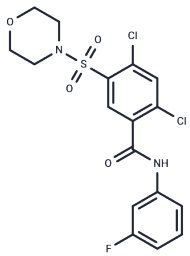
Data Sheet (Cat.No.T27433)

TargetMol

GRI918013

Chemical Properties



CAS No. : 313685-55-1

Formula: C17H15Cl2FN2O4S

Molecular Weight: 433.28

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	GRI918013 is a compound that selectively and competitively inhibits the autocrine motility factor (ATX/NPP2), thereby suppressing tumor cell invasion and metastasis. GRI918013 binds competitively to the active site of ATX, preventing the entry of lipid substrates such as lysophosphatidylcholine (LPC). This reduces the ATX-catalyzed hydrolysis of LPC to form lysophosphatidic acid (LPA), thereby inhibiting cell invasion and metastasis associated with the ATX-LPA axis. GRI918013 exhibits an inhibitory effect on the ATX-catalyzed hydrolysis of the LPL substrate FS-3, with an IC50 of 31.42 nM and a Ki of 12.98 nM. GRI918013 can serve as a tool for studying invasion and metastasis in cancers such as melanoma, and is also suitable for research on ATX-LPA axis-related diseases, including fibrotic diseases, neuropathic pain, and cholestatic pruritus.
Targets(IC50)	Others,PDE
In vitro	Methods: Human melanoma A2058 cells were co-incubated with GRI918013, ATX, and LPC, then seeded into the upper chamber of a Transwell device. Chemotactic agents were added to the lower chamber, and the cells were incubated for 16 hours. The fluorescence intensity of cells that had invaded the lower chamber was then measured. Results: GRI918013 significantly inhibited A2058 cell invasion, with an IC_{50} value of 118.79 ± 62.9 nM [1].
In vivo	Methods: To evaluate the antitumor metastasis effects of GRI918013, an experimental lung metastasis model using B16-F10 mouse melanoma cells was established. C57BL/6 mice were injected with B16-F10 cells via the tail vein. Starting on day 1 post-tumor cell inoculation, GRI918013 (30 μg/mouse) was administered intraperitoneally once daily for 10 consecutive days. On day 21 post-inoculation, the mice were euthanized, their lungs were harvested, and the number of metastatic nodules on the lung surface was counted. Results: Treatment with GRI918013 significantly reduced the number of pulmonary metastatic nodules.[1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.308 mL	11.5399 mL	23.0798 mL
5 mM	0.4616 mL	2.308 mL	4.616 mL
10 mM	0.2308 mL	1.154 mL	2.308 mL
50 mM	0.0462 mL	0.2308 mL	0.4616 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

Fells JI, Lee SC, Fujiwara Y, et al. Hits of a high-throughput screen identify the hydrophobic pocket of autotaxin/lysophospholipase D as an inhibitory surface. Mol Pharmacol. 2013;84(3):415-424.
Fells, James I.; Lee, Sue Chin; Fujiwara, Yuko; Norman, Derek D.; Lim, Keng Gat; Tsukahara, Ryoko; Liu, Jianxiong; Patil, Renukadevi; Miller, Duane D.; Kirby, R. Jason; et al. Hits of a high-throughput screen identify the hydrophobic pocket of autotaxin/lysophospholipase D as an inhibitory surface. Molecular Pharmacology (2013), 84(3), 415-424.