Toll-like Receptor (TLR)

Toll-like receptors (TLRs) are a class of proteins that play a key role in the innate immune system. They are single, membrane-spanning, non-catalytic receptors usually expressed in sentinel cells such as macrophages and dendritic cells, that recognize structurally conserved molecules derived from microbes. Once these microbes have breached physical barriers such as the skin or intestinal tract mucosa, they are recognized by TLRs, which activate immune cell responses. The TLRs include TLR1, TLR2, TLR3, TLR4, TLR5, TLR6, TLR7, TLR8, TLR9, TLR10, TLR11, TLR12, and TLR13. Toll-Like Receptors (TLRs) play a critical role in the early innate immune response to invading pathogens by sensing microorganism and are involved in sensing endogenous danger signals. TLRs are evolutionarily conserved receptors are homologues of the Drosophila Toll protein, discovered to be important for defense against microbial infection. TLRs recognize highly conserved structural motifs known as pathogen-associated microbial patterns (PAMPs), which are exclusively expressed by microbial pathogens.
### Toll-like Receptor (TLR) Inhibitors & Modulators

#### AN-3485
*Cat. No.: HY-18325*

**Bioactivity:** AN-3485 is a benzoxaborole analog, Toll-Like Receptor (TLR) inhibitor with IC<sub>50</sub> values ranging from 18 to 580 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

#### Atractylenolide I
*Cat. No.: HY-N0201*

**Bioactivity:** Atractylenolide I is a sesquiterpene derived from the rhizome of Atractylodes macrocephala, possesses diverse bioactivities, such as neuroprotective, anti-allergic, anti-inflammatory and anticancer properties. Atractylenolide I reduces protein levels of phosphorylated JAK2 and STAT3 in A375 cells, and...

**Purity:** 99.08%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

#### Chloroquine diphosphate
*Cat. No.: HY-17589*

**Bioactivity:** Chloroquine (diphosphate) is an antimalarial and anti-inflammatory drug widely used to treat malaria and rheumatoid arthritis. Chloroquine is an inhibitor of autophagy and Toll-like receptors (TLRs).

**Purity:** 99.94%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in Water, 100 mg

#### C29
*Cat. No.: HY-100461*

**Bioactivity:** C29 is a Toll-like receptor 2 (TLR2) inhibitor.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

#### CU-CPT-8m
*(TLR8-specific antagonist)*
*Cat. No.: HY-112050*

**Bioactivity:** CU-CPT-8m is a specific TLR8 antagonist, with an IC<sub>50</sub> of 67 nM.

**Purity:** 99.93%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### CU-CPT-9a
*Cat. No.: HY-112667*

**Bioactivity:** CU-CPT-9a is a specific TLR8 antagonist, with an IC<sub>50</sub> of 0.5 nM.

**Purity:** 98.62%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### CU-CPT-9b
*(TLR8-specific antagonist 1)*
*Cat. No.: HY-112051*

**Bioactivity:** CU-CPT-9b is a specific TLR8 antagonist, with an IC<sub>50</sub> of 0.7 nM.

**Purity:** 99.05%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### CU-CPT22
*Cat. No.: HY-108471*

**Bioactivity:** CU-CPT22 is a Toll-like receptor 1 and 2 (TLR1/2) inhibitor with an IC<sub>50</sub> of 0.58 µM.

**Purity:** 99.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

#### CU-CPT17e
*Cat. No.: HY-101929*

**Bioactivity:** CU-CPT17e is a multi- Toll-like receptor (TLR) agonist that activates TLR3, TLR8, and TLR9.

**Purity:** 98.02%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Gardiquimod trifluoroacetate
*Cat. No.: HY-103697A*

**Bioactivity:** Gardiquimod trifluoroacetate is a specific TLR7 agonist which can also inhibit HIV-1 reverse transcriptase.

**Purity:** 99.28%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
**Hydroxychloroquine sulfate**  
*HCQ sulfate*  
Cat. No.: HY-81370

**Bioactivity:** Hydroxychloroquine sulfate is a synthetic *antimalarial* drug which can also inhibit *Toll-like receptor 7/9 (TLR7/9)* signaling.

**Purity:** 99.99%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in Water, 50 mg

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**IAXO-102**  
Cat. No.: HY-125171

**Bioactivity:** IAXO-102 is a *TLR4* antagonist, inhibits MAPK and p65 NF-kB phosphorylation involved in down regulation of the expression of TLR4 and TLR4 dependent proinflammatory protein. IAXO-102 prevents experimental abdominal aortic aneurysm development [1].

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 500 mg, 250 mg

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**Imiquimod**  
*R 837*  
Cat. No.: HY-80180

**Bioactivity:** Imiquimod (R 837) is an immune response modifier that acts as a *Toll-like receptor 7* agonist.

**Purity:** 99.37%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg

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**Imiquimod hydrochloride**  
*R 837 hydrochloride*  
Cat. No.: HY-80180A

**Bioactivity:** Imiquimod hydrochloride is an immune response modifier that acts as a *Toll-like receptor 7* agonist.

**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 100 mg, 200 mg, 500 mg

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**Imiquimod maleate**  
*R 837 maleate*  
Cat. No.: HY-80180B

**Bioactivity:** Imiquimod maleate is an immune response modifier that acts as a *Toll-like receptor 7* agonist.

**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 100 mg, 200 mg, 500 mg

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**LHC-165**  
Cat. No.: HY-111786

**Bioactivity:** LHC-165 is a *TLR7* agonist. Has potential to treat solid tumors [1][2].

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 500 mg, 250 mg

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**MD2-IN-1**  
Cat. No.: HY-103483

**Bioactivity:** MD2-IN-1 is an inhibitor of *Myeloid differentiation protein 2* (*MD2*) with a *KD* of 189 μM for the recombinant human MD2 (*rhMD2*).

