MK2-IN-1 hydrochloride

Cat. No.: HY-12834A

CAS No.: 1314118-94-9

Molecular Formula: $C_{27}H_{26}Cl_2N_4O_2$

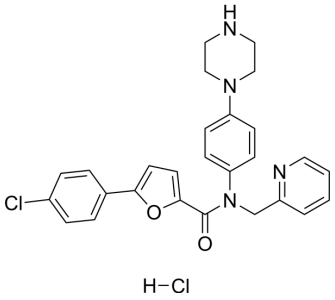
Molecular Weight: 509.43

Target: MAPKAPK2 (MK2); HSP

Pathway: MAPK/ERK Pathway; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 2 years; -20°C, 1 year (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 100 mg/mL (196.30 mM)

DMSO : 100 mg/mL (196.30 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9630 mL	9.8149 mL	19.6298 mL
5 mM	0.3926 mL	1.9630 mL	3.9260 mL
10 mM	0.1963 mL	0.9815 mL	1.9630 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (3.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK2-IN-1 hydrochloride (compound 1) is a potent and selecitve MAPKAPK2 (MK2) inhibitor with an IC_{50} of 0.11 uM for MK2 and an EC_{50} of 0.35 uM for pHSP27. MK2-IN-1 hydrochloride impaires the phosphorylation level of serine residues in the Tfcp2l1 protein[1][2].

In Vitro

MK2-IN-1 (purchased from MCE; 5 μM; 0.5-8 h) hydrochloride gradually increases Tfcp2l1 protein level without a change in the Tfcp2l1 transcript level within 2 h[2].

MK2-IN-1 hydrochloride induces more alkaline phosphatase (AP)-positive colonies than the other factors in a short time[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	46C mouse embryonic stem cells (mESCs)
Concentration:	5 μM
Incubation Time:	0.5, 1, 2, 8 h
Result:	The Tfcp2l1 protein level gradually increased without a change in the Tfcp2l1 transcript level within 2 h.

CUSTOMER VALIDATION

- Cell Death Dis. 2021 Oct 23;12(11):994.
- Cell Rep. 2021 Nov 2;37(5):109949.
- J Pharmacol Exp Ther. 2019 Aug;370(2):219-230.

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REFERENCES

[1]. Yan Zhang, et al. MK2 promotes Tfcp2l1 degradation via β-TrCP ubiquitin ligase to regulate mouse embryonic stem cell self-renewal. Cell Rep. 2021 Nov 2;37(5):109949.

[2]. 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.

[3]. 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.

[4]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA