Rilmazafone hydrochloride

Cat. No.: HY-U00228

CAS No.: 85815-37-8

Molecular Formula: $C_{21}H_{21}Cl_3N_6O_3$

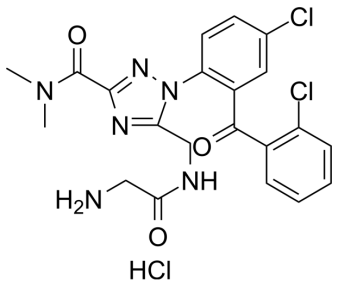
Molecular Weight: 511.79

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°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

DMSO : 250 mg/mL (488.48 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9539 mL	9.7696 mL	19.5393 mL
	5 mM	0.3908 mL	1.9539 mL	3.9079 mL
	10 mM	0.1954 mL	0.9770 mL	1.9539 mL

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

BIOLOGICAL ACTIVITY

Description

Rilmazafone hydrochloride (450191S) is a benzodiazepine (omega) ligand[1].

In Vivo

When the animals are pretreated with high doses of Rilmazafone hydrochloride (450191-S; 200 or 600 mg/kg for 5 or 3 days, respectively) to induce hepatic drug-metabolizing enzymes, plasma concentrations of the metabolites after oral administration of a dose of 200 mg/kg of Rilmazafone decrease markedly depending on the induced enzyme activity. Pretreatment of rats with phenobarbital also causes decreased plasma levels of metabolites, which are almost the same as those in Rilmazafone-pretreatment. On the other hand, administration of beta-naphthoflavone to rats leads to higher plasma levels of metabolites, and slower elimination compared with those in the control and Rilmazafone or Phenobarbital pretreated rats. Rilmazafone is demonstrated to stimulate the hepatic drug-metabolizing enzymes in rats, mice and dogs, which is accompanied by a marked reduction in the pharmacological activity of pentobarbital in rats. The induction of hepatic enzyme activities by Rilmazafone is detected only when the plasma concentrations of its metabolites are very high [2].

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

PROTOCOL

Animal Administration [2]	Mice[2] Adult male rats of the Jcl Sprague-Dawley strain, 7-8 weeks old, are used for the experiments. The animals are kept in an air-conditioned room (25±1°C, 50-60% humidity) lighted 12 hr a day (8:00-20:00) and maintain on com mercial rat chow and water ad libitum. Rilmazafone is dissolved in 5% (w/v) arabic gum at 20 or 60 mg/mL, and the resulting solution is administered orally to rats at 1.0 mL/100 g body weight for 3-5 days. Typical inducers, phenobarbital (in physiological saline) and beta-naphthoflavone (in sesame oil), are administered intraperitoneally at a dose of 40 mg/kg, once daily for 3 days. The animals are fasted for 24 hr after the last adminis tration of Rilmazafone or inducers, and then the test solution of Rilmazafone (20 mg/mL of 5% arabic gum) is given orally to rats at a dose of 200 mg/kg. Heparinized blood samples are obtained from the abdominal aorta under ether anesthesia and centrifuged immediately to obtain plasma samples using an Eppendorf centrifuge Type 5414S. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Yasui M, et al. [Pharmacological profiles of benzodiazepinergic hypnotics and correlations with receptor subtypes]. Nihon Shinkei Seishin Yakurigaku Zasshi. 2005 Jun;25(3):143-51.

[2]. Matsubara T, et al. Effect of change of hepatic drug-metabolizing activity on plasma concentrations of major metabolites of the new sleep inducer 450191-S, a 1H-1,2,4-triazolyl benzophenone derivative. Jpn J Pharmacol. 1987 Aug;44(4):429-36.

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