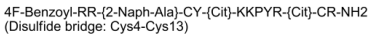
Data Sheet

Product Name:	Motixafortide
Cat. No.:	CS-6173
CAS No.:	664334-36-5
Molecular Formula:	C97H144FN33O19S2
Molecular Weight:	2159.52
Target:	CXCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Solubility:	DMSO : ≥ 36 mg/mL (16.67 mM)



BIOLOGICAL ACTIVITY:

Motixafortide (BKT140 4-fluorobenzoyl) is a novel **CXCR4** antagonist with an **IC_{50}** vakue of ~1 nM. IC50 & Target: IC50: ~1 nM (CXCR4)[1]. **In Vitro:** Motixafortide (BKT140) displays selective toxicity toward AmL and MM cells. Treatment with Motixafortide (BKT140) can overcome IL-6 dependent proliferation and survival of ARH77 MM cells. Motixafortide (BKT140) specifically triggers CXCR4-dependent cell death in leukemia and MM cells. Motixafortide (BKT140) stimulates apoptotic cell death in leukemia and MM cells[2]. **In Vivo:** Subcutaneous injections of Motixafortide (BKT140) significantly reduces, in a dose-dependent manner, the growth of human acute myeloid leukemia and multiple myeloma xenografts. Tumors from animals treated with Motixafortide (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 Motixafortide (BKT140) or AMD3100 for 24 hours. Motixafortide (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 Motixafortide (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]Mice: 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 5×10^6 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.

CAIndexNames:

L-Argininamide, N2-(4-fluorobenzoyl)-L-arginyl-L-arginyl-3-(2-naphthalenyl)-L-alanyl-L-cysteinyl-L-tyrosyl-N5-(aminocarbonyl)-L-ornithyl-L-lysyl-D-lysyl-L-prolyl-L-tyrosyl-L-arginyl-N5-(aminocarbonyl)-L-ornithyl-L-cysteinyl-, cyclic (4→13)-disulfide

SMILES:

[4F-Benzoyl-RR-{2-Naph-Ala}-CY-{Cit}-KKPYR-{Cit}-CR-NH2 (Disulfide bridge: Cys4-Cys13)]

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