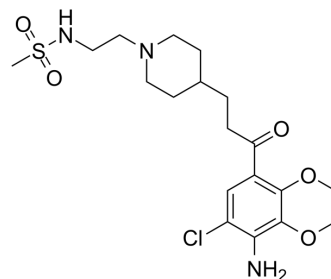


Sulamserod

Cat. No.:	HY-101668
CAS No.:	219757-90-1
Molecular Formula:	C ₁₉ H ₂₈ ClN ₃ O ₅ S
Molecular Weight:	445.96
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Sulamserod is a 5-HT ₄ receptor antagonist, with antiarrhythmic activities.
IC ₅₀ & Target	5-HT ₄ Receptor
In Vivo	Sulamserod (30 mg/kg) prolongs mean ERP (11568 versus 14667 ms, P,0.01) and wavelength (8.360.9 versus 9.960.8 cm, P,0.01), reduces dispersion of ERP (1565 versus 861 ms, P,0.01), and minimally slows conduction velocity (7264 versus 6765 cm/s, P,0.01) in pigs. Sulamserod produces no ventricular electrophysiological effects. Sulamserod terminates atrial flutter in 6 of 8 animals and atrial fibrillation in 8 of 9 animals and prevents reinduction of sustained tachycardia in all animals ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[1]	<p>After completion of baseline electrophysiological measurements and induction of sustained AFL or AF, the 5-HT₄ receptor antagonist RS-100302 is administered in a dose of 30 µg/kg over 10 minutes. This dose of RS-100302 is previously determined to maximally inhibit 5-HT-induced tachycardia in pigs. After completion of drug infusion, each animal is monitored for 20 minutes to observe arrhythmia response. If AFL or AF does not terminate spontaneously within 20 minutes after completion of drug infusion, sinus rhythm is restored by burst pacing or DC cardioversion, respectively. Electrophysiological measurements are then repeated as during control, and a venous blood sample is drawn for plasma levels of RS-100302. Attempts are then made to reinduce AFL or AF as performed at control. Reinduced arrhythmias are defined as sustained if lasting >10 minutes, nonsustained if lasting >30 seconds but <10 minutes, or none if lasting <30 seconds. Sustained arrhythmias are terminated after 10 minutes by burst pacing or DC cardioversion. Cisapride is then administered at a dose of 0.1 mg/kg over 10 minutes, after which electrophysiological measurements are repeated. Attempts are again made to reinduce AFL or AF, and the same definitions as those used after RS-100302 infusion regarding sustained, nonsustained, or no arrhythmias are applied. The study is then terminated, and animals are euthanized by an overdose of pentobarbital (150 mg/kg)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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REFERENCES

[1]. Rahme MM, et al. Electrophysiological and antiarrhythmic effects of the atrial selective 5-HT(4) receptor antagonist RS-100302 in experimental atrial flutter and fibrillation. *Circulation*. 1999 Nov 9;100(19):2010-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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