Anticancer agent 114

Cat. No.: HY-149832

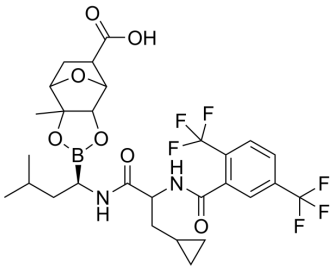
Molecular Formula: $C_{28}H_{33}BF_6N_2O_7$

Molecular Weight: 634.37

Target: Proteasome

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Anticancer agent 114 is a potent and orally active dipeptide boronic acid ester proteasome inhibitor with an IC_{50} value of 2.2 nM. Anticancer agent 114 has antiproliferative activity against the RPMI-8226 cells. Anticancer agent 114 can be used in research of multiple myeloma[1].

In Vitro

Anticancer agent 114 (compound 18u; 0-2 μM; 24 h) has antiproliferative activity against the RPMI-8226 cells with an IC_{50} value of 5.6 nM[1].

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

Cell Viability Assay[1]

Cell Line:	RPMI-8226 cells
Concentration:	0-2 μM
Incubation Time:	24 hours
Result:	Inhibited cell growth in a dose-dependent manner.

In Vivo

Anticancer agent 114 (compound 18u; 6 and 10 mg/kg; p.o.; twice a week, for 21 days) exhibits anticancer efficacy in human MM (RPMI-8226) xenograft mouse model[1].

Anticancer agent 114 (0.4 mg/kg and 1.2 mg/kg; i.v and p.o.; male Sprague-Dawley rats) exhibits good microsome stabilities and pharmacokinetic properties[1].

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

Animal Model:	Female Balb/c nude mice with human MM (RPMI-8226) xenografts[1]
Dosage:	6 and 10 mg/kg
Administration:	oral administration; twice a week, for 21 days
Result:	Inhibited tumor growth in a dose-dependent manner.

Animal Model:	Male Sprague-Dawley rats[1]

Dosage:	0.4 mg/kg and 1.2 mg/kg
Administration:	0.4 mg/kg (i.v.) and 1.2 mg/kg (p.o.)
Result:	

Dose	i.v. (0.4 mg/kg)	i.g. (1.2 mg/kg)
C_{max} (ng/mL)	-	174
T_{max} (h)	-	0.83
$T_{1/2}$ (h)	24.14	30
Cl_s	0.44	-
AUC_{0-t} (ng·h/mL)	2270	2680
F %	-	34

REFERENCES

[1]. Wang X, et, al. Design and discovery of novel dipeptide boronic acid ester proteasome inhibitors, an oral slowly-released prodrug for the treatment of multiple myeloma. Eur J Med Chem. 2023 Mar 15;250:115187.

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