Motixafortide

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

Sequence: {4-Fluorobenzoyl}-Arg-Arg-{2-Naph-Ala}-Cys-Tyr-{Cit}-Lys-{d-Lys}-Pro-Tyr-Arg-{Cit}-Cys-Arg-NH2 (Disulfide bridge: Cys4-Cys13)

Sequence Shortening: {4-Fluorobenzoyl}-RR-{2-Naph-Ala}-CY-{Cit}-K-{d-Lys}-PYR-{Cit}-CR-NH2 (Disulfide bridge: Cys4-Cys13)

Target: CXCR

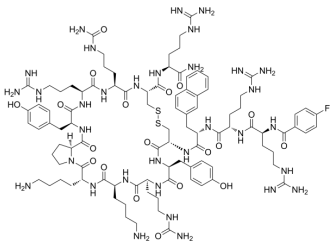
Pathway: GPCR/G Protein; Immunology/Inflammation

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (46.31 mM)

H_2O : 50 mg/mL (23.15 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	0.4631 mL	2.3153 mL	4.6307 mL
	5 mM	0.0926 mL	0.4631 mL	0.9261 mL
	10 mM	0.0463 mL	0.2315 mL	0.4631 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS

Solubility: 110 mg/mL (50.94 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description Motixafortide (BKT140 4-fluorobenzoyl) is a novel CXCR4 antagonist with an IC_{50} vakue of ⊠1 nM.

IC_{50} & Target

CXCR4

~1 nM (IC_{50})

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

PROTOCOL

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [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 µL 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 µg per mouse each day for 5 days[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Rep. 2019 Oct 25;9(1):15284.
- World Appl Sci J. 2023 Feb 16.

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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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