ICI 118,551 hydrochloride

Cat. No.: HY-13951

CAS No.: 72795-01-8

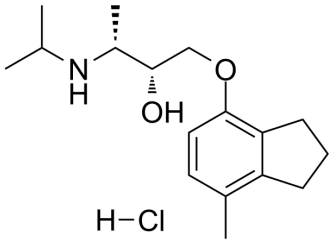
Molecular Formula: $C_{17}H_{28}ClNO_2$

Molecular Weight: 313.86

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 : 33.33 mg/mL (106.19 mM; Need ultrasonic)
H_2O : 12.5 mg/mL (39.83 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
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

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: ≥ 2.5 mg/mL (7.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.97 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 2 mg/mL (6.37 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

ICI 118,551 (hydrochloride) is a highly selective β2 adrenergic receptor antagonist, with K_is of 0.7, 49.5 and 611 nM for β2, β1 and β3 receptors, respectively.

IC_{50} & Target

Ki: 0.7nM (β2 receptor), 49.5 nM (β1 receptor), 611 nM (β3 receptor)[4]

In Vitro

ICI 118551 inhibits cAMP accumulation with IC_{50} of 1.7 μM in IMCD cells[1]. ICI 118551 (10 μM) induces a prominent

	vasorelaxation of norepinephrine (NE)-precontracted PA but not AO[2]. In failing human heart, ICI 118551 has significant effects on beat duration, with time-to-peak contraction and time-to-90% relaxation reduced compared with basal contraction. Negative Inotropic Effect of ICI 118551 Is Not cAMP-Related. Overexpression of β2AR in rabbit myocytes enhances negative inotropic effects of ICI 118551[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ICI 118551 (0.2 mg/kg) injected into the jugular vein of the mice, reduces systolic pressure in the pulmonary circuit but not systemic arterial pressure[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	One hour prior to assay, the growth media are removed from the wells and replaced with 50 uL of Hanks'balanced salt solution that also contained 0.5 mM of $MgCl_2$•$6H_2O$, 0.4 mM of $MgSO_4$•$7H_2O$, 20 mM of N-2-hydroxyethylpiperazine-N'-2ethanesulfonic acid (HEPES), 1.2 mM of 3-isobutyl-1-methylxanthine (IBMX), 0.95 mM of $CaCl_2$, and 0.05% of BSA. Each plate is placed in a 37°C shaking water bath for dose-response studies. In one study, various doses of isoproterenol (10^{-9}-10^{-5} M) and β1- and β2-receptor-selective partial agonists (tazolol, prenalterol, salbutamol, and terbutaline, 10^{-6} and 10^{-5} M, respectively) are added (5 wells/dose/plate) and incubated for 10 min. In another study, the cells are stimulated with 10 μM isoproterenol in the presence or absence of various doses of β-adrenoceptor antagonists. The incubations are terminated after 10 min by the addition of 100 μL of 10% trichloroacetic acid (TCA) (final TCA concentration of 5%). TCA is removed twice by extraction with H_20-saturated ether, and samples are dried at 80°C overnight, prior to resuspension in 50 mM of sodium acetate buffer. The CAMP content is measured with a radioimmunoassay kit. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2021 Nov 26;12(1):6937.
- Nat Commun. 2020 Sep 25;11(1):4857.
- Br J Pharmacol. 2020 Jan;177(2):282-297.
- J Exp Clin Cancer Res. 2019 Apr 25;38(1):174.
- Mol Oncol. 2022 May 20.

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REFERENCES

[1]. Yasuda G, et al. The beta 1- and beta 2-adrenoceptor subtypes in cultured rat inner medullary collecting duct cells. Am J Physiol. 1996 Sep;271(3 Pt 2):F762-9.

[2]. Wenzel D, et al. beta(2)-adrenoceptor antagonist ICI 118,551 decreases pulmonary vascular tone in mice via a G(i/o) protein/nitric oxide-coupled pathway. Hypertension. 2009 Jul;54(1):157-63.

[3]. Gong H, et al. Specific beta(2)AR blocker ICI 118,551 actively decreases contraction through a G(i)-coupled form of the beta(2)AR in myocytes from failing human heart. Circulation. 2002 May 28;105(21):2497-503.

[4]. Hoffmann C, et al. Comparative pharmacology of human beta-adrenergic receptor subtypes--characterization of stably transfected receptors in CHO cells. Naunyn Schmiedebergs Arch Pharmacol. 2004 Feb;369(2):151-9.

Caution: Product has not been fully validated for medical applications. For research use only.

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