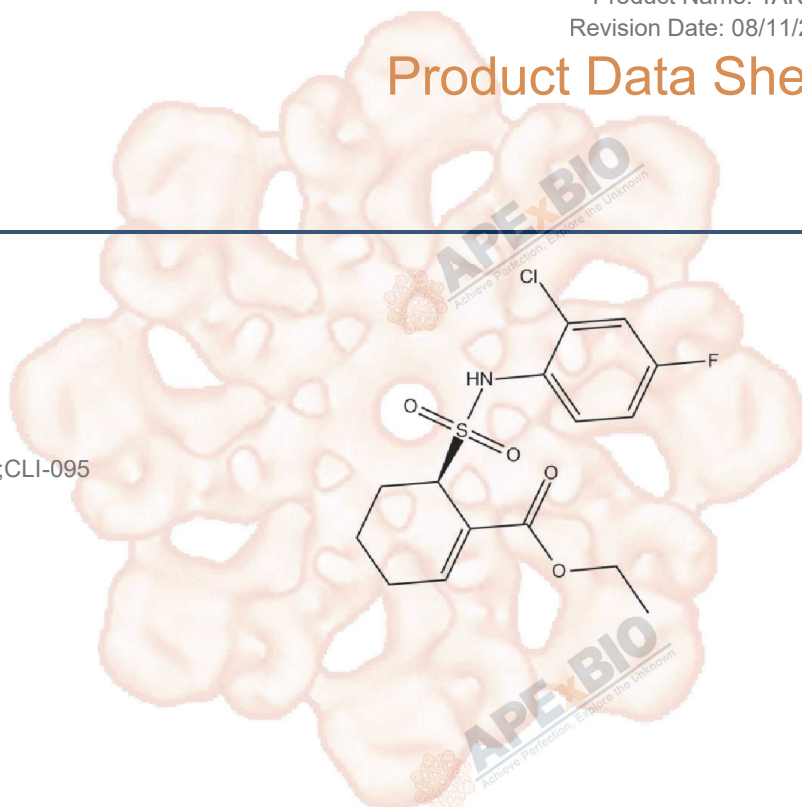


# Product Data Sheet

## TAK-242

<b>Cat. No.:</b>	A3850
<b>CAS No.:</b>	243984-11-4
<b>Formula:</b>	C <sub>15</sub> H <sub>17</sub> ClFNO <sub>4</sub> S
<b>M.Wt:</b>	361.82
<b>Synonyms:</b>	Resatorvid; TAK242; TAK 242; CLI-095
<b>Target:</b>	Immunology/Inflammation
<b>Pathway:</b>	TLR
<b>Storage:</b>	Store at -20°C



## Solvent & Solubility

insoluble in H<sub>2</sub>O; ≥100.6 mg/mL in EtOH; ≥18.09 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.7638 mL	13.8190 mL	27.6381 mL
	<b>5 mM</b>	0.5528 mL	2.7638 mL	5.5276 mL
	<b>10 mM</b>	0.2764 mL	1.3819 mL	2.7638 mL

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

## Biological Activity

Shortsummary

TLR 4 signaling inhibitor

IC<sub>50</sub> & Target

In Vitro

### Cell Viability Assay

Cell Line: RAW264.7 cells

Preparation method:

This compound is soluble 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:

1, 10, 100 and 1000 nM; 15 mins

	Applications:	In RAW264.7 cells, TAK-242 inhibited the phosphorylation of IRAK-1 induced by LPS.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Wistar Hannover rats
	Dosage form:	0.5 mg/kg; i.v.
	Applications:	TAK-242 prevented the accumulation of potentially deleterious inflammatory and oxidative/nitrosative mediators in the brain frontal cortex of rats.
	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.

## Product Citations

1. Guo LT, Wang SQ, et al.

"Baicalin ameliorates neuroinflammation-induced depressive-like behavior through inhibition of toll-like receptor 4 expression via the PI3K/AKT/FoxO1 pathway." J Neuroinflammation. 2019 May 8;16(1):95.PMID:31068207

2. Shao S, Fang H, et al. "Neutrophil Extracellular Traps Promote Inflammatory Responses in Psoriasis via Activating Epidermal TLR4/IL-36R Crosstalk." Front Immunol. 2019 Apr 5;10:746.PMID:31024570

3. Dong X, Zheng Z, et al. "ACPAs promote IL-1 $\beta$  production in rheumatoid arthritis by activating the NLRP3 inflammasome." Cell Mol Immunol. 2019 Mar 25.PMID:30911117

4. Xue J, Ge H, et al. "The role of dendritic cells regulated by HMGB1/TLR4 signalling pathway in myocardial ischaemia reperfusion injury." J Cell Mol Med. 2019 Apr;23(4):2849-2862.PMID:30784177

5. Sun Y, Su J, et al. "Aflatoxin B(1) Promotes Influenza Replication and Increases Virus Related Lung Damage via Activation of TLR4 Signaling." Front Immunol. 2018 Oct 4;9:2297.PMID:30337931

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## References

[1]. li M, Matsunaga N, Hazeki K, Nakamura K, Takashima K, Seya T, Hazeki O, Kitazaki T, Iizawa Y. 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;69(4):1288-95.

[2]. Naoko Matsunaga, Noboru Tsuchimori, Tatsumi Matsumoto, and Masayuki li. TAK-242 (Resatorvid), a Small-Molecule Inhibitor of Toll-Like Receptor (TLR) 4 Signaling, Binds Selectively to TLR4 and Interferes with Interactions between TLR4 and Its Adaptor Molecules. Mol Pharmacol 79:34–41, 2011.

[3] Iciar Gárate, Borja García-Bueno, José Luis Muñoz Madrigal, Javier R Caso, Luis Alou, María Luisa Gómez-Lus and Juan Carlos Leza. Toll-like 4 receptor inhibitor TAK-242 decreases neuroinflammation in rat brain frontal cortex after stress. Journal of Neuroinflammation 2014, 11:8.

## 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.

**APExBIO Technology**

**[www.apexbt.com](http://www.apexbt.com)**

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: [info@apexbt.com](mailto:info@apexbt.com)

