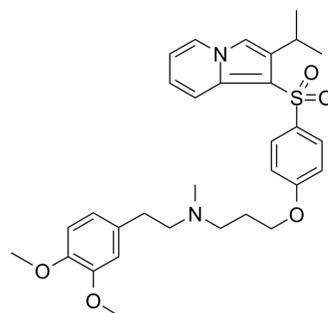


Fantofarone

| | | | |
|---------------------------|--|-------|---------|
| Cat. No.: | HY-105117 | | |
| CAS No.: | 114432-13-2 | | |
| Molecular Formula: | C ₃₁ H ₃₈ N ₂ O ₅ S | | |
| Molecular Weight: | 550.71 | | |
| Target: | Calcium Channel; Parasite | | |
| Pathway: | Membrane Transporter/Ion Channel; Neuronal Signaling; Anti-infection | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 2 years |
| | | -20°C | 1 year |



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (181.58 mM; Need ultrasonic)

| Concentration | 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.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.54 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fantofarone is a highly potent Calcium Channel antagonist.

IC₅₀ & 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. falciparum* strains. As revealed by the isobolograms, the two calcium channel blockers potentiate the CQ sensitivity activity on the CQ-resistant *P. falciparum* strain, verapamil appearing 2 to 3 times more potent than Fantofarone. Furthermore, when used at similar subinhibitory fractions of their IC₅₀, VR is 2 to 3 times

more potent than Fantofarone in decreasing CQ resistance^[1].

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

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].

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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].

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CUSTOMER VALIDATION

- Clin Transl Med. 2021 Aug;11(8):e510.

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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.

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

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