

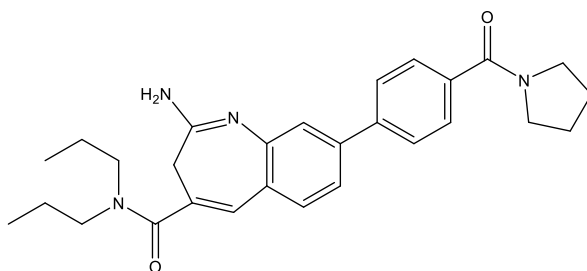
Catalog # 10-4920

Motolimod

CAS# 926927-61-9

2-Amino-N,N-diisopropyl-8-[4-(pyrrolidine-1-carbonyl)phenyl]-3H-1-benzapine-4-carboxamide; VTX-2337

Lot # FBS2006



Motolimod is a selective Toll-like receptor 8 (TLR8) agonist ($EC_{50} = 100$ nM). It stimulates the production of $TNF\alpha$ and IL-12 from monocytes and myeloid dendritic cells. Motolimod also stimulated $IFN\gamma$ production from NK cells and increased their toxicity against K562 and ADCC by rituximab and trastuzumab.^{1,2} It induced apoptosis in monocytic myeloid-derived suppressor cells.³ Motolimod is being investigated in combination with other cancer immunotherapies.^{4,5} Motolimod has also been shown to induce secreted IL-1b and IL-8 via activation of the NLRP3 inflammasome.⁶

- 1) Lu *et al.* (2012), *VTX-2337 is a Novel TLR8 Agonist That Activates NK Cells and Augments ADCC*; Clin.Cancer Res. **18** 499
- 2) Lu *et al.* (2013), *TLR8 agonist VTX-2337 enhances NKG2D-mediated cytotoxicity of NK cells*; J.Immunother.Cancer **1** P44
- 3) Rutman *et al.* (2015), *Motolimod, a selective TLR8 agonist, induces apoptosis in monocytic myeloid-derived suppressor cells (M-MDSC)*; J.Immunother.Cancer. **3** P296
- 4) Ferris *et al.* (2014), *Active8: a random, double-blind, placebo-controlled study of chemotherapy plus cetuximab in combination with TLR8 agonist VTX-2337 in patients with recurrent or metastatic squamous cell carcinoma of the head and neck (SCCHN)*; J.Immunother.Cancer **2** P69
- 5) Monk *et al.* (2017), *Integrative Development of a TLR8 Agonist for Ovarian Cancer Chemo-Immunotherapy*; Clin.Cancer Res. **23** 1955
- 6) Dietsch *et al.* (2016), *Coordinated Activation of Toll-Like Receptor8 (TLR8) and NLRP3 by the TLR8 Agonist, VTX-2337, Ignites Tumoricidal Natural Killer Cell Activity*; PLoS One **11** e0148764

PHYSICAL DATA

Molecular Weight:	458.61
Molecular Formula:	C ₂₈ H ₃₄ N ₄ O ₂
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Off-white/pale yellow solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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