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Inhibitors, Agonists, Screening Libraries

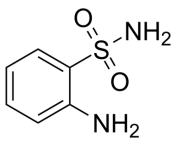
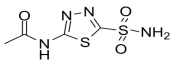
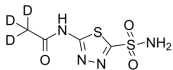
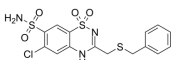
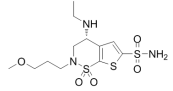
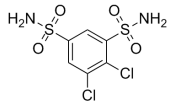
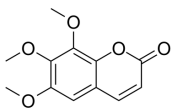
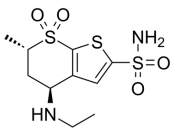
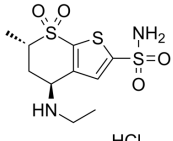
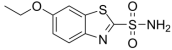
# Carbonic Anhydrase

## Carbonate dehydratase

Carbonic anhydrase is a zinc-containing enzyme that catalyzes the reversible hydration of carbon dioxide:  $\text{CO}_2 + \text{H}_2\text{O} \rightleftharpoons \text{HCO}_3^- + \text{H}^+$ . The enzyme is the target for drugs, such as Acetazolamide, Methazolamide, and Dichlorphenamide, for the treatment of glaucoma. There are three evolutionarily unrelated CA families, designated alpha, beta, and gamma. All known CAs from the animal kingdom are of the alpha type. There are seven mammalian CA isozymes with different tissue distributions and intracellular locations, CA I-VII.

Carbonic anhydrase is one of the core enzyme in organism, which involves in osmoregulation, ionic regulation, acid-base regulation and other physiological and biochemical process.

## Carbonic Anhydrase Inhibitors

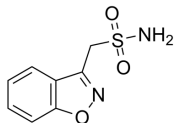
<p><b>2-Aminobenzenesulfonamide</b> (Orthanilamide) <span style="float: right;">Cat. No.: HY-B2147</span></p> <p>2-Aminobenzenesulfonamide is a <b>carbonic anhydrase IX</b> inhibitor.</p>  <p><b>Purity:</b> 99.88% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 100 mg</p>	<p><b>Acetazolamide</b> <span style="float: right;">Cat. No.: HY-B0782</span></p> <p>Acetazolamide is a <b>carbonic anhydrase (CA) IX</b> inhibitor with an <math>IC_{50}</math> of 30 nM for hCA IX. Diuretic effects.</p>  <p><b>Purity:</b> 99.97% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 500 mg, 1 g, 5 g</p>
<p><b>Acetazolamide D3</b> <span style="float: right;">Cat. No.: HY-B0782S</span></p> <p>Acetazolamide D3 is deuterium labeled Acetazolamide, which is a potent carbonic anhydrase (CA) inhibitor.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p><b>Benzthiazide</b> <span style="float: right;">Cat. No.: HY-B1424</span></p> <p>Benzthiazide is a long-acting diuretic and a hypertension agent. Benzthiazide is an inhibitor of <b>carbonic anhydrase 9 (CA9)</b>, with <math>K_i</math>s of 8.0, 8.8 and 10 nM for CA9, CA2 and CA1, respectively. Benzthiazide also suppresses proliferation of cancer cells.</p>  <p><b>Purity:</b> 99.40% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 100 mg, 500 mg</p>
<p><b>Brinzolamide</b> (AL-4862) <span style="float: right;">Cat. No.: HY-B0588</span></p> <p>Brinzolamide(AL 4862) is a potent carbonic anhydrase II inhibitor with <math>IC_{50}</math> of 3.19 nM.</p>  <p><b>Purity:</b> 99.33% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p><b>Dichlorphenamide</b> (Diclofenamide) <span style="float: right;">Cat. No.: HY-B0397</span></p> <p>Dichlorphenamide(Diclofenamide) is a carbonic anhydrase inhibitor that is used in the treatment of glaucoma.</p>  <p><b>Purity:</b> 98.39% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>Dimethylfraxetin</b> (6,7,8-Trimethoxycoumarin; Fraxetin dimethyl ether) <span style="float: right;">Cat. No.: HY-N0085</span></p> <p>Dimethylfraxetin is a <b>Carbonic anhydrase</b> inhibitor, with a <math>K_i</math> value of 0.0097 <math>\mu</math>M.</p>  <p><b>Purity:</b> 99.97% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>	<p><b>Dorzolamide</b> (L671152; MK507) <span style="float: right;">Cat. No.: HY-B0109</span></p> <p>Dorzolamide (L671152) is a potent <b>carbonic anhydrase II</b> inhibitor, with <math>IC_{50}</math> values of 0.18 nM and 600 nM for red blood cell CA-II and CA-I respectively. Dorzolamide possesses anti-tumor activity.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> Launched <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Dorzolamide hydrochloride</b> (L671152 hydrochloride; MK507 hydrochloride) <span style="float: right;">Cat. No.: HY-B0109A</span></p> <p>Dorzolamide (L671152) hydrochloride is a potent <b>carbonic anhydrase II</b> inhibitor, with <math>IC_{50}</math> values of 0.18 nM and 600 nM for red blood cell CA-II and CA-I respectively. Dorzolamide possesses anti-tumor activity.</p>  <p><b>Purity:</b> 99.91% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p><b>Ethoxzolamide</b> (Redupresin; L-643786; PNU-4191) <span style="float: right;">Cat. No.: HY-B1480</span></p> <p>Ethoxzolamide is a <b>carbonic anhydrase</b> inhibitor with <math>K_i</math> of 1 nM.</p>  <p><b>Purity:</b> 99.43% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 100 mg</p>

