

Store at
-20°C**K252a**

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#12754

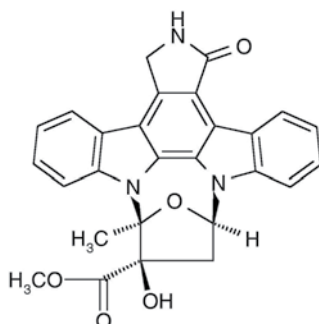
100 µg

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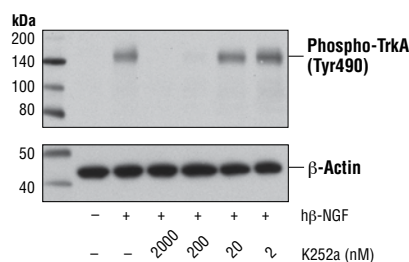
rev. 06/29/16

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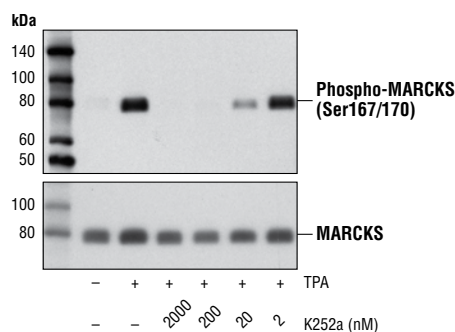
Background: Originally isolated from the soil fungi *Nocardio-pisis sp.*, K252a is a staurosporine analog that inhibits various protein kinases through competition with the ATP binding site (1,2). K252a is a reversible cell-permeable, potent inhibitor of phosphorylase kinase ($IC_{50} = 1.7$ nM), protein kinase A (PKA) ($IC_{50} = 140$ nM), and protein kinase C (PKC) ($IC_{50} = 470$ nM) (3,4). K252a is also known to inhibit protein kinase G and CaM kinase II (5,6). K252a inhibits nerve growth factor induced Trk activation ($IC_{50} = 3$ nM), as well as downstream signaling (5,7,8). K252a is reported to induce apoptosis and cell cycle arrest through cdc25 and cdc2 inhibition (9).

Molecular Formula: C₂₇H₂₁N₃O₅**Molecular Weight:** 467 g/mol**Solubility:** Soluble in DMSO at 100 mg/ml; poorly soluble in ethanol.**Purity:** >99%

Directions for Use: K252a is supplied as a lyophilized powder. For a 1 mM stock, reconstitute the 100 µg in 213.9 µl DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 0.1-1 µM for 0.5-1 hr prior to treating with a stimulator.



Western blot analysis of extracts from PC-12 cells, serum-starved overnight and untreated or treated with Human β -Nerve Growth Factor (h β -NGF) #5221 (100 ng/ml, 5 min) either with or without K252a pretreatment (1 hr) at the indicated concentrations, using Phospho-TrkA (Tyr490) Antibody #9141 (upper) or β -Actin (D6A8) Rabbit mAb #8457 (lower).



Western blot analysis of extracts from HeLa cells, serum-starved overnight and untreated or treated with TPA #4174 (200 nM, 15 min) either with or without K252a pretreatment (1 hr) at the indicated concentrations, using Phospho-MARCKS (Ser167/170) (D13E4) XP[®] Rabbit mAb #8722 (upper) or MARCKS (D88D11) XP[®] Rabbit mAb #5607 (lower).

Storage: Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Background References:

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- (2) Kase, H. et al. (1987) *Biochem Biophys Res Commun* 142, 436-40.
- (3) Elliott, L.H. et al. (1990) *Biochem Biophys Res Commun* 171, 148-54.
- (4) Davis, P.D. et al. (1992) *J Med Chem* 35, 177-84.
- (5) Koizumi, S. et al. (1988) *J Neurosci* 8, 715-21.
- (6) Hashimoto, Y. et al. (1991) *Biochem Biophys Res Commun* 181, 423-9.
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- (8) Tapley, P. et al. (1992) *Oncogene* 7, 371-81.
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Applications: W—Western IP—Immunoprecipitation IHC—Immunohistochemistry ChIP—Chromatin Immunoprecipitation IF—Immunofluorescence F—Flow cytometry E-P—ELISA-Peptide **Species Cross-Reactivity:** H—human M—mouse R—rat Hm—hamster Mk—monkey Mi—mink C—chicken Dm—D. melanogaster X—Xenopus Z—zebrafish B—bovine Dg—dog Pg—pig S—S. cerevisiae Ce—C. elegans Hr—Horse All—all species expected **Species** enclosed in parentheses are predicted to react based on 100% homology.