

Product Data Sheet

Product Name: IPA-3
Cat. No.: GC16225

Chemical Properties

Cas. No. 42521-82-4

Chemical Name 1-[(2-hydroxynaphthalen-1-yl)disulfanyl]naphthalen-2-ol

SMILES C1=CC=C2C(=C1)C=CC(=C2SSC3=C(C=CC4=CC=CC=C43)O)O

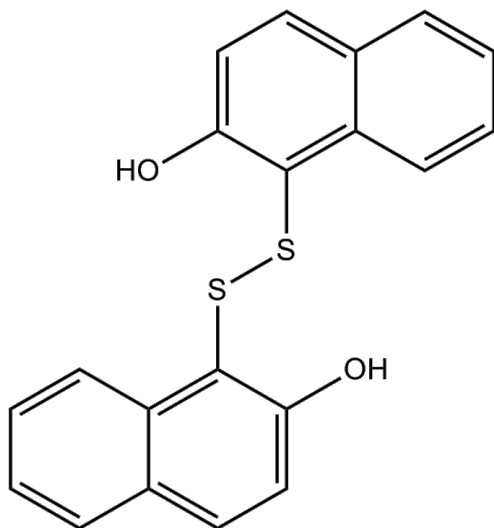
Formula $C_{20}H_{14}O_2S_2$ M.Wt 350.45

Solubility $\geq 16.1\text{mg/mL}$ in DMSO Storage Store 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



Protocol

Kinase experiment [1]:

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Kinase assays Pak1 (571 nM final) in Assay buffer was mixed with IPA-3 for 5 min at room temp, followed by addition of 4 μ M Cdc42 and 8.3 μ M MBP for an additional 5 min. Kinase reactions were started by addition of unlabeled ATP and 1–10 μ Ci [32P]- γ -ATP per reaction for 10 min at 30°C. Kinase reactions were stopped on dry ice. Under these conditions, MBP phosphorylation was linear with time.

Cell experiment [1]:

Cell lines Mouse embryonic fibroblasts

Preparation method The solubility of this compound in DMSO is >16.1mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 30 μ M for 10 min

Applications IPA-3 selectively inhibits Pak1 activation in mammalian cells, and can inhibit activation of Group I Paks in cells.

Animal experiment [2]:

Animal models CD-1 mice

Dosage form 3.5 mg/kg, i.p.

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Application Inhibition of PAK1 by IPA-3 promoted recovery of neurological function, possibly by downregulating the expression of MMP-2, MMP-9, TNF- α , and IL-1 β . IPA-3 may be a potential therapeutic drug for spinal cord injury.

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1] Deacon S W, Beeser A, Fukui J A, et al. An isoform-selective, small-molecule inhibitor targets the autoregulatory mechanism of p21-activated kinase. *Chemistry & biology*, 2008, 15(4): 322 - 331.

[2] Ji X, Zhang Y, Zhang L, Chen H, Peng Y, Tang P. Inhibition of p21-Activated Kinase 1 by IPA-3 Promotes Locomotor Recovery After Spinal Cord Injury in Mice. *Spine (Phila Pa 1976)*. 2016 Jun;41(11):919-25.

Background

IPA-3 is an autoregulatory domain inhibitor of p21-activated kinase (Pak) with IC₅₀ value of 2.5 μ M [1].

IPA-3 is a highly selective and non-ATP-competitive inhibitor that targets the autoregulatory mechanism of group I Paks. IPA-3 is screened out as an inhibitor of Pak1 by measuring ATP hydrolysis. In the in vitro assays, IPA-3 inhibits Pak1 autophosphorylation stimulated by Cdc42 or sphingosine. It shows an IC₅₀ value of 2.5 μ M in the kinase assay. This inhibition of Pak1 is reported to be noncompetitive with ATP. Besides that, IPA-3 is found to remarkably inhibit the kinase activity of other group I Pak members, Pak2 and 3 at concentration of 10 μ M. Furthermore, 30 μ M IPA-3 can prevent both basal and PDGF-stimulated Pak activities in mouse embryonic fibroblasts [1].

References:

[1] Deacon S W, Beeser A, Fukui J A, et al. An isoform-selective, small-molecule inhibitor targets the autoregulatory mechanism of p21-activated kinase. *Chemistry & biology*, 2008, 15(4): 322-331.

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