Product Data Sheet

Product Name: A 80426 mesylate
Cat. No.: GC13027

Chemical Properties

Cas. No.	152148-63-5		
Chemical Name	(R)-2-(benzofuran-6-yl)-N-((5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)methyl)-N-methylethanamine methanesulfonate		
SMILES	CN(C[C@]1([H])CCCC2=C1C=CC=C2OC)CCC3=CC4=C(C=CO4)C=C3.CS(O)(=O)=O		
Formula	$C_{23}H_{27}NO_2.CH_3SO_3H$	M.Wt	445.57
Solubility	<44.56mg/ml in DMSO	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	A 80426 mesylate		

Background

A-80426 is a potent and selective α2-adrenoceptor antagonist, it can block serotonin uptake and have putative antidepressant-like effects. It inhibits synaptosomal serotonin (5HT) uptake with an IC50 value of 13 nM and blocks [3H]-paroxetine binding to 5HT uptake sites with a Ki value of 3.8 nM. It inhibits [3H]-rauwolscine binding to α2-adrenoceptors with a Ki value of 2.0 nM, and blocks α2-adrenoceptors with a pEC30 of 7.4-7.5 in electrically stimulated rat vas deferens and atria [1].

α2-adrenoceptors mediate many physiological actions of endogenous noradrenaline and catecholamines adrenaline [2].

In radioligand binding assays with isolated tissues, the potent blocking activity of A-80426 against α2-adrenoceptor is not reflected [1].

P-chloroamphetamine (PCA)-induced hyperactivity is a measure of the blockade of

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serotonin uptake in vivo. In rats, p-chloroamphetamine (PCA)-induced hyperactivity was significantly reduced by A-80426 at doses of chronic (14 days) (ED50=4.1 ?mol/kg, po) and acute (ED50= 13 ?mol/kg, po). Administration (po) of A-80426 at doses of 6.7 and 22?mol/kg was effective for at least 12 h. Doses of 6.7 to 224?mol/kg, ip, of A-80426 failed to block hypoactivity and hypothermia resulted from the administration of α2-adrenoceptor agonist clonidine. Doses of 100 and 300 ?mol/kg, po, were required to block the mydriasis induced by clonidine [3].

References:

[1]. Hancock AA, Buckner SA, Oheim KW, et al. A-80426, a potent α2-adrenoceptor antagonist with serotonin uptake blocking activity and putative antidepressant-like effects: I. Biochemical profile. Drug Development Research, 1995, 35(4): 237-245.
[2]. Aantaa R, Marjam?ki A and Scheinin M. Molecular Pharmacology of α2-adrenoceptor Subtypes. Annals of Medicine, 1995, 27(4): 439-449.
[3]. Giardina WJ, Buckner SA, Brune ME, et al. A-80426, A Potent and Selective α2-Adrenoceptor Antagonist With Serotonin Uptake-Blocking Activity and Putative Antidepressant-Like Effects: II. Pharmacology Profile. Drug Development Research, 1995, 35(4): 246-260.