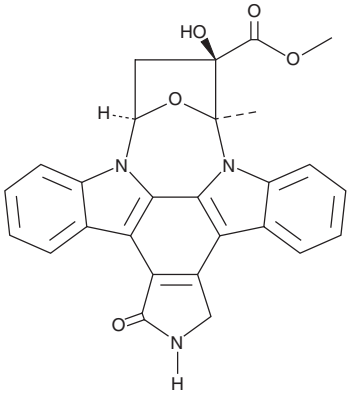
PRODUCT INFORMATION

K252a

Item No. 11338

CAS Registry No.: 99533-80-9
Formal Name: 2,3,9S,10R,11,12R-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid, methyl ester
Synonym: SF 2370
MF: $C_{27}H_{21}N_3O_5$
FW: 467.5
Purity: ≥98%
UV/Vis.: λ_{max}: 290 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

K252a is supplied as a crystalline solid. A stock solution may be made by dissolving the K252a in the solvent of choice, which should be purged with an inert gas. K252a is soluble in organic solvents such as methanol, DMSO, and dichloromethane. The solubility of K252a in these solvents is approximately 2, 50, and 5 mg/ml, respectively.

Description

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

References

1. Yasuzawa, T., Iida, T., Yoshida, M., *et al.* The structures of the novel protein kinase C inhibitors K-252a, b, c and d. *J. Antibiot. (Tokyo)* **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. *J. Med. Chem.* **35(1)**, 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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