Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

McN5691

Catalog No: tcsc7359

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 20mg

Specifications

CAS No:
99254-95-2

Formula:
$C_{30}H_{35}NO_3$

Pathway:
Membrane Transporter/Ion Channel

Target:
Calcium Channel

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
RWJ26240

Observed Molecular Weight:
457.6

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Product Description

McN5691 is a voltage-sensitive **calcium channel** blocker.

IC50 & Target: Calcium Channel[1]

In Vitro: McN5691 (1 and 10 μM) prevents 60 mM KCl-induced contraction and calcium uptake and causes concentration-dependent relaxation (EC_{50}=190 μM) of 30 mM KCl-contracted aortic rings. At or below 10 μM, McN5691 (McN-5691) has no effects on basal tone or calcium uptake (45Ca) in isolated rings of rabbit thoracic aorta. McN5691 causes complete high affinity inhibition (K_d=39.5 nM) of specific diltiazem binding to the benzothiazepine receptor on the voltage-sensitive calcium channel in skeletal muscle microsomal membranes. In contrast to diltiazem, McN5691 inhibits specific dihydropyridine receptor binding, but the effect is biphasic with high (K_d=4.7 nM) and low (K_d=919.8 nM) affinity components. McN5691 inhibits norepinephrine (NE)-induced contraction (10 μM) and calcium uptake (1 and 10 μM) and causes concentration-dependent relaxation (EC_{50}=159 μM) of 1 μM NE-contracted rings of rabbit thoracic aorta[1].

In Vivo: The excretion and metabolism of a 2-ethynylbenzenealkanamine analog, antihypertensive McN5691 (RWJ-26240), in beagle dogs is investigated. A total of 96.8% and 2.8% of the radioactive dose are excreted in feces and urine, respectively, during the 7 days after oral administration of ^{14}C-McN5691. Of the radioactive dose, 96.8% and 2.8% is recovered in feces and urine, respectively, in the 7 days after oral administration of ^{14}C-McN5691. More than 87% of the dose is excreted in feces during the 48 hours. McN5691 is extensively metabolized in dogs. Unchanged McN5691 is found in less than 0.1% and 19% of the dose in the 0-24 hour urine and 0-48 hour fecal extract, respectively, and 36% of the sample in the 4 hour plasma[2]. In the McN5691 (McN-5691) study, vascular resistances tend to be higher in spontaneously hypertensive rat (SHR) than in Wistar-Kyoto (WKY) but the differences are statistically significant only in the cerebellum and the midbrain[3].