Product Data Sheet

Product Name: Hydroxytacrine (maleate)
Cat. No.: GC15604

Chemical Properties

Cas. No.	118909-22-1		
Chemical Name	9-amino-1,2,3,4-tetrahydro-1-acridinol, 2Z-butenedioate		
SMILES	NC1=C2C(CCCC2O)=NC3=CC=CC=C31.OC(/C=C\C(O)=O)=O		
Formula	$C_{13}H_{14}N_2O \cdot C_4H_4O_4$	M.Wt	330.3
Solubility	≤30mg/ml in DMSO;2mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Hydroxytacrine (maleate)		

Background

Hydroxytacrine maleate, a bioactive monohydroxylated metabolite of cholinesterase inhibitor, is a potential Alzheimer's therapeutic of low toxicity [1]. Hydroxytacrine maleate exhibited biochemical and pharmacological profile similar to tacrine (THA) except that the far less liver toxicity in humans. The prolonged use of tacrine has been associated with liver toxicity[1, 2].

Hydroxytacrine maleate is a parasympathomimetic and a centrally acting cholinesterase inhibitor (anticholinesterase). As the first cholinesterase inhibitor approved for the treatment of AD, tacrine was marketed under the trade name Cognex [3]. Through hydroxylation of benzylic carbon by CYP450 in the liver, tacrine has been metabolized into metabolite 1-hydroxy-tacrine (velnacrine) [4]. It has also been shown that maleate is an inhibitor of AChE [5].

References:
[1]. D. Muoz-Torrero. Acetylcholinesterase inhibitors as disease-modifying therapies for

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Alzheimer’s disease. Curr. Med. Chem. 15, 2433-2455 (2008).
[2]. E. Giacobini. Cholinesterase inhibitors for Alzheimer’s disease therapy: From tacrine to future applications. Neurochemistry International 32, 413-419(1998).
[3]. Birks J S. Cholinesterase inhibitors for Alzheimer's disease[J]. The Cochrane Library, 2006.
[4]. Peng J Z, Remmel R P, Sawchuk R J. Inhibition of murine cytochrome P4501A by tacrine: in vitro studies[J]. Drug metabolism and disposition, 2004, 32(8): 805-812.
[5]. Acetylcholine and choline effects on erythrocyte nitrite and nitrate levels.

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