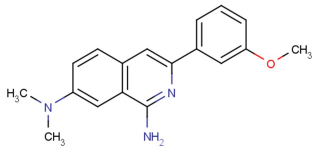
Data Sheet (Cat.No.T10106)

TargetMol
A DRUG SCREENING EXPERT

3-arylisoquinolinamine derivative

Chemical Properties



CAS No.:	1029008-71-6
Formula:	C18H19N3O
Molecular Weight:	293.36
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	3-arylisoquinolinamine derivative is a compound with antitumor activity.
Targets(IC_{50})	breast MDA-MB-231: 21 nM pancreas PANC-1: 19 nM colon HCT 116: 17 nM prostate PC3: 19 nM ovary OVCAR-3: 14 nM melanoma SK-MEL-28: 32 nM kidney Caki-1: 22 nM glioblastoma SNB19: 32 nM
In vitro	3-arylisoquinolinamine 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-arylisoquinolinamine derivative (7b) blocks or delays the progression of cells from the G0/G1 phase into the S phase, and induces cell death. 3-arylisoquinolinamine derivative (7b) inhibits the cell growth (IC50: 14 nM to 32 nM). In cell cycle analysis using HCT-15 cells, the treatment of 1 nM of 3-arylisoquinolinamine derivative (7b) displays a significant increase in G0/G1 phase at 24 h with a decrease in G2/M phase, but the increase of G0/G1 phase at 48 h is not significant. At a higher concentration of 3-arylisoquinolinamine derivative (7b) (10 nM), there are a significant increase in G0/G1 phase and a decrease in G2/M phase, and the emergence of sub-G1phase, at both 24 h and 48 h. 3-arylisoquinolinamine derivative (7b) blocks or delays the progression of cells from the G0/G1 phase into S phase, and induces cell death [1]. 3-arylisoquinolinamine derivative (compound 13; IC50: 15 nM in HCT-15 cells, 17 nM in HCT116 cells) shows potent antiproliferative activities with IC50 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	3-arylisoquinolinamine derivative has higher antitumor efficacy (69.2 % inhibition) than that of the control drug, Paclitaxel (48.8 % inhibition) in the inhibition of growth of tumor in an animal model [2].

Solubility Information

Solubility	DMSO: 50 mg/mL (170.44 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.409 mL	17.044 mL	34.088 mL
5 mM	0.682 mL	3.409 mL	6.818 mL
10 mM	0.341 mL	1.704 mL	3.409 mL
50 mM	0.068 mL	0.341 mL	0.682 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

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

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