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产品名称：3-arylisoquinolinamine derivative
产品别名：3-arylisoquinolinamine derivative

生物活性：

Description	3-arylisoquinolinamine derivative is a 3-arylisoquinolinamine derivative with antitumor activity.
IC_{50} & Target	IC50: 21 nM (breast MDA-MB-231), 19 nM (pancreas PANC-1), 17 nM (colon HCT 116), 19 nM (prostate PC3), 14 nM (ovary OVCAR-3), 32 nM (melanoma SK-MEL-28), 22 nM (kidney Caki-1), 32 nM (glioblastoma SNB19)[1]
In Vitro	3-arylisoquinolinamine derivative is a 3-arylisoquinolinamine derivative, extracted from the reference[1], compound 7b.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 G0/G1 phase into S phase, and induces cell death. Treatment with 3-arylisoquinolinamine derivative (7b) also significantly inhibits the growth of tumors and enhances tumor regression in a Paclitaxel-resistant HCT-15 xenograft model. 3-arylisoquinolinamine derivative (7b) inhibits the cell growth at IC50 value ranges from 14 nM to 32 nM in the human cancer cells tested. In cell cycle analysis using HCT-15 cells, 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 higher concentration of 3-arylisoquinolinamine derivative (7b) (10 nM), there are a significant increase in G0/G1 phase and decrease in G2/M phase, and an emergence of sub-G1phase, at both 24 h and 48 h. 3-arylisoquinolinamine derivative (7b) blocks or delays the progression of cells from G0/G1 phase into S phase, and induces cell death[1]. 3-arylisoquinolinamine derivative is a 3-arylisoquinolinamine derivative, extracted from the reference[1], compound 13. 3-arylisoquinolinamine derivative (compound 13) is tested in colon cancer cells and its antitumor activity is compared with Paclitaxel. 3-arylisoquinolinamine derivative (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 (Compound 13) 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].

In Vitro:

DMSO : ≥ 50 mg/mL (170.44 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

Solvent&Solubility	储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。 ***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.75 mg/mL (9.37 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (9.37 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。
References	[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.

实验参考：

Animal Administration	Mice[2] The six-week-old female athymic mice (BALB/c nu/nu) are used. All study medications (vehicle control, Paclitaxel: 10 mg/kg/day, 3-arylisoquinolinamine 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 body weight of the mice was monitored for toxicity. The tumor volume is calculated[2].
References	[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.