BGC0222

Cat. No.:	HY-P10783
Molecular Formula:	$C_{1241}H_{2276}N_{64}O_{552}$
Molecular Weight:	26927.36
Target:	Peptide-Drug Conjugate (PDC); Integrin
Pathway:	Antibody-drug Conjugate/ADC Related; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

BGC0222 is a novel prodrug of Irinotecan (HY-16562). BGC0222, as a PEG-cRGD-conjugated Irinotecan (HY-16562) derivative, could slowly and steadily release Irinotecan (HY-16562). BGC0222 binds to $\alpha_V\beta_3$ with IC_{50} values of 4.25 μM ($\alpha_V\beta_3$) and 58.7 μM ($\alpha_V\beta_5$). BGC0222 possesses the property of inducing neovascularization. BGC0222 exhibits good antiproliferation activity in many tumors[1].

In Vitro

BGC0222 (72 h) exhibits better antiproliferation activity than Irinotecan (HY-16562) and NKTR-102 against HT29, MIA PaCa-2 and MCF-7 tumor cell lines, with IC_{50} of 1.83 μM, 3.95 μM and 0.68 μM, respectively[1].

BGC0222 (40 μM) shows good angiogenesis activity, with the length of blood vessels of 1930 mm (CAM angiogenesis assay)[1].

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

In Vivo

BGC0222 (20-60 mg/kg, i.v., every 4 days or once a week, 3 times) exhibits remarkable antiproliferation activity in the HT-29, MIA PaCa-2, NCI-H446, U-87 MG and MDA-MB-231 xenograft nude mice, with lower RTV and T/C values than that of Irinotecan (HY-16562).BGC0222 (30-90 mg/kg, i.v., once weekly for a 28-day period) improves safety profile relative to irinotecanin Sprague-Dawley rats, with the maximum tolerated dose (MTD) of 90 mg/kg and less than 60 mg/kg, respectively[1].

BGC0222 (20-80 mg/kg, i.v., single) slowly and steadily release irinotecan in Sprague-Dawley rats[1].

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

Animal Model:	HT-29, MIA PaCa-2, NCI-H446, U-87 MG and MDA-MB-231 xenograft female Balb/c nude mice (HT-29, 4×10^6; MIA PaCa-2, 5×10^6; NCI-H446, 8×10^6; U-87 MG,4×10^6; MDA-MB-231, 3×10^6)[1]
Dosage:	20 mg/kg (MIA PaCa-2, NCI-H446, MDA-MB-231); 40 mg/kg (HT-29); 60 mg/kg (U-87 MG)
Administration:	Intravenous injection (i.v.), every 4 days (HT-29 and MDA-MB-231) or once a week (MIA PaCa-2, NCI-H446 and U-87 MG), 3 times
Result:	Exhibited remarkable antiproliferation activity in the HT-29, MIA PaCa-2, NCI-H446, U-87 MG and MDA-MB-231 xenograft nude mice. Exhibited that T/C values of BGC0222 for days 12, 15, 18, 22, 25, 29 and 32 were determined to be 100%, 88.8%, 57.0%, 27.6%, 16.9%, 9.87% and 9.21%, while that of irinotecan were found to be 100%, 103%, 93.1%, 75.1%, 68.8%, 60.6%, 71.1% in the HT-29 xenograft nude

	mice, respectively. Showed that the RTV values of BGC0222 were much lower than that of irinotecan and NKTR-102 when the average tumor size reached approximately 100–300 mm3 (after day 12) in the HT-29 xenograft nude mice.

REFERENCES

[1]. Huang YQ, et al. Design, synthesis and pharmacological evaluation of a novel PEG-cRGD-conjugated irinotecan derivative as potential antitumor agent. Eur J Med Chem. 2018 Oct 5, 158:82-90.

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