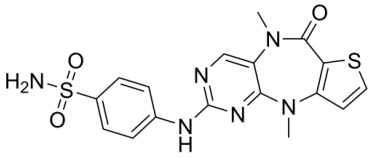
Data Sheet

Product Name:	XMU-MP-1
Cat. No.:	CS-5818
CAS No.:	2061980-01-4
Molecular Formula:	$C_{17}H_{16}N_6O_3S_2$
Molecular Weight:	416.48
Target:	Hippo (MST)
Pathway:	Stem Cell/Wnt
Solubility:	DMSO : 8 mg/mL (19.21 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

XMU-MP-1 is a reversible and selective **MST1/2** inhibitor with **IC_{50}**s of 71.1 and 38.1 nM, respectively[1]. IC50 & Target: IC50: 71.1 (MST1), 38.1 nM (MST2)[1] ***In Vitro:*** At concentrations ranging from 0.1 to 10 μM, XMU-MP-1 reduces the phosphorylation of endogenous MOB1, LATS1/2, and YAP in HepG2 cells in a dose-dependent manner. XMU-MP-1 treatment inhibits hydrogen peroxide-stimulated MOB1 phosphorylation and MST1/2 autophosphorylation in a variety of cell lines, including mouse macrophage-like cells, human osteosarcoma, human colorectal adenocarcinoma cells. XMU-MP-1 blocks MST1/2 kinase activities, thereby activating the downstream effector Yes-associated protein and promoting cell growth. XMU-MP-1 can potently and reversibly suppress the activities of kinases MST1/2 and enhance their downstream YAP activation in cells[1]. ***In Vivo:*** XMU-MP-1 displays excellent in ***in vivo*** pharmacokinetics and is able to augment mouse intestinal repair, as well as liver repair and regeneration, in both acute and chronic liver injury mouse models at a dose of 1 to 3 mg/kg via intraperitoneal injection. XMUMP-1 treatment exhibits substantially greater repopulation rate of human hepatocytes in the Fah-deficient mouse model than in the vehicle-treated control, indicating that XMU-MP-1 treatment might facilitate human liver regeneration[1].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]XMU-MP-1 is dissolved in DMSO (stock concentration, 10 mM). For the ***in vitro*** kinase inhibition assays, recombinant GST-tagged MOB1a and various forms of recombinant His-tagged full-length MST1 or MST2 kinase are expressed and purified from ***Escherichia coli***. The assays are performed with the various doses of XMU-MP-1 in the kinase assay buffer for 30 min at 30°C[1].

References:

[1]. Fan F, et al. Pharmacological targeting of kinases MST1 and MST2 augments tissue repair and regeneration. Sci Transl Med. 2016 Aug 17;8(352):352ra108.

CAIndexNames:

Benzenesulfonamide, 4-[(6,10-dihydro-5,10-dimethyl-6-oxo-5H-pyrimido[5,4-b]thieno[3,2-e][1,4]diazepin-2-yl)amino]-

SMILES:

O=S(C1=CC=C(NC2=NC=C(C(N(C)C3=C4SC=C3)=N2)N(C)C4=O)C=C1)(N)=O

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

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA