Product Data Sheet

Chemical Properties

Product Name: SB 203580
Cas No.: 152121-47-6
M.Wt: 377.44
Formula: C21H16FN3OS

Chemical Name: 4-[4-(4-fluorophenyl)-2-(4-methylsulfinylphenyl)-1H-imidazol-5-yl]pyridine
Canonical SMILES: CS(=O)C1=CC=C(C=C1)C2=NC(=C(N2)C3=CC=NC=C3)C4=CC=NC(C=C4)F
Solubility: >18.9mg/mL in DMSO
Storage: Desiccate at 4°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: p38
Pathways: MAPK Signaling >> p38

Description:
SB203580, also called 4-(4-fluorophenyl)-2-(4-methylsulfinylphenyl)-5-(4-pyridyl) 1Himidazole [6], is a specific pyridinyl imidazole inhibitor of p38-MAPK (Mitogen-activated Protein Kinase) signaling pathway [1] [3]. It was competitive with ATP with a selectivity probably determined by nonconserved regions within or near the ATP binding pocket and a Ki of 21 nM [3]. It inhibits c-Raf with an IC50 of 2 mM in vitro [4]. p38 MAPK signaling pathway enables cells to generate a plethora of different effects to interpret a wide range of external signals and respond appropriately. There is a core of three protein...
Exposure to 30 mM SB203580 significantly decreased the resistance of L1210/VCR cells to vincristine accompanied by the LC50 value of vincristine changed from 3.2036±0.521 to 0.5576±0.082 mM. Exposure to 10 mM SB203580 slightly changed the value of the resistance index, accompanied by the LC50 values of SB203580 to sensitive L1210 cells and to resistant cells were 39.26±2.2 mM and 52.06±7.6 mM, respectively [1].

In vivo, administration of SB203580 alone 24 h before the permanent middle cerebral arterial occlusion abolished the isoflurane preconditioning-induced neuroprotection. After isoflurane exposure, administration of SB203580 decreased phosphorylated p38 MAPK. SB203580 inhibited anisomycin pretreatment-induced neuroprotection [6].

Reference:

Protocol

Cell experiment:

Cell lines Sf9 cells

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions IC50: 2 μM,

Applications Human c-Raf was activated in Sf9 cells by cotransfection with DNA encoding v-Ras and Lck, and activity was either measured directly in the cell lysates or after immunoprecipitation. The c-Raf in Sf9 cell extracts is inhibited by SB 203580 with an IC50 value of 2 μM. This is 40-fold higher than the IC50 for SAPK2a/p38α, but only four-fold
higher than the IC50 for SAPK2b/p38β2. However, the IC50 values became 10-fold higher if the assays were carried out using c-Raf immunoprecipitated from Sf9 cells, EGF-stimulated mouse Swiss 3T3 cells or EGF-stimulated 293 cells.

Animal experiment [3]:

Animal models  Female Brown Norway rats
Dosage form  Oral administration, 10-100 mg/kg
Applications  Oral administration of SB 203580 (10-100 mg/kg) had no significant effect on airway eosinophilia in comparison to the vehicle treated, challenged group. No reduction in BAL neutrophilia was observed after administration of SB 203580. In the lung tissue the basal numbers of neutrophils were not altered by pretreatment with SB 203580. The basal number of BAL monocytes/macrophages were unaffected by SB 203580 (unchallenged, vehicle 443.8±36.2: challenged, vehicle 414.1±29.7: challenged, SB 203580 100 mg/kg 381.5±41.86103 cells ml-1). However, SB 203580 produced a dose-related increase in lung tissue monocyte/macrophage cell numbers.

Other notes  Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Validation

Treatment of SB 203580 increases the number and size of neurospheres in culture
Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of 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 of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.