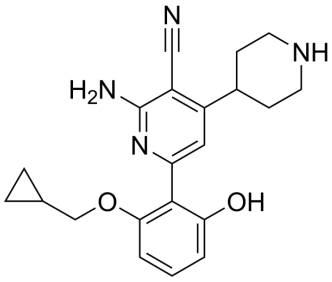
ACHP

Cat. No.:	HY-107592
CAS No.:	406208-42-2
Molecular Formula:	$C_{21}H_{24}N_4O_2$
Molecular Weight:	364.44
Target:	IKK; STAT; Apoptosis
Pathway:	NF-κB; JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ACHP (compound 4j) is a selective and orally active IκB kinase inhibitor with IC_{50} values of 8.5 nM and 250 nM for IKKβ and IKKα, respectively. ACHP can effectively inhibit the STAT3 signaling pathway and induce cancer cell cycle arrest and apoptosis. ACHP shows anti-inflammatory activity in a mouse ear edema model. ACHP can be used in anti-inflammatory and anti-cancer (such as multiple myeloma and leukemia) studies[1][2][3][4].

IC_{50} & Target

IKK-β	IKK-α
8.5 nM (IC_{50})	250 nM (IC_{50})

In Vitro

ACHP (0-100 μM; 3 days) inhibits cell growth with mean IC_{50} of 26.8 μM in three myeloma cell lines (U266, NCUMM-2, ILKM-2)[1].

ACHP (10 μM; 24 h) induces cycle arrest in U266 and NCUMM-2 cells[1].

ACHP (10, 50 μM; 8 h) induces apoptosis in NCUMM-2 cells[1].

ACHP (0-50 μM; 20 min) inhibits the phosphorylation of IkBa and p65 in MT-2 and ED-40515(-) cells[2].

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

Cell Viability Assay[1]

Cell Line:	U266, NCUMM-2, ILKM-2
Concentration:	0-100 μM
Incubation Time:	3 days
Result:	Inhibited the growth of myeloma cell lines in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	U266 and NCUMM-2 cells
Concentration:	10 μM
Incubation Time:	24 h
Result:	Caused cell cycle arrest in the G1 phase.

Apoptosis Analysis[1]

Cell Line:	NCUMM-2 cells
Concentration:	10, 50 μM
Incubation Time:	8 h
Result:	Efficiently induced apoptosis in 15.8%, 43.7% at a concentration of 10 and 50 μM, respectively.

Western Blot Analysis[2]

Cell Line:	MT-2 and ED-40515(-) cells
Concentration:	0-50 μM
Incubation Time:	20 min
Result:	Inhibited phosphorylation of IkBa and p65 with IC_{50} values in MT-2 cells were 0.4 and 0.2 μM, respectively. Inhibited phosphorylation of IkBa and p65 with IC_{50} values in ED-40515 (-) cells were 10.2 and 29.5 μM, respectively.

In Vivo

ACHP (0.3, 1, 3 mg/kg; p.o.; single) exhibits anti-inflammatory activity in Arachidonic acid-induced ear edema mice model[3].

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

Animal Model:	Arachidonic acid-induced ear edema mice model[3].
Dosage:	0.3, 1, 3 mg/kg
Administration:	Oral administration; single
Result:	Showed anti-inflammatory activity in a dose-dependent manner.

CUSTOMER VALIDATION

- Nat Commun. 2020 Jul 9;11(1):3427.
- Cell Death Dis. 2020 Oct 15;11(10):863.
- J Bone Miner Res. 2019 Oct;34(10):1880-1893.
- Am J Sports Med. 2021 Jan 28;363546520985203.
- Sci Rep. 2021 Jul 28;11(1):15319.

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REFERENCES

[1]. Sanda T, et al. Growth inhibition of multiple myeloma cells by a novel IkappaB kinase inhibitor. Clin Cancer Res. 2005 Mar 1;11(5):1974-82.

[2]. Lee JH, et al. The IκB Kinase Inhibitor ACHP Targets the STAT3 Signaling Pathway in Human Non-Small Cell Lung Carcinoma Cells. Biomolecules. 2019 Dec 13;9(12):875.

[3]. 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.

[4]. 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.

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