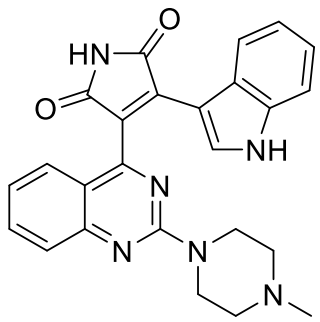
# Product data sheet

| | |
|---|---|
| MedKoo Cat#: 205809<br>Name: Sotrastaurin<br>CAS#: 425637-18-9 (free base)<br>Chemical Formula: $C_{25}H_{22}N_6O_2$<br>Exact Mass: 438.1804<br>Molecular Weight: 438.48 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
Sotrastaurin, also known as AEB-071, is an orally available pan-protein kinase C (PKC) inhibitor with potential immunosuppressive and antineoplastic activities. Sotrastaurin inhibits both T- and B-cell activations via PKC theta and beta isozymes, respectively. Both PKCs are important in the activation of nuclear factor-kappaB (NF-kB). Inhibition of PKC beta in B-cells results in prevention of NF-kB-mediated signaling and down regulation of NF-kB target genes. This may eventually lead to an induction of G1 cell cycle arrest and tumor cell apoptosis in susceptible tumor cells. This agent may act synergistically with other chemotherapeutic agents.

**2. CoA, QC data, SDS, and handling instruction**
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMF | 20 | 45.61 |
| DMSO | 10 | 22.81 |
| Ethanol | 5 | 11.40 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.28 mL | 11.40 mL | 22.81 mL |
| 5 mM | 0.46 mL | 2.28 mL | 4.56 mL |
| 10 mM | 0.23 mL | 1.14 mL | 2.28 mL |
| 50 mM | 0.05 mL | 0.23 mL | 0.46 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**
Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**
In vitro study


1. Yuan Y, Yangmei Z, Rongrong S, Xiaowu L, Youwei Z, Sun S. Sotrastaurin attenuates the stemness of gastric cancer cells by targeting PKCδ. Biomed Pharmacother. 2019 Sep;117:109165. doi: 10.1016/j.biopha.2019.109165. Epub 2019 Jun 28. PMID: 31261030.
2. Martina MN, Ramirez Bajo MJ, Bañon-Maneus E, Moya Rull D, Hierro-Garcia N, Revuelta I, Campistol JM, Rovira J, Diekmann F. Inhibition of JAK3 and PKC via Immunosuppressive Drugs Tofacitinib and Sotrastaurin Inhibits Proliferation of Human B Lymphocytes In Vitro. Transplant Proc. 2016 Nov;48(9):3046-3052. doi: 10.1016/j.transproceed.2016.07.052. PMID: 27932144.


In vivo study


1. Bauer S, Larkin J, Hodi FS, Stephen F, Kapiteijn EHW, Schwartz GK, Calvo E, Yerramilli-Rao P, Piperno-Neumann S, Carvajal RD. A phase Ib trial of combined PKC and MEK inhibition with sotrastaurin and binimetinib in patients with metastatic uveal melanoma. Front Oncol. 2023 Jun 9;12:975642. doi: 10.3389/fonc.2022.975642. PMID: 37359242; PMCID: PMC10288853.

2. Pang C, Wen L, Qin H, Zhu B, Lu X, Luo S. Sotrastaurin, a PKC inhibitor, attenuates RANKL-induced bone resorption and attenuates osteochondral pathologies associated with the development of OA. J Cell Mol Med. 2020 Aug;24(15):8452-8465. doi: 10.1111/jcmm.15404. Epub 2020 Jul 11. PMID: 32652826; PMCID: PMC7412701.

**7. Bioactivity**

Biological target:

Sotrastaurin is a pan-PKC inhibitor, with Kis of 0.22 nM, 0.64 nM, 0.95 nM, 1.8 nM, 2.1 nM and 3.2 nM for PKCθ, PKCβ, PKCα, PKCη, PKCδ and PKCε, respectively.

In vitro activity

Sotrastaurin has potential as a candidate for combinational therapy to overcome chemoresistance for gastric cancer. Sotrastaurin weakened metastasis, chemoresistance, and stem cell-like characteristics of adriamycin-resistant gastric cancer cells via PKCδ suppression.

Reference: Biomed Pharmacother. 2019 Sep;117:109165. https://pubmed.ncbi.nlm.nih.gov/31261030/

In vivo activity

Sotrastaurin has therapeutic potential in osteoarthritis treatment. In animal studies, sotrastaurin significantly reduced subchondral bone loss and cartilage degeneration. Sotrastaurin prevented aberrant subchondral bone and articular cartilage changes. It significantly improved OARSI scores and decreased TRAP(+) osteoclasts in subchondral bone tissue

Reference: J Cell Mol Med. 2020 Aug;24(15):8452-8465. https://pubmed.ncbi.nlm.nih.gov/32652826/

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*