

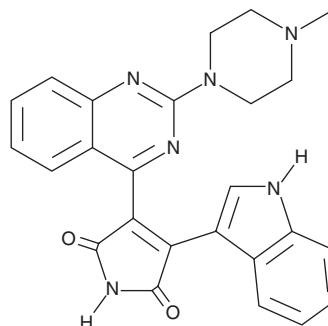
PRODUCT INFORMATION



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: C₂₅H₂₂N₆O₂
FW: 438.5
Purity: ≥98%
UV/Vis.: λ_{max}: 246, 438 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

Sotrastaurin is supplied as a crystalline solid. A stock solution may be made by dissolving the sotrastaurin in the solvent of choice, which should be purged with an inert gas. Sotrastaurin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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.

Description

Sotrastaurin is a protein kinase C (PKC) inhibitor that displays immunosuppressive activity, blocking T cell activation through the disruption of downstream NF-κB signaling.^{1,2} In cell-free assays, sotrastaurin was reported to inhibit PKCα, βI, δ, ε, η, and θ with K_i values of 0.95, 0.64, 2.1, 3.2, 1.8, and 0.22 nM, respectively.¹ 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.³ 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.⁴ Sotrastaurin is also reported to activate Wnt/β-catenin signaling *via* the inhibition of glycogen synthase kinase 3 (IC₅₀s = 229 and 172 nM for α and β isoforms, respectively), which is essential for embryogenesis and adult stem cell maintenance.^{5,6}

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), e60784 (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), e19185 (2011).

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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