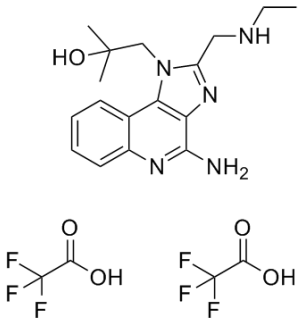
Gardiquimod trifluoroacetate

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:	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 (**184.69 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / 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: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.5 mg/mL (4.62 mM); Clear solution
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% corn oil**
   Solubility: ≥ 2.5 mg/mL (4.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Gardiquimod trifluoroacetate is a specific **TLR7** agonist which can also inhibit **HIV-1 reverse transcriptase**.
IC_{50} & Target	TLR7, HIV-1 reverse transcriptase[1]
In Vitro	Levels of HIV-1 DNA measured by real-time PCR are significantly lower in Gardiquimod trifluoroacetate-treated cells compare to untreated controls on day 9 postinfection. Significantly lower levels of HIV-1 DNA and HIV-1 p24 are

	observed in Gardiquimod trifluoroacetate-treated and HIV-1-exposed macrophages cocultured with activated PBMCs. Gardiquimod trifluoroacetate significantly increases IFN-α mRNA levels 80-, 20-, and 35-fold above the level of detection at 2, 4, and 6 h posttreatment, respectively[1]. The results show that treatment with Gardiquimod trifluoroacetate results in significant increases in expression of CD69 on T, NK and natural killer T (NKT) cells. It is also found that Gardiquimod trifluoroacetate stimulation increases mRNA expression of IL-12 p40 in RAW264.7 cells. Furthermore, Gardiquimod trifluoroacetate induces augmented secretion of IL-12 p70 into culture supernatant 48 and 72 h after treatment[2].
In Vivo	On day 12, the tumor volume in mice injected with PBS increases to 1770±370 mm^3, whereas it is only 230±70 mm^3 in mice treated with Gardiquimod trifluoroacetate[2].

PROTOCOL

Cell Assay [1]	**Peripheral blood cells** (PBMCs) are resuspended at a concentration of **5×10^6 cells/mL** in serum-free RPMI and added to large (150-cm^2) tissue culture flasks to permit monocyte attachment. The cells are maintained in a humidified incubator at 37°C with 5% CO_2 for a total of 8 days. On the fifth day of culture, the medium is refreshed and one-half of the cells are treated with **0.6 to 3.0 μM Gardiquimod trifluoroacetate for 3 days** prior to infection with HIV-1 on day 8 of culture. Control macrophages are left untreated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Six- to eight-week-old **C57BL/6 mice** weighing 20 to 24 g are used in this study. For subcutaneous (s.c.) tumors, 5×10^4 B16 cells in 100 μL of PBS are injected s.c. into the right flank of C57BL/6 mice on day 0. Mice are vaccinated intravenously with 4×10^4 DCs on day 7 and peritumorally injected with **1 mg/kg Gardiquimod trifluoroacetate on days 8 and 10**. Control mice are injected with an equivalent volume of PBS. Beginning on day 8, the tumor length and width are measured with a vernier caliper, and tumor volume is calculated as length×$width^2$/2. The mice are killed on day 13, and tumors are excised and weighed[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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