Web: www.taiclone.com
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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 Ki of 6.0 +/- 0.7 μM, 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 Ki-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

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channels with fast kinetics and in a strongly voltage-dependent manner. The IC50-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 (Kon 0.013 +/- 0.002 10(6) M-1S-1) whereas the offset rate was concentration-independent (Koff 0.230 +/- 0.004 S-1) [1]. Orphenadrine competitively inhibited [3H]nisoxetine binding in rat vas deferens membranes (Ki = 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].