产品名称：SGI-7079
产品别名：SGI-7079

生物活性：

Description

SGI-7079 is an Axl inhibitor, significantly inhibits the proliferation of SUM149 or KPL-4 cells with an IC50 of 0.43 or 0.16 μM, respectively. Ic50 value: Target: Axl in vitro: SGI-7079 treatment inhibits the phosphorylation of Axl at Tyr 702 upon Gas6 stimulation in SUM149 cells. The growth of SUM149 and KPL-4 in soft agar, one of the hallmark characteristics of cellular transformation and uncontrolled cell growth, is also significantly inhibited by SGI-7079 treatment. SGI-7079 treatment also significantly decreases the migration and invasion of SUM149 cells and the invasion of KPL-4 cells. Taken together, Axl inhibitor SGI-7079 significantly inhibits the proliferation, migration, and invasion of IBC cells, suggesting that Axl may be a promising therapeutic target in patients with IBC. [1] in vivo: SGI-7079 inhibits tumor growth in a dose dependent manner, and at the maximum dose, inhibited tumor growth by 67%, compared to control. The combined inhibition of Axl (SGI-7079) plus EGFR (Erlotinib) is significantly more effective than either drug alone. Notably, SGI-7079 + Erlotinib (25/100 mg/kg) reduced the tumor growth by 82%. Axl blockade by SGI-7079 inhibits the growth of mesenchymal NSCLC xenograft tumors. [2]

Solvent&Solubility

In Vitro:

DMSO : ≥ 34 mg/mL (74.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1952 mL	10.9762 mL	21.9525 mL
5 mM	0.4390 mL	2.1952 mL	4.3905 mL
10 mM	0.2195 mL	1.0976 mL	2.1952 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。

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: ≥ 2.17 mg/mL (4.76 mM); Clear solution

此方案可获得 ≥ 2.17 mg/mL (4.76 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 21.7 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。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.17 mg/mL (4.76 mM); Clear solution

此方案可获得 ≥ 2.17 mg/mL (4.76 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 21.7 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理

	盐水水溶液中，混合均匀。
References	[1]. Wang X, et al. TIG1 promotes the development and progression of inflammatory breast cancer through activation of Axl kinase. Cancer Res. 2013 Nov 1;73(21):6516-25. [2]. Byers LA, et al. An epithelial-mesenchymal transition gene signature predicts resistance to EGFR and PI3K inhibitors and identifies Axl as a therapeutic target for overcoming EGFR inhibitor resistance. Clin Cancer Res. 2013 Jan 1;19(1):279-90.