TLR7/8 agonist 1

Cat. No.: HY-103698

CAS No.: 1258457-59-8

Molecular Formula: $C_{22}H_{25}N_5$

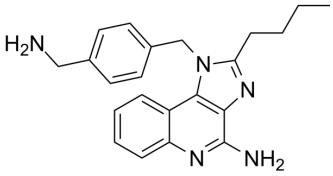
Molecular Weight: 359.47

Target: Toll-like Receptor (TLR)

Pathway: Immunology/Inflammation

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 11.11 mg/mL (30.91 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7819 mL	13.9094 mL	27.8187 mL
	5 mM	0.5564 mL	2.7819 mL	5.5637 mL
	10 mM	0.2782 mL	1.3909 mL	2.7819 mL

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

BIOLOGICAL ACTIVITY

Description

TLR7/8 agonist 1 is a toll-like receptor (TLR7)/TLR8 dual-agonistic imidazoquinoline.

IC_{50} & Target	TLR7	TLR8

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. TLR7/8 agonist 1 is covalently coupled directly to commercially-available fluorescein isothiocyanate and rhodamine B isothiocyanate[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

Kinase Assay [2]

The induction of NF-κB is quantified using human TLR-2, TLR3, TLR4, TLR5, TLR7, TLR8, TLR9, and NOD-1/NOD-2-specific, rapid-throughput, liquid handler-assisted reporter gene assays. HEK293 cells stably co-transfected with the appropriate

	hTLR (or NOD) and secreted alkaline phosphatase (sAP) are maintained in HEK-Blue Selection medium. Stable expression of secreted alkaline phosphatase (sAP) under control of NF-κB/AP-1 promoters is inducible by appropriate TLR/NOD agonists, and extracellular sAP in the supernatant is proportional to NF-κB induction. Reporter cells are incubated at a density of ~10^5 cells/mL in a volume of 80 µL/well, in 384-well, flat-bottomed, cell culture-treated microtiter plates in the presence of graded concentrations of stimuli. sAP is assayed spectrophotometrically using an alkaline phosphatase-specific chromogen (present in HEK-detection medium) at 620 nm[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [2]	Fresh human peripheral blood mononuclear cells (hPBMC) are isolated from human blood obtained by venipuncture with informed consent and as per institutional guidelines on Ficoll–Hypaque gradients. 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.

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

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