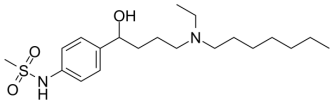
Ibutilide

Cat. No.:	HY-B0387A
CAS No.:	122647-31-8
Molecular Formula:	$C_{20}H_{36}N_2O_3S$
Molecular Weight:	384.58
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ibutilide (U70226E free base), an action potential-prolonging antiarrhythmic, is a potent blocker of the rapidly activating delayed rectifier K^+ current (I_{Kr}) in AT-1 cells[1].
In Vitro	Ibutilide is a potent I_{Kr} blocker with an EC_{50} value of 20 nM at +20 mV in atrial tumor myocytes (AT-1) cells[1]. Ibutilide blocks I_{Kr} in cells expressing HERG+MDR1*1 to the same extent as cells expressing HERG alone (IC_{50}: 22.5 nM vs 27.4 nM). However, cells expressing MDR1*7 show a marked resistance to Ibutilide (IC_{50}: 105.3 nM vs 27.4 nM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ibutilide prolongs cardiac repolarization in vitro and in vivo[1]. Ibutilide infusions (administered cumulatively in three doses, 0.01, 0.02 and 0.05 mg/kg i.v., each as a 10-min infusion) results in both polymorphic and monomorphic non-sustained ventricular tachycardia[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Fifteen adult mongrel dogs of either sex[1]
Dosage:	0.01, 0.02 and 0.05 mg/kg
Administration:	Intravenous injection; each as a 10-min infusion
Result:	The action potential duration at 90% (APD_{90}) prolongation with Ibutilide (0.01 mg/kg) was significantly greater in congestive heart failure (CHF) vs. controls. An increased dispersion of left-right ventricular APD_{90} was observed in CHF at 0.01 mg/kg, but not in controls.

REFERENCES

[1]. Ibutilide, a methanesulfonanilide antiarrhythmic, is a potent blocker of the rapidly activating delayed rectifier K+ current (IKr) in AT-1 cells. Concentration-, time-, voltage-, and use-dependent effects. Circulation. 1995 Mar 15;91(6):1799-806.

[2]. B F McBride, et al. Influence of the G2677T/C3435T haplotype of MDR1 on P-glycoprotein trafficking and Ibutilide-induced block of HERG. Pharmacogenomics J. 2009 Jun;9(3):194-201.

[3]. S S Chugh, et al. Altered response to Ibutilide in a heart failure model. Cardiovasc Res. 2001 Jan;49(1):94-102.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA