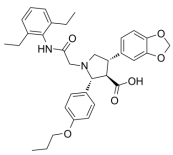
Endothelin Receptor

Endothelin receptors are G protein-coupled receptors whose activation results in elevation of intracellular-free calcium. There are at least four type known, ETA, ETB1, ETB2 and ETC. ETA is a subtype for vasoconstriction. These receptors are found in the smooth muscle tissue of blood vessels, and binding of endothelin to ETA increases vasoconstriction (contraction of the blood vessel walls) and the retention of sodium, leading to increased blood pressure. ETB1 mediates vasodilation, when endothelin binds to ETB1 receptors, this leads to the release of nitric oxide (also called endothelium-derived relaxing factor), natriuresis and diuresis (the production and elimination of urine) and mechanisms that lower blood pressure. ETB2 mediates vasoconstriction. ETC has yet no clearly defined function. ET receptors are also found in the nervous system where they may mediate neurotransmission and vascular functions.

A-192621

Cat. No.: HY-120295

A-192621 is a potent, nonpeptide, orally active and selective **endothelin B (ET_B) receptor** antagonist with an **IC_{50}** of 4.5 nM and a **K_i** of 8.8 nM. The selectivity of A-192621 is 636-fold higher than ET_A (**IC_{50}** of 4280 nM and **K_i** of 5600 nM). A-192621 promotes **apoptosis** in PASMCs.



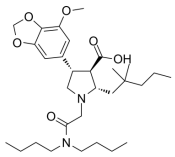
Purity: >99.0%
Clinical Data: No Development Reported
Size: 5 mg

ABT-546

(A-216546)

Cat. No.: HY-135283

ABT-546 (A-216546) is a potent, highly selective and active **endothelin ET_A receptor** antagonist with a **K_i** of 0.46 nM for [^{125}I]endothelin-1 binding to cloned **human endothelin ET_A**. ABT-546 is 25,000-fold more selective for the **ET_A receptor** than for the ET_B receptor.



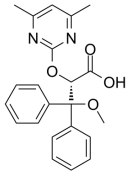
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ambrisentan

(BSF 208075; LU 208075)

Cat. No.: HY-13209

Ambrisentan is a selective ET type A receptor (**ETAR**) antagonist.



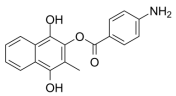
Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Aminaftone

(Aminaftone; Aminaphthone)

Cat. No.: HY-19890

Aminaftone, a derivative of 4-aminobenzoic acid, downregulates **endothelin-1** (**ET-1**) production in vitro by interfering with the transcription of the pre-pro-ET-1 gene.



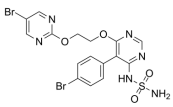
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Aprocitentan

(ACT-132577)

Cat. No.: HY-15895

Aprocitentan (ACT-132577) is the major and pharmacologically active metabolite of Macitentan. Aprocitentan is dual **ETA/ETB** antagonist with **IC_{50}**s of 3.4 nM and 987 nM, and **pA_2** valus of 6.7 and 5.5, respectively.



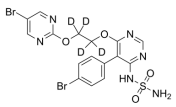
Purity: 98.13%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Aprocitentan D4

(ACT-132577 D4)

Cat. No.: HY-15895S

Aprocitentan D4 (ACT-132577 D4) is a deuterium labeled Aprocitentan. Aprocitentan is a major and pharmacologically active metabolite of Macitentan. Aprocitentan is dual **ETA/ETB** antagonist with **IC_{50}**s of 3.4 nM and 987 nM, and **pA_2** valus of 6.7 and 5.5, respectively.



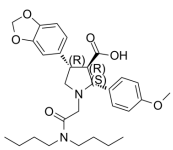
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Atrasentan

(ABT-627; (+)-A 127722; A-147627)

Cat. No.: HY-15403

Atrasentan (ABT-627) is an **endothelin receptor** antagonist with **IC_{50}** of 0.0551 nM for ET_A.

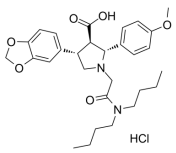


Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

Atrasentan hydrochloride (ABT-627 hydrochloride; (+)-A 127722 hydrochloride; A-147627 hydrochloride)

Cat. No.: HY-15403A

Atrasentan hydrochloride (ABT-627 hydrochloride) is a selective **endothelin A receptor** antagonist with an **IC_{50}** of 0.0551 nM for ET_A.

