

Product Data Sheet

Product Name: MLN8054

Cat. No.: GC12208

Chemical Properties

Cas. No. 869363-13-3

Chemical Name 4-[[9-chloro-7-(2,6-difluorophenyl)-5H-pyrimido[5,4-d][2]benzazepin-2-yl]amino]benzoic acid

SMILES C1C2=CN=C(N=C2C3=C(C=C(C=C3)Cl)C(=N1)C4=C(C=CC=C4F)F)NC5=CC=C(C=C5)C(=O)O

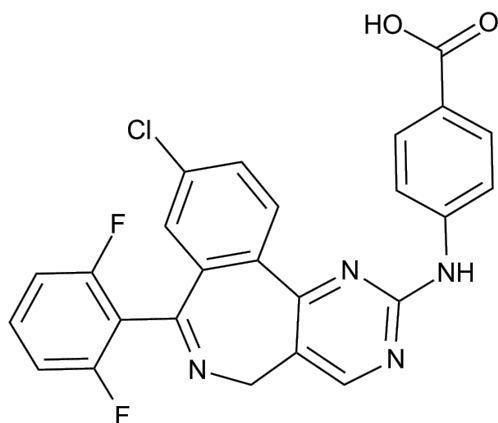
Formula $C_{25}H_{15}ClF_2N_4O_2$ M.Wt 476.86

Solubility ≥ 39.05 mg/mL in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment: MLN8054 is added to human tumor cells in 2-fold serial dilutions to achieve final concentrations ranging from 10 to 0.04 mM. MLN8054 at each dilution is added in triplicate with each replicate on a separate plate. Cells treated with DMSO (n=6 wells per plate; 0.2% final concentration) served as the untreated control. The cells are treated with MLN8054 for 96 h at 37°C in a humidified cell culture chamber. Cell viability in each cell line is measured by using the Cell Proliferation ELISA, BrdU colorimetric kit[1].

Animal experiment: Mice: Nude mice bearing HCT-116 tumors are treated orally once per day for 21 consecutive days with either vehicle control or MLN8054 at doses of 3, 10, or 30 mg/kg. Tumor volumes are measured by using a vernier caliper and calculated[1].

References:

- [1]. Manfredi MG, et al. Antitumor activity of MLN8054, an orally active small-molecule inhibitor of Aurora A kinase. Proc Natl Acad Sci U S A. 2007 Mar 6;104(10):4106-11.
[2]. Huck JJ, et al. MLN8054, an inhibitor of Aurora A kinase, induces senescence in human tumor cells both in vitro and in vivo. Mol Cancer Res. 2010 Mar;8(3):373-84.

Background

MLN8054 is a potent of Aurora A kinase (AAK) inhibitor consisting of a benzazepine core scaffold with a fused amino pyrimidine and an aryl carboxylic acid which represents an unprecedented kinase inhibitor framework. The inhibition of MLN8054 towards AAK is ATP-competitive, reversible and selective with an IC₅₀ value of 4nM, which is more than 40-fold and 100-fold selective comparing to Aurora B kinase (IC₅₀ = 175 nM) and a range of other kinases respectively. MLN8054 exerts antitumor activity against human tumor xenografts through AAK inhibition, which results in deactivation of Pt288, spindle defects, accumulation of G₂/M, and apoptosis-induced cell death in tumor cells.

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Reference

[1]. Mark G. Manfredi, Jeffery A. Ecsedy, Kristan A. Meetze, Suresh K. Balani, Olga Burenkova, Wei Chen, Katherine M. Galvin, Kara M. Hoar, Jessica J. Huck, Patrick J. LeRoy, Emily T. Ray, Todd B. Sells, Bradley Stringer, Stephen G. Stroud, Tricia J. Vos, Gabriel S. Weatherhead, Deborah R. Wysong, Mengkun Zhang, Joseph B. Bolen, and Christopher F. Claiborne. Antitumor activity of MLN8054, an orally active small-molecule inhibitor of aurora A kinase. *PNAS* 2007; 104(10): 4106-4111

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