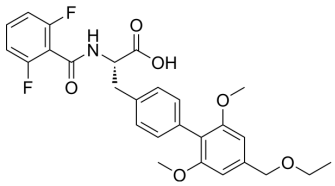
Firategrast

Cat. No.:	HY-14951
CAS No.:	402567-16-2
Molecular Formula:	$C_{27}H_{27}F_2NO_6$
Molecular Weight:	499.5
Target:	Integrin
Pathway:	Cytoskeleton

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (200.20 mM)
Ethanol : ≥ 50 mg/mL (100.10 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0020 mL	10.0100 mL	20.0200 mL
5 mM	0.4004 mL	2.0020 mL	4.0040 mL
10 mM	0.2002 mL	1.0010 mL	2.0020 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 1% SBE-beta-CD
Solubility: 0.2 mg/mL (0.40 mM); Clear solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description

Firategrast (SB 683699) is an orally active and specific α4β1/α4β7 integrin antagonist. Firategrast reduces trafficking of lymphocytes into the central nervous system (CNS) and decreases multiple sclerosis (MS) activity[1][2][3].

IC_{50} & Target	α4β1	α4β7

In Vitro

Firategrast (0.1-10 μM; 1 hour) significantly reduces chronic lymphocytic leukemia (CLL) cells adhesion[2].
Firategrast is a potent Integrin α4β1 (VLA-4) antagonist (IC_{50}=198 nM) at inhibiting the binding of soluble VCAM/Fc chimeric protein (sVCAM-1) to G2 acute lymphoblastic leukemia (ALL) cells. VLA-4 is composed of CD49d (α4) and CD29 (β1)[1][4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Firategrast (30 mg/kg/day in drinking water; starting 2 or 7 days post transplantation to 21 days) shows an overall reduction of leukemic cells in the spleen[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Wild-type C57BL/6J mice (8-12 weeks) with primary TCL1-tg splenocytes[3]
Dosage:	30 mg/kg
Administration:	Drinking water; daily; starting 2 or 7 days post transplantation to 21 days
Result:	Showed an overall reduction of leukemic cells in the spleen, accompanied by significant spleen weight reduction.

CUSTOMER VALIDATION

- Clin Cancer Res. 2015 Oct 15;21(20):4642-51.
- Oncogene. 2022 Mar 7.
- Br J Pharmacol. 2020 Jun;177(12):2696-2711.
- PLoS Pathog. 2023 Dec 8;19(12):e1011860.
- J Cell Physiol. 2021 Mar;236(3):2156-2168.

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REFERENCES

[1]. Moses O. Evbuomwan, et al. Generation and Characterization of Novel VLA-4 Inhibitors for Stem Cell Mobilization in Combination with a CXCR2 Agonist. Blood (2017) 130 (Supplement 1): 3197.

[2]. Sarah E M Herman, et al. Treatment with Ibrutinib Inhibits BTK- and VLA-4-Dependent Adhesion of Chronic Lymphocytic Leukemia Cells In Vivo. Clin Cancer Res. 2015 Oct 15;21(20):4642-51.

[3]. Eva Szenes, et al. TCL1 transgenic mice as a model for CD49d-high chronic lymphocytic leukemia. Leukemia. 2020 Sep;34(9):2498-2502.

[4]. H Rahimi, et al. Aberrant regulation of the integrin very late antigen-4 in systemic lupus erythematosus. Lupus. 2013 Mar;22(3):297-306.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA