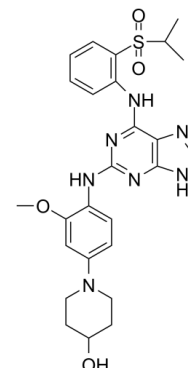


Data Sheet

Product Name:	Mps1-IN-3
Cat. No.:	CS-3412
CAS No.:	1609584-72-6
Molecular Formula:	C ₂₆ H ₃₁ N ₇ O ₄ S
Molecular Weight:	537.63
Target:	Mps1
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

Mps1-IN-3 is a potent and selective **MPS1** kinase inhibitor, with an **IC₅₀** of 50 nM. **IC₅₀ & Target:** IC₅₀: 50 nM (MPS1)^[1] **In Vitro:** Mps1-IN-3 is a potent MPS1 kinase inhibitor, with an **IC₅₀** of 50 nM. Mps1-IN-3 inhibits the proliferation of U251 glioblastoma cells with an **IC₅₀** of appr 5 μ M. Mps1-IN-3 (2 μ M) can completely abrogates checkpoint^[1]. **In Vivo:** Mps1-IN-3 (2 mg/kg, i.v.) sensitizes glioblastoma cells in murine tumor models, with prolonged survival and no toxicity^[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Mps1-IN-3 is formulated in 20% hydroxypropyl-beta-cyclodextrin^{[1],[1]}Mice^[1]

Six-week old athymic female nude mice weighing about 25 g are stereotactically injected with **1 × 10⁶ U251-FM-shCTRL or shMPS1 cells, or U251-FM, or 3 × 10⁵ GBM8-FM cells (in 10 and 4 μ L PBS, respectively)** using a stereotactic instrument after drilling a small hole in the cranium of the mice. For the U251-FM-shRNA experiment, a minimum of 3 mice per group is used, and for the U251-FM and GBM8-FM cells, at least 5 mice per group are used. Tumor growth is monitored by Fluc bioluminescence imaging after injection of 150 μ L D-luciferin (50 mg/mL) and imaging 10 min later for luciferase-mediated photon activity using the IVIS Lumina imaging system for the U251-FM model and the IVIS Spectrum for the GBM8-FM model. When tumors reach a size around 10⁷ radiance for the U251 model and 5 × 10⁵ radiance for the GBM8 model, mice are intravenously injected with vehicle, and/or **2 mg/kg MPS1-IN-3 in 20% hydroxypropyl-beta-cyclodextrin (HPbetaCD)**, twice/week over three weeks. Tumor volume is monitored weekly by Fluc imaging^[1].

References:

[1]. Tannous BA, et al. Effects of the selective MPS1 inhibitor MPS1-IN-3 on glioblastoma sensitivity to antimitotic drugs. J Natl Cancer Inst. 2013 Sep 4;105(17):1322-31.

CAIndexNames:

4-Piperidinol, 1-[3-methoxy-4-[[6-[[2-[(1-methylethyl)sulfonyl]phenyl]amino]-9H-purin-2-yl]amino]phenyl]-

SMILES:

O=S(C1=C(NC2=NC(NC3=CC=C(N4CCC(O)CC4)C=C3OC)=NC5=C2N=CN5)C=CC=C1)(C(C)C)=O

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

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