Product Data Sheet

Product Name: TC-DAPK 6
Cat. No.: GC13950

Chemical Properties

Cas. No.	315694-89-4		
Chemical Name	(4E)-2-[(E)-2-phenylethenyl]-4-(pyridin-3-ylmethylidene)-1,3-oxazol-5-one		
SMILES	C1=CC=C(C=C1)C=CC2=NC(=CC3=CN=CC=C3)C(=O)O2		
Formula	$C_{17}H_{12}N_2O_2$	M.Wt	276.29
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	TC-DAPK 6		

Protocol

Kinase experiment:	Kinase assay is performed using the Z’-LYTE kinase assay kit Ser/Thr 13 peptide. The standard reaction for compound screening contained 1 mM peptide substrate, 10 mM ATP, 50 mM HEPES (pH 7.4), 10 mM MgCl2, 0.01% Brij-35, and 0.5% DMSO. Human recombinant DAPK1 is used at a final concentration of 2.6 μg/mL, and recombinant DAPK3 is used at a final concentration 1.5 mg/mL. To test the enzyme selectively of the inhibitors (e.g., TC-DAPK 6), ProfilerPro kits are used in the protocol[1].

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References:

[1]. Okamoto M, et al. Identification of death-associated protein kinases inhibitors using structure-based virtual screening. J Med Chem. 2009 Nov 26;52(22):7323-7.

Background

Death-associated protein kinase (DAPK) is a serine/threonine protein kinase implicated in diverse programmed cell death pathways. DAPK is a promising target protein for the treatment of ischemic diseases. TC-DAPK 6 is an oxazalone compound that acts as a potent, ATP-competitive, and highly selective death-associated protein kinase (DAPK) inhibitor (IC50 = 69 and 225 nM against DAPK1 and DAPK3, respectively, with 10 μM ATP); while exhibiting much reduced or no activity against a panel of 48 other kinases even at concentrations as high as 10 μM.

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