Product Data Sheet

Product Name: RS 67506 hydrochloride
Cat. No.: GC14696

Chemical Properties

Cas. No.	168986-61-6		
Chemical Name	N-(2-(4-(3-(4-amino-5-chloro-2-methoxyphenyl)-3-oxopropyl)piperidin-1-yl)ethyl)methanesulfonamide hydrochloride		
SMILES	ClC(C=C(C(OC)=C1)C(CCC2CCN(CCNS(=O)(C)=O)CC2)=O)=C1N.Cl		
Formula	$C_{18}H_{28}ClN_3O_4S.HCl$	M.Wt	454.41
Solubility	Soluble to 80 mM in Water	Storage	Store 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	RS 67506 hydrochloride		

Background

pKi: 8.8

RS 67506 hydrochloride is a potent and selective 5-HT4 partial agonist.

Selective agonists of 5-HT4 receptor can not only enhance congnitive performance, facilitate gastrointestinal motility, and also correct micturation disturbances which is associated with detrusor hypomotilityor actas analgesics.

In vitro: RS 67506 acted as a potent partial agonist with respect to 5-HT at the 5-HT4 receptor regulating relaxation of the carbachol-precontracted oesophagus. Relaxant responses to RS 67506 was surmountably antagonized with apparent affinities (pKB) of 9.0. RS 67506, therefore, acted as potent, partial 5-HT4 receptor agonists in vitro. The compound may have been used in elucidating the physiological role in 5-HT4 receptors by virtue of their high potency and selectivity [1].

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In vivo: RS 67506 induced dose-dependent potentiates heart rate of the anaesthetized micropig (ED50 5.4 pg kg-1, i.v.) with maximal increases of 47 beats min-1 [1]. In addition, in a rat model of spatial learning and memory, the effects of two novel potent and selective 5-HT4 receptor agonists (RS67333 and RS67506) were studied. By contrast, there was no effect seen to RS67506 (0.1, 10 and 1000 pg/kg, i.p.) of equivalent potency and selectivity to RS67333.This differential result may suggest the enhanced ability of RS67333 to enter the CNS, with respect to RS67506 [2].

Clinical trial: So far, no clinical study has been conducted.

References:
[1]. Eglen RM, Bonhaus DW, Johnson LG, Leung E, Clark RD. Pharmacological characterization of two novel and potent 5-HT4 receptor agonists, RS 67333 and RS 67506, in vitro and in vivo. Br J Pharmacol. 1995 Aug;115(8):1387-92.
[2]. Fontana DJ, Daniels SE, Wong EH, Clark RD, Eglen RM. The effects of novel, selective 5-hydroxytryptamine (5-HT) 4 receptor ligands in rat spatial navigation. Neuropharmacology. 1997 Apr-May;36(4-5):689-96.

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