Product Data Sheet

Product Name: A 331440 dihydrochloride
Cat. No.: GC13537

Chemical Properties

Cas. No.	1049740-32-0		
Chemical Name	(R)-4'-(3-(3-(dimethylamino)pyrrolidin-1-yl)propoxy)-[1,1'-biphenyl]-4-carbonitrile dihydrochloride		
SMILES	CN([C@](C1)([H])CCN1CCCOC2=CC=C(C3=CC=C(C#N)C=C3)C=C2)C.Cl.Cl		
Formula	$C_{22}H_{27}N_3O.2HCl$	M.Wt	422.39
Solubility	<42.24mg/ml in Water; <8.45mg/ml in DMSO	Storage	Desiccate at RT
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 331440 dihydrochloride		

Background

A-331440 is described here instead of A-331440 dihydrochloride. A-331440 is an antagonist of non-imidazole histamine H3 receptor with an IC50 value of 22.7 nM for human cortex histamine H3 [1].

Histamine, as a neurotransmitter, is important in homeostasis and physiology. In the CNS, histamine released has the potential to interact with H1, H2, H3 and possibly H4 receptors. All the receptors are G-protein coupled receptors [2].

In HEK 293 cells stably transfected with rat or human histamine H3 receptors, A-331440 was tested for its ability to antagonize increasing calcium mobilization in response to (R)-α-methylhistamine and showed pKb ± S.E.M. values of 7.38 ± 0.10 and 7.37 ± 0.29 for rat histamine H3 and human histamine H3, respectively [1].

In mice, treatment with A-331440 at a dose of 100 mg/kg resulted in piloerection and hypoactivity in the first 2 h, but diminished thereafter. In naive male CD-1 mice,

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administration with comparable doses of A-331440 i.p. also produced similar data. By day 28, mice treated with A-331440 at the intermediate dose weighed 35.7 ± 0.93 g with an average weight loss of 5.3 ± 0.99 g. The high dose of A-331440 (15 mg/kg, p.o., b.i.d.) produced a marked and sustained weight loss [1].

References:

[1]. Hancock AA, Bennani YL, Bush EN, et al. Antiobesity effects of A-331440, a novel non-imidazole histamine H3 receptor antagonist. European journal of pharmacology, 2004, 487(1): 183-197.

[2]. Hancock AA, Diehl MS, Faghih R, et al. In vitro Optimization of Structure Activity Relationships of Analogues of A-331440 Combining Radioligand Receptor Binding Assays and Micronucleus Assays of Potential Antiobesity Histamine H3 Receptor Antagonists. Basic & clinical pharmacology & toxicology, 2004, 95(3): 144-152.

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