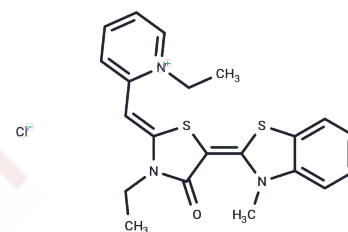


MKT-077

Chemical Properties

CAS No. :	147366-41-4
Formula:	C ₂₁ H ₂₂ ClN ₃ O ₂ S ₂
Molecular Weight:	432
Storage:	Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	MKT-077 (FJ-776) is a cationic rhodacyanine dye that demonstrates antiproliferative activity against cancer cell lines (EC ₅₀ s: 1.4-2.2 μM in vitro) through its ability to inhibit members of the Hsp70 family of molecular chaperones.
Targets(IC ₅₀)	HSP
In vitro	<p>In vitro MKT-077 uptake experiment</p> <p>a. Solution preparation:</p> <ol style="list-style-type: none"> 1. Stock solution preparation: Prepare a certain concentration of MKT-077 stock solution, store it at -20°C or -80°C in the dark after aliquoting. 2. Working solution preparation: Dilute MKT-077 to 2, 4 or 6 μg/ml working solution. Select the appropriate working solution concentration according to the experimental requirements and try to prepare it before use. <p>b. Operation steps:</p> <ol style="list-style-type: none"> 1. After the cells grow normally to 80-90% confluence, digest and centrifuge and resuspend them in D-MEM without phenol red (using phenol red-free medium to limit background spectral interference) at a density of 1×10⁶ cells/ml. 2. Place 15 mL of cell suspension in a water-jacketed chamber equilibrated to 37°C and gently mix with a small magnetic stirring bar. 3. Add different concentrations of MKT-077 (2, 4, or 6 μg/ml) and collect 1.5 mL samples immediately and every 30 minutes thereafter for 2 hours. 4. Centrifuge samples, wash with PBS, and lyse with 200 μl of ethanol. 5. Re-centrifuge samples and analyze lysates spectrophotometrically at 495 nm. <p>The above information is based on published literature. Experimental procedures should be appropriately modified to meet specific research demands.</p>
In vivo	Systemic administration of MKT-077 significantly delayed the growth of TT xenografts in mice throughout the treatment. At the end of the drug treatment, we found that tumor weights were about two-timed less in MKT-077-treated group than in the control group. These data are consistent with the growth inhibitory effects of MKT-077 observed in the in vitro setting above [1]. 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].

Cell Research	<p>MTT assay was performed as previously described. Briefly, cells were seeded in 24 well plates and allowed to attach for 48 hours. After drug treatment, cells were incubated with 400 μL of MTT (0.5 mg/mL) in complete medium for 2 hours at 37°C, switched into 200 μL DMSO, and shaken for 5 minutes at room temperature before measuring absorbance at 540 nm [1].</p> <p>The above information is based on published literature. Experimental procedures should be appropriately modified to meet specific research demands.</p>
Animal Research	<p>The 1×10^7 TT cells in 200 μL Hank's balanced salt solution were inoculated subcutaneously into the rear flanks of 6-week-old female athymic nude (nu/nu) mice. Once palpable, tumors were measured using Vernier calipers at intervals indicated in the text. Tumor volumes (TVs) were calculated using the formula: $TV=L \times W^2 \times 0.5$ (L, length; W, width). When TV reached 100 mm³, mice were sorted into groups of 8 to achieve equal distribution of tumor size in all treatment groups. Group 1 received only the vehicle (1:9 mixture of DMSO/saline) and group 2 received MKT-077 (10 mg/kg body weight/dose). A 200 μL of ether solution was administered by intraperitoneal injection every 2 days (total 10 doses). At the end of the experiments, animals were euthanized by CO₂ asphyxiation [1].</p> <p>The above information is based on published literature. Experimental procedures should be appropriately modified to meet specific research demands.</p>

Solubility Information

Solubility	<p>H₂O: 20 mg/mL (46.3 mM),Sonication is recommended. DMSO: 55 mg/mL (127.31 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)</p>
In vivo Formulation	<p>10% DMSO+40% PEG300+5% Tween-80+45% Saline: 4 mg/mL (9.26 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i></p>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3148 mL	11.5741 mL	23.1481 mL
5 mM	0.463 mL	2.3148 mL	4.6296 mL
10 mM	0.2315 mL	1.1574 mL	2.3148 mL
50 mM	0.0463 mL	0.2315 mL	0.463 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Chunta JL, et al. Uptake rate of cationic mitochondrial inhibitor MKT-077 determines cellular oxygen consumption change in carcinoma cells. PLoS One. 2012;7(5):e37471.

Zhang Z, Zhou H, Gu W, et al. CGI1746 targets σ 1R to modulate ferroptosis through mitochondria-associated membranes. Nature Chemical Biology. 2024: 1-11.

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).

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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