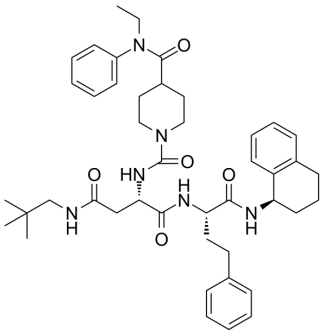
Proteasome-IN-4

Cat. No.:	HY-144369
Molecular Formula:	$C_{44}H_{58}N_6O_5$
Molecular Weight:	750.97
Target:	Proteasome
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Proteasome-IN-4 is an excellent and non-covalent proteasome inhibitor (IC_{50}=8.39 nM). Proteasome-IN-4 has potent antiproliferative activities against RPMI-8226, MM-1S and MV-4-11 cell lines. Proteasome-IN-4 can be used for cancer research[1].
IC_{50} & Target	IC_{50}: 8.39 nM (proteasome)[1]
In Vitro	Proteasome-IN-4 (compound 43) (0-20 nM; 72 hours) has potent antiproliferative activities against RPMI-8226, MM-1S and MV-4-11 cell lines, with IC_{50} of 15.290, 9.067 and 2.740 nM respectively[1]. Proteasome-IN-4 (10-1000 nM; 3 hours) causes massive accumulation of polyubiquitinated proteins at the concentration from 10 nM to 1000 nM[1]. Proteasome-IN-4 (2μM) has high metabolic stability in mouse blood, with $T_{1/2}$ of 329.21 min[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	MM-1S, RPMI 8226 and MV-4-11 cells[1]
Concentration:	0-20 nM
Incubation Time:	72 hours
Result:	Displayed potent antiproliferative activities against RPMI-8226, MM-1S and MV-4-11 cell lines, with IC_{50} of 15.290, 9.067 and 2.740 nM respectively.

Western Blot Analysis

Cell Line:	MM-1S[1]
Concentration:	10, 100 and 1000 nM
Incubation Time:	3 hours
Result:	Caused massive accumulation of polyubiquitinated proteins at the concentration from 10 nM to 1000 nM.

In Vivo	Proteasome-IN-4 (5 mg/kg; i.v.; single) has superior activities with intracellular proteasome inhibitory rates of about 50%

after administration of 1 h in model mice[1].

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

Animal Model:	ICR mice (6-8 weeks)[1]
Dosage:	5 mg/kg
Administration:	i.v.; single
Result:	Displayed superior activities with intracellular proteasome inhibitory rates of about 50% after administration of 1 h.

REFERENCES

[1]. Cao Y, et al. Metabolism guided optimization of peptidomimetics as non-covalent proteasome inhibitors for cancer treatment. Eur J Med Chem. 2022;233:114211.

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