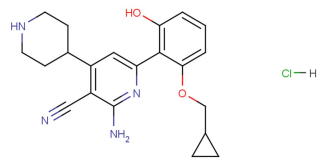
Data Sheet (Cat.No.T10237)

TargetMol
A DRUG SCREENING EXPERT

ACHP Hydrochloride

Chemical Properties



CAS No.:	406209-26-5
Formula:	C21H25ClN4O2
Molecular Weight:	400.9
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	ACHP Hydrochloride (IKK-2 Inhibitor VIII) is a highly potent and selective IKK-β inhibitor (IC50: 8.5 nM).
Targets(IC_{50})	IKK-β: 8.5 nM IKK-α: 250 nM
In vivo	ACHP is orally bioavailable in mice and rats and demonstrates significant in vivo activity in anti-inflammatory models (arachidonic acid-induced mouse ear edema model). ACHP has reasonable aqueous solubility (0.12 mg/mL in pH 7.4 isotonic buffer) and excellent Caco-2 permeability (Papp 62.3×10^-7 cm/s), and demonstrates orally bioavailability in mice (BA: 16%) and rats (BA: 60%). The favorable bioavailability of ACHP in rats is likely due to its low clearance (0.33 L/h/kg). In an acute inflammation model, ACHP exhibits oral efficacy at 1 mg/kg in a dose-dependent manner [1].
Cell Research	HTLV-1-infected T-cell lines, ATL-35T, 81-66/45, MJ, and MT-2 cells, human ATL cell lines established from ATL patients, ATL-102, ED-40515(−) and TL-Om1 cells, and an HTLV-1-negative T-cell leukemia cell line Jurkat are used in this study. Approximately 1.5×10^4 cells are cultured in 96-well plates in triplicates at 37°C. Growth inhibitory effect of ACHP (0.01, 0.1, 1, 5, 10, 50 and 100 μM) is determined using MTT assay. Optical densities (OD) at 570 and 630 nm are measured with a multi-plate reader. Cell viability (%) is calculated [2].
Animal Research	In vivo arachidonic acid-induced ear edema in mice: ear edema is induced by topical application of arachidonic acid (500 μg/ear). ACHP (0.3, 1 and 3 mg/kg, p.o.), Dexamethasone, and vehicle (10% cremophor in saline) are given po 60 min before the arachidonic acid application. Ear thickness is measured at 0, 1, 3, and 6 h after the arachidonic acid application [1].

Solubility Information

Solubility	DMSO: 45 mg/mL (112.25 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.494 mL	12.472 mL	24.944 mL
5 mM	0.499 mL	2.494 mL	4.989 mL
10 mM	0.249 mL	1.247 mL	2.494 mL
50 mM	0.050 mL	0.249 mL	0.499 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Murata T, et al. Synthesis and structure-activity relationships of novel IKK-beta inhibitors. Part 3: Orally active anti-inflammatory agents. Bioorg Med Chem Lett. 2004 Aug 2;14(15):4019-22.

2. Sanda T, et al. Induction of cell death in adult T-cell leukemia cells by a novel IkappaB kinase inhibitor. Leukemia. 2006 Apr;20(4):590-8.

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