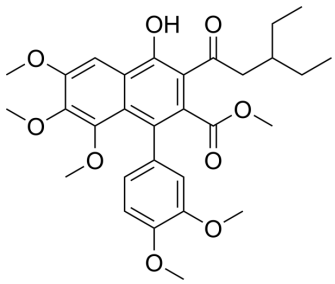
S-8921

Cat. No.:	HY-19298
CAS No.:	151165-96-7
Molecular Formula:	$C_{30}H_{36}O_9$
Molecular Weight:	540.6
Target:	Apical Sodium-Dependent Bile Acid Transporter
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	S-8921 is an ileal Na^+/bile acid cotransporter (IBAT) inhibitor.
IC_{50} & Target	IBAT[1]
In Vitro	S-8921 is an ileal Na^+/bile acid cotransporter (IBAT) inhibitor. S-8921 inhibits the uptake velocity of 60 μM [^{3}H] taurocholate dose-dependently in IBAT-COS cells, and the IC_{50} value of S-8921 is 66±8 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Seven-day treatment with S-8921 causes a dramatic decrease of serum cholesterol concentrations in hamsters. The hypocholesterolemic effects of S-8921 are dose-dependent, but S-8921 does not affect body weight. An increase of fecal bile acid excretion is observed especially at higher doses of S-8921[1]. S-8921 treatment for 1 to 2 weeks causes a decrease in serum total cholesterol concentrations, with 0.01% S-8921 (4.0 to 4.6 mg/kg) being almost maximally effective[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Tripsinized IBAT-COS cells are suspended in the culture medium at the density of 0.8 to 1×10^5 cells/mL. Aliquots (1 mL) of this suspension are dispersed onto 4-well plastic dishes and the cells are cultured for 48 hours. S-8921 is pre-incubated with the cells for 1 minute when its inhibitory effects are investigated. S-8921 is added as a DMSO solution, with the final concentration of DMSO in buffer A being 0.2 %[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Male golden Syrian hamsters (8 weeks old) are used and given standard powdered diet before starting the experiment and have free access to food and water. The hamsters are divided into six groups so that each group has a similar baseline serum cholesterol concentration. After one more week of adaptation, the animals are either continued on the control diet or switched to a diet supplemented with S-8921 at concentrations of 0.001, 0.003, 0.01, 0.03, and 0.1 % (corresponding to 0.8, 2, 8, 22, and 77 mg/kg/day, respectively) for 7 days. Feces are collected over the last 2 days of the study and lyophylized. The animals are fasted overnight and blood samples are collected from the abdominal aorta under pentobarbital anaesthesia[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hara S, et al. S-8921, an ileal Na+/bile acid cotransporter inhibitor decreases serum cholesterol in hamsters. Life Sci. 1997;60(24):PL 365-70.

[2]. Higaki J, et al. Inhibition of ileal Na+/bile acid cotransporter by S-8921 reduces serum cholesterol and prevents atherosclerosis in rabbits. Arterioscler Thromb Vasc Biol. 1998 Aug;18(8):1304-11.

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