Rauwolscine hydrochloride

Cat. No.: HY-12710A

CAS No.: 6211-32-1

Molecular Formula: $C_{21}H_{27}ClN_2O_3$

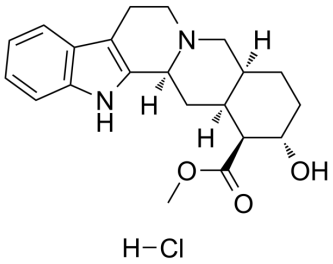
Molecular Weight: 390.9

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 : 12.5 mg/mL (31.98 mM; ultrasonic and warming and heat to 80°C)

H_2O : 5 mg/mL (12.79 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5582 mL	12.7910 mL	25.5820 mL
5 mM	0.5116 mL	2.5582 mL	5.1164 mL
10 mM	0.2558 mL	1.2791 mL	2.5582 mL

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

BIOLOGICAL ACTIVITY

Description

Rauwolscine hydrochloride is a potent and specific α2 adrenergic receptor antagonist with a K_i of 12 nM.

IC_{50} & Target

Ki: 12 nM (α2 adrenergic receptor)[1]

In Vitro

[^{3}H]Rauwolscine binding to α2 adrenergic receptor is reversible, stcreospccific, and saturable. [^{3}H]Rauwolscine specifically labels both the high and low affinity states of the α2 adrenergic receptor in brain membranes[1]. [^{3}H]Rauwolscine also behaves as a 5-HT1A receptor agonist and this conclusion is compatible with earlier functional studies, indicating that rauwolscine (as well as yohimbine) has agonistic properties at the level of 5-HT autoreceptors[2]. When using [^{3}H]5-HT as a radioligand, rauwolscine is determined to have relatively high affinity for the human receptor (K_i human=14.3 nM, K_i rat=35.8 nM)[3]. Saturation studies shows that the affinity of [^{3}H]Rauwolscine is similar in mouse, rat, rabbit, dog (2.33-3.03 nM) except man where it is significantly higher (0.98 nM) [4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Fresh bovine frontal cortex is incubated in triplicate with [^{3}H]Rauwolscine (82 Ci/mM, diluted). Incubation is terminated by filtration under reduced pressure over filters, which are then rinsed with ice cold Tris-HCl buffer, dried overnight and added to disposable glass minivials containing 3.0 mL of a 95% Econofluor/5% Protosol solution. Samples are counted by liquid scintillation spectrometry with an efficiency of 32%. (-)- [3H]Epinephrine binding to bovine cortex membranes is conducted at 25°C[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Perry BD, et al. [3H]rauwolscine (alpha-yohimbine): a specific antagonist radioligand for brain alpha 2-adrenergic receptors. Eur J Pharmacol. 1981 Dec 17;76(4):461-4.

[2]. De Vos H, et al. [3H]rauwolscine behaves as an agonist for the 5-HT1A receptors in human frontal cortex membranes. Eur J Pharmacol. 1991 May 25;207(1):1-8.

[3]. Wainscott DB, et al. [3H]Rauwolscine: an antagonist radioligand for the cloned human 5-hydroxytryptamine2b (5-HT2B) receptor. Naunyn Schmiedebergs Arch Pharmacol. 1998 Jan;357(1):17-24.

[4]. Neylon CB, et al. [3H]-rauwolscine binding to alpha 2-adrenoceptors in the mammalian kidney: apparent receptor heterogeneity between species. Br J Pharmacol. 1985 Jun;85(2):349-59.

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