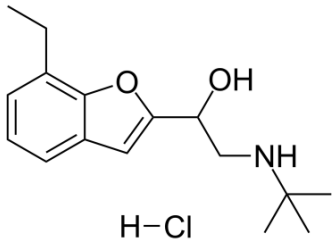
Bufuralol hydrochloride

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:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	Bufuralol hydrochloride (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].
In Vitro	Bufuralol is widely used in the characterization of CYP2D6 activity, and possesses aromatic rings and a basic nitrogen that are characteristic of CYP2D6 substrates[3].
In Vivo	Bufuralol 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].

REFERENCES

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

[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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