TL8-506

Benzoazepine analog - TLR8 ligand

Catalog # tlrl-tl8506

For research use only

Version # 15C11-MT

PRODUCT INFORMATION

Content:

- 500 µg TL8-506
- 1.5 ml sterile endotoxin-free water

Storage:

- TL8-506 is provided lyophilized and shipped at room temperature. Store at -20°C. Lyophilized product is stable at least 1 year at -20°C when properly stored.
- Resuspended TL8-506 should be aliquoted and stored at -20°C. Resuspended product is stable 1 year at -20°C. Avoid repeated freeze-thaw cycles.

DESCRIPTION

TL8-506 is a benzoazepine compound, analog of the Toll-like receptor 8 (TLR8) agonist VTX-2337^{1,2}. TL8-506 activates TLR8 more potently than the imidazoquinoline R848 (TLR7/8) and the thiazoquinolone CL075 (TLR8/7). TL8-506 is ~50x and ~25x more potent in inducing NF- κ B activation in TLR8-transfected HEK293 (HEK-Blue hTLR8) cells than R848 and CL075 (EC50, ~30 nM vs. ~1500 nM and 800 nM), respectively. TL8-506 is a selective agonist of TLR8, it is ~500x more active on HEK-Blue hTLR8 than TLR7-transfected HEK293 (HEK-Blue hTLR7) cells (EC50, ~30 nM vs. ~15 μ M). TL8-506 has been formulated to increase its solubility in water.

1. Lu H. *et al.*, **2012.** VTX-2337 is a novel TLR8 agonist that activates NK cells and augments ADCC. Clin Cancer Res. 18(2):499-509. **2. Elavazhagan S.** *et al.*, **2015.** Granzyme B Expression Is Enhanced in Human Monocytes by TLR8 Agonists and Contributes to Antibody-Dependent Cellular Cytotoxicity. J Immunol. 194(6):2786-95.

CHEMICAL PROPERTIES

<u>CAS number:</u> 1268163-15-0 <u>Formula:</u> C₂₀H₁₇N₃O₂ <u>Molecular weight:</u> 331.37

Purity: >95%

Solubility: water N

Solubility: wat

Structure: N 0

METHODS

Preparation of a stock solution (1 mg/ml)

Stimulation of TLR8 can be achieved with 10-100 ng/ml TL8-506. - Add 500 μ l endotoxin-free water (provided) to 500 μ g TL8-506 vial and vortex until complete solubilization.

TLR8 stimulation with TL8-506

Below is a protocol describing the stimulation of TLR8 by TL8-506 in HEK-Blue hTLR8 cells. These cells are HEK293 derived cells stably co-transfected with a human TLR8 expressing plasmid and an NF-κB-inducible SEAP (secreted embryonic alkaline phosphatase) reporter plasmid.

- Add 10-100 ng/ml TL8-506 to HEK-Blue™ hTLR8 cells (prepare cell suspension according to the cells data sheet).
- Incubate stimulated cells for 6 to 24 hours at 37°C, 5% CO₂.
- Determine TLR8 stimulation with TL8-506 by assessing NF-κB-induced SEAP expression using a colorimetric SEAP detection reagent, such as QUANTI-Blue™, or by measuring cytokine expression using an ELISA.

<u>Note:</u> For faster detection of SEAP expression, we recommend to use <u>HEK-Blue™</u> Detection, a SEAP detection medium. HEK-Blue™ hTLR8 cells are stimulated with TL8-506 directly in HEK-Blue™ Detection. After overnight incubation, NF-κB-induced SEAP expression is assayed using a spectrophotometer.

RELATED PRODUCTS

Product	Catalog Code
HEK-Blue™ hTLR8 cells	hkb-htlr8
HEK-Blue™ hTLR7 cells	hkb-htlr7
CL075 R848	tlrl-c75 tlrl-r848
QUANTI-Blue™	rep-qb1
HEK-Blue™ Detection	hb-det2

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