

N-type calcium channel blocker-1

Catalog No: tcsc0018461



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

241499-17-2

Formula:

$C_{31}H_{47}N_3$

Pathway:

Membrane Transporter/Ion Channel

Target:

Calcium Channel

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

461.73

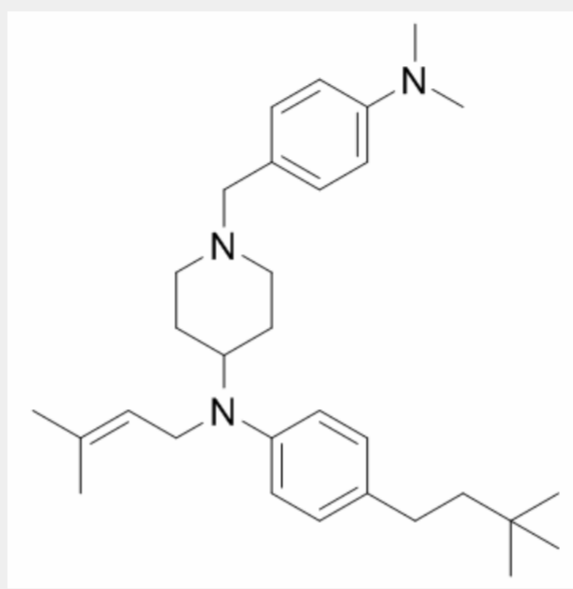
Product Description

N-type calcium channel blocker-1 is an orally active analgesic agent which shows high affinity to functionally block **N-type calcium channels** with an **IC₅₀** of 0.7 μ M in the IMR32 assay.

IC50 & Target: IC50: 0.7 μ M (N-type calcium channels)^[1]

In Vitro: N-type calcium channel blocker-1 shows good activities in the IMR32 assay (IC_{50} =0.7 μ M). N-type calcium channel blocker-1 is the most orally active N-type calcium channel blocker for analgesia found in a series of compounds^[1].

In Vivo: N-type calcium channel blocker-1 shows good activities in the acetic acid anti-writhing model (ED_{50} =4 mg/kg, iv). N-type calcium channel blocker-1 exhibits oral activity (ED_{50} =12 mg/kg, po). A time course study of N-type calcium channel blocker-1 in the anti-writhing model indicates that the CF-1 mice have maximal effect at 120 min after oral dosing at 60 mg/kg. Further evaluation of N-type calcium channel blocker-1 demonstrates several important and advantageous features: the pharmacokinetic profile of N-type calcium channel blocker-1 is improved (Versus of 5.9 L/kg and CL of 26 mL/min/kg) and the logPn of 26 is favorable for CNS agent (logPn measured to be 3.20)^[1].



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