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Inhibitors, Screening Libraries, Proteins

Complement System

The complement system, composed of more than 30 serum and cell surface components, is collaborating in recognition and elimination of pathogens as a part of both the innate and acquired immune systems. Once the complement system is activated, a chain of reactions involving proteolysis and assembly occurs, resulting in cleavage of the third complement component (C3). The cascade up to C3 cleavage is called the activation pathway. There are three activation pathways: the classical, lectin, and alternative pathways.

The complement cascade is a dual-edged sword, causing protection against bacterial and viral invasion by promoting phagocytosis and inflammation. Pathologically, complement can cause substantial damage to blood vessels (vasculitis), kidney basement membrane and attached endothelial and epithelial cells (nephritis), joint synovium (arthritis), and erythrocytes (hemolysis) if it is not adequately controlled.

Complement System Inhibitors, Agonists, Antagonists & Activators

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| <p>(Z)-Leukadherin-1 (ADH-503 free base)</p> <p>Cat. No.: HY-15701A</p> | <p>ADH-503 (Z)-Leukadherin-1 choline</p> <p>Cat. No.: HY-15701B</p> |
| <p>(Z)-Leukadherin-1 (ADH-503 free base) is an orally active and allosteric CD11b agonist.</p>  <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> | <p>ADH-503 ((Z)-Leukadherin-1 choline) is an orally active and allosteric CD11b agonist. ADH-503 leads to the repolarization of tumor-associated macrophages, reduction in the number of tumor-infiltrating immunosuppressive myeloid cells, and enhances dendritic cell responses.</p>  <p>Purity: 98.04% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> |
| <p>AMY-101 (Cp40)</p> <p>Cat. No.: HY-P1717</p> | <p>AMY-101 acetate (Cp40 acetate)</p> <p>Cat. No.: HY-P1717B</p> |
| <p>AMY-101 (Cp40), a peptidic inhibitor of the central complement component C3 ($K_D = 0.5$ nM), inhibits naturally occurring periodontitis in non-human primates (NHPs).</p>  <p>Purity: >98% Clinical Data: Phase 2 Size: 1 mg, 5 mg, 10 mg</p> | <p>AMY-101 acetate (Cp40 acetate), a peptidic inhibitor of the central complement component C3 ($K_D = 0.5$ nM), inhibits naturally occurring periodontitis in non-human primates (NHPs).</p>  <p>Purity: 99.93% Clinical Data: Phase 2 Size: 1 mg, 5 mg, 10 mg</p> |
| <p>AMY-101 TFA (Cp40 TFA)</p> <p>Cat. No.: HY-P1717A</p> | <p>ATWLPPR Peptide TFA</p> <p>Cat. No.: HY-P1663A</p> |
| <p>AMY-101 TFA (Cp40 TFA), a peptidic inhibitor of the central complement component C3 ($K_D = 0.5$ nM), inhibits naturally occurring periodontitis in non-human primates (NHPs).</p>  <p>Purity: 99.94% Clinical Data: Phase 2 Size: 1 mg, 5 mg, 10 mg</p> | <p>ATWLPPR Peptide TFA, a heptapeptide, acts as a selective neuropilin-1 inhibitor, inhibits VEGF₁₆₅ binding to NRP-1, used in the research of angiogenesis. ATWLPPR Peptide TFA has potential in reducing the early retinal damage caused by diabetes.</p>  <p>Purity: 99.34% Clinical Data: No Development Reported Size: 1 mg</p> |
| <p>BCX 1470</p> <p>Cat. No.: HY-50874</p> | <p>BCX 1470 methanesulfonate</p> <p>Cat. No.: HY-50875</p> |
| <p>BCX 1470 inhibits the esterolytic activity of factor D ($IC_{50}=96$ nM) and C1s ($IC_{50}=1.6$ nM), 3.4- and 200-fold better, respectively, than that of trypsin.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> | <p>BCX 1470 methanesulfonate inhibits the esterolytic activity of factor D ($IC_{50}=96$ nM) and C1s ($IC_{50}=1.6$ nM), 3.4- and 200-fold better, respectively, than that of trypsin.</p>  <p>Purity: 99.74% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg</p> |
| <p>C3a (70-77) (Complement 3a (70-77))</p> <p>Cat. No.: HY-P1505</p> | <p>C3a (70-77) (TFA) (Complement 3a (70-77) (TFA))</p> <p>Cat. No.: HY-P1505A</p> |
| <p>C3a (70-77) is an octapeptide corresponding to the COOH terminus of C3a, exhibits the specificity and 1 to 2% biologic activities of C3a.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> | <p>C3a (70-77) TFA (Complement 3a (70-77) TFA) is an octapeptide corresponding to the COOH terminus of C3a, exhibits the specificity and 1 to 2% biologic activities of C3a.</p>  <p>Purity: 95.02% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p> |

