CL075 (3M002)

Thiazoloquinoline compound; TLR7/8 ligand

Catalog code: tlrl-c75, tlrl-c75-5

https://www.invivogen.com/cl075

For research use only

Version 21E22-MM

PRODUCT INFORMATION

Contents

- CL075 is provided lyophilized and is available in two quantities:
  - 500 µg: tlrl-c75
  - 5 mg: tlrl-c75-5
- Endotoxin-free water, 1.5 ml with #tlrl-c75 and 10 ml with #tlrl-c75-5

Storage and stability

- CL075 is provided lyophilized and shipped at room temperature. Upon receipt, store at -20°C.
- Upon resuspension, store at -20°C. Resuspended product is stable for 6 months when properly stored. Avoid repeated freeze-thaw cycles.

Quality Control:

- Purity: ≥95% (UHPLC)
- TLR7/8 activity has been confirmed using cellular assays.
- The absence of bacterial contamination (e.g. lipoproteins and endotoxins) has been confirmed using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

DESCRIPTION

CL075 (3M002) is a thiazoloquinolone derivative that, similar to the base analog R848 (Resiquimod), induces differential Toll-like receptor 7 (TLR7) and/or TLR8 responses in human and murine immune cells. CL075 was originally described as a human TLR8 (hTLR8) agonist because it triggers a potent NF-κB activation in hTLR8 reporter cells when compared to hTLR7 reporter cells[1].

In human peripheral blood mononuclear cells (PBMCs), CL075 induces the production of TNF-α and IL-12, and to a lesser extent IFN-α. This cytokine profile is similar to the one induced by the hTLR8 agonist ssRNA40[1]. CL075 also induces the NF-κB-dependent production of IL-1α/β, IL-6, IL-8, MIP-1αβ, and MIP-3αβ pro-inflammatory cytokines[1]. CL075 efficiently stimulates cytokine production from monocytes and myeloid dendritic cells (DCs) among human PBMCs[1]. This compound has been used to optimize the *ex vivo* maturation of monocyte-derived DCs for developing DC-based anti-pathogen or anti-tumor vaccines[2].

Using InvivoGen's reporter cell lines HEK-Blue™ hTLR7, HEK-Blue™ hTLR8, HEK-Blue™ mTLR7, and HEK-Blue™ mTLR8, we established that CL075 is a TLR7/8 agonist. CL075 is ~10 times more potent for human TLR8 (hTLR8) activation than for hTLR7. It also activates murine TLR7 (mTLR7), but not mTLR8.

1. Gorden K.B. *et al.*, 2005. Synthetic TLR agonists reveal functional differences between human TLR7 and TLR8. J. Immunol. 174(3):1259-68. **2. Spranger S. *et al.*, 2010.** Generation of Th1-polarizing dendritic cells using the TLR7/8 agonist CL075. J. Immunol. 185:738-747.

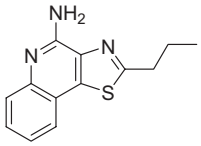
CHEMICAL PROPERTIES

Formula: $C_{13}H_{13}N_3S$

Molecular weight: 243.33 g/mol

Solubility: 1 mg/ml in water

Structure:



METHODS

Preparation of stock solution (1 mg/ml)

1. Resuspend CL075 with endotoxin-free water (provided).
   - Add 500 µl to the 500 µg vial
   - Add 5 ml to the 5 mg vial
2. Vortex until completely dissolved.
3. Prepare aliquots and store at -20°C.

Working concentrations:

- 0.1 - 5 µg/ml CL075 for hTLR8 and mTLR7 in cellular assays
- 0.5 - 5 µg/ml CL075 for hTLR7 in cellular assays

TLR stimulation of HEK-Blue™ cells with CL075

CL075 can be used to stimulate h/mTLR7 or hTLR8 in HEK-Blue™ hTLR7, HEK-Blue™ mTLR7, or HEK-Blue™ hTLR8 cells. These cells stably express an NF-κB-inducible secreted embryonic alkaline phosphatase (SEAP) and overexpress the TLR7 or the TLR8 gene. For more information visit: https://www.invivogen.com/hek-blue-tlr.

1. Stimulate HEK-Blue™ TLR7 or hTLR8 cells with 0.1 - 5 µg/ml CL075.
2. Incubate for 6 - 24 h at 37 °C, 5% CO_2.
3. Determine TLR stimulation using a SEAP detection medium, such as QUANTI-Blue™ Solution or HEK-Blue™ Detection or by assessing cytokine expression using an ELISA.

RELATED PRODUCTS

Product	Description	Cat.Code
HEK-Blue™ Detection	SEAP detection medium	hb-det2
HEK-Blue™ hTLR7 Cells	hTLR7 reporter cells	hkb-htlr7
HEK-Blue™ hTLR8 Cells	hTLR8 reporter cells	hkb-htlr8
HEK-Blue™ mTLR7 Cells	mTLR7 reporter cells	hkb-mtlr7
QUANTI-Blue™ Solution	SEAP detection reagent	rep-qbs
R848 (Resiquimod)	TLR7/8 ligand	tlrl-r848

TECHNICAL SUPPORT
InvivoGen USA (Toll-Free): 888-457-5873
InvivoGen USA (International): +1 (858) 457-5873
InvivoGen Europe: +33 (0) 5-62-71-69-39
InvivoGen Asia: +852 3622-3480
E-mail: info@invivogen.com