AZ7976

Cat. No.: HY-162401

CAS No.: 2813866-27-0

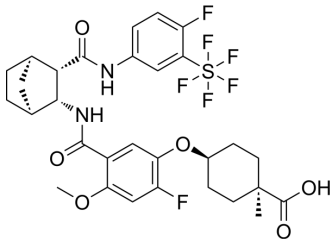
Molecular Formula: $C_{30}H_{33}F_7N_2O_6S$

Molecular Weight: 682.65

Target: RXFP Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description AZ7976 (Compound 42) is a highly selective agonist for the Relaxin Family Peptide Receptor 1 (RXFP1) (pEC_{50} > 10.5). AZ7976 enhances RXFP1's cAM signaling through an allosteric mechanism, thereby physiologically increasing heart rate. AZ7976 can be used in the field of cardiovascular disease [1].

In Vitro AZ7976 (90 min; concentration responses) does not compete with relaxin H2 for binding to RXFP1 in CHO-hRXFP1 cells, but enhances 125I-relaxin H binding[1].
AZ7976 inhibits ion channels and bile salt efflux transporter (BSEP) and is cytotoxic to THP1[1].

In Vitro Safety[1]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

IC_{50}THP1	IC_{50}HepG2 Glu/Gal	IC_{50} HepG2 C3a spheroids	IC_{50}BSEP	IC_{50}hERG	IC_{50} Nav1.5	IC_{50}Kv4.3 (Ito)	IC_{50}IKs	mic
42 μM	15 μM	68/37 μM	17 μM	23 μM	>39 μM	21 μM	>33 μM	>33 μM	N

In Vivo AZ7976 (i.v.; initial 1.5 mg/kg; i.v.drip; continuous 9.0 mg/kg) causes a significant increase in heart rate and a rise in mean arterial blood pressure in the rats.[1].

Pharmacokinetic Analysis in AZ7976[1]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Route	Dose (μ	Vdss	Cl	t	oral	F

	mol/kg)	(L/kg)	(mL/min/kg)	$t_{1/2}$ (h)	dose (μ mol/kg)	(%)
i.v.	0.73	2.3	38	2.9	1.46	11

Animal Model:	Sprague-Dawley rats[1]
Dosage:	initial 1.5 mg/kg, continuous 9.0 mg/kg
Administration:	i.v.; i.v.drip
Result:	Caused a significant increase in heart rate and a rise in mean arterial blood pressure in the rats, the same response in Sprague-Dawley rats as after RXFP1 receptor activation

REFERENCES

[1]. Granberg KL, et al. Identification of Novel Series of Potent and Selective Relaxin Family Peptide Receptor 1 (RXFP1) Agonists. J Med Chem. 2024 Mar 28;67(6):44

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