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TLR1/TLR2 Agonist II, CU-T12-9 - Calbiochem TLR1/TLR2 Agonist & CU-T12-9

Art. ID	SAF-5325830001
Unit	EA

Description

A non-cytotoxic (up to 100 &#181,M) diphenyl-substituted imidazole compound that exhibits high affinity toward both TLR1 & TLR2 (KD = 182 nM & 478 nM, respectively) and induces TLR1/2 heterodimerization, effectively completing against Pam3CSK4 (Cat. No. 506350) for TLR1/2 binding (Ki = 45.4 nM, [Pam3] = 20 mg/mL, [TLR1/2] = 80 nM). Shown to potently induce secreted embryonic alkaline phosphatase (SEAP) production from human TLR2-, but not TLR3-, 4-, 5-, 7-, 8-, transfected HEK293 (EC50 = 52.9 nM) in an NF-kappaB inhibitor Triptolide-(Cat. No. 645900) blockable manner via selective TLR1/2, but not TLR2/6, heterodimer activation. Reported to induce comparable NF-kappaB-dependent reporter transcription as 100 ng/mL Pam3CSK4 when administered to human macrophage U937 cultures at 5 &#181,M concentration (24 h) and effectively trigger NO production in both murine Raw 264.7 and primary rat macrophage cultures (ECmax = 1.2 & 0.4 &#181,M, respectively, 24 h), blockable by TLR1/2 antagonist CU-CPT22 (Cat. No. 614305), but not TLR4 antagonist TAK-242 (Cat. No. 614316 & 508336). Likewise, both CU-T12-9 and Pam3CSK4 (1 &#181,M & 50 ng/mL, respectively) are demonstrated to induce similar time-dependent induction of TLR1, TLR2, TNF-alpha, iNOS, IL-10 mRNA in Raw 264.7 cells., TLR1/TLR2 Agonist & CU-T12-9, A diphenyl substituted imidazole based compound that directly and selectively targets TLR1/2 and induces their dimerization and activates TLR1 & 2 signaling leading to NF-kappaB and AP-1 activation (KD = 182 and 478 nM, respectively, EC50 = 52.9 nM for TLR2 in HEK-Blue cells over-expressing hTLR2). Does not affect the dimerization of TLR2/TLR6 and exhibits poor affinity towards TLR3, TLR4, TLR5, TLR7 and TLR8. Shown to compete with Pam3CSK4 (Cat. No. 506350, Ki = 45.4 nM) for binding to TLR1/2 interface and enhance heterodimerization. Up-regulates the expression of TLR1, TLR2, TNFalpha, IL-10, and iNOS in RAW 264.7 macrophages in a time-dependent manner. Shown to be non-toxic up to 100 &#181,M concentration.Please note that the molecular weight for this compound is batch-specific due to variable water content.