20S Proteasome-IN-2

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Cat. No.: CAS No.: Molecular Formula: Molecular Weight: Target: Pathway:	HY-150590 2028300-31-2 C ₃₀ H ₄₄ N ₄ O ₈ S 620.76 Proteasome Metabolic Enzyme/Protease	
Pathway: Storage:	Proteasome Metabolic Enzyme/Protease Please store the product under the recommended conditions in the Certificate of Analysis.	H O H I Y

Disconcer. Net in the lice of 0.18 µM. 205 Proteasome inhibitor. 205 Proteasome-IN-2 shows high selectivity to its \$5 subunit with the lice of 0.18 µM. 205 Proteasome-IN-2 displays anti-proliferative effect in vitro and in vivo, and arrests cell cycle at g_2/M^{11} . IC g_0 & Target IC 50: 0.18 µM (\$55 subunit of 205 Proteasome) In Vitro 205 Proteasome-IN-2 (compoun 11m) (inhibits 205 proteasome by forming no irreversible covalent modification on It ¹¹ . 205 Proteasome-IN-2 (compoun 11m) (1.56, 3.13, 6.25, 1.25, and 25 µM) shows high binding affinity with purified human 205 proteasome-IN-2 (compoun 11m) (0.15 µK, 24 hours) inhibits tumor cells in a low concerntration with IC g_0 values of 0.88, 0.77, 0.67, 0.73, 1.3, 0.57, and 0.28 µM for A375, BGC-823, Hela, HT-29, A549, PCM IE8, HCT-116, resepectively ^[1] . 205 Proteasome-IN-2 (compoun 11m) (0.15 µK, 24 hours) inhibits tumor cells in a low concerntration with IC g_0 values of 0.88, 0.77, 0.67, 0.73, 1.3, 0.57, and 0.28 µM for A375, BGC-823, Hela, HT-29, A549, PCM IE8, HCT-116, resepectively ^[1] . 205 Proteasome-IN-2 (compoun 11m) (0.15 µK, 24 hours) inhibits tumor cells in a low concerntration with IC g_0 values of 0.88, 0.77, 0.67, 0.73, 1.3, 0.57, and 0.28 µM for A375, BGC-823, Hela, HT-29, A549, PCM IE8, HCT-116, resepectively ^[1] . 205 Proteasome-IN-2 (compoun 11m) (0.15 µK, 24 hours) intributes the cell cycle at G2/M ^{1]} . MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Cycle Analysis ^[1] Lean to independently confirmed the accuracy of these methods. They are for reference only. Cell Cycle Analysis ^[1] Lean conforence II		VTV	
$\begin{tabular}{ c c c c c c c } IC50: 0.18 \ \mu\text{M} \ (B5 subunit of 205 Proteasome) \\ \hline In Vitro \\ \end{tabular} \begin{tabular}{ c c c c c c c c c c c c c c c c c c c$	Description	20S Proteasome-IN-2 is a hu with the IC ₅₀ of 0.18 μ M. 20S G2/M ^[1] .	ıman 20S proteasome inhibitor. 20S Proteasome-IN-2 shows high selectivity to its β5 subunit S Proteasome-IN-2 displays anti-proliferative effect in vitro and in vivo, and arrests cell cycle at
In Vitro20S Proteasome-IN-2 (compoun 11m) inhibits 20S proteasome by forming no irreversible covalent modification on it ^[1] . 20S Proteasome-IN-2 (compoun 11m) (1.56, 3.13, 6.25, 12.5, and 25 µM) shows high binding affinity with purified human 20S proteasome, the equilibrium dissociation constants is 4.8 µM ^[1] . 20S Proteasome-IN-2 (compoun 11m) (0-1.5 µM; 24 hours) inhibits tumor cells in a low concerntration with IC ₅₀ values of 	IC ₅₀ & Target	IC50: 0.18 μM (β5 subunit of	20S Proteasome)
