Product Data Sheet

Product Name: MK2-IN-1 (MK2 Inhibitor)
Cat. No.: GC33142

Chemical Properties

Cas. No.	1314118-92-7		
SMILES	O=C(C1=CC=C(C2=CC=C(Cl)C=C2)O1)N(C3=CC=C(N4CCNCC4)C=C3)CC5=NC=CC=C5		
Formula	$C_{27}H_{25}ClN_4O_2$	M.Wt	472.97
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	MK2-IN-1 (MK2 Inhibitor)		

Background

MK2-IN-1 is a potent and selecitve MAPKAPK2(MK2) inhibitor(IC50=0.11 uM) with a non-ATP competitive binding mode.IC50 value: 0.11 uM [1]Target: MAPKAPK2(MK2) inhibitorMK2-IN-1 was profiled for kinase selectivity by screening against a broad panel of 150 protein kinases at a concentration of 10 μM, and only CK1γ3 was significantly inhibited at greater than 50%. MK2-IN-1 inhibited pro-inflammatory cytokine secretion from the human THP1 acute monocytic leukemia cell line, causing dose-dependent inhibition of LPS-stimulated TNFα and IL6 secretion. MK2-IN-1 also dose dependently inhibited IL1β-stimulated matrixmetalloprotease (MMP)13 secretion from the SW1353 chondrosarcoma cell line and human primary chondrocyte cultures. Of note, given its high degree of selectivity, our data suggest that MK2-IN-1 may be an excellent pharmacologic tool for specifically exploring and validating MK2 biology [3].

[1]. Rao AU, et al. Facile synthesis of tetracyclic azepine and oxazocine derivatives and their potential as MAPKAP-K2 (MK2) inhibitors. Bioorg Med Chem Lett. 2012 Jan 15;22(2):1068-72. [2]. Huang X, et al. A three-step protocol for lead optimization: quick identification of key conformational features and functional groups in the SAR studies of non-ATP competitive MK2 (MAPKAPK2) inhibitors. Bioorg Med Chem Lett. 2012 Jan 1;22(1):65-70. [3]. Huang X, et al. Discovery and Hit-to-Lead Optimization of Non-ATP Competitive MK2 (MAPKAPK2) Inhibitors. ACS Med Chem Lett. 2011 Jun 24;2(8):632-7.

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