

Product Data Sheet

Product Name: MCB-613

Cat. No.: GC15049

Chemical Properties

Cas. No. 1162656-22-5

Chemical Name (3E,5E)-1-ethyl-3,5-bis(pyridin-3-ylmethylene)piperidin-4-one

SMILES O=C(/C(CN(CC)C/1)=C/C2=CC=CN=C2)C1=C\C3=CN=CC=C3

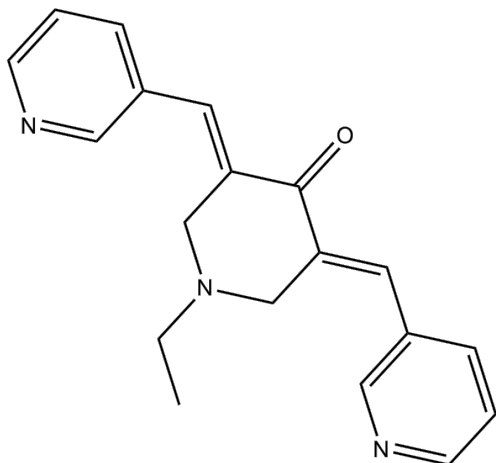
Formula $C_{19}H_{19}N_3O$ M.Wt 305.37

Solubility $\geq 13.2\text{mg/mL}$ in DMSO with gentle warming 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 [1]:

Cell lines human cancer cell lines

Preparation method The solubility of this compound in DMSO is >13.2mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 0-7 μ M; 48 h

Applications MCB-613 is cytotoxic and could efficiently kill a variety of human cancer cell lines, including MCF-7 (breast), PC-3 (prostate), H1299 (lung) and HepG2 (liver) cells. MCB-613 selectively killed cancer cells by inducing complex cytotoxicity with features that were characteristic of paraptosis.

Animal experiment [1]:

Animal models MCF-7 breast cancer mouse xenograft model

Dosage form 20 mg/kg in saline by i.p. injection; three times a week; seven weeks

Application In MCF-7 breast cancer mouse xenograft model, MCB-613 significantly and dramatically stalled the growth of the tumor compared with the control group while causing no obvious animal toxicity. The body weights between control and treated groups were not statistically different.

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Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1] Wang L, Yu Y, Chow DC et al. Characterization of a Steroid Receptor Coactivator Small Molecule Stimulator that Overstimulates Cancer Cells and Leads to Cell Stress and Death. Cancer Cell. 2015 Aug 10;28(2):240-52.

Background

MCB-613, 4-ethyl-2,6-bis-pyridin-3-ylmethylene-cyclohexanone, is a novel and potent stimulator of p160 steroid receptor coactivators (SRCs). It is a Pan-SRCs stimulator. MCB-613 is confirmed to be a strong activator of all three SRCs family proteins [1].

Members of the SRCs family interact with nuclear receptors and other transcription factors to drive target gene expression while also functioning as integrators of upstream cell signaling pathways. Three factors share homology with each other, they have distinct and important roles in multiple physiological processes, including growth and development, reproduction, and metabolism. All of them have been found to be broadly involved in different tumorigenesis. [2, 3]

MCB-613 can super-activate transcriptional activity of SRCs. MCB-613 markedly increases SRCs' interactions with other coactivators. Coactivation of MMP2 or MMP13 promoter-driven luciferase reporter with SRC-3 was greatly enhanced by MCB-613. MCB-613 increased SRC-3's interaction with CBP and CARM1 robustly in a dose-dependent manner. [1]

MCB-613 is cytotoxic. It can efficiently kill a variety of human cancer cell lines, including PC-3 (prostate), MCF-7 (breast), HepG2 (liver), and H1299 (lung) cells. Cancer cells overexpress SRCs and rely on them for cell growth, MCB-613 can selectively induce excessive ER stress coupled to the generation of reactive oxygen species (ROS) in cancer cells. [1]

MCB-613 treatment probably leads to a distinct phosphorylation pattern on SRC-3, which will be interesting to pursue in future studies. [1]

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- [2].Lonard, D. M., and O'malley, B.W. Nuclear receptor coregulators: judges, juries, and executioners of cellular regulation. 2007. Mol. Cell 27, 691–700.
- [3].cAnzick, S. L., Kononen, J., Walker, R.L.et al. AIB1, a steroid receptor coactivator amplified in breast and ovarian cancer. 1997, Science 277, 965–968
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