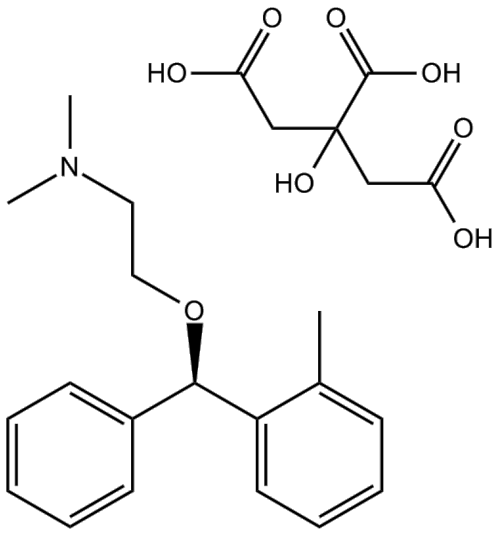
Product Data Sheet

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	$C_{18}H_{23}NO.C_6H_8O_7$	M.Wt	461.5
Solubility	≥ 23.075mg/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 Ki of 6.0 +/- 0.7 μM, HERG potassium channel blocker.Target: NMDA ReceptorOrphenadrine has been used as an antiparkinsonian, antispastic and analgesic drug. Orphenadrine inhibits [3H]MK-801 binding to the phencyclidine (PCP)

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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.

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