ZM223 hydrochloride

Cat. No.: HY-101790A

CAS No.: 2438679-27-5

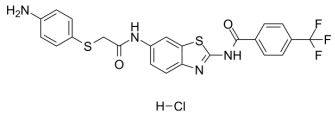
Molecular Formula: $C_{23}H_{18}ClF_3N_4O_2S_2$

Molecular Weight: 538.99

Target: NEDD8-activating Enzyme

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (278.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8553 mL	9.2766 mL	18.5532 mL
	5 mM	0.3711 mL	1.8553 mL	3.7106 mL
	10 mM	0.1855 mL	0.9277 mL	1.8553 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: ≥ 7.5 mg/mL (13.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 7.5 mg/mL (13.91 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

ZM223 hydrochloride is an orally active, potent non-covalent NEDD8 activating enzyme (NAE) inhibitor with excellent anticancer activity[1].

IC_{50} & Target

NEDD8 activating enzyme (NAE)[1]

In Vitro

ZM223 hydrochloride (0.1-1 μM; 4 hours) inhibits both HCT-116 and U-2OS cancer cells with IC_{50}s of 100 and 122 nM, respectively[1].

ZM223 hydrochloride (0.1-1 μM; 4 hours) causes a dose-response decrease in the level of NEDD8 and accumulation of the UBC12 protein, indicating the decrease of the subsequent NEDD8-UBC12 complex[1].

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

Cell Viability Assay[1]

Cell Line:	HCT116 colon cancer cells and U-2OS osteosarcoma cells
Concentration:	0.1 μM, 1 μM
Incubation Time:	4 hours
Result:	Inhibited both HCT-116 and U-2OS cancer cells.

Western Blot Analysis[1]

Cell Line:	HCT116 colon cancer cells
Concentration:	0.1 μM, 1 μM
Incubation Time:	4 hours
Result:	Caused a decrease in the level of NEDD8 and an increase in the downstream UBC12 protein.

REFERENCES

[1]. Ma H, et al. Discovery of benzothiazole derivatives as novel non-sulfamide NEDD8 activating enzyme inhibitors by target-based virtual screening. Eur J Med Chem. 2017 Jun 16;133:174-183.

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