

Product Data Sheet

Product Name: K 252a
Cat. No.: GC11362

Chemical Properties

Cas. No. 99533-80-9

Chemical Name (5R,6S,8S)-methyl 6-hydroxy-5-methyl-13-oxo-6,7,8,13,14,15-hexahydro-5H-16-oxa-4b,8a,14-triaza-5,8-methanodibenzo[b,h]cycloocta[jkl]cyclopenta[e]-as-indacene-6-carboxylate

SMILES OC(=O)C1(C)OC(=O)C2(C)O[C@@H]1N3C4=C5N2C6=CC=CC=C6C5=C(CNC7=O)C7=C4C8=CC=C
C=C38

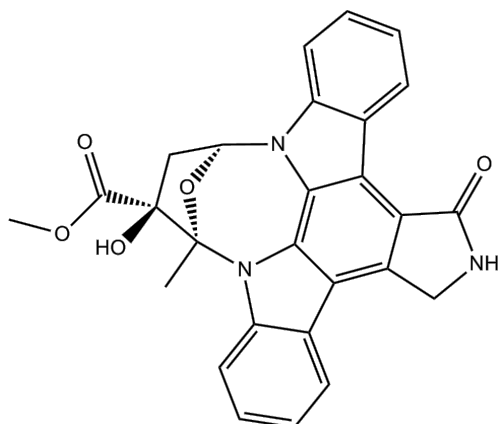
Formula $C_{27}H_{21}N_3O_5$ M.Wt 467.48

Solubility Dichloromethane: 5 mg/ml, DMSO: 50 mg/ml, Methanol: 2 mg/ml Storage Desiccate at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

K252a is a staurosporine analog isolated from *Nocardiosis* sp. soil fungi that inhibits protein kinase (PK) C, PKA, Ca^{2+} /calmodulin-dependent kinase type II, and phosphorylase kinase with IC_{50} values

Caution: Product has not been fully validated for medical applications. For research use only.

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of 470, 140, 270, and 1.7 nM, respectively.[1],[2] Because it inhibits neurotrophin receptor tyrosine kinases, K252a at 100-500 nM has been used to suppress trophoblast proliferation and increase apoptosis associated with the disruption of mitochondrial functions in cultured choriocarcinoma cells. [3] Recently, K252a has been shown to inhibit PRK1 (IC₅₀ = 3.2 nM in vitro), a PKC-related kinase that phosphorylates histone H3 at threonine 11 and is involved in androgen-dependent gene expression.[4]

Reference:

- [1]. Yasuzawa, T., Iida, T., Yoshida, M., et al. The structures of the novel protein kinase C inhibitors K-252a, b, c AND d. *Journal of Antibiotics* 39(8), 1072-1078 (1986).
- [2]. Davis, P.D., Hill, C.H., Lawton, G., et al. Inhibitors of protein kinase C. 1.1 2,3-bisarylmaleimides. *Journal of Medicinal Chemistry* 35, 177-184 (1992).
- [3]. Kawamura, N., Kawamura, K., Manabe, M., et al. Inhibition of brain-derived neurotrophic factor/tyrosine kinase B signaling suppresses choriocarcinoma cell growth. *Endocrinology* 151(7), 3006-3014 (2010).
- [4]. Köhler, J., Erlenkamp, G., Eberlin, A., et al. Lestaurtinib inhibits histone phosphorylation and androgen-dependent gene expression in prostate cancer cells. *PLoS One* 7(4), (2012).

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