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XMU-MP-1

Product Number: BC-XM1-2mg

Component

Component	BC-XM1-2mg
XMU-MP-1	2mg

Description

XMU-MP-1 is a reversible and selective MST1/2 inhibitor with IC50s of 71.1 and 38.1nM, respectively.

IC50 & Target

IC50: 71.1 (MST1), 38.1nM (MST2).

Bioactivity

1. In Vitro:

At concentrations ranging from 0.1 to 10μM, 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 3mg/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.

Solvent&Solubility

1. In Vitro:

DMSO : 8.33mg/mL (20.00mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentrationn	1mg	5mg	10mg
	1mM	2.4011mL	12.0054mL	24.0108mL
	5mM	0.4802mL	2.4011mL	4.8022mL
	10mM	0.2401mL	1.2005mL	2.4011mL

1.1. Select an appropriate solvent to prepare the stock solution according to the product's solubility in different solvents. Once the solution is prepared, aliquot and store it to prevent product inactivation caused by repeated freeze-thaw cycles.

1.2. Storage conditions and shelf-life for stock solution: −80°C for 6 months; −20°C for 1 month. When stored at −80°C, use within 6 months. When stored at −20°C, use within 1 month.

2. In Vivo:

Select a suitable dissolution protocol based on your laboratory animal species and administration route. For all dissolution protocols below, first prepare a clear stock solution following the In Vitro procedure, then add cosolvents sequentially:

To ensure reliable experimental results, the clear stock solution may be stored appropriately in accordance with its storage conditions. For working solutions used in vivo experiments, preparation-for-immediate-use is recommended and they should be

used on the day of preparation. The percentages shown before each solvent below refer to the volume fraction of the respective solvent in the final solution. If precipitation or phase separation occurs during preparation, heating and/or sonication may be applied to assist dissolution.

2.1. Add each solvent sequentially: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% saline.
Solubility: ≥ 0.83mg/mL (1.99 mM); Clear solution
This protocol yields a clear solution of ≥ 0.83mg/mL (1.99mM; saturation unknown).
Take 1mL working solution as an example: add 100μL of clear 8.3mg/mL DMSO stock solution into 400μL PEG300 and mix thoroughly; add 50μL Tween-80 to the above system and mix thoroughly; then add 450μL normal saline to bring the final volume to 1mL.

2.2. Add each solvent sequentially: 10% DMSO → 90% (20% SBE-β-CD in saline).
Solubility: ≥ 0.83mg/mL (1.99 mM); Clear solution
This protocol yields a clear solution of ≥ 0.83mg/mL (1.99 mM; saturation unknown).
Take 1mL working solution as an example: add 100μL of clear 8.3mg/mL DMSO stock solution into 900μL of 20% SBE-β-CD aqueous saline solution and mix thoroughly.

2.3. Add each solvent sequentially: 10% DMSO → 90% corn oil.
Solubility: ≥ 0.83mg/mL (1.99mM); Clear solution
This protocol yields a clear solution of ≥ 0.83mg/mL (1.99 mM; saturation unknown). This protocol is not suitable for experiments with a duration longer than two weeks.
Take 1mL working solution as an example: add 100μL of clear 8.3mg/mL DMSO stock solution into 900μL corn oil and mix thoroughly.

Kinase Assay

XMU-MP-1 is dissolved in DMSO (stock concentration, 10mM). 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.