

Catalog Number: CM06604

## 产品信息

**Catalog Number:**  
CM06604

**CAS号:**  
1239875-86-5

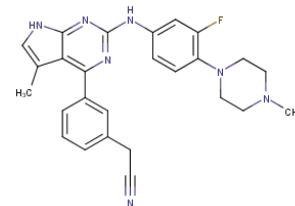
**分子式:**  
 $C_{26}H_{26}FN_7$

**主要靶点:**  
TAM Receptor|c-RET|c-Met/HGFR|Src|FLT

**主要通路:**  
蛋白酪氨酸激酶|凋亡|血管生成

**分子量:**  
455.53

**溶解度:**  
Ethanol:<1 mg/mL,DMSO:84 mg/mL (184.4 mM),H<sub>2</sub>O:<1 mg/mL



## 体外活性

SGI-7079 exhibits a  $K_i = 5.7$  nM for AXL, and in HEK293T cells ( $EC_{50} = 100$  nM) inhibits Gas6 ligand-induced tyrosine phosphorylation of human AXL expressed. Similar to AXL, SGI-7079 inhibits TAM family members such as MER and Tyro3, and shows effective inhibition of Syk, Flt1, Flt3, Jak2, TrkA, TrkB, PDGFR  $\beta$  and Ret kinases. Mesenchymal cells, which have the increase of the receptor tyrosine kinase Axl, show a trend that has greater sensitivity to the Axl inhibitor SGI-7079.

## 体内活性

SGI-7079 can be in a dose-dependent manner inhibits tumor growth. And at the maximum dose, 67% tumor can be inhibited growth. Mesenchymal cells showed a trend towards a greater sensitivity to the Axl inhibitor SGI-7079, while the combination of SGI-7079 with erlotinib reversed erlotinib resistance in mesenchymal lines expressing Axl and in a xenograft model of mesenchymal NSCLC.

## 动物实验

Animal Models: Mouse(NCr-nu/nu female mice) xenograft model of NSCLC using the mesenchymal NSCLC cell line A549 Formulation: 0.1N citrate buffer Dosages: 10, 25, 50 mg/kg Administration: p.o.

## 细胞实验

SGI-7079 show inhibition of Axl activation in HEK-293 cells, which were transiently transfected by electroporation with 1 mg FLAG-tagged plasmid containing the human Axl gene and incubated in standard media + 10% FBS for 24 hours. Cells are treated with SGI-7079 (concentrations: 0.03, 0.1, 0.3, 1, 3  $\mu$ mol/L) for 10 minutes. Five minutes before lysis, the cells are stimulated by W138 conditioned media containing Gas6.

## 描述

SGI-7079 is a new selective Axl inhibitor. SGI-7079 can be a dose-dependent manner inhibited growth of tumors. It is also a potential therapeutic target for EGFR inhibitor resistance.

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years