

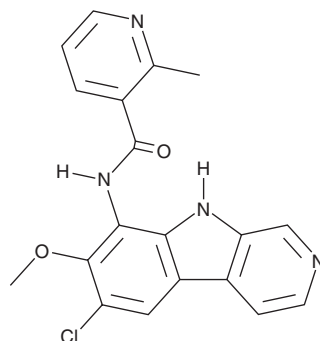
PRODUCT INFORMATION



MLN120B

Item No. 32819

CAS Registry No.: 783348-36-7
Formal Name: N-(6-chloro-7-methoxy-9H-pyrido[3,4-b]indol-8-yl)-2-methyl-3-pyridinecarboxamide
MF: C₁₉H₁₅ClN₄O₂
FW: 366.8
Purity: ≥98%
Supplied as: A crystalline 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

MLN120B is supplied as a crystalline solid. A stock solution may be made by dissolving the MLN120B in the solvent of choice, which should be purged with an inert gas. MLN120B is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of MLN120B in these solvents is approximately 30 mg/ml.

MLN120B is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MLN120B should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MLN120B has a solubility of approximately 0.50 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MLN120B is an inhibitor of IκB kinase β (IKKβ; IC₅₀ = 45 nM).¹ It is selective for IKKβ over a panel of 30 tyrosine and serine/threonine kinases (IC₅₀s = >50 μM for all). MLN120B inhibits NF-κB activation induced by phorbol 12-myristate 13-acetate (TPA; Item No. 10008014) with an IC₅₀ value of 2.8 μM in a reporter assay. It inhibits proliferation in a panel of six multiple myeloma cancer cell lines, including cell lines resistant to dexamethasone (Item No. 11015), melphalan (Item No. 16665), or doxorubicin (Item No. 15007), in a concentration-dependent manner.² MLN120B (50 mg/kg) reduces serum levels of soluble human IL-6 receptor, a marker of INA-6 cell growth, in a SCID-hu mouse model of bone marrow-engrafted INA-6 multiple myeloma. It reduces paw swelling, as well as cartilage destruction and bone erosion in the inflamed joints, in a rat model of rheumatoid arthritis induced by complete Freund's adjuvant (CFA) with a median effective dose (MED) of 12 mg/kg.³

References

1. Wen, D., Nong, Y., Morgan, J.G., *et al.* A selective small molecule IκB kinase inhibitor blocks nuclear factor κB-mediated inflammatory responses in human fibroblast-like synoviocytes, chondrocytes, and mast cells. *J. Pharmacol. Exp. Ther.* **317**(3), 989-1001 (2006).
2. Hideshima, T., Neri, P., Tassone, P., *et al.* MLN120B, a novel IκB kinase β inhibitor, blocks multiple myeloma cell growth *in vitro* and *in vivo*. *Clin Cancer Res.* **12**(19), 5887-5894 (2006).
3. Schopf, L., Savinainen, A., Anderson, K., *et al.* Iκκβ inhibition protects against bone and cartilage destruction in a rat model of rheumatoid arthritis. *Arthritis Rheum.* **54**(10), 3163-3173 (2006).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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