

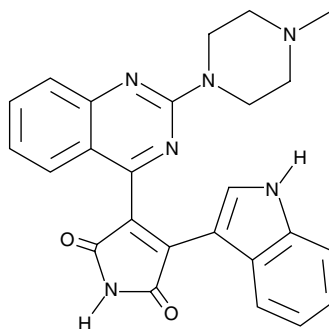
# Product Information



## Sotrastaurin

Item No. 16726

**CAS Registry No.:** 425637-18-9  
**Formal Name:** 3-(1H-indol-3-yl)-4-[2-(4-methyl-1-piperazinyl)-4-quinazoliny]-1H-pyrrole-2,5-dione  
**Synonym:** AEB071  
**MF:** C<sub>25</sub>H<sub>22</sub>N<sub>6</sub>O<sub>2</sub>  
**FW:** 438.5  
**Purity:** ≥98%  
**Stability:** ≥2 years at -20°C  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 205, 216, 246, 438 nm



### Laboratory Procedures

For long term storage, we suggest that sotrastaurin be stored as supplied at -20°C. It should be stable for at least two years.

Sotrastaurin is supplied as a crystalline solid. A stock solution may be made by dissolving the sotrastaurin in the solvent of choice. Sotrastaurin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of sotrastaurin in these solvents is approximately 5, 10, and 20 mg/ml, respectively.

Sotrastaurin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, sotrastaurin should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Sotrastaurin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Sotrastaurin is a protein kinase C (PKC) inhibitor that displays immunosuppressive activity, blocking T cell activation through the disruption of downstream NF-κB signaling.<sup>1,2</sup> In cell-free assays, sotrastaurin was reported to inhibit PKCα, β1, δ, ε, η, and θ with K<sub>i</sub> values of 0.95, 0.64, 2.1, 3.2, 1.8, and 0.22 nM, respectively.<sup>1</sup> Sotrastaurin can prevent the production of various cytokines by activated T cells, macrophages, and keratinocytes *in vitro* and has been shown to inhibit an acute allergic contact dermatitis response in rats when dosed orally at 30 mg.<sup>3</sup> However, clinical investigation of sotrastaurin for its potential to prevent renal transplant rejection was halted during phase II testing due to high incidence of rejection.<sup>4</sup> Sotrastaurin is also reported to activate Wnt/β-catenin signaling *via* the inhibition of glycogen synthase kinase 3 (IC<sub>50</sub>s = 229 and 172 nM for α and β isoforms, respectively), which is essential for embryogenesis and adult stem cell maintenance.<sup>5,6</sup>

### References

1. Evenou, J.-P., Wagner, J., Zenke, G., *et al. J. Pharmacol. Exp. Ther.* **330**(3), 792-801 (2009).
2. Vafadari, R., Kraaijeveld, R., Weimar, W., *et al. PLoS One* **8**(4), 1-9 (2014).
3. Skvara, H., Dawid, M., Kleyn, E., *et al. J. Clin. Invest.* **118**(9), 3151-3159 (2008).
4. Hardinger, K.L. and Brennan, D.C. *World J. Transplant.* **3**(4), 68-77 (2013).
5. Verkaar, F., Blankesteyn, W.M., Smits, J.F.M., *et al. FASEB J.* **24**(4), 1205-1217 (2010).
6. Verkaar, F., van der Stelt, M., Blankesteyn, W.M., *et al. PLoS One* **6**(4), 1-7 (2011).

### Related Products

For a list of related products please visit: [www.caymanchem.com/catalog/16726](http://www.caymanchem.com/catalog/16726)

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