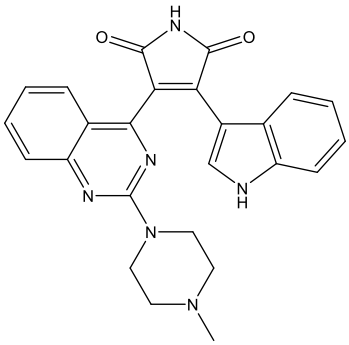
Catalog # 10-4350
Sotrastaurin

CAS# 425637-18-9
3-(1H-Indol-3-yl)-4-[2-(4-methylpiperazin-1-yl)quinazolin-4-yl]pyrrole-2,5-dione; AEB071
Lot # FBS4061



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Sotrastaurin is a highly selective and potent (IC_{50}'s for PKC isotypes: α = 2.1 nM, ß1 = 2.0 nM, δ = 1.3 nM, ε = 6.2 nM, η = 6.1 nM, Θ = 1.0 nM) pan-PKC inhibitor.[1] Potent immunomodulatory agent that inhibits early T-cell activation and displays potential for the prevention of transplant rejection and the treatment of inflammatory diseases.[2,3] Sotrastaurin has anticancer activity in various cancer models including breast[4], CLL[5], ocular melanoma[6], and B-cell lymphoma[7].

1) Wagner *et al.* (2009), *Discovery of 3-(1H-Indol-3-yl)-4-[2-(4-methylpiperazin-1-yl)quinazolin-4-yl]pyrrole-2,5-dione (AEB071),a Potent and Selective Inhibitor of Protein Kinase C Isotypes*; J. Med. Chem. **52** 6193
2) Manicassamy (2009), *Sotrastaurin, a protein kinase C inhibitor for the prevention of transplant rejection and treatment of psoriasis*; Curr. Opin. Investig. Drugs **10** 1225
3) Matz *et al*. (2011), *Evaluation of the novel protein kinase C inhibitor sotrastaurin as immunosuppressive therapy after renal transplantation*; Expert Opin. Drug Metab. Toxicol. **7** 103
4) Byerly *et al.* (2016), *PRKCQ promotes oncogenic growth and anoikis resistance of a subset of triple-negative breast cancer cells*; Breast Cancer Res. **18** 95
5) El-Gamal *et al.* (2014), *PKC-beta as a therapeutic target in CLL: PKC inhibitor AEB071 demonstrates preclinical activity in CLL*; Blood **124** 1481
6) Wu *et al.* (2012), *Protein kinase C inhibitor AEB071 targets ocular melanoma harboring GNAQ mutations via effects on the PKC/Erk1/2 and PKC/NF-kappaB pathways*; Mol. Cancer Ther. **11** 1905
7) Naylor *et al.* (2011), *Protein kinase C inhibitor sotrastaurin selectively inhibits the growth of CD79 mutant diffuse large B-cell lymphomas*; Cancer Res. **71** 2643

PHYSICAL DATA

Molecular Weight:	438.49
Molecular Formula:	$C_{25}H_{22}N_6O_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Orange solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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