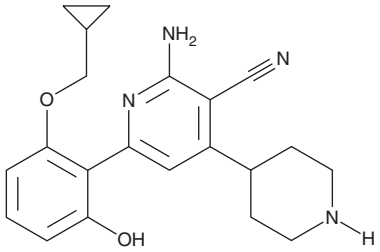
PRODUCT INFORMATION

ACHP

Item No. 14504

CAS Registry No.:	406208-42-2
Formal Name:	2-amino-6-[2-(cyclopropylmethoxy)-6-hydroxyphenyl]-4-(4-piperidinyl)-3-pyridinecarbonitrile
MF:	$C_{21}H_{24}N_4O_2$
FW:	364.4
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

ACHP is supplied as a solid. A stock solution may be made by dissolving the ACHP in the solvent of choice, which should be purged with an inert gas. ACHP is soluble in the organic solvent DMSO at a concentration of approximately 20 mM.

Description

ACHP is an inhibitor of IκB kinase β (IKKβ) and IKKα (IC_{50}s = 8.5 and 250 nM, respectively).[1] It is selective for IKKβ and IKKα over IKKγ, Syk, and MKK4 (IC_{50}s = >20 µM for all). It reduces the constitutive phosphorylation of IκBα and NF-κB p65 in U266 and NCU-MM-2 multiple myeloma cells when used at a concentration of 50 µM.[2] ACHP (0.1 µM) prevents TNF-α-induced activation of NF-κB in U266 cells and inhibits the growth of U266, NCU-MM-2, and ILKM2 multiple myeloma and BJAB B cell lymphoma cells (IC_{50}s = 18.3, 27.6, 34.6, and 17.6 µM, respectively). It prevents HIV-1 replication induced by TNF-α in OM10.1 cells latently infected with HIV-1 (EC_{50} = 0.56 µM).[3] Topical administration of ACHP (5 mg/kg) prevents skin inflammation induced by phorbol 12-myristate 13-acetate (PMA; Item No. 10008014) or imiquimod (Item No. 14956) and reduces cytokine and chemokine expression induced by imiquimod in mice.[4] It also prevents skin erythema induced by UV light and reduces the incidence of tumors induced by 7,12-dimethyl benzanthracene by 50% in mice when administered topically at a dose of 5 mg/kg.

References

1. Murata, T., Shimada, M., Sakakibara, S., *et al.* Synthesis and structure-activity relationships of novel IKK-β inhibitors. Part 3: Orally active anti-inflammatory agents. *Bioorg. Med. Chem. Lett.* **14(15)**, 4019-4022 (2004).
2. Sanda, T., Iida, S., Ogura, H., *et al.* Growth inhibition of multiple myeloma cells by a novel Iκβ kinase inhibitor. *Clin. Cancer Res.* **11(5)**, 1974-1982 (2005).
3. Victoriano, A.F.B., Asamitsu, K., Hibi, Y., *et al.* Inhibition of human immunodeficiency virus type 1 replication in latently infected cells by a novel Iκβ kinase inhibitor. *Antimicrob. Agents Chemother.* **50(2)**, 547-555 (2006).
4. Li, L., Cataisson, C., Flowers, B., *et al.* Topical application of a dual ABC transporter substrate and NF-κB inhibitor blocks multiple sources of cutaneous inflammation in mouse skin. *J. Invest. Dermatol.* **139(7)**, 1506-1515 (2019).

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