

# PRODUCT INFORMATION



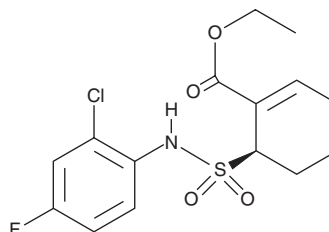
## TAK-242

Item No. 13871

**CAS Registry No.:** 243984-11-4  
**Formal Name:** 6R-[[[(2-chloro-4-fluorophenyl)amino]sulfonyl]-1-cyclohexene-1-carboxylic acid, ethyl ester

**Synonym:** Resatorvid  
**MF:** C<sub>15</sub>H<sub>17</sub>NO<sub>4</sub>ClFS  
**FW:** 361.8  
**Purity:** ≥98%  
**Supplied as:** A crystalline solid  
**Storage:** -20°C

**Stability:** As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



### Laboratory Procedures

TAK-242 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-242 in the solvent of choice. TAK-242 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of TAK-242 in ethanol is approximately 20 mg/ml and approximately 10 mg/ml in DMSO and DMF.

TAK-242 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

### Description

Toll-like receptor 4 (TLR4) is activated by lipopolysaccharide (LPS), with LPS-binding protein, to initiate an innate immune response.<sup>1</sup> Alternatively, hypoxia or ischemia/reperfusion can trigger signaling through TLR4.<sup>2</sup> TAK-242 is a cell-permeable inhibitor of TLR4 signaling, blocking LPS-induced production of NO, TNF- $\alpha$ , IL-6, and IL-1 $\beta$  in macrophages with IC<sub>50</sub> values of 1-11 nM.<sup>3,4</sup> It does not affect signaling through other TLRs.<sup>3-5</sup> TAK-242 is effective *in vivo*, suppressing the production of NO, TNF- $\alpha$ , IL-6, and IL-1 $\beta$  in mice treated with LPS when given intravenously.<sup>3</sup> Mechanistically, TAK-242 acts by selectively binding TLR4 and preventing its association with adaptor proteins that are essential for signal transduction.<sup>5</sup> TAK-242 is used to study signaling through TLR4 in a variety of pathologies, including sepsis and ischemia/reperfusion injury.<sup>6,7</sup>

### References

1. Morris, M.C., Gilliam, E.A., and Li, L. *Front. Immunol.* **5**, 1-8 (2015).
2. Mkaddem, S.B., Bens, M., and Vandewalle, A. *Oncotarget* **1(8)**, 741-750 (2010).
3. Yamada, M., Ichikawa, T., Ii, M., et al. *J. Med. Chem.* **48(23)**, 7457-7467 (2005).
4. Ii, M., Matsunaga, N., Hazeki, K., et al. *Mol. Pharmacol.* **69(4)**, 1288-1295 (2006).
5. Matsunaga, N., Tsuchimori, N., Matsumoto, T., et al. *Mol. Pharmacol.* **79(1)**, 34-41 (2011).
6. Fenhammar, J., Rundgren, M., Hultenby, K., et al. *Crit. Care* **18(5)**, 1-12 (2014).
7. Li, X.-Q., Lv, H.-W., Tan, W.-F., et al. *J. Neuroinflammation* **11**, 1-11 (2014).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM