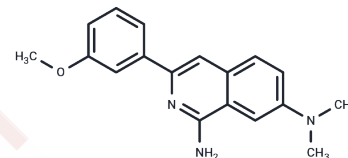


3-arylisquinolinamine derivative

Chemical Properties

CAS No. :	1029008-71-6
Formula:	C ₁₈ H ₁₉ N ₃ O
Molecular Weight:	293.36
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	3-arylisquinolinamine derivative is a compound with antitumor activity.
Targets(IC50)	Others
In vitro	3-arylisquinolinamine derivative (7b) shows more effective activity against Paclitaxel-resistant HCT-15 human colorectal cancer cell lines when compared to the original cytotoxic cancer drug, Paclitaxel. The cell cycle dynamics is analyzed by flow cytometry. Treatment of human HCT-15 cells with 3-arylisquinolinamine derivative (7b) blocks or delays the progression of cells from the G ₀ /G ₁ phase into the S phase, and induces cell death. 3-arylisquinolinamine derivative (7b) inhibits the cell growth (IC ₅₀ : 14 nM to 32 nM). In cell cycle analysis using HCT-15 cells, the treatment of 1 nM of 3-arylisquinolinamine derivative (7b) displays a significant increase in G ₀ /G ₁ phase at 24 h with a decrease in G ₂ /M phase, but the increase of G ₀ /G ₁ phase at 48 h is not significant. At a higher concentration of 3-arylisquinolinamine derivative (7b) (10 nM), there are a significant increase in G ₀ /G ₁ phase and a decrease in G ₂ /M phase, and the emergence of sub-G ₁ phase, at both 24 h and 48 h. 3-arylisquinolinamine derivative (7b) blocks or delays the progression of cells from the G ₀ /G ₁ phase into S phase, and induces cell death [1]. 3-arylisquinolinamine derivative (compound 13; IC ₅₀ : 15 nM in HCT-15 cells, 17 nM in HCT116 cells) shows potent antiproliferative activities with IC ₅₀ value in the low nanomolar range in both cells and higher antitumor activities than that of Paclitaxel against Paclitaxel-resistant HCT-15 colorectal cancer cells [2].
In vivo	The 3-arylisquinolinamine derivative demonstrates superior antitumor efficacy, achieving 69.2% inhibition of tumor growth in an animal model, outperforming the control drug, Paclitaxel, which exhibits 48.8% inhibition [2].
Animal Research	The six-week-old female athymic mice (BALB/c nu/nu) are used. All study medications (vehicle control, Paclitaxel: 10 mg/kg/day, 3-arylisquinolinamine derivative: 10 mg/kg/day) are given by intraperitoneal injections three times per week starting from day 10 and ending on day 29 after inoculation of HCT 15 cells. To quantify tumor growth, three perpendicular diameters of the tumors are measured with calipers every 3-5 days, and the bodyweight of the mice was monitored for toxicity. The tumor volume is calculated [2].

Solubility Information

Solubility	DMSO: 50 mg/mL (170.44 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (8.52 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>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4088 mL	17.0439 mL	34.0878 mL
5 mM	0.6818 mL	3.4088 mL	6.8176 mL
10 mM	0.3409 mL	1.7044 mL	3.4088 mL
50 mM	0.0682 mL	0.3409 mL	0.6818 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

Yang SH, et al. Synthesis, in vitro and in vivo evaluation of 3-arylisoquinolinamines as potent antitumor agents. *Bioorg Med Chem Lett*. 2010 Sep 1;20(17):5277-81.

Young Bok Lee, et al. 5, 6, or 7-substituted-s- (hetero)arylisoquinolinamine derivatives as antitumor agents. WO 2008063548 A2.

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