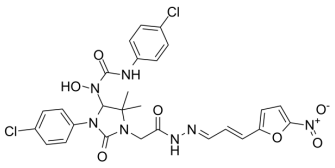
Eeyarestatin I

Cat. No.:	HY-110078
CAS No.:	412960-54-4
Molecular Formula:	$C_{27}H_{25}Cl_2N_7O_7$
Molecular Weight:	630.44
Target:	p97; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

Eeyarestatin I, a potent endoplasmic reticulum-associated protein degradation (ERAD) inhibitor, is a potent protein translocation inhibitor. Eeyarestatin I targets the p97-associated deubiquitinating process (PAD) and inhibits atx3-dependent deubiquitination. Eeyarestatin I induces cell death via the proapoptotic protein NOXA and has anticancer effects [1][2][3][4].

IC_{50} & Target

Endoplasmic reticulum-associated protein degradation (ERAD)[1][2]

In Vitro

Eeyarestatin I (2.5-40 μM; 48 hours; A549 and H358 cells) treatment causes a dose-dependent cell death of both A549 and H358 cells[1].

Eeyarestatin I (2.5-40 μM; 48 hours; A549 and H358 cells) treatment increases endoplasmic reticulum (ER) stress markers including Bip and CHOP as low as 20 μM. Eeyarestatin I treatment shows a dose dependent ubiquitination of key proteins including PERK and IRE1α[1].

Eeyarestatin I (20 μM; 48 hours; A549 and H358 cells) treatment induces cell migration and cell invasion[1].

Eeyarestatin 1 prevents the transfer of nascent proteins from the membrane-targeting complex to the ER translocation machinery, most probably by inactivating the Sec61 complex[2].

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

Cell Viability Assay[1]

Cell Line:	A549 and H358 cells
Concentration:	2.5 μM, 5 μM, 10 μM, 20 μM, 40 μM
Incubation Time:	48 hours
Result:	Caused dose dependent cell death of both A549 and H358 cells.

Western Blot Analysis[1]

Cell Line:	A549 and H358 cells
Concentration:	2.5 μM, 5 μM, 10 μM, 20 μM, 40 μM
Incubation Time:	48 hours
Result:	Increased ER stress markers including Bip and CHOP.

REFERENCES

[1]. Parag P Shah, et al. Regulation of VCP/p97 demonstrates the critical balance between cell death and epithelial-mesenchymal transition (EMT) downstream of ER stress. Oncotarget. 2015 Jul 10;6(19):17725-37.

[2]. Benedict C S Cross, et al. Eeyarestatin I inhibits Sec61-mediated protein translocation at the endoplasmic reticulum. J Cell Sci. 2009 Dec 1;122(Pt 23):4393-400.

[3]. Qiuyan Wang, et al. Inhibition of p97-dependent protein degradation by Eeyarestatin I. J Biol Chem. 2008 Mar 21;283(12):7445-54.

[4]. Qiuyan Wang, et al. ERAD inhibitors integrate ER stress with an epigenetic mechanism to activate BH3-only protein NOXA in cancer cells. Proc Natl Acad Sci U S A. 2009 Feb 17;106(7):2200-5.

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