**Purity:** 99.85%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

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**MD2-TLR4-IN-1**  
Cat. No.: HY-128598

**Bioactivity:** MD2-TLR4-IN-1 (compound 22m) is an inhibitor of myeloid differentiation protein 2/toll-like receptor 4 (MD2-TLR4) complex, inhibiting lipopolysaccharides (LPS)-induced expression of tumor necrosis factor alpha (TNF-α) and interleukin-6 (IL-6) in macrophages with *IC50* values of 0.89...

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 250 mg, 100 mg, 500 mg

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**MMG-11**  
Cat. No.: HY-112146

**Bioactivity:** MMG-11 is a potent and selective human *TLR2* antagonist. MMG-11 inhibits both TLR2/1 and TLR2/6 signaling with an *IC50* of 1.7 μM for Pam3CSK4-induced hTLR2/1 and 5.7 μM for Pam3CSK4-induced hTLR2/6 responses [1].

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 500 mg, 250 mg, 100 mg

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**Motolimod**  
*(VTX-2337; VTX-378)*  
Cat. No.: HY-13773

**Bioactivity:** Motolimod is a selective *Toll-like receptor 8 (TLR8)* agonist, with an *EC50* of approximately 100 nM.

**Purity:** 98.83%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

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**Neoseptin 3**

**Cat. No.:** HY-U00435

**Bioactivity:** Neoseptin 3 is a Toll-like receptor 4/myeloid differentiation factor 2 (mTLR4/MD-2) agonist with an EC₅₀ of 18.5 μM.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg

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**Okanin**

**Cat. No.:** HY-N6673

**Bioactivity:** Okanin, effective constituent of the flower tea Coreopsis tinctoria, attenuates LPS-induced microglial activation through inhibition of the TLR4/NF-κB signaling pathways.[1]

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:**

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**Paquinimod**

**(ABR 25757)**

**Cat. No.:** HY-100442

**Bioactivity:** Paquinimod is a S100A9 inhibitor, which prevents S100A9 binding to TLR-4.

**Purity:** 98.38%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**PF-4878691**

**(3M-852A)**

**Cat. No.:** HY-100176

**Bioactivity:** PF-4878691 is a potent and selective Toll-like receptor 7 (TLR7) agonist.

**Purity:** 99.89%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

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**Procyanidin B1**

**Cat. No.:** HY-0795

**Bioactivity:** Procyanidin B1 is a polyphenolic flavonoid isolated from commonly eaten fruits, binds to TLR4/MD-2 complex, and has anti-inflammatory activity.

**Purity:** 99.92%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

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**Resatorvid**

**(TAK-242; CLI-095)**

**Cat. No.:** HY-11109

**Bioactivity:** Resatorvid (TAK-242) is a potent TLR4 signaling inhibitor which selectively inhibits the TLR4-mediated production of cytokines and nitric oxide.

**Purity:** 99.95%
**Clinical Data:** Phase 3
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**Resiquimod**

**(R848; S28463)**

**Cat. No.:** HY-13740

**Bioactivity:** Resiquimod is a Toll-like receptor 7 and 8 (TLR7/TLR8) agonist that induces the upregulation of cytokines such as TNF-α, IL-6 and IFN-α.

**Purity:** 99.85%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg

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**Schaftoside**

**Cat. No.:** HY-N0703

**Bioactivity:** Schaftoside is a flavonoid found in a variety of Chinese herbal medicines, such as Eleusine indica. Schaftoside inhibits the expression of TLR4 and Myd88. Schaftoside also decreases Drp1 expression and phosphorylation, and reduces mitochondrial fission.[1]

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:**

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**Telratolimod**

**(MEDI 9197; 3M 052)**

**Cat. No.:** HY-109104

**Bioactivity:** Telratolimod is a toll like receptors 7/8 (TLR7/8) agonist, with antitumor activity.

**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**TLR7 agonist 1**

**Cat. No.:** HY-111358

**Bioactivity:** TLR7 agonist 1 is a potent, selective and oral TLR7 agonist with an IC₅₀ of 90 nM.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 500 mg, 250 mg
<table>
<thead>
<tr>
<th><strong>TLR7 agonist 2</strong></th>
<th><strong>TLR7/8 agonist 1 dihydrochloride</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Cat. No.: HY-103039</strong></td>
<td><strong>Cat. No.: HY-103698A</strong></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>TLR7/8 agonist 1 dihydrochloride is a toll-like receptor (TLR7)/TLR8 dual-agonistic imidazoquinoline.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.82%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

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<thead>
<tr>
<th><strong>Toll-like receptor modulator</strong></th>
<th><strong>Vesatolimod</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Cat. No.: HY-10018</strong></td>
<td><strong>Cat. No.: HY-15601</strong></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Toll-like receptor modulator is a modulator of TLR7/8, which modulates immune function.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.40%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Biological Activity</strong></th>
<th><strong>Biological Activity</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>TLR7 agonist 2 is a potent and selective Toll-like Receptor 7 (TLR7) agonist with a LEC of 0.4 μM.</td>
<td>TLR7/8 agonist 1 dihydrochloride is a toll-like receptor (TLR7)/TLR8 dual-agonistic imidazoquinoline.</td>
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<thead>
<tr>
<th><strong>Vesatolimod (GS-9620)</strong></th>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Vesatolimod (GS-9620) is a potent, selective and orally active agonist of Toll-Like Receptor (TLR7) with an EC\textsubscript{50} of 291 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.56%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
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