Cemdisiran
(ALN-CC5)

Cat. No.: HY-145720

Cemdisiran is an N-acetylgalactosamine (GalNAc) conjugated siRNA for the treatment of complement-mediated diseases by suppressing liver production of **complement 5 (C5)** protein.

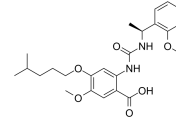


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Complement C5-IN-1

Cat. No.: HY-128342

Complement C5-IN-1 (Compound 7) is a small-molecule inhibitor of complement component 5 protein (C5).

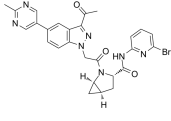


Purity: 99.01%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 50 mg

Complement factor D-IN-2

Cat. No.: HY-138281

Complement factor D-IN-2 is an inhibitor of **complement factor D** extracted from patent WO2015130838A1, compound 190. Complement factor D-IN-2 targets factor D and inhibits the complement cascade at an early and essential point in the alternative complement pathway.



Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Compstatin

Cat. No.: HY-P1036

Compstatin, a 13-residue cyclic peptide, is a potent inhibitor of the **complement system C3** with species specificity. Compstatin binds to baboon C3 and is resistant to proteolytic cleavage in baboon blood (similar to humans).

ICVVDWGHRRCT-AH₂ (Disulfide bridge: Cys2-Cys12)

Purity: 98.34%
Clinical Data: No Development Reported
Size: 500 µg, 1 mg, 5 mg

Compstatin control peptide

Cat. No.: HY-P1398

Compstatin control peptide is a **complement protein C3** inhibitor that binds and inhibits cleavage of complement C3.

IAVVQDWGHHRRAT-NH₂

Purity: 99.97%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Compstatin control peptide TFA

Cat. No.: HY-P1398A

Compstatin control peptide TFA is a **complement** inhibitor that binds and inhibits cleavage of complement C3.

IAVVQDWGHHRRAT-NH₂ (TFA salt)

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Compstatin TFA

Cat. No.: HY-P1036A

Compstatin TFA, a 13-residue cyclic peptide, is a potent inhibitor of the **complement system C3** with species specificity. Compstatin TFA binds to baboon C3 and is resistant to proteolytic cleavage in baboon blood (similar to humans).

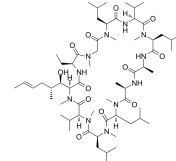
ICVVDWGHRRCT-AH₂ (Disulfide bridge: Cys2-Cys12) (TFA salt)

Purity: 99.46%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Cyclosporin A
(Cyclosporine A; Ciclosporin A; CsA)

Cat. No.: HY-B0579

Cyclosporin A (Cyclosporine A) is an immunosuppressant which binds to the cyclophilin and inhibits phosphatase activity of **calcineurin** with an IC_{50} of 5 nM. Cyclosporin A also inhibits **CD11a/CD18** adhesion.

