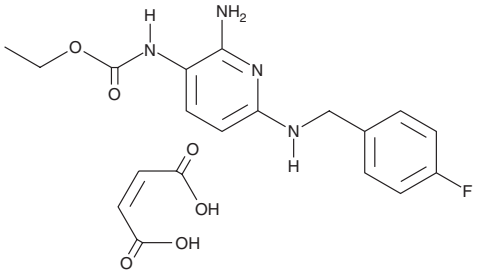
PRODUCT INFORMATION

Flupirtine (maleate)

Item No. 16674

CAS Registry No.:	75507-68-5
Formal Name:	N-[2-amino-6-[[(4-fluorophenyl)methyl] amino]-3-pyridinyl]-carbamic acid, ethyl ester, 2Z-butenedioate
MF:	$C_{15}H_{17}FN_4O_2 \bullet C_4H_4O_4$
FW:	420.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 204, 250, 348 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

Flupirtine (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the flupirtine (maleate) in the solvent of choice, which should be purged with an inert gas. Flupirtine (maleate) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of flupirtine (maleate) in these solvents is approximately 20 and 30 mg/ml, respectively.

Flupirtine (maleate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, flupirtine (maleate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Flupirtine (maleate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Flupirtine is an activator of voltage-gated potassium channel 7 (K_V7/KCNQ).[1-3] It induces relaxation of preconstricted pulmonary arteries isolated from wild-type and serotonin transporter-overexpressing ($SERT^+$) mice.[2] Flupirtine (30 mg/kg per day) decreases mean right ventricular pressure and right ventricular hypertrophy in hypoxia-induced and $SERT^+$ mouse models of pulmonary arterial hypertension. It increases the paw withdrawal threshold in a rat model of streptozotocin-induced diabetic neuropathy when administered at a dose of 10 mg/kg and increases paw withdrawal latency in a rat model of carrageenan-induced paw inflammation when used in combination with morphine.[3] Flupirtine also indirectly antagonizes NMDA receptors *via* its effects on potassium channels.[1,4]

References

1. Devulder, J. Flupirtine in pain management: Pharmacological properties and clinical use. *CNS Drugs* **25(10),** 867-881 (2010).
2. Morecroft, I., Murray, A., Nilsen, M., *et al.* Treatment with the K_V7 potassium channel activator flupirtine is beneficial in two independent mouse models of pulmonary hypertension. *Br. J. Pharmacol.* **157(7),** 1241-1249 (2009).
3. Goodchild, C.S., Kolosov, A., Tucker, A.P., *et al.* Combination therapy with flupirtine and opioid: Studies in rat pain models. *Pain Med.* **9(7),** 928-938 (2008).
4. Kornhuber, J., Bleich, S., Wiltfang, J., *et al.* Flupirtine shows functional NMDA receptor antagonism by enhancing Mg^{2+} block via activation of voltage independent potassium channels. *J. Neural Transm. (Vienna)* **106(9-10),** 857-867 (1999).

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