Product Data Sheet

Chemical Properties

Product Name: Cilomilast

Cas No.: 153259-65-5

M.Wt: 343.42

Formula: C20H25NO4

Synonyms: Ariflo, SB 207499, SB207499

Chemical Name: 4-cyano-4-(3-cyclopentyloxy-4-methoxyphenyl)cyclohexane-1-carboxylic acid

Canonical SMILES: COC1=C(C=C(C1)C2(CCC(CC2)C(=O)O)C#N)OC3CCCC3

Solubility: ≥ 12.95 mg/mL in DMSO, ≥ 49.9 mg/mL in EtOH with ultrasonic and warming, <2.5 mg/mL in H2O

Storage: Store at -20°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: Metabolism

Pathways: PDE

Description:

Cilomilast, also known as SB-207499 or Ariflo, is a potent second generation inhibitor of type 4 phosphodiesterase (PDE4), an enzyme metabolizing cellular cyclic adenosine monophosphate (cAMP) which acts as a second messenger to disrupt the function of inflammatory cell and induce airway smooth muscle relaxation. Cilomilast is currently used for the treatment of chronic
obstructive pulmonary disease (COPD) due to its strong anti-inflammatory activity as well as inhibitory effects against the release of neutrophil chemoattractants (such as tumor necrosis factor TNF-α, interleukin IL-8 and granulocyte-macrophage colony stimulating factor GM-CSF) and suppression of the recruitment of neutrophils into tissues and the LTB4 production.

Reference:
M Profita, G Chiappara, F Mirabella, RCDi Giorgi, L Chimenti, G Costanzo, L Riccobono, V Bellia, J Bousquet, and A M Vignola. Effect of cilomilast (Ariflo) on TNF-α, IL-8, and GM-CSF release by airway cells of patients with COPD. Thorax 2003; 58: 573-579

Protocol

Cell experiment:

Cell lines  MCS cell lines
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  11 d; 40 μM
Applications  The results demonstrate that inhibition of PDE (Cilomilast) enhances ALP expression in MSCs via the cAMP pathway. The increase in the level of ALP activity is dependent on the dose of cilomilast. To study the effect of the inducers on MSC differentiation at similar proliferation rates, we treated MCSs, except those cultured in osteogenic medium, with 1% DMSO. We compared MSCs cultured for 11 days in the presence of different inducers with MSCs cultured in osteogenic medium in order to quantify the osteogenetic effects of the inducers. We found that the ALP activity levels of MCSs treated with a combination of PDE4 inhibitor (40 μM) and BMP-2 (300 ng/mL) were almost double the ALP activity level of MSCs treated with osteogenic medium, suggesting that the mineralisation process is more rapid.

Animal experiment [3]:

Animal models  Female C57BL/6 mice
Dosage form: Cilomilast 0.05%; ocular surface instillation three times per day over a period of 7 days.

Applications: Real-time PCR was used to quantify the expression of transcripts encoding IL-1α, IL-1β, and TNF-α in the corneas and conjunctivae of DED-induced mice. Treatment with topical cilomilast significantly decreased the corneal expression of TNF-α as compared with the vehicle-treated group. Compared with the DED-untreated corneas, treatment with cilomilast significantly reduced IL-1α and TNF-α expression.

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:

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. Shortterm 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.