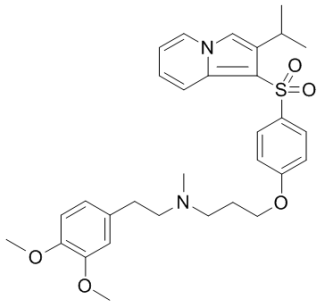
Fantofarone

Cat. No.:	HY-105117
CAS No.:	114432-13-2
Molecular Formula:	$C_{31}H_{38}N_2O_5S$
Molecular Weight:	550.71
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (**272.38 mM**; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.8158 mL	9.0792 mL	18.1584 mL
5 mM	0.3632 mL	1.8158 mL	3.6317 mL
10 mM	0.1816 mL	0.9079 mL	1.8158 mL

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

BIOLOGICAL ACTIVITY

Description	Fantofarone is a highly potent **Calcium Channel** antagonist.
IC_{50} & Target	Calcium Channel[1].
In Vitro	It can be seen that the calcium channel blockers VIZ and Fantofarone (SR) possess a weak intrinsic antimalarial property compared to CQ, and both appear slightly more potent on the CQ-resistant than on the CQ-sensitive parasites. Interestingly, Fantofarone is ca. 10 times more potent than verapamil. Fantofarone (SR) is 10 times more potent than the phenylalkylamine verapamil (VR) on the two P. fdciparum strains. As revealed by the isobolograms, the two calcium channel blockers potentiate the CQ sensitivity activity on the CQ-resistant P. fufcipurum strain, verapamil appearing 2 to 3 times more potent than Fantofarone. Furthermore, when used at similar subinhibitory fractions of their IC_{50}, VR is 2 to 3 times more potent than Fantofarone in decreasing CQ resistance[1].
In Vivo	Treatment with isosorbide dinitrate (0.3 mg/kg, i.v.) or Fantofarone (50 mg/kg, i.v.), a reduction is observed in the occurrence and severity of vasospasm, whereas verapamil (0.2 mg/kg, i.v.) is much less effective. Although it totally

inhibits distal AIV, isosorbide dinitrate does not significantly affect proximal diameter decrease. The most potent compound with regard to both the distal and proximal vasospasms is Fantofarone, which significantly reduces AIV throughout the experiment. Verapamil does not reduce AIV significantly[2].

PROTOCOL

Animal Administration [2]

Rabbits[2]

Male White rabbits are used in this study (3.0-3.2 kg). All surgical procedures are performed under anaesthesia with a mixture of ketamine and xylazine. At the end of the experiments, the animals are sacrificed by a pentobarbital overdose. The proximal femoral arteries are exposed, and the isolated arterial segments are desiccated by air infusion delivered at a rate of 80 mL/min for 8 min. After desiccation is completed, the ligatures are released and flow is restored. At the day of surgery, a 2% cholesterol/6% peanut oil diet is started for 2 weeks. Before angioplasty, the animals are randomized in 4 groups of 10 animals:1. Placebo, 1 mL/kg of NaCl 0.9%, 2. Isosorbide dinitrate, 0.3 mg/kg, 3. Verapamil, 0.2 mg/kg, 4. Fantofarone, 50 mg/kg. The doses of isosorbide dinitrate, verapamil, and fantofarone are defined in a pilot experiment as the highest doses which did not show any hypotensive effect per se and are chosen very carefully according to their activity measured in other pharmacological models[2].

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

REFERENCES

[1]. Adovelande J, et al. Synergy between two calcium channel blockers, verapamil and fantofarone (SR33557), in reversing chloroquine resistance in Plasmodium falciparum. Biochem Pharmacol. 1998 Feb 15;55(4):433-40.

[2]. Dongay B, et al. Effect of fantofarone, a new Ca2+ channel antagonist, on angioplasty-induced vasospasm in an atherosclerotic rabbit model. Biochem Pharmacol. 1998 Jun 15;55(12):2047-50.

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