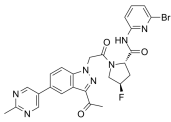


Purity: 99.85%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

Danicopan
(ACH-4471)

Cat. No.: HY-117930

Danicopan (ACH-4471), a selective and orally active small-molecule **factor D** inhibitor, shows high binding affinity to human Factor D with K_d value of 0.54 nM.

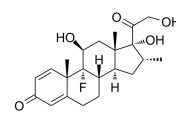


Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Dexamethasone
(Hexadecadrol; Prednisolone F)

Cat. No.: HY-14648

Dexamethasone (Hexadecadrol) is a **glucocorticoid receptor** agonist. Dexamethasone also significantly decreases CD11b, CD18, and CD62L expression on neutrophils, and CD11b and CD18 expression on monocytes.

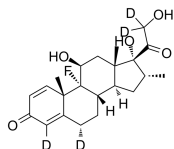


Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

Dexamethasone-4,6 α ,21,21-d4

Cat. No.: HY-14648S3

Dexamethasone-4,6 α ,21,21-d4 is the deuterium labeled Dexamethasone-4,6 α ,21,21. Dexamethasone (Hexadecadrol) is a glucocorticoid receptor agonist.



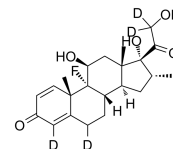
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Dexamethasone-d4

(Hexadecadrol-d4; Prednisolone F-d4)

Cat. No.: HY-14648S2

Dexamethasone-d4 is deuterium labeled Dexamethasone. Dexamethasone (Hexadecadrol) is a glucocorticoid receptor agonist. Dexamethasone also significantly decreases CD11b, CD18, and CD62L expression on neutrophils, and CD11b and CD18 expression on monocytes.



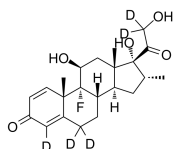
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Dexamethasone-d5

(Hexadecadrol-d5; Prednisolone F-d5)

Cat. No.: HY-14648S

Dexamethasone-d5 (Hexadecadrol-d5) is the deuterium labeled Dexamethasone. Dexamethasone (Hexadecadrol) is a glucocorticoid receptor agonist.



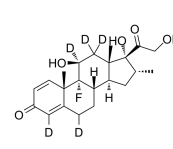
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 10 mg

Dexamethasone-d5-1

(Hexadecadrol-d5-1; Prednisolone F-d5-1)

Cat. No.: HY-14648S1

Dexamethasone-d5-1 is deuterium labeled Dexamethasone. Dexamethasone (Hexadecadrol) is a glucocorticoid receptor agonist. Dexamethasone also significantly decreases CD11b, CD18, and CD62L expression on neutrophils, and CD11b and CD18 expression on monocytes.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ecuzumab

(Anti-Human C5, Humanized Antibody)

Cat. No.: HY-P9914

Ecuzumab (Anti-Human C5, Humanized Antibody) is a long-acting humanized monoclonal antibody targeted against complement C5.

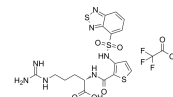
Ecuzumab

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

EG00229

Cat. No.: HY-10799

EG00229 is a **neuropilin 1 (NRP1) receptor** antagonist. EG00229 selectively inhibits VEGF-A binding to NRP1 b1 domain with an IC_{50} of 3 μ M, but has no effect on VEGFA binding to VEGFR-1 and VEGFR-2.

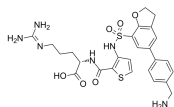


Purity: 98.89%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

EG01377

Cat. No.: HY-112151

EG01377 is a potent, bioavailable and selective inhibitor of **neuropilin-1 (NRP1)**, with a K_d of 1.32 μ M, and IC_{50} s of both 609 nM for NRP1-a1 and NRP1-b1. EG01377 has antiangiogenic, antimigratory, and antitumor effects.