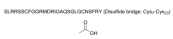


Purity: 99.80%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Atrial Natriuretic Peptide (ANP) (1-28), human, porcine Acetate

Cat. No.: HY-P1235A

Atrial Natriuretic Peptide (ANP) (1-28), human, porcine Acetate is a 28-amino acid hormone, that is normally produced and secreted by the human heart in response to cardiac injury and mechanical stretch. ANP (1-28) inhibits **endothelin-1** secretion in a dose-dependent way.



Purity: 99.27%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg

Atrial Natriuretic Peptide (ANP) (1-28), rat

(Atrial natriuretic factor (1-28) (rat))

Cat. No.: HY-P1236

Atrial Natriuretic Peptide (ANP) (1-28), rat is a major circulating form of ANP in rats, potently inhibits Angiotensin II (Ang II)-stimulated **endothelin-1** secretion in a concentration-dependent manner.

Purity: 95.52%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Atrial Natriuretic Peptide (ANP) (1-28), rat TFA

(Atrial natriuretic factor (1-28) (rat) TFA) Cat. No.: HY-P1236A

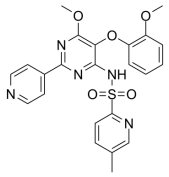
Atrial Natriuretic Peptide (ANP) (1-28), rat (TFA) is a major circulating form of ANP in rats, potently inhibits Angiotensin II (Ang II)-stimulated **endothelin-1** secretion in a concentration-dependent manner.

Purity: 98.74%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg

Avosentan

(Ro 67-0565; SPP-301) Cat. No.: HY-15195

Avosentan(Ro 67-0565; SPP-301) is a potent, selective endothelin receptor(ETA receptor) antagonist. IC50 value: Target: ETA receptor.

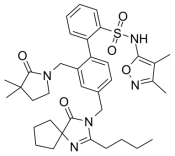


Purity: 98.36%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

BMS-248360

Cat. No.: HY-114953

BMS-248360 is a potent and orally active dual antagonist of both **angiotensin II receptor (AT1)** and **endothelin A (ET_A) receptor**, with K_is of 10 nM and 1.9 nM for hAT1 and hETA receptor, respectively. BMS-248360 displays hypertensive effects.

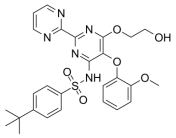


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Bosentan

Cat. No.: HY-A0013

Bosentan is a competitive and dual antagonist of **endothelin-1 (ET)** for the ET_A and ET_B receptors with K_i of 4.7 nM and 95 nM in human SMC, respectively.

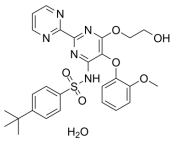


Purity: 99.93%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg

Bosentan (hydrate)

Cat. No.: HY-A0013A

Bosentan hydrate is a competitive and dual antagonist of **endothelin-1 (ET)** for the ET_A and ET_B receptors with K_i of 4.7 nM and 95 nM in human SMC, respectively.

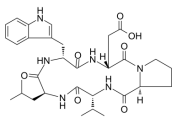


Purity: 99.71%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 5 g

BQ-123

Cat. No.: HY-12378

BQ-123 is a potent and selective **endothelin A (ETA) receptor** antagonist with an IC_{50} of 7.3 nM and a K_i of 25 nM. BQ-123 inhibits endothelin-1-mediated proliferation of human pulmonary artery smooth muscle cells and lowers blood pressure in different rat models of hypertension.

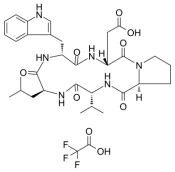


Purity: 99.86%
Clinical Data: Phase 4
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

BQ-123 TFA

Cat. No.: HY-12378A

BQ-123 TFA is a potent and selective **endothelin A (ETA) receptor** antagonist with an IC_{50} of 7.3 nM and a K_i of 25 nM.



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

BQ-3020 TFA

Cat. No.: HY-P1016A

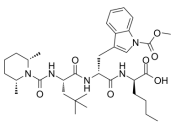
BQ-3020 (TFA) is a selective agonist of **ET_B receptor**, inhibits [^{125}I]ET-1 binding to ET_B receptor with an IC_{50} of 0.2 nM in cerebellum, and causes vasoconstriction.

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

BQ-788

Cat. No.: HY-15894A

BQ-788 is a potent, selective **ETB receptor** antagonist with IC_{50} of 1.2 nM for inhibition of ET-1 binding to human Girardi heart cells, poorly inhibiting the binding to ETA receptors in human neuroblastoma cell line SK-N-MC cells with IC_{50} of 1300 nM.

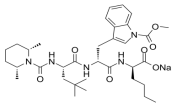


Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg

BQ-788 sodium salt

Cat. No.: HY-15894

BQ-788 sodium salt is a potent and selective **ETB receptor** antagonist, inhibiting ET-1 binding to ETB receptors with an IC_{50} of 1.2 nM in human Girrardi heart cells.



