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Inhibitors, Screening Libraries, Proteins

# MicroRNA

## miRNA

MicroRNAs (miRNAs) are a naturally occurring class of small (approximately 22 nucleotides long) non-coding RNAs that regulate post-transcriptional gene expression to control cellular processes, development, cell differentiation, and homeostasis. MicroRNAs are essential for embryo, cell, and tissue development, regulating cell differentiation, proliferation, and apoptosis, hence their importance in human reproduction. Meanwhile, abnormal expression or function of miRNAs are found to be closely associated with the occurrence or development of various human diseases, including cancers. In light of their significant roles in physiology and pathology, miRNAs are emerging as novel biomolecular targets for chemical-biological studies, including regulation and detection.

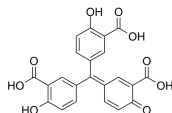
Multiple steps are involved in the generation of miRNAs. Most miRNAs are produced by the canonical biogenesis pathway, which involves transcription by RNA polymerase II to make a primary transcript (pri-miRNA) and cleavage by the microprocessor complex to yield a hairpin precursor miRNA (pre-miRNA) in the nucleus. The pre-miRNA is then exported into the cytoplasm, where cleavage by the enzyme Dicer creates a double-stranded RNA duplex. Only a single strand from the double-stranded RNA duplex forms the mature miRNA and is incorporated into the RNA-induced silencing complex (RISC), which guides the binding of Argonaute (AGO) proteins in the RISC to the 3' untranslated region (UTR) to either repress protein translation or promote mRNA degradation. In addition to canonical miRNA biogenesis pathways, non-canonical microprocessor-independent or Dicer-independent miRNA biogenesis pathways also exist. Despite miRNAs being mostly involved in the down-regulation of gene expression, there are reports of miRNAs promoting gene expression. In addition, relationships between miRNAs and their targets are not always one-to-one in a specific cell type. In fact, a single miRNA may regulate many mRNA targets, and conversely, a single mRNA target also can be regulated by many miRNAs.

## MicroRNA Inhibitors, Agonists, Antagonists, Activators & Modulators

### Aurintricarboxylic acid

Cat. No.: HY-122575

Aurintricarboxylic acid is a nanomolar-potency, allosteric antagonist with selectivity towards  $\alpha\beta$ -methylene-ATP-sensitive P2X1Rs and P2X3Rs, with  $IC_{50}$ s of 8.6 nM and 72.9 nM for rP2X1R and rP2X3R, respectively.



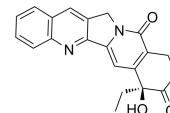
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 100 mg

### Camptothecin

(Campathecin; (S)-(-)-Camptothecin; CPT)

Cat. No.: HY-16560

Camptothecin (CPT), a kind of alkaloid, is a **DNA topoisomerase I (Topo I) inhibitor** with an  $IC_{50}$  of 679 nM.



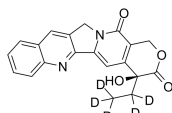
**Purity:** 99.69%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 100 mg, 500 mg

### Camptothecin-d5

(Campathecin-d5; (S)-(-)-Camptothecin-d5; CPT-d5)

Cat. No.: HY-16560S

Camptothecin-d5 (Campathecin-d5) is the deuterium labeled Camptothecin. Camptothecin (CPT), a kind of alkaloid, is a **DNA topoisomerase I (Topo I) inhibitor** with an  $IC_{50}$  of 679 nM.

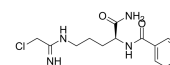


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Cl-amidine

Cat. No.: HY-100574

Cl-amidine is an orally active **peptidylarginine deminase (PAD) inhibitor**, with  $IC_{50}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. Cl-amidine induces apoptosis in cancer cells.

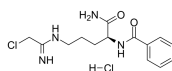


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Cl-amidine hydrochloride

Cat. No.: HY-100574A

Cl-amidine hydrochloride is an orally active **peptidylarginine deminase (PAD) inhibitor**, with  $IC_{50}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. Cl-amidine hydrochloride induces apoptosis in cancer cells.

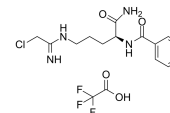


**Purity:** 99.10%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Cl-amidine TFA

Cat. No.: HY-100574B

Cl-amidine TFA is an orally active **peptidylarginine deminase (PAD) inhibitor**, with  $IC_{50}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. Cl-amidine TFA induces apoptosis in cancer cells.



