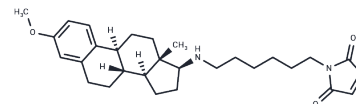


U-73122

Chemical Properties

CAS No. : 112648-68-7
Formula: C₂₉H₄₀N₂O₃
Molecular Weight: 464.64
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	U-73122 (U73122) , an effective PLC inhibitor, reduces agonist-induced Ca ²⁺ increases in platelets and PMN.
Targets(IC50)	Phospholipase,Ferroptosis,Lipoxygenase
In vitro	At a concentration of 0.1 mg/ml, U73122 inhibits the accumulation of 74% of lymphocytes and 65% of macrophages induced by carrageenan in the subcutaneous cavity of dogs. When administered at 30 mg/kg intraperitoneally (i.p.), U73122 blocks 65% and 80% of carrageenan-induced paw edema in rats 1 hour and 3 hours post-treatment, respectively. Furthermore, it completely inhibits the infiltration of macrophages and lymphocytes, as well as 80% of prostaglandin E2 production, in a mouse model of peritonitis triggered by lipopolysaccharides. U73122 also suppresses the swelling of mouse ears induced by 12-O-tetradecanoylphorbol-13-acetate.
In vivo	U-73122 inhibits the production of thromboxane B induced by collagen, where collagen induces this effect by inhibiting receptor-coupled arachidonic acid transfer. U-73122 also suppresses the aggregation of human polymorphonuclear neutrophils and the associated production of IP ₃ and diacylglycerol induced by FMLP. It notably inhibits the aggregation of human platelets induced by various agonists, including thrombin, collagen, ADP, and arachidonic acid (IC ₅₀ of 1-5 μM). Thrombin or U-46619 induces the production of IP ₃ and a subsequent rapid increase in intracellular Ca ²⁺ by inhibiting the hydrolysis of platelet-soluble components catalyzed phosphatidylinositol [3H]mannose and phosphatidylinositol [3H]bisphosphate 4,5-diphosphate (K _i : 9/40 μM), which is inhibited by 10 μM of U-73122.
Kinase Assay	PMNs (4×10 ⁷ /4 mL) are incubated with U-73122 according to protocols. The reactions are stopped with cold calcium-free PBS. The cells are centrifuged at 750 × g (4°C) and resuspended in 1 mL of Triton X-100-free extraction buffer (50 mM Tris, pH 7.5, 50 mM fimercaptoethanol, 2 mM EGTA, 1 mM phenylmethylsulfonyl fluoride and 4 μg/mL of leupeptin, soybean trypsin inhibitor and aprotinin), and then sonicated for 10- and 5-sec consecutive bursts at 20% output. The lysates are centrifuged at 240 × g (4°C) for 20 mm in a TL-100 ultracentrifuge with the supernatant designated as the cytosol fraction. The pellet is resuspended in 1% Triton-containing extraction buffer, sonicated, shaken for 30 mm at 4°C and centrifuged (240 × g for 20 mm at 4°C). The supernatant constitutes the extractable particulate fraction, and the pellet is sonicated in extraction buffer with Triton and constituted the nonextractable particulate fraction.

A DRUG SCREENING EXPERT

Cell Research	U-73122 is dissolved in DMSO. Agonist-induced production of IP3 in PMN is measured by use of the competitive radiobinding assay. PMN (2 x 10 ⁶ -10 ⁷) in 0.2 mL of phosphate-buffered saline, pH 7.4 [NaCl (138 mM), Na ₂ HPO ₄ (8.1 mM), KH ₂ PO ₄ (1.5 mM), KCl (2.7 mM), CaCl ₂ (1.0 mM), MgCl ₂ (1.0 mM) and glucose (0.1%, w/v)] are incubated in conical polypropylene tubes at 37°C in a shaking water bath. U-73122 or U-73343 is added (in 1 µL of DMSO) 3 min before the addition of agonist, FMLP (0.1 µM) plus cytochalasin B (5 µg/mL). FMLP and cytochalasin B are added in 1 µL each of DMSO and ethanol, respectively. Appropriate vehicle controls are included in each experiment. PMN incubation mixtures are quenched with the addition of 0.07 mL of ice-cold TCA (20%, w/v) and a portion (0.2 mL) of the TCA extract is processed for the measurement of IP3 by competitive radiobinding as described above for platelets.
---------------	--

Solubility Information

Solubility	DMSO: 12.5 mg/mL (26.90 mM), Sonication is recommended. H ₂ O: < 0.1 mg/mL (insoluble), < 1 mg/mL refers to the product slightly soluble or insoluble
------------	--

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1522 mL	10.761 mL	21.522 mL
5 mM	0.4304 mL	2.1522 mL	4.3044 mL
10 mM	0.2152 mL	1.0761 mL	2.1522 mL
50 mM	0.043 mL	0.2152 mL	0.4304 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.

Reference

Wang X, Cai J, Lin B, et al. GPR34-mediated sensing of lysophosphatidylserine released by apoptotic neutrophils activates type 3 innate lymphoid cells to mediate tissue repair. *Immunity*. 2021, 54(6): 1123-1136. e8.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only. Not for Human or Veterinary or Therapeutic Use

Tel: 781-999-4286 E_mail: info@targetmol.com Address: 36 Washington Street, Wellesley Hills, MA 02481