Purity: 98.03%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Carperitide

(Atrial Natriuretic Peptide (ANP) (1-28), human, porcine) Cat. No.: HY-P1235

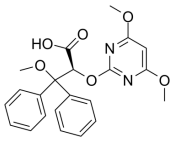
Carperitide (Atrial Natriuretic Peptide (ANP) (1-28), human, porcine) is a 28-amino acid hormone, that is normally produced and secreted by the human heart in response to cardiac injury and mechanical stretch.

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

Darusentan

(Lu-135252) Cat. No.: HY-15404

Darusentan (Lu-135252) is a selective **endothelin receptor A (ET-A) receptor** antagonist, which binds with a K_i of 1.4 nM to the ET-A receptor and a K_i of 184 nM to ET-B receptor, respectively with a 100-fold selectivity for ETA rather than ETB receptors.



Purity: 98.66%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Endothelin 1 (swine, human)

Cat. No.: HY-P0202

Endothelin 1 (swine, human) is a synthetic peptide with the sequence of human and swine Endothelin 1, which is a potent endogenous vasoconstrictor. Endothelin 1 acts through two types of receptors ET_A and ET_B.

CSCSSLMDKECVYFCHLDIIW(Disulfide bridge: Cys1-Cys15,Cys3-Cys11)

Purity: 96.35%
Clinical Data: No Development Reported
Size: 500 µg, 1 mg, 5 mg, 10 mg

Endothelin 1 (swine, human), Alexa Fluor 488-labeled

Cat. No.: HY-P2496

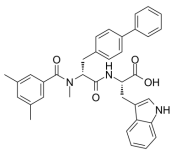
Endothelin 1 (swine, human), Alexa Fluor 488-labeled is a synthetic Endothelin 1 peptide labled with Alexa Fluor 488. Endothelin 1 (swine, human) is a synthetic peptide with the sequence of human and swine Endothelin 1, which is a potent endogenous **vasoconstrictor**.

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

IRL 2500

Cat. No.: HY-103460

IRL 2500 is a potent **Endothelin receptor** antagonist. IRL 2500 shows IC_{50} values of 1.3 and 94 nM for ET_B and ET_A receptors, respectively.



Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

IRL-1620

Cat. No.: HY-16465

IRL-1620 is a potent and selective **endothelin receptor type B (ETB)** agonist with a K_i of 16 pM.

{Suc}-DEEAVYFAHLDIIW

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

IRL-1620 TFA

Cat. No.: HY-16465A

IRL-1620 (TFA) is a potent and selective **endothelin receptor type B (ETB)** agonist with a K_i of 16 pM.

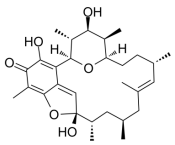
{Suc}-DEEAVYFAHLDIIW (TFA salt)

Purity: 95.46%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 500 µg, 1 mg, 5 mg

Kendomycin

((-)-TAN2162) Cat. No.: HY-121300

Kendomycin ((−)-TAN 2162) is a polyketide **antibiotic** with remarkable antibacterial and cancer cells cytotoxic activities. Kendomycin tends to be bacteriostatic rather than bactericidal and inhibits the growth of the.

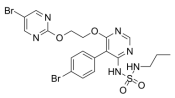


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Macitentan

(ACT-064992) Cat. No.: HY-14184

Macitentan (ACT-064992) is an orally active, non-peptide dual **ETA** and **ETB** (endothelin receptor) antagonist. Macitentan has the potential for idiopathic pulmonary fibrosis (IPF) and pulmonary arterial hypertension (PAH).

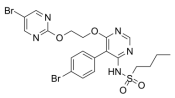


Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Macitentan (n-butyl analogue)

Cat. No.: HY-14184A

Macitentan n-butyl analogue is a n-butyl analogue of Macitentan. Macitentan is an orally active, non-peptide dual endothelin **ETA** and **ETB receptor** antagonist for the potential treatment of idiopathic pulmonary fibrosis (IPF) and pulmonary arterial hypertension (PAH).

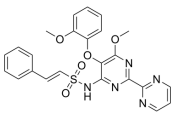


Purity: >98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg

Nebentan
(YM598 free base)

Cat. No.: HY-106994

Nebentan (YM598 free base) is a potent, selective and orally active non-peptide **endothelin ET_A receptor** antagonist through the modification of Bosentan (HY-A0013).

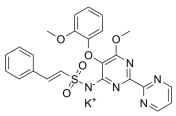


Purity: 99.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Nebentan potassium
(YM598)

Cat. No.: HY-106994A

Nebentan potassium (YM598) is a potent, selective and orally active non-peptide **endothelin ET_A receptor** antagonist through the modification of Bosentan (HY-A0013).

