

# 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

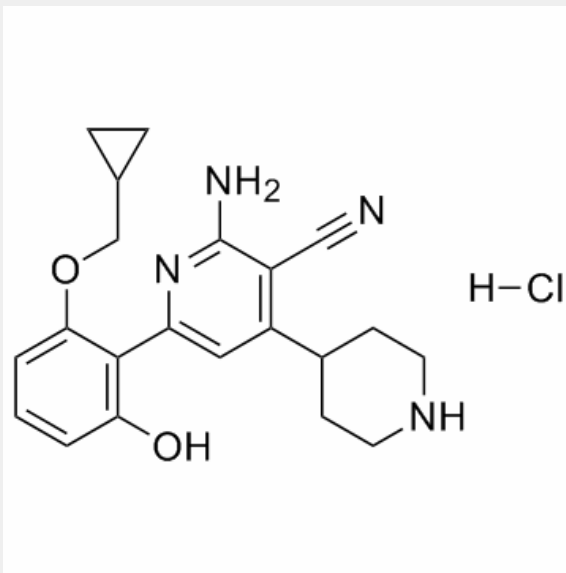
## Product Description

ACHP Hydrochloride is a highly potent and selective **IKK-β** inhibitor with an **IC<sub>50</sub>** of 8.5 nM.

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

**In Vitro:** ACHP (Compound 4j) exhibits potent IKK-β inhibitory (IC<sub>50</sub>: 8.5 nM) and cellular activities (IC<sub>50</sub>=40 nM, in A549 cells). ACHP moderately inhibits IKK-α with an IC<sub>50</sub> of 250 nM but exhibits good selectivity towards other kinases, such as IKK3, Syk and MKK4 (IC<sub>50</sub>>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<sup>[1]</sup>. 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<sub>50</sub> 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<sup>[2]</sup>.

**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<sub>app</sub> 62.3×10<sup>-7</sup> 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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!