

Product Data Sheet

Product Name: Orphenadrine Citrate

Cat. No.: GC13779

Chemical Properties

Cas. No. 4682-36-4

Chemical Name N,N-dimethyl-2-[(2-methylphenyl)-phenylmethoxy]ethanamine;2-hydroxypropane-1,2,3-tricarboxylic acid

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

Formula $C_{18}H_{23}NO \cdot C_6H_8O_7$

M.Wt 461.5

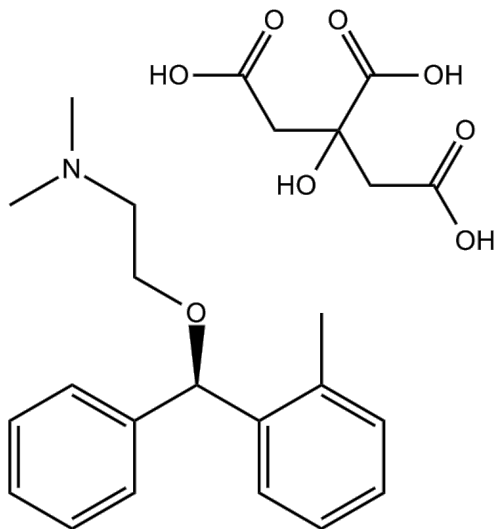
Solubility $\geq 23.075\text{mg/mL}$ in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Orphenadrine citrate is a NMDA receptor antagonist with K_i of $6.0 \pm 0.7 \mu\text{M}$, HERG potassium channel blocker. Target: NMDA Receptor. Orphenadrine has been used as an antiparkinsonian, antispastic and analgesic drug. Orphenadrine inhibits $[^3\text{H}]\text{MK-801}$ binding to the phencyclidine (PCP)

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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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 μM . 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_{50} -value against steady state currents at -70 mV was 16.2 ± 1.6 μM ($n = 6$). Orphenadrine exhibited relatively fast, concentration-dependent open channel blocking kinetics ($K_{\text{on}} 0.013 \pm 0.002$ $10(6)$ $\text{M}^{-1}\text{S}^{-1}$) whereas the offset rate was concentration-independent ($K_{\text{off}} 0.230 \pm 0.004$ S^{-1}) [1]. Orphenadrine competitively inhibited $[^3\text{H}]$ nisoxetine binding in rat vas deferens membranes ($K_i = 1.05 \pm 0.20$ μM). 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.

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