

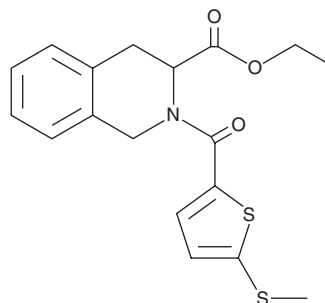
# PRODUCT INFORMATION



**SR8278**

Item No. 17000

**CAS Registry No.:** 1254944-66-5  
**Formal Name:** 1,2,3,4-tetrahydro-2-[[5-(methylthio)-2-thienyl]carbonyl]-3-isoquinolinecarboxylic acid, ethyl ester  
**MF:** C<sub>18</sub>H<sub>19</sub>NO<sub>3</sub>S<sub>2</sub>  
**FW:** 361.5  
**Purity:** ≥90%  
**UV/Vis.:** λ<sub>max</sub>: 248, 309 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## Laboratory Procedures

SR8278 is supplied as a crystalline solid. A stock solution may be made by dissolving the SR8278 in the solvent of choice, which should be purged with an inert gas. SR8278 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SR8278 in ethanol and DMF is approximately 15 mg/ml and approximately 10 mg/ml in DMSO.

SR8278 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SR8278 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. SR8278 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

REV-ERB $\alpha$  is a nuclear receptor and transcription repressor that coordinates circadian rhythm and metabolic pathways in a heme-dependent manner.<sup>1,2</sup> SR8278 is an antagonist of REV-ERB $\alpha$  (EC<sub>50</sub> = 0.47  $\mu$ M), blocking activation of the receptor by the synthetic agonist GSK 4112 (Item No. 11931).<sup>2</sup> Moreover, SR8278 stimulates the expression of two REV-ERB $\alpha$  target genes involved in the regulation of glucose production, glucose 6-phosphatase and phosphoenolpyruvate carboxykinase, in liver cells.<sup>2</sup> SR8278 has been used, with GSK 4112, to elucidate the role of REV-ERB $\alpha$  in regulating glucagon secretion in pancreatic alpha cells.<sup>3</sup>

## References

1. Duez, H. and Staels, B. Rev-erb-a: An integrator of circadian rhythms and metabolism. *J. Appl. Physiol.* **107(6)**, 1972-1980 (2009).
2. Kojetin, D., Wang, Y., Kameneck, T.M., et al. Identification of SR8278, a synthetic antagonist of the nuclear heme receptor REV-ERB. *ACS Chem. Biol.* **6(2)**, 131-134 (2011).
3. Vieira, E., Marroqu $\grave{e}$ , L., Figueroa, A.L., et al. Involvement of the clock gene *Rev-erb alpha* in the regulation of glucagon secretion in pancreatic alpha-cells. *PLoS One* **8(7)**, 1-15 (2013).

### 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.

### WARRANTY AND LIMITATION OF REMEDY

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