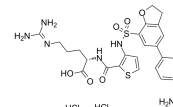


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

EG01377 dihydrochloride

Cat. No.: HY-112151A

EG01377 dihydrochloride is a potent, bioavailable and selective inhibitor of **neuropilin-1 (NRP1)**, with a K_d of 1.32 μ M, and IC_{50} s of 609 nM for both NRP1-a1 and NRP1-b1. EG01377 dihydrochloride has antiangiogenic, antimigratory, and antitumor effects.

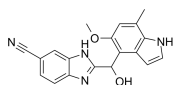


Purity: 98.21%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Factor B-IN-1

Cat. No.: HY-136556

Factor B-IN-1 is a **Factor B** inhibitor extracted from patent WO2013164802A1, Example 24.

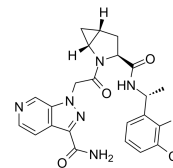


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Factor D inhibitor 6

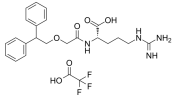
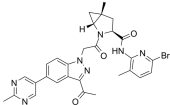
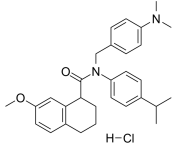
Cat. No.: HY-122700

Factor D inhibitor 6 is a potent, highly selective and orally active **factor D (FD)** inhibitor with an IC_{50} of 30 nM and a K_d of 6 nM.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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| <p>FD-IN-1</p> <p>Cat. No.: HY-128570</p> | <p>Iptacopan (LNP023)</p> <p>Cat. No.: HY-127105</p> |
| <p>FD-IN-1 (Compound 12) is an orally bioavailable and selective factor D (FD) inhibitor with an IC_{50} of 12 nM. Complement FD, a highly specific S1 serine protease, plays a central role in the alternative complement pathway of the innate immune system.</p> <p>Purity: 99.61% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> | <p>Iptacopan (LNP023) is a first-in-class, orally bioavailable, highly potent and highly selective factor B inhibitor with an IC_{50} value of 10 nM. Iptacopan shows direct, reversible, and high-affinity binding to human factor B with a K_D of 7.9 nM.</p> <p>Purity: 99.86% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> |
| <p>Iptacopan hydrochloride (LNP023 hydrochloride)</p> <p>Cat. No.: HY-127105A</p> | <p>JR14a</p> <p>Cat. No.: HY-138161</p> |
| <p>LNP023 hydrochloride is an orally bioavailable, highly potent and highly selective factor B inhibitor. LNP023 shows direct, reversible, and high-affinity binding to human factor B with a K_D of 7.9 nM. LNP023 inhibits factor B with an IC_{50} value of 10 nM.</p> <p>Purity: 99.93% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> | <p>JR14a is a potent thiophene antagonist of human complement C3a receptor. JR14a shows selectivity for the human C3a receptor over C5a receptor. JR14a can suppress C3aR-mediated inflammation.</p> <p>Purity: 98.52% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> |
| <p>Leukadherin-1</p> <p>Cat. No.: HY-15701</p> | <p>Lipoteichoic acid</p> <p>Cat. No.: HY-N9481</p> |
| <p>Leukadherin-1, a specific agonist of the leukocyte surface integrin CD11b/CD18, increases CD11b/CD18-dependent cell adhesion to fibrinogen with an EC_{50} of 4 μM.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> | <p>Lipoteichoic acid, a cell wall component of <i>Staphylococcus aureus</i>, activates the complement system via C3 induction and CD55 inhibition.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg</p> <p>Lipoteichoic acid</p> |
| <p>NDT 9513727</p> <p>Cat. No.: HY-110060</p> | <p>PMX 205</p> <p>Cat. No.: HY-110136</p> |
| <p>NDT 9513727 is a potent, selective, orally active and competitive inverse agonist of the human C5aR (C5a receptor), with an IC_{50} of 11.6 nM. NDT 9513727 can be used for the research of human inflammatory diseases.</p> <p>Purity: 99.42% Clinical Data: No Development Reported Size: 10 mg</p> | <p>PMX 205 is a potent complement C5a receptor (C5aR; CD88) antagonist.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> |
| <p>PMX 205 Trifluoroacetate</p> <p>Cat. No.: HY-110136A</p> | <p>PMX-53 (3D53)</p> <p>Cat. No.: HY-106178</p> |
| <p>PMX 205 Trifluoroacetate is a potent complement C5a receptor (C5aR; CD88) antagonist.</p> <p>Purity: 99.58% Clinical Data: No Development Reported Size: 500 μg, 1 mg, 5 mg</p> | <p>PMX-53 (3D53) is a synthetic peptidic and a potent and orally active complement C5a receptor (CD88) antagonist with an IC_{50} of 20 nM. PMX-53 is also a low-affinity MrgX2 agonist that stimulates MrgX2-mediated mast cell degranulation.</p> <p>Purity: 98.85% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</p> |

