Bufuralol hydrochloride

Cat. No.: HY-105124A

CAS No.: 60398-91-6

Molecular Formula: $C_{16}H_{24}ClNO_2$

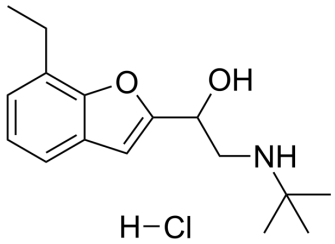
Molecular Weight: 297.82

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°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

Ethanol : 15 mg/mL (50.37 mM; Need ultrasonic and warming)

DMSO : 10 mg/mL (33.58 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Bufuralol (Ro 3-4787) hydrochloride is a potent non-selective, orally active β-adrenoreceptor antagonist with partial agonist activity. Bufuralol hydrochloride is a CYP2D6 probe substrate[1][2].

IC_{50} & Target

β adrenergic receptor

In Vitro

Bufuralol (Ro 3-4787) hydrochloride is widely used in the characterization of CYP2D6 activity, and possesses aromatic rings and a basic nitrogen that are characteristic of CYP2D6 substrates[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Bufuralol (Ro 3-4787) hydrochloride metabolism mediated by NADPH exhibits biphasic kinetics and is less efficient than that observed in the presence of cumene hydroperoxide (CuOOH) in and monkey intestines, in agreement with the observations in the livers[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Pharmaceut Biomed. 2020, 113870.

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REFERENCES

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[2]. 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.

[3]. 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.

[4]. 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.

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