Result: Arrested the cell cycle at G2/M. In Vivo 20S Proteasome-IN-2 (compoun 11m) is (i.v.; 5 mg/kg; single injection) rapidly cleared from the plasma with an average terminal plasma half-life of 14 min, thus it exhibits extensive tissue permeability and low clearance rate (CL) of 2.0 L/h/k, and is largely eliminated extrahepatically ^[1] . 20S Proteasome-IN-2 (compoun 11m) (i.v.; 10 mg/kg; twice one week; 4 weeks) shows antitumor efficacy combat solid tumors ^[1] . Pharmacokinetic parameters of 20S Proteasome-IN-2 (compoun 11m) ^[1] Administrations C _{max} (µg/L) AUC _{0-t} (µ g/L•h) T _{1/2} (min) MRT (min) CL (L/h/kg) V _{ss} (L/kg)	In Vitro	20S Proteasome-IN-2 (comp 20S Proteasome-IN-2 (comp proteasome, the equilibrium 20S Proteasome-IN-2 (comp 0.88, 0.77, 0.67, 0.73, 1.3, 0.5 20S Proteasome-IN-2 (comp MCE has not independently Cell Cycle Analysis ^[1] Cell Line: Concentration: Incubation Time:	poun 11m) inhibits 20S proteasome by forming no irreversible covalent modification on it ^[1] . poun 11m) (1.56, 3.13, 6.25, 12.5, and 25 μM) shows high binding affinity with purified human 20S in dissociation constants is 4.8 μ M ^[1] . poun 11m) (0-1.5 μ M; 24 hours) inhibits tumor cells in a low concerntration with IC ₅₀ values of 57, and 0.28 μ M for A375, BGC-823, Hela, HT-29, A549, PCM1E8, HCT-116, resepectively ^[1] . poun 11m) (0-1.5 μ M; 24 hours) arrests the cell cycle at G2/M ^[1] . confirmed the accuracy of these methods. They are for reference only. Human colorectal cancer cell line HCT-116 cells 1 μ M 24 hours
In Vivo20S Proteasome-IN-2 (compoun 11m) is (i.v.; 5 mg/kg; single injection) rapidly cleared from the plasma with an average terminal plasma half-life of 14 min, thus it exhibits extensive tissue permeability and low clearance rate (CL) of 2.0 L/h/k, and is largely eliminated extrahepatically ^[1] . 20S Proteasome-IN-2 (compoun 11m) (i.v.; 10 mg/kg; twice one week; 4 weeks) shows antitumor efficacy combat solid tumors ^[1] . Pharmacokinetic parameters of 20S Proteasome-IN-2 (compoun 11m) ^[1] Administrations $C_{max} (\mu g/L)$ $AUC_{0-t} (\mu g/L \cdot h)$ $T_{1/2} (min)$ MRT (min)CL (L/h/kg) $V_{ss} (L/kg)$		Result:	Arrested the cell cycle at G2/M.
Administrations C _{max} (μg/L) AUC _{0-t} (μ g/L•h) T _{1/2} (min) MRT (min) CL (L/h/kg) V _{ss} (L/kg)	In Vivo	20S Proteasome-IN-2 (comp terminal plasma half-life of 1 is largely eliminated extrahe 20S Proteasome-IN-2 (comp tumors ^[1] . Pharmacokinetic parameter	boun 11m) is (i.v.; 5 mg/kg; single injection) rapidly cleared from the plasma with an average 14 min, thus it exhibits extensive tissue permeability and low clearance rate (CL) of 2.0 L/h/k, and epatically ^[1] . boun 11m) (i.v.; 10 mg/kg; twice one week; 4 weeks) shows antitumor efficacy combat solid rs of 20S Proteasome-IN-2 (compoun 11m) ^[1]
		Administrations	C _{max} (μg/L)

iv, 5 mg/kg	2007	680	13.83	20.20	2.0		
MCE has not independ	lently confirmed the acc	uracy of these r	methods. They a	re for reference	only.		
Animal Model:	HCT-116 cell xenograft nude mice model ^[1]						
Dosage:	10 mg/kg						
Administration:	Intravenous injection; twice weekly for consecutive four weeks						
Result:	Inhibited tumo	or growth in vivo	o and was well to	lerated.			

REFERENCES

[1]. Sun Q, et al. Design and synthesis of tripeptidyl furylketones as selective inhibitors against the β5 subunit of human 20S proteasome. Eur J Med Chem. 2020 Apr 15. 192:112160.

Caution: Product has not been fully validated for medical applications. For research use only.

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