MKT-077

Cat. No.: HY-15096

CAS No.: 147366-41-4

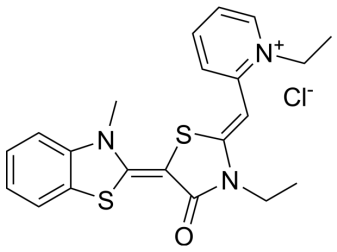
Molecular Formula: $C_{21}H_{22}ClN_3OS_2$

Molecular Weight: 432

Target: HSP; Fluorescent Dye

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Others

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 56.67 mg/mL (131.18 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3148 mL	11.5741 mL	23.1481 mL
	5 mM	0.4630 mL	2.3148 mL	4.6296 mL
	10 mM	0.2315 mL	1.1574 mL	2.3148 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 4.25 mg/mL (9.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 4.25 mg/mL (9.84 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MKT-077 (FJ-776), a highly water-soluble mitochondrial dye, has significant antitumor activity[1]. MKT-077 exhibits low cytotoxicity, and inhibits broad-spectrum human cancer cell lines (colon cancer, breast cancer, pancreatic cancer). MKT-077 inhibits the growth of tumor in nude mice enograft tumor model. Ex/Em=488/543 nm[2].

IC_{50} & Target

HSP70

In Vitro

Preparation of MKT-077 solution
1.1 Preparation of the stock solution
Dissolve 1 mg MKT-077 in 0.2315 mL DMSO to obtain 10 mM of MKT-077 .
Note: It is recommended to store the stock solution at -20 °C -80 °C away from light and avoid repetitive freeze-thaw cycles.

1.2 Preparation of MKT-077 working solution
Dilute the stock solution in serum-free cell culture medium or PBS to obtain 5-10 μM of MKT-077 working solution.
Note: Please adjust the concentration of MKT-077 working solution according to the actual situation.

Cell staining
2.1 Cell preparation.
For suspension cells: Centrifuge at 1000 g at 4°C for 3-5 minutes and then discard the supernatant. Wash twice with PBS, 5 minutes each time.
For adherent cells: Discard the cell culture medium, and add trypsin to dissociate cells to make a single-cell suspension. Centrifuge at 1000 g at 4°C for 3-5 minutes and then discard the supernatant. Wash twice with PBS, 5 minutes each time.
2.2 Add 1 mL of MKT-077 working solution, and then incubate at room temperature for 30 minutes.
2.3 Centrifuge at 400 g at 4°C for 3-4 minutes and then discard the supernatant.
2.4 Wash twice with PBS, 5 minutes each time.
2.5 Resuspend cells with serum-free cell culture medium or PBS, and then detect by fluorescence microscope or flow cytometer.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Systemic administration of MKT-077 significantly delays the growth of TT xenografts in mice throughout the treatment. At the end of MKT-077 treatment, it is found that tumor weights are about two-times less in MKT-077-treated group than in control group. MKT-077 treatment also results in weight loss and general toxicity in animals[1]. Results show that the succinate-induced, ADP-stimulated respiratory rate in mitochondria isolated from the liver of rats treated with a bolus i.v. injection of 15 mg MKT-077 1kg body weight each day for 5 days is significantly lower than that of untreated controls[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

Cells are incubated with 1 μM MKT-077 and 100 nM Mitotracker Green FM in culture medium for 30 minutes at 37°C in the dark, washed with PBS, switched into phenol-red free medium before visualizing fluorescence under a microscope. Pictures are acquired and processed with software. For flow cytometric measurement, MKT-077-treated cells are resuspended in 0.1% bovine serum albumin/PBS and analyzed by flow cytometry. Data from 20,000 cells are analyzed using FCS Express software[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

The 1×10^7 TT cells in 200 μL Hank's balanced salt solution are inoculated subcutaneously into the rear flanks of 6-week-old female athymic nude (***nu/nu***) mice. Once palpable, tumors are measured using calipers at intervals indicated in the text. When tumor volume reaches 100 mm^3, mice are sorted into groups of 8 to achieve equal distribution of tumor size in all treatment groups. Group 1 receives only the vehicle (1:9 mixture of DMSO/saline) and group 2 receives MKT-077 (10 mg/kg body weight/dose). A 200 μL of ether solution is administered by intraperitoneal injection every 2 days (total 10 doses). At the end of the experiments, animals are euthanized by CO_2 asphyxiation[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Nanobiotechnology. 2022 Jul 20;20(1):340.
- Cell Biosci. 2021 Mar 6;11(1):50.
- J Transl Med. 2023 Oct 5;21(1):695.
- Eur J Med Chem. 2021, 113452.
- Pharm Biol. 2022 Dec;60(1):17-24.

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REFERENCES

[1]. Starenki D, et al. Selective Mitochondrial Uptake of MKT-077 Can Suppress Medullary Thyroid Carcinoma Cell Survival In Vitro and In Vivo. Endocrinol Metab (Seoul). 2015 Dec;30(4):593-603.

[2]. Li X, et al. Analogs of the Allosteric Heat Shock Protein 70 (Hsp70) Inhibitor, MKT-077, as Anti-Cancer Agents. ACS Med Chem Lett. 2013 Nov 14;4(11)..

[3]. Starenki D, et al. Selective Mitochondrial Uptake of MKT-077 Can Suppress Medullary Thyroid Carcinoma Cell Survival In Vitro and In Vivo. Endocrinol Metab (Seoul). 2015 Dec;30(4):593-603.

[4]. Li X, et al. Analogs of the Allosteric Heat Shock Protein 70 (Hsp70) Inhibitor, MKT-077, as Anti-Cancer Agents. ACS Med Chem Lett. 2013 Nov 14;4(11).

[5]. Weisberg EL, et al. In vivo administration of MKT-077 causes partial yet reversible impairment of mitochondrial function. Cancer Res. 1996 Feb 1;56(3):551-5.

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