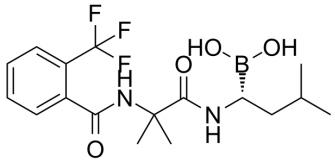
ML604440

Cat. No.:	HY-114170
CAS No.:	1140517-08-3
Molecular Formula:	$C_{17}H_{24}BF_3N_2O_4$
Molecular Weight:	388.19
Target:	Proteasome
Pathway:	Metabolic Enzyme/Protease
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 (257.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5761 mL	12.8803 mL	25.7606 mL
	5 mM	0.5152 mL	2.5761 mL	5.1521 mL
	10 mM	0.2576 mL	1.2880 mL	2.5761 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML604440 is a specific and cell-permeable Proteasome β1i (LMP2) subunit inhibitor. ML604440 can be used in experimental colitis, EAE and autoimmune disease research. ML604440 shows synergistic effects and advantageous when combined with LMP7 inhibitor[1][2][3].

IC_{50} & Target

proteasome β1i (LMP2) subunit inhibitor[1]

In Vitro

ML604440 (300 nM; overnight) treatment shows no influence on the surface expression of H-$2K^b$ in wt or LMP7-deficient mice

splenocytes[2].
ML604440 (300 nM; 24 h) treatment shows no significant inhibition of IL-6 secretion by mouse splenocytes or human PBMCs [2].
ML604440 (300 nM; 3 d) shows no influence on the percentage of IL-17A-producing $CD4^+$ T cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ML604440 (intraperitoneal injection; 10 mg/kg; once daily; 7 d) treatment inhibits LMP2 in vivo, shows no significant changes in platelet counts[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6J mice (6-8-wks old) injected with anti-platelet monoclonal antibody[3]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 10 mg/kg; once daily; 7 days
Result:	Inhibited LMP2 in vivo. Showed no significant improvement in platelet counts in mice immunized by monoclonal rat anti-mouse CD41 platelet antibody.

CUSTOMER VALIDATION

- Redox Biol. 2021 Oct 14;47:102167.
- Cell Death Dis. 2022 Oct 8;13(10):860.

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REFERENCES

[1]. Sheng-Hong Du, et al. Co-Inhibition of the Immunoproteasome Subunits LMP2 and LMP7 Ameliorates Immune Thrombocytopenia. Front Immunol. 2021 Jan 20;11:603278.

[2]. de Bruin G, et al. Structure-based design of β1i or β5i specific inhibitors of human immunoproteasomes. J Med Chem. 2014 Jul 24;57(14):6197-209

[3]. Basler M, et al. Co-inhibition of immunoproteasome subunits LMP2 and LMP7 is required to block autoimmunity. EMBO Rep. 2018 Dec;19(12). pii: e46512.

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