C-McN5691, 96.8% and 2.8% of the radioactive dose was excreted in the feces and urine, respectively. After 7 days of oral administration of 14C-McN5691, 96.8% and 2.8% of the dose was recovered in the feces and urine, respectively. More than 87% of the dose was excreted in the feces within 48 hours.[1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1853 mL	10.9266 mL	21.8531 mL
5 mM	0.4371 mL	2.1853 mL	4.3706 mL
10 mM	0.2185 mL	1.0927 mL	2.1853 mL
50 mM	0.0437 mL	0.2185 mL	0.4371 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Flaim SF, et al. Structurally novel antihypertensive compound, McN-5691, is a calcium channel blocker in vascular smooth muscle. J Pharmacol Exp Ther. 1991 Jan;256(1):279-88.
Wu WN, et al. Excretion and metabolism of the antihypertensive agent, RWJ-26240 (McN-5691) in dogs. Drug Metab Dispos. 1998 Feb;26(2):115-25.
Flaim SF, et al. Effects of the novel calcium channel blocker, McN-5691, on cardiocirculatory dynamics and cardiac output distribution in conscious spontaneously hypertensive rat. J Cardiovasc Pharmacol. 1988 Apr;11(4):489-500.