Product Data Sheet

Chemical Properties

Product Name: T-5224
Cas No.: 530141-72-1
M.Wt: 517.53
Formula: C29H27NO8

Chemical Name: 3-(5-(4-(cyclopentyloxy)-2-hydroxybenzoyl)-2-((3-hydroxybenzo[d]isoxazol-6-yl)methoxy)phenyl)propanoic acid
Canonical SMILES: OC1=NOC2=CC(C=CC(C3=C(O)C=C(OCCCC4C=C3)=O)=C5)=C5CCC(O)=O)=CC=C12
Solubility: >25.9mg/mL in DMSO
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: Transcription Factors
Pathways: Others >> Transcription Factors
Description:

T-5224 is a non-peptidic, small molecule and novel inhibitor of c-Fos/AP-1 [1]. T-5224 has shown the specific inhibition in a c-Fos/AP-1 promoter-luciferase assay, without
affecting the levels of c-Fos family protein members themselves. In addition, T-5224 has been reported to selectively inhibit the DNA binding activity of c-Fos/c-Jun, without affecting those of other transcription factors (C/EBPα and ATF-2, MyoD, Sp-1 and NF-κB/p65). Some research has been suggested that T-5224 potently suppressed the development of collagen-induced arthritis (CIA). Besides, T-5224 has been evidenced to inhibit the expression of nuclear factor of activated T-cells (NFAT) and osteoclastogenesis of the macrophage-osteoclast precursor RAW264.7 cells induced by receptor activator of NF-κB ligand (RANKL). Apart from these, T-5224 has been noted to inhibit in-vitro production of the mediators (MMP-1, MMP-3, IL-6 and TNF-α) in IL-1β-stimulated human synovial SW982 cells with the mean IC50 of about 10 μM. In the in vivo pharmacokinetic analysis, the ED50 of T-5224 is about 1-10mg/kg, and the Cmax is 0.03-0.5μM.

Reference:

Protocol

Cell experiment:

Cell lines Human synovial SW982 cells; human chondrocyte SW1353 cells

Preparation method Dissolved in DMSO. 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 0.1, 1, 10, 100, 1000 μM.

Applications In human synovial SW982 cells stimulated by IL-1β, T-5224 inhibits the production of MMP-1, MMP-3, IL-6 and TNFα. In human chondrocyte SW1353 cells stimulated by IL-1β, T-5224 inhibits the production of MMP-3 and MMP-13 with IC50 value of 10 μM.

Animal experiment [3]:

Animal models Male DBA/1J mice with type II collagen-induced arthritis (CIA).

Dosage form 0.3, 1, 3, 30 mg/kg, once daily from day 21, before the onset of arthritis; administered orally.

Applications T-5224 significantly inhibits the development of arthritis by 64% and 91% on day 50 at 3 and 30 mg/kg, respectively. T-5224 inhibits joint destruction and completely protects the joint from destruction at 30 mg/kg. At 30 mg/kg dose, T-5224 significantly inhibits MMP-2, MMP-3, MMP-9, MMP-13, IL-1β, IL-6 and TNFα.
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 Citations


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.

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