# Firategrast

**Cat. No.:** HY-14951

**CAS No.:** 402567-16-2

**Molecular Formula:** $C_{27}H_{27}F_2NO_6$

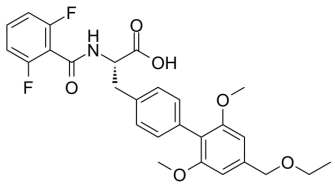
**Molecular Weight:** 499.5

**Target:** Integrin

**Pathway:** Cytoskeleton

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## 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.
- 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.





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