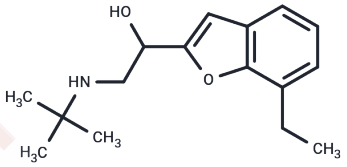
Data Sheet (Cat.No.T30611)

TargetMol

Bufuralol

Chemical Properties



CAS No. : 54340-62-4

Formula: C16H23NO2

Molecular Weight: 261.36

Storage: Store at low temperature,Keep away from direct sunlight
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 (Ro 3-4787) is an orally active β-adrenergic receptor blocker with a certain degree of sympathomimetic effects and can be used to study cardiovascular diseases.
Targets(IC50)	Adrenergic Receptor
In vitro	Bufuralol is a widely used substrate for assessing cytochrome P450 2D6 (CYP2D6) enzyme activity. By measuring the rate of metabolism of Bufuralol, the level of CYP2D6 activity in an individual or cell can be effectively assessed. [1]
In vivo	The metabolism of Bufuralol is mediated by NADPH-dependent cytochrome P450 enzymes (specifically CYP2D6) and exhibits biphasic kinetics. The metabolic efficiency of Bufuralol was significantly increased in the intestines of monkeys in the presence of hydroperoxyisopropylbenzene (CuOOH). The metabolism of Bufuralol exhibited biphasic kinetics mediated by NADPH and was more efficient in the presence of CuOOH, which was consistent with observations in the liver. [2]

Solubility Information

Solubility	DMSO: 80 mg/mL (306.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (12.63 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8261 mL	19.1307 mL	38.2614 mL
5 mM	0.7652 mL	3.8261 mL	7.6523 mL
10 mM	0.3826 mL	1.9131 mL	3.8261 mL
50 mM	0.0765 mL	0.3826 mL	0.7652 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

Glass SM, 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 6671Drug Metab Dispos. 2018 Aug;46(8):1106-1117.

Prueksaritanont T, et al. (+)-bufuralol 1'-hydroxylation activity in human and rhesus monkey intestine and liver. Biochem Pharmacol. 1995 Oct 26;50(9):1521-5.

Pringle TH, et al. Pharmacodynamic and pharmacokinetic studies on bufuralol in man. Br J Clin Pharmacol. 1986 Nov;22(5):527-34.

Cai J, 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.