Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

ACHP (Hydrochloride)

Catalog No: tcsc0283

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
406209-26-5

Formula:
$C_{21}H_{25}ClN_4O_2$

Pathway:
NF-κB

Target:
IKK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
IKK-2 Inhibitor VIII

Observed Molecular Weight:
400.9

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Product Description

ACHP Hydrochloride is a highly potent and selective **IKK-β** inhibitor with an **IC_{50}** of 8.5 nM.

IC50 & Target: IC50: 8.5 nM (IKK-β), 250 nM (IKK-α), >20000 nM (IKK3, Syk and MKK4)[1]

In Vitro: ACHP (Compound 4j) exhibits potent IKK-β inhibitory (IC_{50}: 8.5 nM) and cellular activities (IC_{50}=40 nM, in A549 cells). ACHP moderately inhibits IKK-α with an IC_{50} of 250 nM but exhibits good selectivity towards other kinases, such as IKK3, Syk and MKK4 (IC$_{50}$>20,000 nM). Moreover, ACHP demonstrates quite potent activity in various cellular assays. 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 (IC_{50} 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 (Compound 4j) 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 (P_{app} 62.3×10^{-7} cm/s), and demonstrates orally bioavailability in mice (BA: 16%) and rats (BA: 60%). The favourable 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].