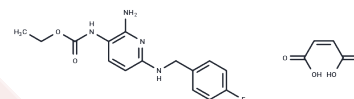


Flupirtine maleate

Chemical Properties

CAS No. :	75507-68-5
Formula:	C ₁₅ H ₁₇ N ₄ O ₂ ·C ₄ H ₄ O ₄
Molecular Weight:	420.39
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Flupirtine maleate (Katadolon maleate), a centrally acting non-opioid analgesia, is the salt form of Flupirtine. It is an NMDA receptor antagonist, and also a specific neuronal potassium channel opener.
Targets(IC50)	NMDAR, iGluR, Potassium Channel
In vitro	Flupirtine was able to block NMDA and gp120 HIV-1-induced cell death in rat cortical neurons. Flupirtine at concentrations of 1 μM and 10 μM reduced TRAIL-regulated death of human living brain tissue in culture; a concentration of 10 μM in 10 mM L-glutamic acid-treated PC 12 cultures significantly reduced non-receptor-regulated necrotic cell death; a concentration of 1 or 5 μg/mL blocked β-amyloid (25-35)-induced apoptosis by acting on primary neuronal cells; and a concentration of 1-10 mM protected primary neuronal cells from monosodium glutamate-induced toxicity by lowering calcium ion concentration.
In vivo	Flupirtine was able to block NMDA and gp120 HIV-1-induced cell death in rat cortical neurons. Flupirtine at concentrations of 1 μM and 10 μM reduced TRAIL-regulated death of human living brain tissue in culture; a concentration of 10 μM in 10 mM L-glutamic acid-treated PC 12 cultures significantly reduced non-receptor-regulated necrotic cell death; a concentration of 1 or 5 μg/mL blocked β-amyloid (25-35)-induced apoptosis by acting on primary neuronal cells; and a concentration of 1-10 mM protected primary neuronal cells from monosodium glutamate-induced toxicity by lowering calcium ion concentration.
Cell Research	For measurement of viability and generation of reactive oxygen intermediates, PC12 cells are seeded in 24- or 96-well plates coated with poly-L-lysine at 105 cells/mL. Drugs are dissolved in PBS (pH 7.4), or ethanol and filtered sterile. At the end of each experiment cells are trypsinized and pelleted together with cells of the culture supernatant. After staining for 10 min with 0.2% Trypan blue solution live (unstained) and dead (Trypan blue positive) cells are counted in a hemocytometer chamber. In addition, cellular viability is evaluated by the reduction of MTT to formazan. After 2 hours incubation with MTT (0.5 mg/ml) at 37 °C, cells are lysed in DMSO. Extinction at 570 nm is determined on a plate photometer. For staining of surviving adherent cells, plates are incubated for 10 min with 0.5% crystal violet dissolved in 20% methanol. Plates are rinsed with water and stained cells are lysed in 50% ethanol, 0.1 M sodium citrate before determining extinction at 550 nm. (Only for Reference)

Solubility Information

Solubility	DMSO: 250 mg/mL (594.69 mM),Sonication is recommended. Ethanol: 4.21 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.76 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3787 mL	11.8937 mL	23.7874 mL
5 mM	0.4757 mL	2.3787 mL	4.7575 mL
10 mM	0.2379 mL	1.1894 mL	2.3787 mL
50 mM	0.0476 mL	0.2379 mL	0.4757 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Perovic S, et al. Eur J Pharmacol, 1994, 288(1), 27-33.
Rupalla K, et al. Eur J Pharmacol, 1995, 294(2-3), 469-473
Müller WE, et al. J Neurochem, 1997, 68(6), 2371-237
Seyfried J, et al. Eur J Pharmacol, 2000, 400(2-3):155-166.
Dörr J, et al. J Neuroimmunol, 2005, 167(1-2), 204-209.

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