Flupirtine maleate is the salt form of Flupirtine, which is a non-opioid, centrally acting analgesia. Flupirtine has just finished Phase I clinical trials for axonal change, neuronal pain. Intraperitoneal injection either 20 min before and 50 min after occlusion or directly and 70 min after sterile 0.9% sodium chloride solution. Katadolon PC12 cell male Wistar rats with cerebral ischemia induced by four-vessel-occlusion 1 or 5 μg/mL 75507-68-5, 56995-20-1 (free base), Flupirtine maleate Datasheet 72 hours in DMSO 5 mg/kg Powder in DMSO 54x141 Nickel B, et al. Arzneimittelforschung, 1990, 40(8), 909-911.

Biological Activity

**Description**
Flupirtine maleate is the salt form of Flupirtine, which is a non-opioid, centrally acting analgesia, muscle relaxation and neuroprotection.

**Targets**

**IC50**

**In vitro**
Flupirtine pre-incubated for 2 hours prevents cell death in rat cortical neurons induced by NMDA and gp120 of HIV-1. [1] Flupirtine is capable of protecting primary neurons against glutamate-induced cytotoxicity by reducing calcium ion concentrations at 1-10 mM. [2] Flupirtine pretreated for 2 hours prevents β-amyloid-induced apoptosis in primary neuronal cells at concentrations of 1 or 5 μg/mL. [3] Flupirtine at concentration of 10 μM markedly decreases nonreceptor-mediated necrotic cell death in PC 12 cultures treated with 10 μM-glutamate, meanwhile, Flupirtine exerts anti-oxidative effects in PC 12 cultures. [4] Flupirtine-maleate at concentrations of 1 μM and 10 μM decreases TRAIL-mediated death of human living brain tissue culture. [5] Flupirtine activates inwardly rectifying potassium ion channels and thus stabilizes the resting membrane potential at a therapeutically relevant concentration. [6] Prevents β-amyloid-induced apoptosis in primary neuronal cells at concentrations of 1 or 5 μg/mL. [7] Flupirtine activates inwardly rectifying potassium ion channels and thus stabilizes the resting membrane potential at a therapeutically relevant concentration. [8] Prevents β-amyloid-induced apoptosis in primary neuronal cells at concentrations of 1 or 5 μg/mL.

**In vivo**
Pre-treatment with Flupirtine exerts a protective effect on hippocampal and striatal neuronal damage and on deficits in spatial learning in rats with cerebral ischemia. [7] Flupirtine administered centrally inhibits the nociceptive responses induced by chemical, thermal, mechanical and electrical stimuli in animal studies. [8] Flupirtine exerts muscle relaxant effects in rat. [9] Flupirtine has just finished Phase I clinical trials for axonal change, neuronal pain.

**Clinical Trials**

**Features**

**Protocol** (Only for Reference)

**Cell Assay:** [4]

**Cell Lines**
PC12 cell

**Concentrations**
1 or 5 μg/mL

**Incubation Time**
72 hours

**Methods**
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 10 μg cells/mL. Drugs are dissolved in PBS (pH 7.4), or ethanol and filtered sterile. At the end of each experiment cells are typsinized and palleted 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% crystalviolet dissolved in 20% methanol. Plates are rinsed with water and stained cells are lysed in 50% ethanol, 0.1 M sodiumcitrate before determining extinction at 550 nm.

**Animal Study:** [7]

**Animal Models**
male Wistar rats with cerebral ischemia induced by four-vessel-occlusion

**Formulation**
sterile 0.9% sodium chloride solution

**Doses**
5 μg/kg

**Administration**
Intraperitoneal injection either 20 min before and 50 min after occlusion or directly and 70 min after occlusion

**References**

Buy Flupirtine maleate from supplier Selleckchem

Selleck Chemicals wishes you the best possible online shopping experience with our 365 day unconditional Return Policy. If you are not satisfied with your purchase, either for protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please see the following instructions when you return products.

- **1.** All requests for returns should be communicated to Selleck Chemicals prior to shipping. Any items returned to Selleck Chemicals should be in the original packaging and in the same condition as originally purchased.
- **2.** When returning purchased goods, please inform us of the purchase order number or package tracking number.
- **3.** Return shipping is absolutely FREE.
- **4.** This offer is only valid for products purchased directly from Selleck and its authorized distributors.
- **5.** Once your return request is received and approved, your refund will be processed or automatically applied to your credit card within 7 days. Please note that depending on your credit card company, it may take additional 2-10 business days for us to post the refund to your account.

**Toll Free:**
(877) 796-6397
-- USA and Canada only--

**Fax:**
+1-713-796-9816

**Orders:**
+1-832-582-8158

**Tech Support:**
+1-832-582-8158

**Website:**
www.selleckchem.com
Specific storage and handling information for each product is indicated on the product datasheet. Most Selleck products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality, but save your shipping charges by using the most economical storage conditions for an overnight shipment. Upon receipt of the product, follow the storage recommendations on the product datasheet.