Imiquimod (R837)

Small synthetic antiviral molecule; TLR7 ligand

Catalog # tlrl-imqs, tlrl-imq

For research use only

Version # 16I26-MM

PRODUCT INFORMATION

Content:

• Imiquimod (R837) is available in two quantities:
- 500 µg Imiquimod: tlrl-imqs
- 5 mg Imiquimod: tlrl-imq

• sterile endotoxin-free water, 2 ml with #tlrl-imqs and 10 ml with #tlrl-imq.

Storage:

- Imiquimod is provided as a lyophilized powder and shipped at room temperature. Store at -20 °C. Lyophilized product is stable for 1 year at -20 °C when properly stored.
- Upon resuspension, prepare aliquots of Imiquimod and store at -20 °C. Resuspended product is stable for 6 months at -20 °C. Avoid repeated freeze-thaw cycles.

Quality control:

• Purity: ≥95% (UHPLC)
• TLR7 activity have been tested using HEK-Blue™ TLR7 cells.
• The absence of TLR8 activity have been confirmed using HEK-Blue™ TLR8 cells.
• The absence of bacterial contamination (e.g. lipoproteins and endotoxins) has been confirmed using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

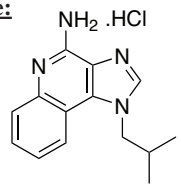
DESCRIPTION

Imiquimod (also known as R837), an imidazoquinoline amine analogue to guanosine, is an immune response modifier with potent indirect antiviral activity. The antiviral activity of imiquimod was first shown in guinea pigs infected with herpes simplex virus[1]. Imiquimod is now an approved treatment for external genital warts caused by human papillomavirus infection. This low molecular synthetic molecule induces the production of cytokines such as IFN-α.
Unlike R848, Imiquimod activates only TLR7 but not TLR8[2]. This activation is MyD88-dependent and leads to the induction of the transcription factor NF-κB[3].

1. Miller RL. *et al.*, 1999. Imiquimod applied topically: a novel immune response modifier and new class of drug.. Int J Immunopharmacol. 1999 Jan;21(1):1-14. **2. Lee J. and al. 2003.** Molecular basis for the immunostimulatory activity of guanine nucleoside analogs: Activation of Toll-like receptor 7. PNAS, 100(11):6646-51. **3. Hemmi, H. *et al.* 2002.** Small anti-viral compounds activate immune cells via the TLR7 MyD88-dependent signaling pathway. Nat Immunol, 3(2):196-200.

CHEMICAL PROPERTIES

CAS number: 99011-78-6
Formula: $C_{14}H_{16}N_4$ • HCl
Molecular weight: 276.8
Solubility: 1 mg/ml in water
Structure:



METHODS

Preparation of a stock solution (1 mg/ml)

Stimulation of TLR7 can be achieved with 1-5 µg/ml of imiquimod.
- Add 500 µl of endotoxin-free water (provided) to 500 µg of imiquimod and vortex until completely dissolved.
- Add 5 ml of endotoxin-free water (provided) to 5 mg of imiquimod and vortex until completely dissolved.

TLR7 stimulation with imiquimod

Imiquimod can be used to stimulate TLR7 in HEK-Blue™ TLR7 cells. These cells stably express an NF-κB-inducible secreted embryonic alkaline phosphatase (SEAP) and overexpress the TLR7 gene.
For more information visit: http://www.invivogen.com

1. Stimulate HEK-Blue™ TLR7 with 1-5 µg/ml of imiquimod.
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™ or HEK-Blue™ Detection or by assessing cytokine expression using an ELISA.

RELATED PRODUCTS

Product	Catalog Code
HEK-Blue™ hTLR7 cells	hkb-htlr7
HEK-Blue™ mTLR7 cells	hkb-mtlr7
HEK-Blue™ Detection	hb-det2
QUANTI-Blue™	rep-qb1
TLR7 ligands:	
CL264	tlrl-c264s
Gardiquimod™	tlrl-gdqs

TECHNICAL SUPPORT
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