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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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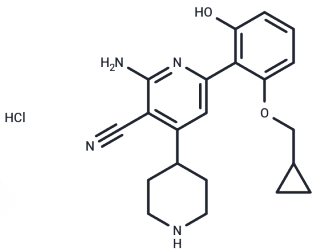
Data Sheet (Cat.No.T10237)

TargetMol

ACHP Hydrochloride

Chemical Properties



CAS No. : 406209-26-5

Formula: C21H25ClN4O2

Molecular Weight: 400.9

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	ACHP Hydrochloride (IKK-2 Inhibitor VIII) is a highly potent and selective IKK-β inhibitor with an IC50 of 8.5 nM.
Targets(IC50)	IκB/IKK
In vitro	ACHP Hydrochloride (Compound 4j) exhibits potent IKK-β inhibitory (IC50: 8.5 nM) and cellular activities (IC50: 40 nM, in A549 cells). ACHP moderately inhibits IKK-α with an IC50 of 250 nM but exhibits good selectivity towards other kinases, such as IKK3, Syk, and MKK4 (IC50>20,000 nM). ACHP inhibits NF-κB-dependent reporter gene activation in TNFα-activated HEK293 cells and PMA/calcium ionophore-activated Jurkat T cells. ACHP fails to inhibit PMA-induced AP-1 activation in MRC-5 cells and PMA/calcium ionophore induced NF-κB dependent reporter gene transcription in Jurkat cells even at concentrations exceeding 10 μM. ACHP selectively interferes with the NF-κB signaling cascade by inhibition of IKK-β in living cells [1]. ACHP inhibits the growth of these cells in a dose-dependent manner. Tax-active cell lines are more susceptible to ACHP than Tax-inactive cell lines and Jurkat (IC50 values in Tax-active cell lines, Tax-inactive cell lines or Jurkat are 3.1±1.3 μM, 10.7±1.7 μM and 23.6 μM, respectively), suggesting that the growth of Tax-active cells depends on NF-κB more than Tax-inactive cells [2].
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),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4944 mL	12.4719 mL	24.9439 mL
5 mM	0.4989 mL	2.4944 mL	4.9888 mL
10 mM	0.2494 mL	1.2472 mL	2.4944 mL
50 mM	0.0499 mL	0.2494 mL	0.4989 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.