TLR7/8 agonist 1 dihydrochloride

Cat. No.: HY-103698A

CAS No.: 1620278-72-9

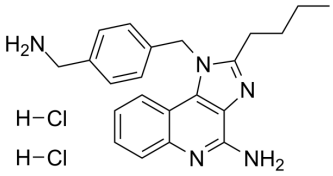
Molecular Formula: $C_{22}H_{27}Cl_2N_5$

Molecular Weight: 432.39

Target: Toll-like Receptor (TLR)

Pathway: Immunology/Inflammation

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 : 83.33 mg/mL (192.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3127 mL	11.5636 mL	23.1273 mL
	5 mM	0.4625 mL	2.3127 mL	4.6255 mL
	10 mM	0.2313 mL	1.1564 mL	2.3127 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TLR7/8 agonist 1 dihydrochloride is a toll-like receptor TLR7/TLR8 dual-agonistic imidazoquinoline[1][2].

IC_{50} & Target

TLR7/TLR8[1]

In Vitro

TLR7/8 agonist 1 (Compound 5d) shows prominent immunostimulatory activities. TLR7/8 agonist 1 serves as a convenient precursor for the covalent attachment of fluorophores without significant loss of activity. TLR7/8 agonist 1 retains TLR7-agonistic activity with an EC_{50} of 20 nM[1]. TLR7/8 agonist 1 (Compound 1) shows substantially different agonistic potencies in human TLR7 (50 nM) and TLR8 (55 nM) primary screens[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]

Fresh human peripheral blood mononuclear cells (hPBMC) are used. Aliquots of PBMCs (10^5 cells in 100 µL/well) are stimulated for 12 h with graded concentrations of test compounds (e.g., TLR7/8 agonist 1; 0.1, 1, 10, and 100 µg/mL). Supernatants are isolated by centrifugation and are assayed in duplicates using analyte-specific multiplexed cytokine/chemokine bead array assays[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Shukla NM, et al. Syntheses of fluorescent imidazoquinoline conjugates as probes of Toll-like receptor 7. Bioorg Med Chem Lett. 2010 Nov 15;20(22):6384-6.

[2]. Beesu M, et al. Structure-Based Design of Human TLR8-Specific Agonists with Augmented Potency and Adjuvanticity. J Med Chem. 2015 Oct 8;58(19):7833-49.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

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