Product Data Sheet

Chemical Properties

Product Name: XMD8-92
Cas No.: 1234480-50-2
M.Wt: 474.57
Formula: C26H30N6O3

Chemical Name: 2-[2-ethoxy-4-(4-hydroxypiperidin-1-yl)anilino]-5,11-dimethylpyrimido[4,5-b][1,4]benzodiazepin-6-one

Canonical SMILES: CCOC1=C(C=CC(=C1)N2CCC(CC2)O)NC3=NC=C4C(=N3)N(C5=CC=CC=C5C(=O)N4C)C

Solubility: >23.8mg/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.

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

Biological Activity

Targets: ERK
Pathways: MAPK Signaling >> ERK

Description:
IC50: XMD8-92 has been synthesized as a potent inhibitor of Mitogen-activated protein kinase 7 (MAPK7/BMK1; Kd = 80 nM). XMD8-92 blocks EGF-induced activation of BMK1 with IC50 of 240 nM [1].
The mitogen-activated protein kinases (MAPKs) are crucial components of signaling cascades that regulate numerous physiological processes. Four MAPK pathways have been identified thus far, including extracellular-signal-regulated kinase 1/2 (ERK1/2), c-Jun-amino-terminal kinase (JNK), p38, and BMK1. XMD8-92 is a MAPKs kinase inhibitor with anti-cancer activity against lung and cervical cancers.

In vitro: In a previous study, XMD8-92 was shown to inhibit AsPC-1 cancer cell proliferation and tumor xenograft growth. In XMD8-92 treated tumors, significant downregulation of DCLK1 was found and several of its downstream targets, including c-MYC, KRAS, NOTCH1, ZEB1, ZEB2, SNAIL, SLUG, OCT4, SOX2, NANOG, KLF4, LIN28, VEGFR1, and VEGFR2) via upregulation of tumor suppressor miRNAs, such as let-7a, miR-144, miR-200a-c, and miR-143/145. XMD8-92 was, however, not found to affect BMK1 downstream genes p21 and p53. These findings suggested that XMD8-92 treatment led to the inhibition of DCLK1 and downstream oncogenic pathways, which would be a promising chemotherapeutic agent against PDAC [2].

In vivo: In both immunocompetent and immunodeficient mice, XMD8-92 treatment was found to able to block the growth of lung and cervical xenograft tumors, respectively, by 95%. This remarkable anti-tumor effect of XMD8-92 in lung and cervical xenograft tumor models was due to its capacity to inhibit tumor cell proliferation through the PML suppressioninduced p21 checkpoint protein, as well as by blocking of the contribution of BMK1 in tumorassociated angiogenesis [3].

Clinical trial: XMD8-92 is still at preclinical development stage up to this point.

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.