# Data Sheet

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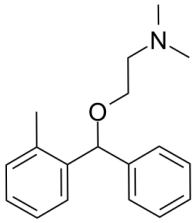
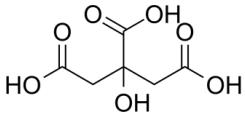
| **Product Name:** | Orphenadrine (citrate) |
| **Cat. No.:** | CS-2426 |
| **CAS No.:** | 4682-36-4 |
| **Molecular Formula:** | C24H31NO8 |
| **Molecular Weight:** | 461.50 |
| **Target:** | iGluR |
| **Pathway:** | Membrane Transporter/Ion Channel; Neuronal Signaling |
| **Solubility:** | H2O : 10 mg/mL (21.67 mM; Need ultrasonic); DMSO : 100 mg/mL (216.68 mM; Need ultrasonic) |




## BIOLOGICAL ACTIVITY:

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 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].

## References:

[1]. Kornhuber, J., et al., Orphenadrine is an uncompetitive N-methyl-D-aspartate (NMDA) receptor antagonist: binding and patch clamp studies. J Neural Transm Gen Sect, 1995. 102(3): p. 237-46.

[2]. Pubill, D., et al., Assessment of the adrenergic effects of orphenadrine in rat vas deferens. J Pharm Pharmacol, 1999. 51(3): p. 307-12.

## CAIndexNames:

Ethanamine, N,N-dimethyl-2-[(2-methylphenyl)phenylmethoxy]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1)

## SMILES:

CC1=CC=CC=C1C(C2=CC=CC=C2)OCCN(C)C.O=C(CC(C(O)=O)(O)CC(O)=O)O

**Caution: Product has not been fully validated for medical applications. For research use only.**

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