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Inhibitors, Screening Libraries, Proteins

Aminopeptidase

Aminopeptidases catalyze the cleavage of amino acids from the amino terminus of protein or peptide substrates. Regarding catalytic mechanism, most of the aminopeptidases are metallo-enzymes but cysteine and serine peptidases are also included in this group. Aminopeptidases are widely distributed throughout the animal and plant kingdoms and are found in many subcellular organelles, in cytoplasm, and as membrane components. Several aminopeptidases perform essential cellular functions. Many, but not all, of these peptidases are zinc metalloenzymes and are inhibited by the transition-state analog bestatin. Some are monomeric, and others are assemblies of relatively high mass (50 kDa) subunits.

Functional roles of the angiotensin peptides of the renin-angiotensin system (RAS) cascade can be analyzed through their corresponding proteolytic regulatory enzymes aspartyl aminopeptidase (ASAP), aminopeptidase A (APA), aminopeptidase B (APB), aminopeptidase N (APN) and insulin-regulated aminopeptidase (IRAP). These enzyme activities generate active or inactive angiotensin peptides that alter the ratios between their bioactive forms, regulating several important processes such as the regulation of cardiovascular functions, body water regulation, normal memory consolidation and retrieval, but also cell growth, differentiation and apoptosis or the inflammatory response.

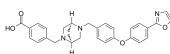
Aminopeptidase Inhibitors

Acebilustat

(CTX-4430)

Cat. No.: HY-17625

Acebilustat (CTX-4430) is a leukotriene A4 hydrolase inhibitor, used for an oral antiinflammatory drug.



Purity: 99.72%

Clinical Data: Phase 2

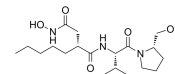
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Actinonin

(-)-Actinonin

Cat. No.: HY-113952

Actinonin ((-)-Actinonin) is a naturally occurring antibacterial agent produced by Actinomyces. Actinonin inhibits **aminopeptidase M**, **aminopeptidase N** and **leucine aminopeptidase**.



Purity: 99.30%

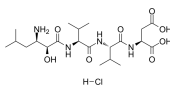
Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Amastatin hydrochloride

Cat. No.: HY-115194

Amastatin hydrochloride is a slow, tight binding, competitive **aminopeptidase (AP)** inhibitor with K_i values of 0.26 nM, 30 nM, 52 nM for Aeromonas aminopeptidase, cytosolic leucine aminopeptidase, microsomal aminopeptidase.



Purity: >98%

Clinical Data: No Development Reported

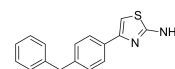
Size: 1 mg, 5 mg

ARM1

(4BSA)

Cat. No.: HY-W027340

ARM1 (4BSA) is a potent **aminopeptidase** and **epoxide hydrolase** inhibitor. ARM1 shows aminopeptidase inhibitory activity with an IC_{50} 7.61 μ M and epoxide hydrolase inhibitory activity with an IC_{50} 12.4 μ M.



Purity: >98%

Clinical Data: No Development Reported

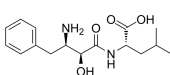
Size: 5 mg, 10 mg, 25 mg

Bestatin

(Ubenimex)

Cat. No.: HY-B0134

Bestatin is a natural, broad-spectrum, and competitive **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase** inhibitor. Bestatin has anticancer effects.



Purity: 99.97%

Clinical Data: Launched

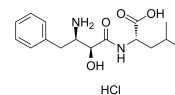
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Bestatin hydrochloride

(Ubenimex hydrochloride)

Cat. No.: HY-B0134A

Bestatin hydrochloride is an inhibitor of **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase**, used for cancer research.



Purity: 99.17%

Clinical Data: Launched

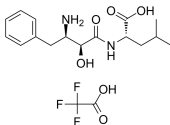
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Bestatin trifluoroacetate

(Ubenimex trifluoroacetate)

Cat. No.: HY-B0134B

Bestatin trifluoroacetate is an inhibitor of **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase**, used for cancer research.



Purity: >98%

Clinical Data: Launched

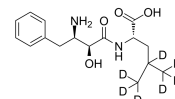
Size: 5 mg, 10 mg, 25 mg

Bestatin-d7

(Ubenimex-d7)

Cat. No.: HY-B0134S

Bestatin-d7 (Ubenimex-d7) is the deuterium labeled Bestatin. Bestatin is a natural, broad-spectrum, and competitive **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase** inhibitor. Bestatin has anticancer effects.