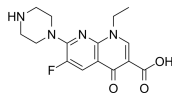
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Enoxacin

(AT 2266; CI 919)

Cat. No.: HY-B0268

Enoxacin (AT 2266), a fluoroquinolone, interferes with **DNA replication** and inhibits bacterial DNA gyrase ( $IC_{50}$ =126  $\mu$ g/ml) and topoisomerase IV ( $IC_{50}$ =26.5  $\mu$ g/ml).

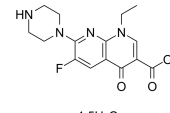


**Purity:** 98.67%  
**Clinical Data:** Launched  
**Size:** 1 mg, 5 mg

### Enoxacin hydrate

(Enoxacin sesquihydrate; AT-2266 hydrate; CI-919 hydrate) Cat. No.: HY-B0268A

Enoxacin hydrate (Enoxacin sesquihydrate), a fluoroquinolone, interferes with **DNA replication** and inhibits bacterial DNA gyrase ( $IC_{50}$ =126  $\mu$ g/ml) and topoisomerase IV ( $IC_{50}$ =26.5  $\mu$ g/ml).

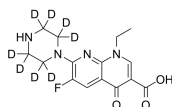


**Purity:** 98.15%  
**Clinical Data:** Launched  
**Size:** 100 mg, 500 mg

### Enoxacin-d8

Cat. No.: HY-B0268S

Enoxacin-d8 (AT 2266-d8) is the deuterium labeled Enoxacin. Enoxacin (AT 2266), a fluoroquinolone, interferes with **DNA replication** and inhibits bacterial DNA gyrase ( $IC_{50}$ =126  $\mu$ g/ml) and topoisomerase IV ( $IC_{50}$ =26.5  $\mu$ g/ml).

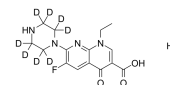


**Purity:** >98%  
**Clinical Data:**  
**Size:** 2.5 mg, 25 mg

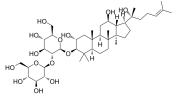
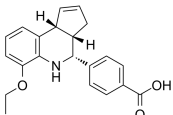
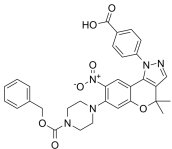
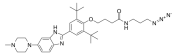
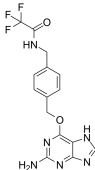
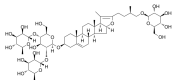
### Enoxacin-d8 hydrochloride

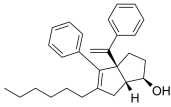
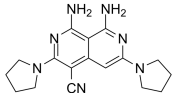
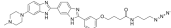
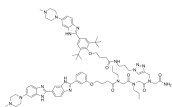
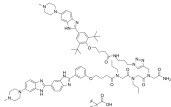
Cat. No.: HY-B0268S1

Enoxacin-d8 (hydrochloride) is deuterium labeled Enoxacin. Enoxacin (AT 2266), a fluoroquinolone, interferes with **DNA replication** and inhibits bacterial DNA gyrase ( $IC_{50}$ =126  $\mu$ g/ml) and topoisomerase IV ( $IC_{50}$ =26.5  $\mu$ g/ml).



