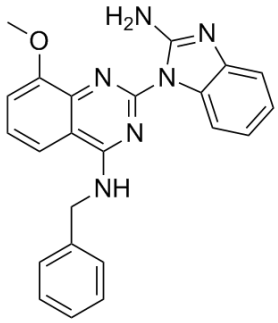
ML240

Cat. No.:	HY-19795
CAS No.:	1346527-98-7
Molecular Formula:	$C_{23}H_{20}N_6O$
Molecular Weight:	396.44
Target:	p97
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.5 mg/mL (**41.62 mM;** Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5224 mL	12.6122 mL	25.2245 mL
	5 mM	0.5045 mL	2.5224 mL	5.0449 mL
	10 mM	0.2522 mL	1.2612 mL	2.5224 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description	ML240 is a potent **p97** inhibitor, inhibiting p97 ATPase with **IC_{50}** value of 100 nM.
IC_{50} & Target	IC50: 100 nM (p97)[1]
In Vitro	ML240 is a potent p97 inhibitor, with an IC_{50} of 100 nM. ML240 is active in the UbG76V-GFP stabilization assay (IC_{50}, 0.9 μM). ML240 inhibits p97 competitively with respect to ATP with a K_i values of 0.22 μM. ML240 also inhibits labeling of only three protein kinase domains by >50% when tested at 20 μM: PIP5 K3 (belongs to phosphoinositide-3 kinase family), JAK1 JH2 (N-terminal pseudokinase domain of JAK1), and DNAPK (DNA-dependent protein kinase). ML240 (1.1, 3.3, 10, or 20 μM) induces executioner caspases 3 and 7 and triggers cell death independently of apical caspases 8 and 9[1]. ML240 is cytotoxic to HCT15 and SW403 cells, with GI_{50}s of 0.76 and 0.5 μM after treatment for 24 h, and 0.54 and 0.5 μM after treatment for 72 h, respectively[2].

PROTOCOL

Cell Assay [2]

HeLa cells stably expressing ODD-luciferase are seeded onto a 96-well white solid bottom plate (**5000 cells/well**) and cells are grown for 16 h. Cells are treated with DMEM containing MG132 (4 μM) for 1h and washed with 100 μL PBS twice. DMEM containing 2.5% FBS, cycloheximide (50 μg/mL) and **ML240** are added into the well. Four 96-well plates are prepared and one of the plates is taken out from incubator at each time point (70, 90, 120, or 150 min). Luciferin (50 μL of 1 mg/mL in PBS) is added into each well containing 50 μL of medium and incubated at room temperature with shaking at 500 rpm for 5 min. Luminescence intensity is determined with 0.1 ms integration time on the Synergy HT Microplate Reader[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Chou TF et al. Structure-activity relationship study reveals ML240 and ML241 as potent and selective inhibitors of p97 ATPase. ChemMedChem, 2013 Feb, 8(2):297-312.

[2]. Chou TF, et al. Selective, reversible inhibitors of the AAA ATPase p97. Probe Reports from the NIH Molecular Libraries Program. April 14, 2011.

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