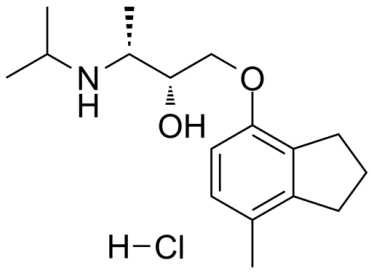
Data Sheet

Product Name:	ICI 118,551 (hydrochloride)
Cat. No.:	CS-1690
CAS No.:	72795-01-8
Molecular Formula:	C17H28ClNO2
Molecular Weight:	313.86
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	H2O : 12.5 mg/mL (39.83 mM; Need ultrasonic); DMSO : 25 mg/mL (79.65 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

ICI 118,551 (hydrochloride) is a highly selective **β2 adrenergic receptor** antagonist, with **K_i**s of 0.7, 49.5 and 611 nM for β2, β1 and β3 receptors, respectively. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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.

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.

CAIndexNames:

2-Butanol, 1-[(2,3-dihydro-7-methyl-1H-inden-4-yl)oxy]-3-[(1-methylethyl)amino]-, hydrochloride(1:1), (2R,3R)-rel-

SMILES:

CC1=C(CCC2)C2=C(OC[C@H](O)[C@@H](C)NC(C)C)C=C1.[H]Cl

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