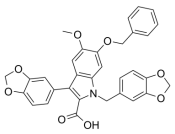


Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

PD-159020

Cat. No.: HY-101598

PD-159020 is a non-selective **ETA/ETB** antagonist, with **IC_{50}**s of 30 and 50 nM for hETA and hETB, respectively.

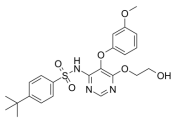


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ro 46-2005

Cat. No.: HY-19529

Ro 46-2005 is a novel synthetic non-peptide endothelin receptor antagonist, inhibits the specific binding of 125I-ET-1 to human vascular smooth muscle cells (ETA receptor) with IC50 of 220 nM.

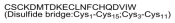


Purity: 97.84%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Sarafotoxin S6a

Cat. No.: HY-P1112

Sarafotoxin S6a, a sarafotoxin analogue, is a **endothelin receptor** agonist and has an **ET_A/ET_B** selectivity profile similar to that of Endothelin-3 (HY-P0204). Sarafotoxin S6a elicits the pig coronary artery with an **EC_{50}** value of 7.5 nM.



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

Sarafotoxin S6a TFA

Cat. No.: HY-P1112A

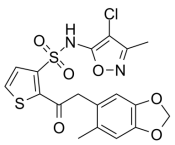
Sarafotoxin S6a TFA , a sarafotoxin analogue, is a **endothelin receptor** agonist and has an **ET_A/ET_B** selectivity profile similar to that of Endothelin-3 (HY-P0204). Sarafotoxin S6a TFA elicits the pig coronary artery with an **EC_{50}** value of 7.5 nM.

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

Sitaxsentan
(IPI 1040; TBC-11251)

Cat. No.: HY-76520

Sitaxsentan (IPI 1040; TBC-11251) is a selective endothelin A (ETA) receptor antagonist. Antihypertensive. Sitaxsentan is used in treatment of chronic heart failure. IC50 value: Target: ETA receptor.

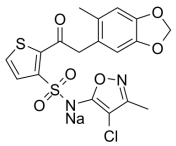


Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

Sitaxsentan sodium
(IPI 1040 sodium; TBC11251 sodium)

Cat. No.: HY-11103

Sitaxsentan sodium (IPI 1040 sodium; TBC11251 sodium) is an orally active, highly selective antagonist of **endothelin A receptors**.

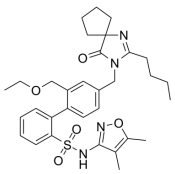


Purity: 98.73%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Sparsentan
(RE-021; DARA-a)

Cat. No.: HY-17621

Sparsentan (RE-021) is a highly potent dual **angiotensin II** and **endothelin A** receptor antagonist with **K_i**s of 0.8 and 9.3 nM, respectively.

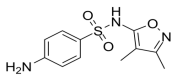


Purity: 99.08%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Sulfisoxazole
(Sulfafurazole)

Cat. No.: HY-B0323

Sulfisoxazole (Sulfafurazole), an endothelin receptor antagonist, is a sulfonamide antibacterial with an oxazole substituent. Sulfisoxazole inhibits breast cancer exosome release by targeting endothelin receptor A.



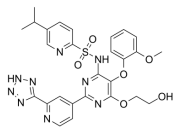
Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Tezosentan

(RO 610612)

Cat. No.: HY-17351

Tezosentan (RO 610612) is an **endothelin (ET)** receptor antagonist, with **pA_2s** of 9.5, 7.7 for ET_A and ET_B receptors, respectively.

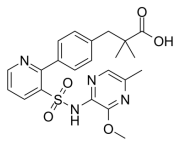


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ZD-1611

Cat. No.: HY-19274

ZD-1611 is a potent, orally active, selective **ETA receptor** antagonist, used for the research of ischemic stroke.



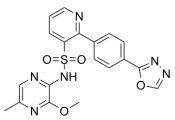
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Zibotentan

(ZD4054)

Cat. No.: HY-10088

Zibotentan (ZD4054) is an orally administered, potent and specific ETA-receptor (endothelin A receptor) antagonist (IC50 = 21 nM).



Purity: 99.66%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

[Ala1,3,11,15]-Endothelin (53-63) (TFA)

Cat. No.: HY-P1019A

[Ala1,3,11,15]-Endothelin (53-63) (TFA), a linear peptide analog of endothelin (ET)-1, is a highly selective **endothelin B (ETB)** receptor.

ASASSLMDKEAVYFAHLDIIW (TFA salt)

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