Rilmazafone hydrochloride

Cat. No.: HY-U00228
CAS No.: 85815-37-8
Molecular Formula: C₂₁H₂₁Cl₃N₆O₃
Molecular Weight: 511.79
Target: GABA Receptor
Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

<table>
<thead>
<tr>
<th>Description</th>
<th>Rilmazafone hydrochloride (450191S) is a benzodiazepine (omega) ligand with sedative and hypnotic effects[1].</th>
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<tbody>
<tr>
<td>In Vivo</td>
<td>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].</td>
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</table>

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 commercial 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 administration 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

Product Data Sheet
Inhibitors • Agonists • Screening Libraries

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