# Data Sheet

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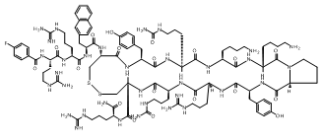
| **Product Name:** | BKT140 |
| **Cat. No.:** | HY-P0171 |
| **CAS No.:** | 664334-36-5 |
| **Molecular Formula:** | $C_{97}H_{144}FN_{33}O_{19}S_2$ |
| **Molecular Weight:** | 2159.52 |
| **Target:** | CXCR |
| **Pathway:** | GPCR/G Protein; Immunology/Inflammation |
| **Solubility:** | DMSO: ≥ 36 mg/mL |



## BIOLOGICAL ACTIVITY:

BKT140 is a novel **CXCR4** antagonist with an **$IC_{50}$** vakue of ~1 nM.
IC50 & Target: IC50: ~1 nM (CXCR4)[1].
***In Vitro:*** BKT140 displays selective toxicity toward AmL and MM cells. Treatment with BKT140 can overcome IL-6 dependent proliferation and survival of ARH77 MM cells. BKT140 specifically triggers CXCR4-dependent cell death in leukemia and MM cells. BKT140 stimulates apoptotic cell death in leukemia and MM cells[2].
***In Vivo:*** Subcutaneous injections of BKT140 significantly reduces, in a dose-dependent manner, the growth of human acute myeloid leukemia and multiple myeloma xenografts. Tumors from animals treated with BKT140 are smaller in size and weights, had larger necrotic areas and high apoptotic scores[2].

## PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** [2]Hematopoietic cancer cells are incubated with different concentrations of BKT140 or AMD3100 for 24 hours. BKT140 is treated with 1M hydrochloric acid (HCL) to achieve a pH of 2.7 to 3 at room temperature for 30 minutes and the pH is adjusted to 7 using concentrated NaOH. Proteinase K is added to BKT140 at a final concentration of 100 mg/mL, incubated at 37°C for 1 hour, and inactivated by heat treatment (65°C for 30 minutes). After incubation, cells are stained with propidium iodide and the percent of viable PI-negative cells in culture is determined[2]. **Animal Administration:** BKT140 is prepared in PBS.[2]Mouse: Severe combined immune-deficient (SCID)/beige mice (C.B-17/IcrHsd-SCID-bg) are used in the study. NB4 cells resuspended in PBS are injected subcutaneously into the flanks of the mice (200 mL per mouse containing $5\times10^6$ cells). Tumor growth is monitored daily, and mice are randomized to drug-treated or control PBS-treated groups (10 mice per group) when the tumor size (width×length) reaches 0.04 $cm^2$. BKT140 is administered subcutaneously at a dose of 200 mg per mouse each day for 5 days[2].

## References:

[1]. Peled A, et al. The high-affinity CXCR4 antagonist BKT140 is safe and induces a robust mobilization of human CD34+ cellsin patients with multiple myeloma. Clin Cancer Res. 2014 Jan 15;20(2):469-79.
[2]. Beider K, et al. CXCR4 antagonist 4F-benzoyl-TN14003 inhibits leukemia and multiple myeloma tumor growth. Exp Hematol. 2011 Mar;39(3):282-92.



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