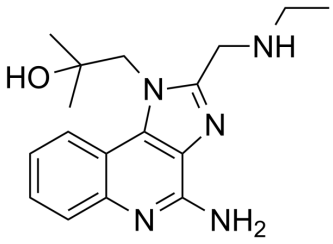
Gardiquimod

Cat. No.:	HY-103697
CAS No.:	1020412-43-4
Molecular Formula:	$C_{17}H_{23}N_5O$
Molecular Weight:	313.4
Target:	Toll-like Receptor (TLR); HIV
Pathway:	Immunology/Inflammation; Anti-infection
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMF : ≥ 20 mg/mL (63.82 mM)
DMSO : ≥ 20 mg/mL (63.82 mM)
Ethanol : ≥ 12 mg/mL (38.29 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1908 mL	15.9541 mL	31.9081 mL
5 mM	0.6382 mL	3.1908 mL	6.3816 mL
10 mM	0.3191 mL	1.5954 mL	3.1908 mL

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

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target	TLR7	TLR8	HIV-1

In Vitro

Gardiquimod (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]. 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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