

# Orphenadrine (citrate)

Catalog No: tcsc2426



## Available Sizes

**Size:** 100mg



## Specifications

**CAS No:**

4682-36-4

**Formula:**

$C_{24}H_{31}NO_8$

**Pathway:**

Membrane Transporter/Ion Channel;Neuronal Signaling

**Target:**

iGluR;iGluR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

461.5

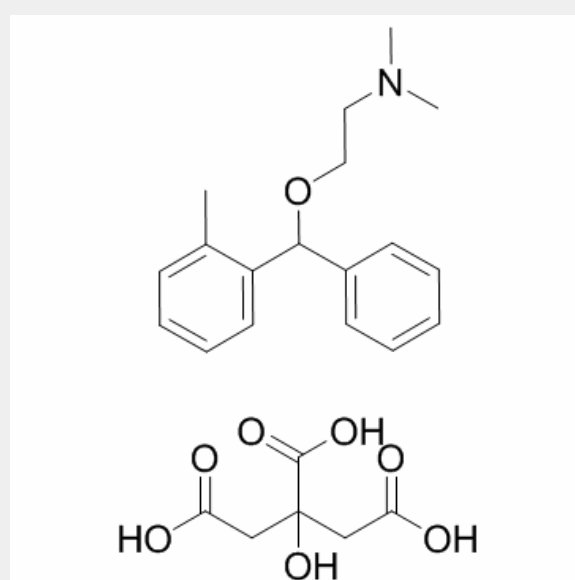
## Product Description

Orphenadrine citrate is a NMDA receptor antagonist with  $K_i$  of 6.0 +/- 0.7  $\mu$ M, HERG potassium channel blocker.

Target: NMDA Receptor

Orphenadrine has been used as an antiparkinsonian, antispastic and analgesic drug. Orphenadrine inhibits [3H]MK-801 binding to the phencyclidine (PCP) binding site of the N-methyl-D-aspartate (NMDA)-receptor in homogenates of postmortem human frontal cortex with a  $K_i$ -value of 6.0 +/- 0.7 microM. The NMDA receptor antagonistic effects of orphenadrine were assessed using concentration- and patch-clamp techniques on cultured superior colliculus neurones. Orphenadrine blocked open NMDA receptor

channels with fast kinetics and in a strongly voltage-dependent manner. The IC<sub>50</sub>-value against steady state currents at -70 mV was 16.2 +/- 1.6 microM (n = 6). Orphenadrine exhibited relatively fast, concentration-dependent open channel blocking kinetics (K<sub>on</sub> 0.013 +/- 0.002 10<sup>6</sup> M<sup>-1</sup>S<sup>-1</sup>) whereas the offset rate was concentration-independent (K<sub>off</sub> 0.230 +/- 0.004 S<sup>-1</sup>) [1]. Orphenadrine competitively inhibited [<sup>3</sup>H]nisoxetine binding in rat vas deferens membranes (K<sub>i</sub> = 1.05 +/- 0.20 microM). It can be concluded that orphenadrine, at low micromolar concentrations, interacts with the noradrenaline reuptake system inhibiting its functionality and thus potentiating the effect of noradrenaline [2].



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