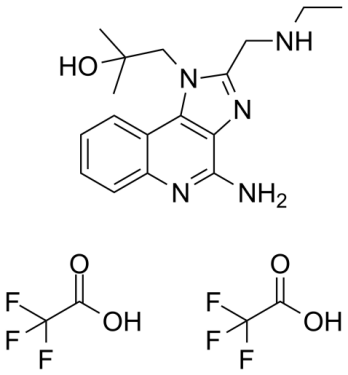
Data Sheet

Product Name:	Gardiquimod trifluoroacetate
Cat. No.:	CS-0032944
CAS No.:	1159840-61-5
Molecular Formula:	C21H25F6N5O5
Molecular Weight:	541.44
Target:	HIV; Toll-like Receptor (TLR)
Pathway:	Anti-infection; Immunology/Inflammation
Solubility:	H2O : 25 mg/mL (46.17 mM; Need ultrasonic); DMSO : 100 mg/mL (184.69 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Gardiquimod trifluoroacetate is a specific **TLR7** agonist which can also inhibit **HIV-1 reverse transcriptase**. IC50 & 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 (Extracted from published papers and Only for reference)

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]. **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].

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.

CAIndexNames:

1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-2-[(ethylamino)methyl]-α,α-dimethyl-, 2,2,2-trifluoroacetate (1:2)

SMILES:

OC(C)(C)CN1C(CNCC)=NC2=C1C3=CC=CC=C3N=C2N.FC(C(O)=O)(F)F.FC(C(O)=O)(F)F

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA