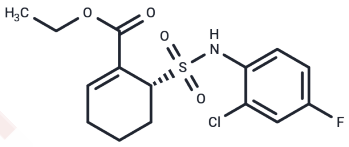
Data Sheet (Cat.No.TQ0181)

TargetMol

Resatorvid

Chemical Properties



CAS No. : 243984-11-4

Formula: C15H17ClFNO4S

Molecular Weight: 361.82

Storage: Keep away from direct sunlight,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Resatorvid (TAK-242), a selective Toll-like receptor 4 (TLR4) inhibitor, binds directly to Cys747 to prevent TLR4-TIRAP interaction, thereby blocking downstream signaling. Resatorvid exhibits antitumor, anti-inflammatory, and neuroprotective activities.
Targets(IC50)	Autophagy,Interleukin,TLR,TNF
In vitro	**METHODS**: Breast cancer cell lines MCF7, SKBR3, MDA-MB-231 and BT-474 were treated with Resatorvid (10-150 μM) for 48 h. Cell viability was measured using MTT Assay. **RESULTS**: Resatorvid dose-dependently inhibited the viability of breast cancer cell lines. [1] **METHODS**: Macrophage RAW264.7 was treated with Resatorvid (1-100 nM) and LPS (5 ng/mL), IFN-γ (1 U/mL) for 4 h. Gene expression levels were measured by RT-qPCR. **RESULTS**: Resatorvid inhibited LPS and IFN-γ induced mRNA expression of IL-6 and TNF-α in RAW264.7 cells. [2]
In vivo	**METHODS**: To test the effects on cancer-comorbid depression (BCCD), Resatorvid (3 mg/kg) was administered intraperitoneally to BALB/c mice in the BCCD model once daily for three weeks. **RESULTS**: Resatorvid attenuated the symptoms of BCCD mice in vivo.Resatorvid inactivated inflammatory factors and TLR4/NF-κB/NLRP3 signaling pathway in vivo. [3] **METHODS**: To investigate the effects on temporomandibular joint osteoarthritis (TMJOA), Resatorvid (10 mg/kg) was injected intraperitoneally twice weekly for four weeks into a CFA-induced TMJOA model in C57BL/6 mice. **RESULTS**: Prophylactic treatment with Resatorvid attenuated TMJOA pathology by inhibiting chondrocyte focal prolapse and degeneration, and ROS-induced macrophage inflammation via TLR4/MyD88/NF-κB/NLRP3. [4]
Cell Research	Cell Line: RAW264.7 cells. Concentration: 1 nM, 10 nM, 100 nM. Incubation Time: 4 hours [1]
Animal Research	Animal Model: 30 ApoE knockout and 30 wild-type mice on a C57BL/6 background (female, 10 weeks old). Dosage: 0.3?mg/kg. Administration: i.p.; twice a week; for 4 weeks [3]

Solubility Information

Solubility	H2O: Insoluble, DMSO: 255 mg/mL (704.77 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (13.82 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7638 mL	13.819 mL	27.6381 mL
5 mM	0.5528 mL	2.7638 mL	5.5276 mL
10 mM	0.2764 mL	1.3819 mL	2.7638 mL
50 mM	0.0553 mL	0.2764 mL	0.5528 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zandi Z, et al. The anticancer effect of the TLR4 inhibition using TAK-242 (resatorvid) either as a single agent or in combination with chemotherapy: A novel therapeutic potential for breast cancer. J Cell Biochem. 2020 Feb;121(2): 1623-1634.

Chen J, Zhao L, Ding X, et al. Aβ1-40 Oligomers Trigger Neutrophil Extracellular Trap Formation through TLR4- and NADPH Oxidase-Dependent Pathways in Age-Related Macular Degeneration. Oxidative Medicine and Cellular Longevity. 2022

Luo D, Han L, Gao S, et al. LINCS Dataset-Based Repositioning of Dutasteride as an Anti-Neuroinflammation Agent. Brain Sciences. 2021, 11(11): 1411.

Ii M, et al. A novel cyclohexene derivative, ethyl (6R)-6-[N-(2-Chloro-4-fluorophenyl)sulfamoyl]cyclohex-1-ene-1-carboxylate (TAK-242), selectively inhibits toll-like receptor 4-mediated cytokine production through suppression of intracellular signaling. Mol Pharmacol. 2006 Apr;69(4):1288-95.

Luo W, et al. Resatorvid Relieves Breast Cancer Complicated with Depression by Inactivating Hippocampal Microglia Through TLR4/NF-κB/NLRP3 Signaling Pathway. Cancer Manag Res. 2020 Dec 18;12:13003-13014.

Liu X, et al. Resatorvid alleviates experimental inflammatory TMJOA by restraining chondrocyte pyroptosis and synovial inflammation. Arthritis Res Ther. 2023 Nov 29;25(1):230.