<p><b>Fluorometholone acetate</b></p> <p>Cat. No.: HY-B1471</p>	<p><b>Halazone</b></p> <p>Cat. No.: HY-B1386</p>
<p>Fluorometholone acetate is a synthetic glucocorticoid corticosteroid and a corticosteroid ester. Fluorometholone acetate potently inhibits <b>carbonic anhydrase (CA)</b> with <math>IC_{50}</math>s of 2.18 <math>\mu</math>M and 17.5 <math>\mu</math>M for hCA-I and hCA-II, respectively.</p> <p><b>Purity:</b> &gt;98.0%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 100 mg</p>	<p>Halazone is an atypical antimicrobial sulfonamide derivative and a <b>carbonic anhydrase II</b> inhibitor with a <math>K_d</math> value of 1.45 <math>\mu</math>M. Halazone protects <b>sodium channels</b> from inactivation. Halazone is widely used for disinfection of drinking water.</p> <p><b>Purity:</b> &gt;90.0%  <b>Clinical Data:</b> Launched  <b>Size:</b> 50 mg, 100 mg, 250 mg, 500 mg</p>
<p><b>Indisulam</b> (E 7070)</p> <p>Cat. No.: HY-13650</p>	<p><b>Methazolamide</b> (L584601)</p> <p>Cat. No.: HY-B0553</p>
<p>Indisulam (E 7070) is a <b>carbonic anhydrase</b> inhibitor with anticancer activity. Indisulam (E 7070) is a sulfonamide agent that targets the <b>G1 phase</b> of the cell cycle.</p> <p><b>Purity:</b> 99.35%  <b>Clinical Data:</b> Phase 2  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Methazolamide (L584601) is a sulfonamide derivative used as a <b>carbonic anhydrase</b> inhibitor with a <math>K_i</math> of 14 nM for human carbonic anhydrase II.</p> <p><b>Purity:</b> 99.80%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 100 mg, 500 mg, 1 g, 5 g</p>
<p><b>Methyclothiazide</b></p> <p>Cat. No.: HY-B0562</p>	<p><b>Sultiame</b></p> <p>Cat. No.: HY-108316</p>
<p>Methyclothiazide is an orally active <b>antihypertensive agent</b> and a <b>diuretic agent</b>.</p> <p><b>Purity:</b> 99.72%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 25 mg, 50 mg</p>	<p>Sultiame is a <b>carbonic anhydrase</b> inhibitor, widely used as an antiepileptic drug.</p> <p><b>Purity:</b> 99.11%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg</p>
<p><b>Tioxolone</b></p> <p>Cat. No.: HY-B0483</p>	<p><b>Topiramate</b> (McN 4853; RWJ 17021)</p> <p>Cat. No.: HY-B0122</p>
<p>Tioxolone, a metalloenzyme carbonic anhydrase I inhibitor, is an anti-acne preparation. Target: Carbonic Anhydrase Tioxolone is a metalloenzyme carbonic anhydrase I inhibitor with a <math>K_i</math> of 91 nM.</p> <p><b>Purity:</b> 98.83%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 500 mg, 1 g</p>	<p>Topiramate (McN 4853) is a broad-spectrum antiepileptic agent. Topiramate is a <b>GluR5 receptor</b> antagonist.</p> <p><b>Purity:</b> &gt;98.0%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 100 mg, 500 mg</p>
<p><b>Topiramate D12</b> (McN 4853 D12 ; RWJ 17021 D12)</p> <p>Cat. No.: HY-110234</p>	<p><b>U-104</b> (SLC-0111)</p> <p>Cat. No.: HY-13513</p>
<p>Topiramate D12 (McN 4853 D12) is a deuterium labeled Topiramate. Topiramate is a broad-spectrum antiepileptic agent. Topiramate is a <b>GluR5 receptor</b> antagonist.</p> <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg, 10 mg, 25 mg</p>	<p>U-104 (SLC-0111) is a potent <b>carbonic anhydrase (CA)</b> inhibitor for CA IX and CA XII with <math>K_i</math> values of 45.1 nM and 4.5 nM, respectively. U-104 shows a significant delay in tumor growth in mice model.</p> <p><b>Purity:</b> 99.91%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg, 100 mg, 500 mg</p>

**Zonisamide**  
(AD 810; CI 912)

Cat. No.: HY-B0124

Zonisamide (AD 810; CI 912) is an inhibitor of zinc enzyme **carbonic anhydrase (CA)**, with  $K_{iS}$  of 35.2 nM and 20.6 nM for human mitochondrial isozyme hCA II and hCA V, respectively. Zonisamide has antiepileptic activity.

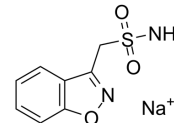


**Purity:** 99.85%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 200 mg, 500 mg

**Zonisamide sodium**  
(AD 810 sodium; CI 912 sodium)

Cat. No.: HY-B0124A

Zonisamide sodium (AD 810 sodium; CI 912 sodium) is an inhibitor of zinc enzyme **carbonic anhydrase (CA)**, with  $K_{iS}$  of 35.2 nM and 20.6 nM for human mitochondrial isozyme hCA II and hCA V, respectively. Zonisamide sodium has antiepileptic activity.



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