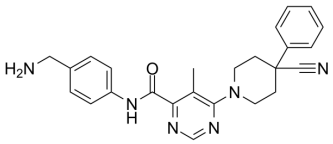
BI8622

Cat. No.:	HY-120929
CAS No.:	1875036-74-0
Molecular Formula:	$C_{25}H_{26}N_6O$
Molecular Weight:	426.51
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (293.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3446 mL	11.7231 mL	23.4461 mL
	5 mM	0.4689 mL	2.3446 mL	4.6892 mL
	10 mM	0.2345 mL	1.1723 mL	2.3446 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BI8622 is a specific inhibitor of the ubiquitin ligase HUWE1 with an IC_{50} of 3.1 μM[1].

IC_{50} & Target

IC50: 3.1 μM (HUWE1)[1]

In Vitro

BI8622 induces HUWE1 ectopically expresses to abolish ubiquitination of MCL1 with an IC_{50} value of 6.8 μM in HeLa cells[1].
BI8622 suppresses colony formation of Ls174T cells with estimated IC_{50} value of 8.4 μM[1].
BI8622 (10 μM; 1-4 days) treatment retards passage of Ls174T cells through all phases of the cell cycle, with the effect being

strongest for G1[1].

BI8622 (0-50 μM; 16 hours) retards the degradation of MCL1 in response to UV irradiation by inhibiting HUWE1 in HeLa cells[1].

BI8622 inhibits MYC-dependent transactivation in colorectal cancer cells[1].

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

Cell Cycle Analysis[1]

Cell Line:	Ls174T cells
Concentration:	0 μM, 5 μM,10 μM, 15 μM, 20 μM
Incubation Time:	0-4 days
Result:	Retarded passage of Ls174T cells through all phases of the cell cycle, with the effect being strongest for G1.

Western Blot Analysis[1]

Cell Line:	HeLa cells
Concentration:	0 μM, 10 μM, 20 μM
Incubation Time:	16 hours
Result:	Retarded the degradation of MCL1 in response to UV irradiation by inhibiting HUWE1 in HeLa cells.

CUSTOMER VALIDATION

- J Clin Invest. 2020 Dec 1;130(12):6301-6316.
- Cell Death Differ. 2020 Dec;27(12):3273-3288.
- Exp Eye Res. 2022 May 13;220:109110.

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REFERENCES

[1]. Peter S, et al. Tumor cell-specific inhibition of MYC function using small molecule inhibitors of the HUWE1 ubiquitin ligase. EMBO Mol Med. 2014 Dec;6(12):1525-41.

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