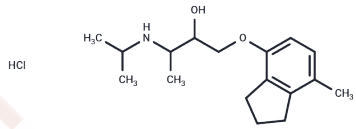
Data Sheet (Cat.No.T6860)

TargetMol

(RS)-ICI-118551 Hydrochloride

Chemical Properties



CAS No. : 1217094-53-5

Formula: C17H27NO2·HCl

Molecular Weight: 313.86

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	ICI-118551 Hydrochloride (ICI-118,551) is a highly selective β2-adrenergic receptor antagonist with Ki values of 0.7, 49.5 and 611 nM for β2, β1 and β3 receptors, respectively.
Targets(IC50)	Adrenergic Receptor
In vitro	ICI-118551 inhibits cAMP accumulation by 50% (IC50 = 1.7 mM)[1]. Pharmacological experiments proves that the right shift of the norepinephrine dose-response curve by ICI 118551 is mediated via a beta(2)-adrenoceptor/G(i/o) protein-dependent pathway enhancing NO production in the endothelium; these results are corroborated in beta-adrenoceptor and endothelial NO synthase knockout mice where ICI 118551 has no effect. ICI 118551 increases vascular lumen diameter in lung sections and reduces pulmonary arterial pressure under normoxia and under hypoxia in the isolated perfused lung model[2]. Transgenic mice with high beta(2)AR number and increased G(i) levels have normal basal contractility but show a similar negative inotropic response to ICI 118,551. Overexpression of human beta(2)AR in rabbit myocytes using adenovirus potentiates the negative inotropic effect of ICI 118,551. In human, rabbit, and mouse myocytes, the negative inotropic effects are blocked after treatment of cells with pertussis toxin to inactivate G(i), and overexpression of G(i)alpha(2) induces the effect de novo in normal rat myocytes[5].
In vivo	After 1 week of treatment, ICI 118,551 has no effect on the beta 1-receptor mediated shortening of electromechanical systole (QS2I), the rise in systolic pressure and rise in renin, whereas these responses are blocked by a dose factor of eight after propranolol. ICI 118,551 and propranolol equally block the beta 2-receptor mediated fall in diastolic pressure and the rise in noradrenaline. beta 2-selective blockade by ICI 118,551 lowers blood pressure[4].
Cell Research	Binding reactions are carried out by incubating 60 μg of membranes with 10 nM [3H] dihydroalprenolol hydrochloride and different concentrations of ICI 118,551. After a two hour incubation at room-temperature, the binding reactions are terminated by rapid filtration over glass fiber filters. Radioactivity in the filters is then quantified using a liquid scintillation counter. Non-specific binding is determined in the presence of 1 μM alprenolol. Binding data are analyzed with GraphPad Prism software. (Only for Reference)

Solubility Information

Solubility	Ethanol: 27 mg/mL (86.03 mM),Sonication is recommended. H2O: 19 mg/mL (60.54 mM),Sonication is recommended. DMSO: 58 mg/mL (184.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1861 mL	15.9307 mL	31.8613 mL
5 mM	0.6372 mL	3.1861 mL	6.3723 mL
10 mM	0.3186 mL	1.5931 mL	3.1861 mL
50 mM	0.0637 mL	0.3186 mL	0.6372 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hoffmann C, et al. Naunyn Schmiedebergs Arch Pharmacol. 2004, 369(2):151-159.
Zhao X, Li F, Cheng C, et al.Social isolation promotes tumor immune evasion via β2-adrenergic receptor.Brain, Behavior, and Immunity.2024
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Oliver Vranjkovic, et al. J Pharmacol Exp Ther. 2012, 342(2):541-551.
Vincent HH, et al. J Hypertens Suppl. 1985, 3(3):S247-249.
Gong H, et al. Circulation. 2002, 105(21):2497-2503.

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