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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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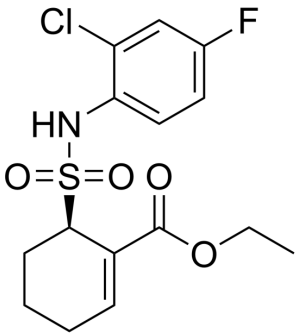
Resatorvid



Cat. No.: HY-11109

CAS No.: 243984-11-4

Molecular Formula: $C_{15}H_{17}ClFNO_4S$

Molecular Weight: 361.82

Target: Toll-like Receptor (TLR); Autophagy; TNF Receptor; Interleukin Related

Pathway: Immunology/Inflammation; Autophagy; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (276.38 mM; Need ultrasonic)

Ethanol : 20 mg/mL (55.28 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7638 mL	13.8190 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 5.5 mg/mL (15.20 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 5.5 mg/mL (15.20 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% saline
   Solubility: 5 mg/mL (13.82 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (7.60 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.75 mg/mL (7.60 mM); Suspended solution; Need ultrasonic
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (7.60 mM); Clear solution
7. Add each solvent one by one: 5% DMSO >> 95% saline
   Solubility: 2.5 mg/mL (6.91 mM); Suspended solution; Need ultrasonic
8. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: 0.5 mg/mL (1.38 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Resatorvid (TAK-242) is a selective Toll-like receptor 4 (TLR4) inhibitor. Resatorvid inhibits NO, TNF-α and IL-6 production with IC_{50s} of 1.8 nM, 1.9 nM and 1.3 nM, respectively. Resatorvid downregulates expression of TLR4 downstream signaling molecules MyD88 and TRIF. Resatorvid inhibits autophagy and plays pivotal role in various inflammatory diseases[1][2].

IC_{50} & Target

TLR4	TNF-R	IL-6
	1.9 nM (IC_{50})	1.3 nM (IC_{50})

In Vitro

Resatorvid suppresses the production of NO, TNF-α, and IL-6 from LPS-stimulated human peripheral blood mononuclear cells (PBMCs) at IC_{50} values from 11 to 33 nM[1].
Resatorvid (1-100 nM; 4 hours) inhibits mRNA expression of IL-6 and TNF-α induced by LPS and IFN-γ in RAW264.7 cells[1].
Resatorvid (1-100 nM; 15 minutes; PBMCs cells) markedly inhibits the LPS-induced phosphorylation of extracellular signal-regulated kinase 1/2 (Erk1/2), p38, and JNK/SAPK as well as degradation of IκBβ at a concentration of 100 nM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	RAW264.7 cells
Concentration:	1 nM, 10 nM, 100 nM
Incubation Time:	4 hours
Result:	TNF-α and IL-6 mRNA expression levels were clearly suppressed at concentrations of 10 to 100 nM.

Western Blot Analysis[1]

Cell Line:	PBMCs cells
Concentration:	1 nM, 10 nM, 100 nM
Incubation Time:	15 minutes
Result:	The phosphorylation of mitogen-activated protein kinases induced by LPS was also inhibited in a concentration-dependent manner.

In Vivo

Resatorvid (TAK-242; 3 mg/kg; intraperitoneal injection; for 2 days; male C57BL/6 mice) pretreatment markedly and significantly reverses the LPS-induced body weight loss, TA muscle loss, and muscle strength loss. TAK-242 reverses the LPS-induced shrinkage of muscle fibres and increases the interstitial space. TAK-242 blocks systemic catabolic cytokine release and skeletal muscle proteolysis in LPS-administered mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6 mice (8-12 weeks of age) treated with lipopolysaccharide (LPS)[3]
Dosage:	3 mg/kg
Administration:	Intraperitoneal injection; for 2 days
Result:	Pretreatment of mice reduced or reversed all the detrimental effects of LPS.

CUSTOMER VALIDATION

- Nature. 2023 Jun;618(7964):374-382.
- Signal Transduct Target Ther. 2024 Mar 25;9(1):74.
- Cell Host Microbe. 2021 Feb 10;29(2):222-235.e4.
- Adv Mater. 2023 Nov 22:e2310979.
- Nat Biomed Eng. 2021 Nov 8.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

[2]. Yamada M, et al. Discovery of novel and potent small-molecule inhibitors of NO and cytokine production as antisepsis agents: synthesis and biological activity of alkyl 6-(N-substituted sulfamoyl)cyclohex-1-ene-1-carboxylate. J Med Chem. 2005 Nov 17;48(23):7457-67.

[3]. Yuko Ono, et al. TAK-242, a Specific Inhibitor of Toll-like Receptor 4 Signalling, Prevents Endotoxemia-Induced Skeletal Muscle Wasting in Mice. Sci Rep. 2020 Jan 20;10(1):694.

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