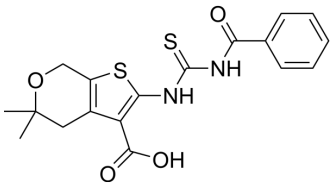
CID-1067700

Cat. No.:	HY-13452
CAS No.:	314042-01-8
Molecular Formula:	$C_{18}H_{18}N_2O_4S_2$
Molecular Weight:	390.48
Target:	Ras
Pathway:	GPCR/G Protein
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 : 12.5 mg/mL (32.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5610 mL	12.8048 mL	25.6095 mL
	5 mM	0.5122 mL	2.5610 mL	5.1219 mL
	10 mM	0.2561 mL	1.2805 mL	2.5610 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (12.80 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CID-1067700 (ML282) is a pan GTPase inhibitor, and competitively inhibits Ras-related in brain 7 (Rab7) with a K_i of 13 nM.

IC_{50} & Target

Ki: 13 nM (Rab7)[1]

In Vitro

CID-1067700 (ML282) is a pan GTPase inhibitor, and competitively inhibits Rab7 with a K_i of 13 nM. CID-1067700 shows inhibitory activity against nucleotide binding by Rab7, with K_ds of 100 nM and 40 nM for BODIPY-GTP and BODIPY-GDP, respectively. With increasing concentration, CID-1067700 causes strong inhibition on binding of the BODIPY-linked nucleotides, with EC_{50} values of 11.22 ± 1.34 nM for BODIPY-GTP and 20.96 ± 1.34 nM for BODIPY-GDP and calculated K_i values of 12.89 nM and 19.70 nM respectively. CID-1067700 (10 μM) has no effect on the rate of release of bound BODIPY-linked nucleotide by wild type Rab7 under equilibrium binding conditions[1]. CID-1067700 (0-40 μM) inhibits Rab7 activity,

	NF-κB activation as well as AID induction in B cells. Furthermore, CID-1067700 binds Rab7 with a high affinity (EC_{50}: 10-20 nM), and blocks Class switch DNA recombination (CSR) in B cells via targeting Rab7[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CID-1067700 (ML282; 16 mg/kg, i.p.) prevents disease development in lupus-prone mice by Rab7 inhibition, and reduces IgG-IC deposition in MRL/$Fas^{lpr/lpr}$ mice. CID-1067700 also targets B cells and specifically impairs the CSR machinery in vivo[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	To treat human and mouse B cells in vitro with the Rab7 inhibitor, CID-1067700 is diluted in DMSO and added to cell cultures to the final concentration of 40 μM. CID-1067700 or DMSO is added either at the time when B cell stimulation started, or 66 h after B cells are stimulated with LPS plus IL-4, TGF-β, anti-δ/dex and RA, for analysis of plasma cell survival[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] For in vivo treatment, CID-1067700 dissolved in DMSO (stock concentration 40 mM, 16 mg/mL) is diluted with the solvent to the final volume of 50 μL and injected intraperitoneally (i.p.) once per week at the dose of 16 mg/kg body weight. C57, MRL/***Fas$^{lpr/lpr}$*** and C57/***Sle1Sle2Sle3*** mice injected i. p. with the vehicle DMSO (50 μL). For survival studies and skin lesion analyses, MRL/$Fas^{lpr/lpr}$ mice are treated with nil or CID-1067700 for 10 weeks and maintained until moribund (e.g., showing signs of severe loss of mobility, hunched back, piloerection, ruffled fur, dyspnea, gasping and weight loss), at which point they are euthanized[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Phytomedicine. 2023 Sep 12, 155079.
- Nutrients. 2022 Feb 4;14(3):658.
- J Virol. 2023 Nov 27:e0133823.
- Vet Microbiol. 2023 Jun 1, 109794.
- Research Square Preprint. 2023 Sep 22.

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REFERENCES

[1]. Agola JO, et al. A competitive nucleotide binding inhibitor: in vitro characterization of Rab7 GTPase inhibition. ACS Chem Biol. 2012 Jun 15;7(6):1095-108.

[2]. Lam T, et al. Small Molecule Inhibition of Rab7 Impairs B Cell Class Switching and Plasma Cell Survival To Dampen the Autoantibody Response in Murine Lupus. J Immunol. 2016 Nov 15;197(10):3792-3805.

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