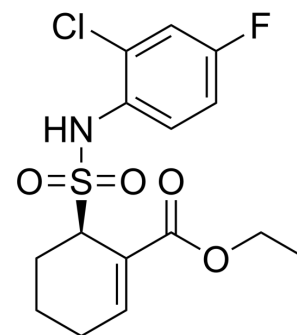


Resatorvid

Cat. No.:	HY-11109		
CAS No.:	243984-11-4		
Molecular Formula:	C ₁₅ H ₁₇ ClFNO ₄ S		
Molecular Weight:	362		
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 (276.24 mM; Need ultrasonic)
 Ethanol : 20 mg/mL (55.25 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.7624 mL	13.8122 mL	27.6243 mL
	5 mM	0.5525 mL	2.7624 mL	5.5249 mL
	10 mM	0.2762 mL	1.3812 mL	2.7624 mL

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

In Vivo

- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: 5.5 mg/mL (15.19 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: 5.5 mg/mL (15.19 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% saline
Solubility: 5 mg/mL (13.81 mM); Suspended solution; Need ultrasonic
- 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
- 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
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.75 mg/mL (7.60 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% saline
Solubility: 2.5 mg/mL (6.91 mM); Suspended solution; Need ultrasonic
- 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 ₅₀ s 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]} .																		
IC₅₀ & Target	TLR4	TNF-R 1.9 nM (IC ₅₀)	IL-6 1.3 nM (IC ₅₀)																
In Vitro	<p>Resatorvid suppresses the production of NO, TNF-α, and IL-6 from LPS-stimulated human peripheral blood mononuclear cells (PBMCs) at IC₅₀ values from 11 to 33 nM^[1].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>RT-PCR^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>RAW264.7 cells</td> </tr> <tr> <td>Concentration:</td> <td>1 nM, 10 nM, 100 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>4 hours</td> </tr> <tr> <td>Result:</td> <td>TNF-α and IL-6 mRNA expression levels were clearly suppressed at concentrations of 10 to 100 nM.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>PBMCs cells</td> </tr> <tr> <td>Concentration:</td> <td>1 nM, 10 nM, 100 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>15 minutes</td> </tr> <tr> <td>Result:</td> <td>The phosphorylation of mitogen-activated protein kinases induced by LPS was also inhibited in a concentration-dependent manner.</td> </tr> </table>			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.	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.
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In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male C57BL/6 mice (8-12 weeks of age) treated with lipopolysaccharide (LPS)^[3]</td> </tr> <tr> <td>Dosage:</td> <td>3 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; for 2 days</td> </tr> <tr> <td>Result:</td> <td>Pretreatment of mice reduced or reversed all the detrimental effects of LPS.</td> </tr> </table>			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.								
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CUSTOMER VALIDATION

- Nature. 2023 Jun;618(7964):374-382.
- Cell Host Microbe. 2021 Feb 10;29(2):222-235.e4.
- Adv Mater. 2023 Nov 22:e2310979.
- Nat Biomed Eng. 2021 Nov 8.
- Gut. 2020 Oct 29;70(8):1495-1506.

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REFERENCES

[1]. Li 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 antiseptic 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 Signaling, Prevents Endotoxemia-Induced Skeletal Muscle Wasting in Mice. Sci Rep. 2020 Jan 20;10(1):694.

Caution: Product has not been fully validated for medical applications. For research use only.

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