Product Data Sheet

Product Name: AT 1015
Cat. No.: GC16807

Chemical Properties

Cas. No.	190508-50-0		
Chemical Name	(Z)-N-(2-(4-(5H-dibenzo[a,d][7]annulen-5-ylidene)piperidin-1-yl)ethyl)-1-formylpiperidine-4-carbimidic acid hydrochloride		
SMILES	O=CN1CCC(/C(O)=N/CCN2CC/C(CC2)=C3C4=CC=CC=C4C=CC5=CC=CC=C5\3)CC1.Cl		
Formula	$C_{29}H_{33}N_3O_2$.HCl	M.Wt	492.05
Solubility	<49.2mg/ml in Water; <49.2mg/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	AT 1015		

Background

AT 1015 is a potent antagonist of 5-HT2A receptor [1].

The 5-HT2A receptor is a G protein-coupled receptor and a subtype of the 5-HT2 receptor, which belongs to the serotonin receptor family. The 5-HT2A receptor plays an important role in clathrin mediated endocytosis of JC virus, the human polyoma virus which causes progressive multifocal leukoencephalopathy (PML).

AT 1015 is a potent 5-HT2A receptor antagonist. AT 1015 bound to 5-HT2 receptors in rabbit cerebral cortex membrane with pKi value of 7.94 [1].

In a photochemically induced rat femoral arterial thrombosis (PIT) model, AT 1015 (1 mg/kg) significantly inhibited vascular contraction induced by 5-HT and prolonged the time for 24 h that required to occlusion of the artery in a dose-dependent way. While, AT 1015 (10 mg/kg) didn’t prolong bleeding time in the tail transection bleeding time test [2]. AT 1015 inhibited 5-HT2A receptor-mediated platelet aggregation both in vitro and in rat. In the rat peripheral vascular lesion model, AT 1015 (1 mg/kg) significantly inhibited progression of peripheral vascular lesions [3].

References:

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[1]. Rashid M, Watanabe M, Nakazawa M, et al. Assessment of affinity and dissociation ability of a newly synthesized 5-HT2 antagonist, AT-1015: comparison with other 5-HT2 antagonists. Jpn J Pharmacol, 2001, 87(3): 189-194.
[2]. Kihara H, Koganei H, Hirose K, et al. Antithrombotic activity of AT-1015, a potent 5-HT(2A) receptor antagonist, in rat arterial thrombosis model and its effect on bleeding time. Eur J Pharmacol, 2001, 433(2-3): 157-162.
[3]. Kihara H, Hirose K, Koganei H, et al. AT-1015, a novel serotonin (5-HT)2 receptor antagonist, blocks vascular and platelet 5-HT2A receptors and prevents the laurate-induced peripheral vascular lesion in rats. J Cardiovasc Pharmacol, 2000, 35(4): 523-530.

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