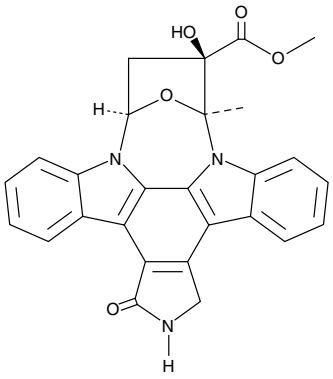
Product Information

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%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 229, 251, 290, 335, 350, 367 nm



Laboratory Procedures

For long term storage, we suggest that K252a be stored as supplied at -20°C. It should be stable for at least two years.

K252a is supplied as a crystalline solid. A stock solution may be made by dissolving the K252a in the solvent of choice. K252a is soluble in organic solvents such as methanol, DMSO, methylene chloride, ethyl acetate, and acetone, which should be purged with an inert gas. It is insoluble in water.

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.* **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**, 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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