Purity: >98%

Clinical Data: No Development Reported

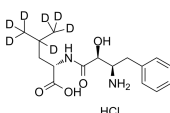
Size: 1 mg, 5 mg

Bestatin-d7 hydrochloride

(Ubenimex-d7 hydrochloride)

Cat. No.: HY-B0134AS

Bestatin-d7 (hydrochloride) is deuterium labeled Bestatin (hydrochloride). Bestatin hydrochloride is an inhibitor of **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase**, used for cancer research.



Purity: >98%

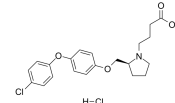
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

DG051

Cat. No.: HY-10825

DG051 is a potent **leukotriene A4 hydrolase** inhibitor of leukotriene B4 biosynthesis in the enzyme assay with an IC_{50} =47 nM.



Purity: 99.76%

Clinical Data: No Development Reported

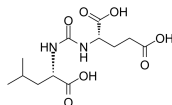
Size: 10 mM × 1 mL, 1 mg, 5 mg

<p>Firibastat (QGC001; RB150)</p>	<p>HFI-142</p>
<p>Firibastat (QGC001), an orally active brain penetrating prodrug of EC33, is a first-in-class brain aminopeptidase A (APA) inhibitor ($K_i=200$ nM).</p> <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>HFI-142 is an insulin-regulated aminopeptidase (IRAP) inhibitor with a K_i of 2.01 μM.</p> <p>Purity: 98.19% Clinical Data: No Development Reported Size: 5 mg</p>
<p>LTA4H-IN-1</p>	<p>Methyl arachidate (Methyl eicosanoate)</p>
<p>LTA4H-IN-1 is a potent inhibitor of leukotriene A4 hydrolase (LTA4H) extracted from patent WO2015092740A1, example 29, has an IC_{50} of 2 nM. LTA4H-IN-1 can be used for the research of inflammatory and autoimmune disorders.</p> <p>Purity: 98.88% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Methyl arachidate (Methyl eicosanoate), a natural compound, is a leukotriene A4 hydrolase (LTA4H) inhibitor.</p> <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 500 mg</p>
<p>NGR peptide Trifluoroacetate</p>	<p>Puromycin aminonucleoside (NSC 3056)</p>
<p>NGR peptide Trifluoroacetate containing the asparagine-glycine-arginine (NGR) motif is recognized by CD13/aminopeptidase N (APN) receptor isoforms that are selectively overexpressed in tumor neovasculature.</p> <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg</p>	<p>Puromycin aminonucleoside (NSC 3056) is the aminonucleoside portion of the antibiotic puromycin, and used in nephrosis animal models. Puromycin aminonucleoside induces apoptosis.</p> <p>Purity: 99.67% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg, 1 g</p>
<p>SC-57461A</p>	<p>TNP-470 (AGM-1470)</p>
<p>SC-57461A is a potent, orally active, nonpeptide, and selective inhibitor of Leukotriene A4 (LTA4) hydrolase with IC_{50}s of 2.5 nM, 3 nM, and 23 nM for recombinant human, mouse, and rat LTA4 hydrolase, respectively.</p> <p>Purity: 98.09% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>TNP-470 is a methionine aminopeptidase-2 inhibitor and also an angiogenesis inhibitor.</p> <p>Purity: 99.30% Clinical Data: Phase 2 Size: 1 mg, 5 mg, 10 mg</p>
<p>Tosedostat (CHR-2797)</p>	<p>Tosedostat-d5</p>
<p>Tosedostat (CHR-2797) is an orally active aminopeptidase inhibitor. CHR-2797 exerts antiproliferative effects against a range of tumor cell lines.</p> <p>Purity: 99.75% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Tosedostat-d5 (CHR-2797-d5) is the deuterium labeled Tosedostat. Tosedostat (CHR-2797) is an orally active aminopeptidase inhibitor. CHR-2797 exerts antiproliferative effects against a range of tumor cell lines.</p> <p>Purity: >98% Clinical Data: Size: 1 mg, 5 mg, 10 mg</p>

ZJ43

Cat. No.: HY-103344

ZJ43 is a potent **NAAG peptidase** inhibitor, with an IC_{50} of 2.4 nM and a K_i of 0.8 nM. ZJ43 sufficiently activates group II mGluR and reduces some of the behavioral effects of PCP. ZJ43 shows an analgesic effect in neuropathic and inflammatory and pain models.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg