

Product Data Sheet

Product Name: A 205804

Cat. No.: GC15557

Chemical Properties

Cas. No. 251992-66-2

Chemical Name 4-(4-methylphenyl)sulfanyltieno[2,3-c]pyridine-2-carboxamide

SMILES CC1=CC=C(C=C1)SC2=C3C=C(SC3=CN=C2)C(=O)N

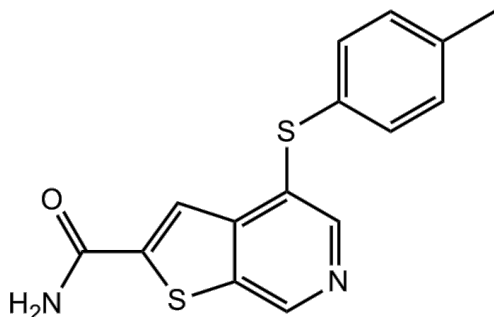
Formula $C_{15}H_{12}N_2OS_2$ M.Wt 300.39

Solubility $\geq 30\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines H9 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method The H9 cells were dissociated into single cells by GCDR, seeded at 5000 cells per well in a 96-well plate coated with hESC-qualified Matrigel in the mTeSR1 medium supplemented with 10 μ M Y-27632, and allowed to settle overnight. The next day, the medium was changed and supplemented with different concentrations of A 205804. The cell viability was measured using a Cell Counting Kit-8 (CCK-8). CCK-8 reagent was added to each well and incubated for 1h, and the absorbance was read at 450nm and recorded using a microplate spectrophotometer.

Reaction Conditions 1, 3, 5, and 10 μ M; 24h

Applications As the dose of A 205804 increased, the expression level of ICAM-1 protein decreased.

Animal experiment [2]:

Animal models Pancreatitis mice model

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Preparation Method	C57BL/6 mice were randomly divided into five groups: control group (Con), severe acute pancreatitis group (SAP), hypertriglyceridemic pancreatitis group (HTGP), A 205804 group (A 205804), and apocynin group (Apo). A total of 50 male mice were randomly allocated into five groups (n = 10 per group): Control group (Con), SAP group (SAP), HTGP group (HTGP), A 205804 group (A 205804) and Apocynin group (Apo). Mice in Con group and SAP group were fed with high-fat control diet (10kcal% fat, Research Diets, America) for 8 weeks, while the other groups were fed with high-fat diet (60kcal% fat). To establish the model of SAP, the mice received seven hourly intraperitoneal injections of cerulein (50µg/kg) dissolved in phosphate-buffered saline (PBS), and LPS (10mg/kg) was injected intraperitoneally 1h after the last cerulein administration. For the Con group, the same volume of saline was used to substituted for cerulein and LPS. In the A 205804 group, mice were given A 205804 (10mg/kg, dissolved in 1% dimethyl sulfoxide [DMSO] and 20% SBE-β-CD) orally, a potent and selective lead inhibitor of E-selectin and ICAM-1 expression. In the Apo group, apocynin was injected intraperitoneally (0.5mg/kg) 30 min prior to the SAP induction and the optimal dose was selected in agreement with the previous study. Subsequently, all mice were euthanized 24h after the first injection of cerulein, and blood samples, lung tissues and pancreatic tissues were obtained for further analysis.
Dosage form	10mg/kg; po; 2 weeks
Applications	The pathological damage of lung tissue, neutrophil infiltration and pulmonary edema of mice in the A 205804 group were significantly alleviated.

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References:

- [1]. Wu H, Tang X, Wang Y, et al. Dextran sulfate prevents excess aggregation of human pluripotent stem cells in 3D culture by inhibiting ICAM1 expression coupled with down-regulating E-cadherin through activating the Wnt signaling pathway. Stem Cell Research & Therapy. 2022 May 26; 13(1): 218.
- [2]. Yu R, Hou C, Peng Y, Zhu X, Shi C, Huang D, Miao Y, Li Q. The mechanism underlying ICAM-1 and E-selectin-mediated hypertriglyceridemic pancreatitis-associated lung injury. Molecular Immunology. 2022 Dec 1; 152: 55-66.

Background

A 205804 is a potent and selective lead inhibitor of E-selectin and ICAM-1 expression (E-selectin: $IC_{50} = 20nM$; ICAM-1: $IC_{50} = 25nM$) [1]. A 205804 exerts anti-inflammatory effects by inhibiting the NF- κ B signaling pathway and reducing the expression of E-selectin and ICAM-1 [1-2]. A 205804 is often used in the study of chronic inflammatory diseases [3].

In H9 cells, as the dose of A 205804 (1, 3, 5, and 10 μ M; 24h) increased, the expression level of ICAM-1 protein decreased [4]. In MDA-MB-231 cells, A 205804 (1 and 10 μ M; 12h) treatment reduced the expression of ICAM-1, whereas the expression of FAK, Src, paxillin, and heparanase was not affected [5].

In pancreatitis mice model, Lung tissue pathological damage, neutrophil infiltration, and pulmonary edema were significantly alleviated in mice after A 205804 (10mg/kg; po; 2 weeks) treatment [6]. In C56BL/6 mice, A 205804 (10mg/kg; po; 2 weeks) treatment of mice can effectively reduce the expression of E-selectin in endothelial vascular microenvironment cells [7]. In H1975 cell xenograft mouse model, A 205804 (10mg/kg; po; 2 weeks) blocks ICAM-1 and p-Cortactin expression by inhibiting the activity of Er β [8].

References:

- [1]. Stewart AO, Bhatia PA, McCarty CM, et al. Discovery of inhibitors of cell adhesion molecule expression in human endothelial cells. 1. Selective inhibition of ICAM-1 and E-selectin expression. Journal of medicinal chemistry. 2001 Mar 15; 44(6): 988-1002.

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- [2]. Yu R, Hou C, Peng Y, et al. The mechanism underlying ICAM-1 and E-selectin-mediated hypertriglyceridemic pancreatitis-associated lung injury. *Molecular Immunology*. 2022 Dec 1; 152: 55-66.
- [3]. Liu F, Mao Y, Yan J, et al. Bionic microbubble neutrophil composite for inflammation-responsive atherosclerotic vulnerable plaque pluripotent intervention. *Research*. 2022 Jun 2.
- [4]. Wu H, Tang X, Wang Y, et al. Dextran sulfate prevents excess aggregation of human pluripotent stem cells in 3D culture by inhibiting ICAM1 expression coupled with down-regulating E-cadherin through activating the Wnt signaling pathway. *Stem Cell Research & Therapy*. 2022 May 26; 13(1): 218.
- [5]. Wei RR, Sun DN, Yang H, et al. CTC clusters induced by heparanase enhance breast cancer metastasis. *Acta Pharmacologica Sinica*. 2018 Aug;39(8):1326-1337.
- [6]. Yu R, Hou C, Peng Y, Zhu X, Shi C, Huang D, Miao Y, Li Q. The mechanism underlying ICAM-1 and E-selectin-mediated hypertriglyceridemic pancreatitis-associated lung injury. *Molecular Immunology*. 2022 Dec 1; 152: 55-66.
- [7]. Morita K, Tokushige C, Maeda S, et al. RUNX transcription factors potentially control E-selectin expression in the bone marrow vascular niche in mice. *Blood Advances*. 2018 Mar 13;2(5):509-515.
- [8]. Wang Y, Qiu W, Chen J, et al. ER β promoted invadopodia formation-mediated non-small cell lung cancer metastasis via the ICAM1/p-Src/p-Cortactin signaling pathway. *International journal of cancer*. 2023 Sep 15; 153(6): 1287-1299.

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