

Product Data Sheet

Product Name: TAK-242

Cat. No.: GC16148

Chemical Properties

Cas. No. 243984-11-4

Chemical Name ethyl (6R)-6-[(2-chloro-4-fluorophenyl)sulfamoyl]cyclohexene-1-carboxylate

SMILES CCOC(=O)C1=CCCCC1S(=O)(=O)NC2=C(C=C(C=C2)F)Cl

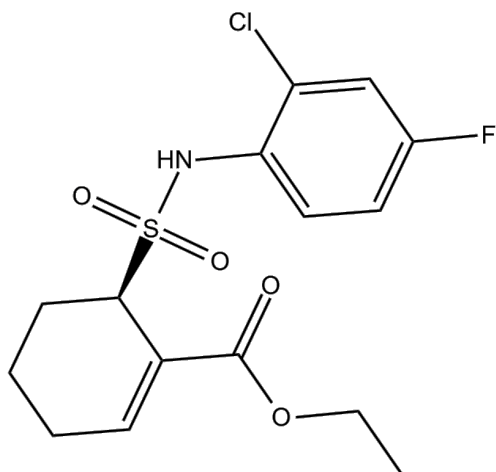
Formula $C_{15}H_{17}ClFNO_4S$ M.Wt 361.82

Solubility ≥ 18.091 mg/mL in DMSO, ≥ 100.6 mg/mL in EtOH Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines SKOV3 cells

SKOV3 cells were cultured in RPMI-1640 medium supplemented with 10% fetal bovine serum (FBS), and 1% penicillin-streptomycin at 37°C in 5% CO₂/atmosphere. SKOV3 cells cultured were seeded onto 96-well microplates (2.5×10³ cells/well) and cultured for 24h. The cells were treated with PBS or different concentrations of TAK-242 (0, 1, 10, 20, and 30μM). After 24h incubation, cell viability was assessed.

Reaction Conditions 0, 1, 10, 20, and 30μM; 24h

Applications TAK-242 treatment reduced the cell viability of SKOV3 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Male Wistar rats

Male Wistar rats (220-260g) were housed under controlled temperature (21-23°C), humidity (30-35%) and light cycle (7:00 AM to 7:00 PM) and maintained on a standard rodent diet. Rats were divided into four groups (n=9/group): (1) Vehicle infusion group treated with vehicle alone, (2) aldosterone-salt group treated with an infusion of aldosterone-salt (1mg/kg/day, diluted in sunflower oil and administered by subcutaneous injection), (3) aldosterone-salt plus TAK-242 group treated with an infusion (s.c.) of aldosterone-salt plus TAK-242 at 2mg/kg/day. Four weeks later, the hearts and kidneys of the mice were collected for analysis.

Dosage form 2mg/kg/day; 4 weeks; s.c.

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Applications TAK-242 treatment significantly suppresses cardiorenal inflammation and fibrosis caused by aldosterone-salt in rats.

References:

[1] Kashani B, Zandi Z, Bashash D, et al. Small molecule inhibitor of TLR4 inhibits ovarian cancer cell proliferation: new insight into the anticancer effect of TAK-242 (Resatorvid)[J]. Cancer Chemotherapy and Pharmacology, 2020, 85(1): 47-59.

[2] Zhang Y, Peng W, Ao X, et al. TAK-242, a toll-like receptor 4 antagonist, protects against aldosterone-induced cardiac and renal injury[J]. PloS one, 2015, 10(11): e0142456.

Background

TAK-242 is a toll-like receptor 4 (TLR4) signaling inhibitor that inhibits NO, TNF- α and IL-6 production with IC₅₀ values of 1.8nM, 1.9nM and 1.3nM, respectively^[1]. TAK-242 downregulates the TLR4/MyD88/NF- κ B signaling pathway through the liver-intestine axis, thereby inhibiting liver inflammatory responses and alleviating liver damage^[2]. TAK-242 has been widely used to alleviate the death of mice induced by lipopolysaccharide (LPS) and to alleviate organ dysfunction^[3].

In vitro, TAK-242 treatment for 24 hours significantly inhibited the proliferation of SKOV3 and A2780S cells, with IC₅₀ values of 62 μ M and 216 μ M, respectively^[4]. Treatment with 10 μ M TAK-242 for 24 hours significantly inhibited the migration of 2008C13 cells and reduced the enzymatic activities of MMP2 and MMP9^[5]. Treatment with 10 μ M TAK-242 for 24 hours significantly inhibited the viability and invasion of U251MG cells, and downregulated the expression of CD44 and vimentin^[6].

In vivo, TAK-242 treatment via tail vein injection at a dose of 3mg/kg/day for 3 days significantly alleviated cigarette smoke-induced acute pulmonary inflammation in mice and reduced the expression and activation of NF- κ Bp65 in lung tissues^[7]. Subcutaneous injection of TAK-242 at a dose of 2mg/kg/day for 4 weeks significantly inhibited aldosterone-induced cardiac and renal inflammation and fibrosis in rats^[8].

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References:

- [1] Yamada M, Ichikawa T, Li M, et al. Discovery of novel and potent small-molecule inhibitors of NO and cytokine production as antiseptic agents: synthesis and biological activity of alkyl 6-(N-substituted sulfamoyl) cyclohex-1-ene-1-carboxylate[J]. Journal of medicinal chemistry, 2005, 48(23): 7457-7467.
- [2] Liu S, Wu J, Chen P, et al. TAK-242 Ameliorates Hepatic Fibrosis by Regulating the Liver-Gut Axis[J]. BioMed research international, 2022, 2022(1): 4949148.
- [3] Sha T, Sunamoto M, Kitazaki T, et al. Therapeutic effects of TAK-242, a novel selective Toll-like receptor 4 signal transduction inhibitor, in mouse endotoxin shock model[J]. European journal of pharmacology, 2007, 571(2-3): 231-239.
- [4] Kashani B, Zandi Z, Bashash D, et al. Small molecule inhibitor of TLR4 inhibits ovarian cancer cell proliferation: new insight into the anticancer effect of TAK-242 (Resatorvid)[J]. Cancer Chemotherapy and Pharmacology, 2020, 85(1): 47-59.
- [5] Zandi Z, Kashani B, Poursani E M, et al. TLR4 blockade using TAK-242 suppresses ovarian and breast cancer cells invasion through the inhibition of extracellular matrix degradation and epithelial-mesenchymal transition[J]. European Journal of Pharmacology, 2019, 853: 256-263.
- [6] Feng Z, Chen G, Huang Y, et al. TAK-242 inhibits glioblastoma invasion, migration, and proneural-mesenchymal transition by inhibiting TLR4 signaling[J]. Experimental Cell Research, 2024, 439(1): 114091.
- [7] Wang D, Tao K, Xion J, et al. TAK-242 attenuates acute cigarette smoke-induced pulmonary inflammation in mouse via the TLR4/NF- κ B signaling pathway[J]. Biochemical and Biophysical Research Communications, 2016, 472(3): 508-515.
- [8] Zhang Y, Peng W, Ao X, et al. TAK-242, a toll-like receptor 4 antagonist, protects against aldosterone-induced cardiac and renal injury[J]. PloS one, 2015, 10(11): e0142456.

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