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| <p>POT-4 (AL-78898A) Cat. No.: HY-P3204</p> | <p>POT-4 TFA (AL-78898A TFA) Cat. No.: HY-P3204A</p> |
| <p>POT-4 (AL-78898A), a Compstatin derivative, is a potent inhibitor of complement factor C3 activation. POT-4 can be used for age-related macular degeneration research.</p> <p style="text-align: right;"><small>Ac-ICV(Trp(Me))QDWGAHRCT-NH₂ (Disulfide bridge:Cys₂-Cys₁₂)</small></p> <p>Purity: 99.63% Clinical Data: Phase 2 Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> | <p>POT-4 TFA (AL-78898A TFA), a Compstatin derivative, is a potent inhibitor of complement factor C3 activation. POT-4 TFA can be used for age-related macular degeneration research.</p> <p style="text-align: right;"><small>Ac-ICV(Trp(Me))QDWGAHRCT-NH₂ (Disulfide bridge:Cys₂-Cys₁₂) (TFA salt)</small></p> <p>Purity: >98% Clinical Data: Phase 2 Size: 1 mg, 5 mg</p> |
| <p>SB290157 trifluoroacetate Cat. No.: HY-101502A</p> | <p>TLQP-21 Cat. No.: HY-P1345</p> |
| <p>SB290157 trifluoroacetate is a potent and selective C3a receptor antagonist with an IC₅₀ of 200 nM.</p> <p style="text-align: center;"></p> <p>Purity: 99.87% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> | <p>TLQP-21, a VGF-derived peptide endowed of endocrine and extraendocrine properties, is a potent G-protein-coupled receptor complement-3a receptor 1 (C3aR1) agonist (EC₅₀: mouse TLQP-21=10.3 μM; human TLQP-21=68.8 μM).</p> <p style="text-align: right;"><small>TLQPPASSRRRRHFHHALPPAR</small></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> |
| <p>TLQP-21 TFA Cat. No.: HY-P1345A</p> | <p>Vemircopan (ALXN2050; ACH 0145228; ACH-5228) Cat. No.: HY-139588</p> |
| <p>TLQP-21 TFA, a VGF-derived peptide endowed of endocrine and extraendocrine properties, is a potent G-protein-coupled receptor complement-3a receptor1 (C3aR1) agonist (EC₅₀: mouse TLQP-21=10.3 μM; human TLQP-21=68.8 μM).</p> <p style="text-align: right;"><small>TLQPPASSRRRRHFHHALPPAR (TFA salt)</small></p> <p>Purity: 99.66% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p> | <p>Vemircopan (ALXN2050) is an orally active complement factor D inhibitor.</p> <p style="text-align: right;"></p> <p>Purity: 98.56% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> |
| <p>W-54011 Cat. No.: HY-16992A</p> | |
| <p>W-54011 is a potent and orally active non-peptide C5a receptor antagonist. W-54011 inhibits the binding of ¹²⁵I-labeled C5a to human neutrophils with a K_i value of 2.2 nM.</p> <p style="text-align: center;"></p> <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg</p> | |