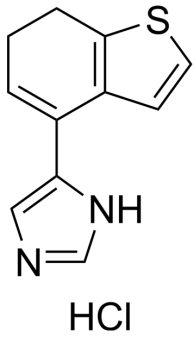
RWJ52353 hydrochloride

Cat. No.:	HY-103216A
CAS No.:	245744-13-2
Molecular Formula:	$C_{11}H_{11}ClN_2S$
Molecular Weight:	238.74
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RWJ-52353 hydrochloride is an orally potent, highly selective α2D adrenergic receptor agonist (Ki: 1.5 nM) with potential analgesic effects. RWJ-52353 hydrochloride demonstrated analgesic activity in abdominal tests in rats and mice, and improved agitation in mice in the hot plate test and tail flick test. RWJ-52353 hydrochloride also regulates the organic cation transporter (OCT) subtype, inhibiting rOCT1 and rOCT2 with IC_{50}s of 100 μM and 20 μM respectively; it also activates rOCT3, affecting [3H]-1- in cells. Methyl-4-phenylpyridinium ([3H]MPP) transport[1][2].
IC_{50} & Target	Ki: 1.5 nM (α_{2D} AR), 254 nM (α_{2A} AR), 621 nM (α_{2B} AR), 443 nM (α_1 AR)[1] IC50: 100 μM (rOCT1), 20 μM (rOCT2)[2]
In Vivo	RWJ-52353 (30 mg/kg; po; single dose) hydrochloride has an inhibitory effect on the induced abdominal pain model in mice, with an inhibition rate of 100%[1]. RWJ-52353 The ED50s in the mouse abdominal pain model are 15.1 mg/kg (po) and 11.6 mg/kg (po) respectively[1]. RWJ-52353 can also reduce the risk of mice in the hot plate test (48°C) and shaking The degree of pain in the tail experiment, ED50s are 25.9 mg/kg (po) and 100.2 mg/kg (po) respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ross T M, et al. α2 Adrenoceptor agonists as potential analgesic agents. 2. Discovery of 4-(4-imidazo)-1, 3-dimethyl-6, 7-dihydrothianaphthene as a high-affinity ligand for the α2D adrenergic receptor[J]. Journal of medicinal chemistry, 2000, 43(7): 1423-1426.

[2]. Amphoux A, et al. Inhibitory and facilitory actions of isocyanine derivatives at human and rat organic cation transporters 1, 2 and 3: a comparison to human alpha 1- and alpha 2-adrenoceptor subtypes. Eur J Pharmacol. 2010 May 25;634(1-3):1-9.

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