RS 17053 hydrochloride

Cat. No.: HY-101336

CAS No.: 169505-93-5

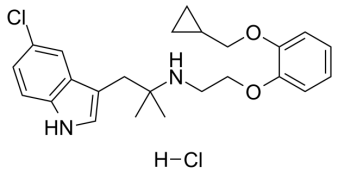
Molecular Formula: $C_{24}H_{30}Cl_2N_2O_2$

Molecular Weight: 449.41

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (222.51 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2251 mL	11.1257 mL	22.2514 mL
	5 mM	0.4450 mL	2.2251 mL	4.4503 mL
	10 mM	0.2225 mL	1.1126 mL	2.2251 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RS 17053 hydrochloride is a potent and selective $\alpha1_A$ adrenoceptor antagonist, with a pK_i value of 9.1 in native cell membrane and a pA_2 value of 9.8 in functional assays.

IC_{50} & Target

pKi: 9.1 ($\alpha1_A$ adrenoceptor in native cell membrane)
pA2: 9.8 ($\alpha1_A$ adrenoceptor)[1].

In Vitro

In several tissues from rat and cloned adrenoceptors, RS 17053 hydrochloride displays high affinity for the α1A-adrenoceptor (pK_i and pA_2 estimates of 9.1-9.9) and a 30-100-fold selectivity over the α1 B and the α1 D-adrenoceptor subtypes (pK_i and pA_2 estimates of 7.7-7.8). However, in isolated smooth muscle preparations from human LUT tissues, RS

	17053 hydrochloride antagonizes responses to NE only at high concentrations. Estimates of affinity (pA_2) at α1-adrenoceptors mediating NE-induced contractions are 7.5 in prostatic periurethral longitudinal smooth muscle (compared with 8.6 for prazosin), 6.9 in anterior fibromuscular stroma (prazosin, 8.9), and 7.1 in bladder neck (prazosin, 8.5)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RS 17053 hydrochloride has a rapid onset of action, and a duration of action exceeding 60 min. RS 17053 hydrochloride pretreatment significantly alteres food intake [F(4, 132) 5 6.28, p , 0.0001]. 10 mg/kg RS-17053 significantly suppresses food intake[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats[2] Adult male rats (n=56 to 8 per group) are pretreated (IP) with either 0, 0.1, 0.5, 2.5, or 10.0 mg/kg RS 17053 hydrochloride or with 2.0 mg/kg of the prototypical α1-Adrenoceptor antagonist prazosin. Five minutes later, each rat was treated (IP) with either 0, 5, 10 or 15 mg/kg PPA. Food and water intakes are recorded for a 30 min period starting 10 min after the treatment injection. Rats pretreated with vehicle and then treated with PPA exhibite a dose-dependent suppression of feeding with a maximal effect evident at the 15 mg/kg dose of PPA. Pretreatment with 2.0 mg/kg prazosin reverses the anorexic activity of PPA[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ford AP, et al. RS-17053 (N-[2-(2-cyclopropylmethoxyphenoxy)ethyl]-5-chloro-alpha, alpha-dimethyl-1H-indole-3-ethanamine hydrochloride), a selective alpha 1A-adrenoceptor antagonist, displays low affinity for functional alpha 1-adrenoceptors in human pros

[2]. Wellman PJ, et al. Effects of the alpha 1a-adrenoceptor antagonist RS-17053 on phenylpropanolamine-induced anorexia in rats. Pharmacol Biochem Behav. 1997 May-Jun;57(1-2):281-4.

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