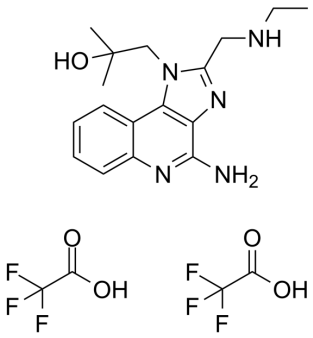
Gardiquimod diTFA

Cat. No.:	HY-103697A
CAS No.:	1159840-61-5
Molecular Formula:	$C_{21}H_{25}F_6N_5O_5$
Molecular Weight:	541.44
Target:	Toll-like Receptor (TLR); HIV
Pathway:	Immunology/Inflammation; Anti-infection
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (92.35 mM; Need ultrasonic)

H_2O : 25 mg/mL (46.17 mM; Need ultrasonic)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	1.8469 mL	9.2346 mL	18.4693 mL
5 mM	0.3694 mL	1.8469 mL	3.6939 mL
10 mM	0.1847 mL	0.9235 mL	1.8469 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (92.35 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.62 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gardiquimod diTFA, an imidazoquinoline analog, is a TLR7/8 agonist. Gardiquimod diTFA could inhibit HIV-1 infection of macrophages and activated peripheral blood mononuclear cells (PBMCs). Gardiquimod diTFA specifically activates TLR7 when used at concentrations below 10 μM[1][2].

IC_{50} & Target	TLR7	TLR8	HIV-1

In Vitro	Gardiquimod diTFA (6-60 μM) significantly inhibits cDNA synthesis by HIV-1 reverse transcriptase[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dendritic cells (DCs) in combination with Gardiquimod (1 mg/kg per mouse; i.p.; daily for 7 days) improves the anti-tumor effects of NK cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male athymic nude mice (Balb-nu/nu, 5 weeks old) (bearing human HepG2 liver carcinoma xenografts)[2]
Dosage:	1 mg/kg per mouse
Administration:	i.p.; daily for 7 days
Result:	Significantly suppressed the growth of human HepG2 liver carcinoma xenografts.

REFERENCES

[1]. Buitendijk M, et al. Gardiquimod: a Toll-like receptor-7 agonist that inhibits HIV type 1 infection of human macrophages and activated T cells. AIDS Res Hum Retroviruses. 2013 Jun;29(6):907-18.

[2]. Ma F, et al. The TLR7 agonists imiquimod and gardiquimod improve DC-based immunotherapy for melanoma in mice. Cell Mol Immunol. 2010 Sep;7(5):381-8.

[3]. Zhou Z, et al. TLR7/8 agonists promote NK-DC cross-talk to enhance NK cell anti-tumor effects in hepatocellular carcinoma. Cancer Lett. 2015 Dec 28;369(2):298-306.

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