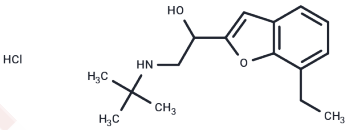
Data Sheet (Cat.No.T21684)

TargetMol

Bufuralol hydrochloride

Chemical Properties



CAS No. : 60398-91-6

Formula: C16H24ClNO2

Molecular Weight: 297.82

Storage: Keep away from moisture
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	Bufuralol hydrochloride belongs to the class of beta-adrenoreceptor antagonists and is a potent, orally active, non-selective beta-adrenoreceptor antagonist with partial agonist activity. Bufuralol hydrochloride is a prototypical CYP2D6 probe substrate (Km = 5-50 microM) and is extensively used in biochemical studies to characterize CYP2D6 enzymatic activity and evaluate genetic variants.
Targets(IC50)	Adrenergic Receptor
In vitro	Bufuralol hydrochloride, featuring characteristic CYP2D6 substrate motifs, is used in vitro to evaluate the metabolic kinetics of CYP2D6 variants. Studies show an apparent Km of approximately 5 microM for bufuralol 1'-hydroxylation by recombinant CYP2D6[1].
In vivo	In vivo,Bufuralol hydrochloride metabolism is NADPH-mediated and exhibits biphasic kinetics. Its metabolic profile in rhesus monkey intestines is consistent with liver observations, facilitating the evaluation of systemic and local CYP2D6 metabolic efficiency[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (268.62 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3577 mL	16.7887 mL	33.5773 mL
5 mM	0.6715 mL	3.3577 mL	6.7155 mL
10 mM	0.3358 mL	1.6789 mL	3.3577 mL
50 mM	0.0672 mL	0.3358 mL	0.6715 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

Jie Cai, et al. Effects of 22 Novel CYP2D6 Variants Found in the Chinese Population on the Bufuralol and Dextromethorphan Metabolisms In Vitro. Basic Clin Pharmacol Toxicol. 2016 Mar;118(3):190-9.
Sarah M Glass, et al. CYP2D6 Allelic Variants *34, *17-2, *17-3, and *53 and a Thr309Ala Mutant Display Altered Kinetics and NADPH Coupling in Metabolism of Bufuralol and Dextromethorphan and Altered Susceptibility to Inactivation by SCH 66712. Drug Metab Dispos. 2018 Aug;46(8):1106-1117.
T Prueksaritanont, et al. (+)-bufuralol 1'-hydroxylation activity in human and rhesus monkey intestine and liver. Biochem Pharmacol. 1995 Oct 26;50(9):1521-5.