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

<p><b>Gypenoside LI</b></p> <p>Cat. No.: HY-N8207</p>	<p><b>Lademirsen</b> (SAR339375; RG-012)</p> <p>Cat. No.: HY-132599</p>
<p>Gypenoside LI, a gypenoside monomer, possesses anti-tumor activity. Gypenoside LI induces cell apoptosis, cell cycle and migration.</p>  <p><b>Purity:</b> 98.29% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg</p>	<p>Lademirsen (SAR339375; RG-012) is a highly specific antisense oligonucleotide (ASO) targeting miR-21. Lademirsen has the potential for Alport nephropathy research.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p style="text-align: right;"><b>Lademirsen</b></p>
<p><b>LIN28 inhibitor LI71</b></p> <p>Cat. No.: HY-123905</p>	<p><b>Lin28-let-7a antagonist 1</b></p> <p>Cat. No.: HY-100692</p>
<p>LIN28 inhibitor LI71 is a potent and cell-permeable LIN28 inhibitor, which abolishes LIN28-mediated oligouridylation with an IC<sub>50</sub> of 7 uM.</p>  <p><b>Purity:</b> 98.10% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Lin28-let-7a antagonist 1 shows a clear antagonistic effect against the Lin28-let-7a interaction with an IC<sub>50</sub> of 4.03 μM for Lin28A-let-7a-1 interaction.</p>  <p><b>Purity:</b> 99.62% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>MIR96-IN-1</b></p> <p>Cat. No.: HY-15843</p>	<p><b>Miravirsen</b> (SPC-3649)</p> <p>Cat. No.: HY-132598</p>
<p>MIR96-IN-1 targets the Drosha site in the miR-96 (miRNA-96, microRNA-96) hairpin precursor, inhibiting its biogenesis, derepressing downstream targets, and triggering apoptosis in breast cancer cells.</p>  <p><b>Purity:</b> 95.82% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Miravirsen (SPC-3649), a β-d-oxy-locked nucleic acid-modified phosphorothioate antisense oligonucleotide, inhibit the biogenesis of miR-122. Miravirsen (SPC-3649) is used in the study for HCV infections.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg</p> <p style="text-align: right;"><b>Miravirsen</b></p>
<p><b>MTL-CEBPA</b></p> <p>Cat. No.: HY-132607</p>	<p><b>PIN1 inhibitor API-1</b></p> <p>Cat. No.: HY-116716</p>
<p>MTL-CEBPA is a small activating RNA targeting for upregulation of C/EBPα. MTL-CEBPA has anti-inflammatory and anti-cancer activity.</p> <p style="text-align: center;"><b>MTL-CEBPA</b></p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p>PIN1 inhibitor API-1 is a specific Pin1 (peptidyl-prolyl cis-trans isomerase NIMA-interacting 1) inhibitor (API-1) with an IC<sub>50</sub> of 72.3 nM.</p>  <p><b>Purity:</b> 97.03% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>Pseudoprotodioscin</b></p> <p>Cat. No.: HY-N0686</p>	<p><b>Remlarsen</b> (MRG-201)</p> <p>Cat. No.: HY-132602</p>
<p>Pseudoprotodioscin, a furostanoside, inhibits SREBP1/2 and microRNA 33a/b levels and reduces the gene expression regarding the synthesis of cholesterol and triglycerides.</p>  <p><b>Purity:</b> 98.76% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 20 mg</p>	<p>Remlarsen (MRG-201), a miR-29b mimic, acts a miR-29b agonist. Remlarsen has the potential for preventing formation of a fibrotic scar or cutaneous fibrosis.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p style="text-align: right;"><b>Remlarsen</b></p>

<p><b>RG-101</b></p> <p style="text-align: right;">Cat. No.: HY-132600</p>	<p><b>RJW100</b></p> <p style="text-align: right;">Cat. No.: HY-131445</p>
<p>RG-101 is a hepatocyte targeted N-acetylgalactosamine conjugated oligonucleotide that antagonises miR-122. miR-122 is an important host factor for hepatitis C virus (HCV) replication.</p> <p style="text-align: center;"><b>RG-101</b></p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>RJW100 is a potent liver receptor homolog 1 (LRH-1, NR5A2) and steroidogenic factor-1 (SF-1, NR5A1) agonist with pEC<sub>50</sub>s of 6.6 and 7.5, respectively. RJW100 also causes strong activation of the miR-200c (miRNA-200c, microRNA-200c) promoter.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg</p> 
<p><b>SID 3712249</b> (MiR-544 Inhibitor 1)</p> <p style="text-align: right;">Cat. No.: HY-19731</p>	<p><b>Targapremir-210</b> (TGP-210)</p> <p style="text-align: right;">Cat. No.: HY-15861</p>
<p>SID 3712249 (MiR-544 Inhibitor 1) is an inhibitor of the biogenesis of microRNA-544 (miR-544). Target: MiR-544 MiR-544 represses expression of mTOR, promoting tumor cell survival in a hypoxic environment.</p>  <p><b>Purity:</b> 98.35%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Targapremir-210 (TGP-210) is a potent and selective miR-210 (miRNA-210, microRNA-210) inhibitor. Targapremir-210 inhibits pre-miR-210 processing with high binding affinity (K<sub>d</sub>~200 nM).</p>  <p><b>Purity:</b> 98.02%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>Targaprimir-96</b></p> <p style="text-align: right;">Cat. No.: HY-135276</p>	<p><b>Targaprimir-96 TFA</b></p> <p style="text-align: right;">Cat. No.: HY-135276A</p>
<p>Targaprimir-96 is a potent inhibitor of microRNA-96 (miR-96) processing. Targaprimir-96 selectively modulates miR-96 production in cancer cells and triggers apoptosis. Targaprimir-96 binds primary miR-96 (pri-miR-96) with low nanomolar affinity.</p>  <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>Targaprimir-96 TFA is a potent inhibitor of microRNA-96 (miR-96) processing. Targaprimir-96 TFA selectively modulates miR-96 production in cancer cells and triggers apoptosis. Targaprimir-96 TFA binds primary miR-96 (pri-miR-96) with low nanomolar affinity.</p>  <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>