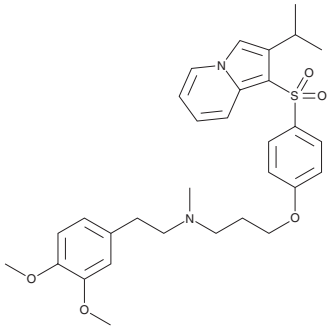
PRODUCT INFORMATION

Fantofarone

Item No. 26381

CAS Registry No.:	114432-13-2
Formal Name:	3,4-dimethoxy-N-methyl-N-[3-[4-[[2-(1-methylethyl)-1-indolizinyl]sulfonyl]phenoxy]propyl]-benzeneethanamine
Synonym:	SR 33557
MF:	$C_{31}H_{38}N_2O_5S$
FW:	550.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 304 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Fantofarone is supplied as a crystalline solid. A stock solution may be made by dissolving the fantofarone in the solvent of choice, which should be purged with an inert gas. Fantofarone is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of fantofarone in these solvents is approximately 10 mg/ml.

Description

Fantofarone is a calcium channel inhibitor.[1] It selectively inhibits the L-type voltage-gated calcium channel in isolated rat aorta (IC_{50} = 0.61 nM) over α_1- and β-adrenergic, muscarinic, and histamine H_2 receptors in rat heart homogenates (IC_{50}s = >10, >10, 4, and >10 µM, respectively), and the serotonin receptor subtypes 5-HT_1 and 5-HT_2, as well as histamine H_1 and adenosine A_1 receptors, in rat brain homogenates (IC_{50}s = >10, 4, >10, and >10 µM, respectively). Fantofarone inhibits peak calcium current in depolarized and hyperpolarized L-type voltage-gated calcium channels (IC_{50}s = 1.4 and 150 nM, respectively).[2] It inhibits potassium chloride- and norepinephrine-induced contractions in isolated rat aorta (IC_{50}s = 5.64 and 96 nM, respectively).[1] It enhances recovery of cardiac output during reperfusion of isolated rat hearts when used at a concentration of 10 nM.[3] Fantofarone prevents angioplasty-induced vasospasms in the femoral artery in a rabbit model of focal atherosclerosis when administered at a dose of 50 µg/kg.[4]

References

1. Nokin, P., Clinet, M., Polster, P., *et al.* SR 33557, a novel calcium-antagonist: Interaction with [^{3}H]-(±)-nitrendipine and [^{3}H]-(-)-desmethoxy-verapamil binding sites in cerebral membranes. *Naunyn Schmiedebergs Arch. Pharmacol.* **339(1-2),** 31-36 (1989).
2. Romey, G., Bois, P., and Lazdunski, M. Effects of two chemically related new Ca^{2+} channel antagonists, SR33557 (fantofarone) and SR33805, on the L-type cardiac channel. *Eur. J. Pharmacol.* **263(1-2),** 101-105 (1994).
3. Manning, A., Mouton, J., and Chatelain, P. Fantofarone (SR 33557): Effect on post-ischaemic functional recovery in perfused rat hearts. *Eur. J. Pharmacol.* **220(2-3),** 249-258 (1992).
4. Dongay, B., Dol-Gleizes, F., and Herbert, J.M. Effect of fantofarone, a new Ca^{2+} channel antagonist, on angioplasty-induced vasospasm in an atherosclerotic rabbit model. *Biochem. Pharmacol.* **55(12),** 2047-2050 (1998).

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