Endothelin Receptor

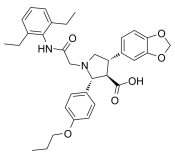
Endothelin receptors are G protein-coupled receptors (GPCRs) of the β-group of rhodopsin receptors that bind to endothelin ligands, which are 21 amino acid long peptides derived from longer prepro-endothelin precursors. There are at least four types known, ET_A, ET_B (ET_{B1}, ET_{B2}) and ET_C. The ET_A receptor is characterized by having high affinity and selectivity for ET-1 and ET-2 compared to ET-3, whereas the ET_B receptor has equivalent high affinity for all three endothelin isopeptides.

Endothelins are synthesized in several tissues, including the vascular endothelium (ET-1 exclusively) and smooth muscle cells. Released endothelin binds to the endothelin receptors ET_A and ET_B, the ET_A receptors on vascular smooth muscle cells mediating vasoconstriction, and the ET_B receptors on the endothelium linked to nitric oxide (NO) and prostacyclin release.

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.



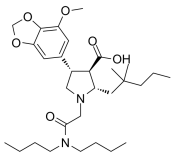
Purity: 99.85%
Clinical Data: No Development Reported
Size: 5 mg

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.



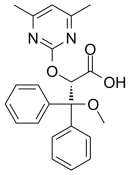
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ambrisentan

(BSF 208075; LU 208075)

Cat. No.: HY-13209

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



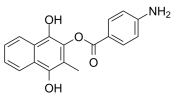
Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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.



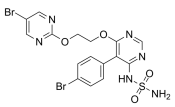
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.



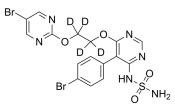
Purity: 98.13%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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.



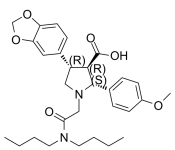
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.

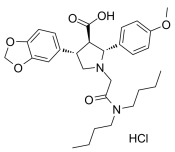


Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

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: 99.51%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 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: 97.72%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg

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.

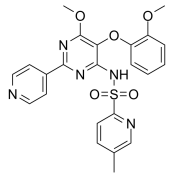
Purity: 98.74%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg

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.

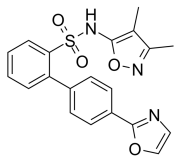


Purity: 98.54%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

BMS-193884

Cat. No.: HY-19263

BMS-193884 is a selective, orally active, and competitive **ET_A** antagonist with 10000-fold greater affinity for the human ET_A receptor (K_i=1.4 nM) than for the ET_B receptor.

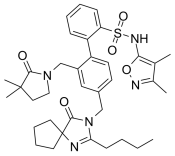


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.

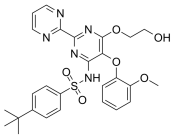


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.

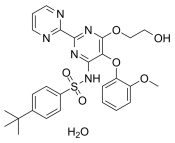


Purity: 99.93%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg

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.

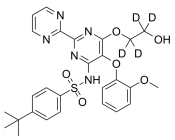


Purity: 99.71%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 5 g

Bosentan-d4

Cat. No.: HY-115417

Bosentan-d4 is the deuterium labeled Bosentan. 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.

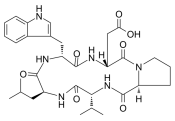


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

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.

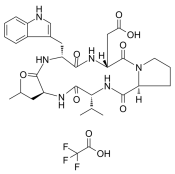


Purity: 99.86%
Clinical Data: Phase 4
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

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

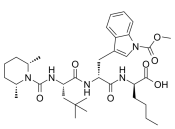
BQ-3020 (TFA) is a selective agonist of **ET_B receptor**, inhibits [^{125}I]ET-1 binding to ET_B receptor with an **IC_{50}** of 0.2 nM in cerebellum, and causes vasoconstriction.

Purity: 95.52%
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.

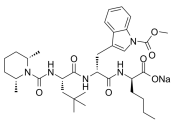


Purity: 98.28%
Clinical Data: Phase 1
Size: 1 mg, 5 mg, 10 mg

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: 98.56%
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

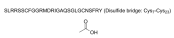
Carperitide (Atrial Natriuretic Peptide (ANP) (1-28), human, porcine) is a 28-amino acid hormone, that is normally produced and secreted by the human heart in response to cardiac injury and mechanical stretch.

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

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

Cat. No.: HY-P1235A

Carperitide acetate (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.



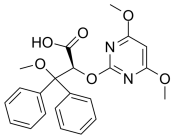
Purity: 96.81%
Clinical Data: Launched
Size: 500 µg, 1 mg, 5 mg

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.

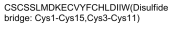


Purity: 98.66%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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.



Purity: 95.44%
Clinical Data: No Development Reported
Size: 500 µg, 1 mg, 5 mg, 10 mg

Endothelin 1 (swine, human) (TFA)

Cat. No.: HY-P0202A

Endothelin 1 (swine, human) (TFA) 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.

Purity: 98.50%
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

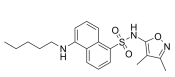
Endothelin 1 (swine, human), Alexa Fluor 488-labeled is a synthetic Endothelin 1 peptide labled with Alexa Fluor 488. Endothelin 1 (swine, human) is a synthetic peptide with the sequence of human and swine Endothelin 1, which is a potent endogenous **vasoconstrictor**.

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

ETA antagonist 1

Cat. No.: HY-112264

ETA antagonist 1 is a **ETA** selective antagonist with an IC_{50} of 0.08 µM.

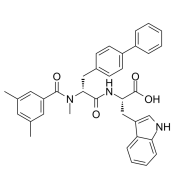


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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)

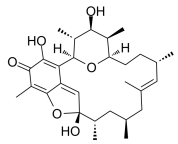
Purity: 95.46%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 500 μg, 1 mg, 5 mg

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.



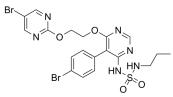
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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).

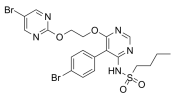


Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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).



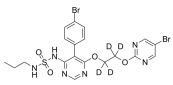
Purity: >98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg

Macitentan-d4

(ACT-064992-d4)

Cat. No.: HY-14184S

Macitentan D4 (ACT-064992 D4) is a deuterium labeled Sulfamethoxazole. Macitentan 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).



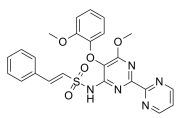
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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).



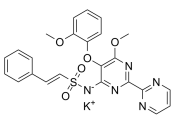
Purity: 99.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

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).

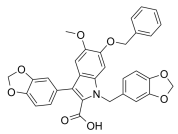


Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

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.

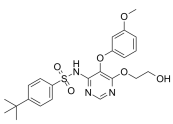


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.

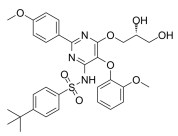


Purity: 98.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Ro 46-8443

Cat. No.: HY-19431

Ro 46-8443 is the first non-peptide endothelin **ET_B** receptor selective antagonist. Ro 46-8443 displays an at least 100-fold selectivity for ET_B (**IC_{50}**: 34-69 nM) over ET_A receptors (IC_{50}: 6800 nM).



Purity: 99.24%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 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.

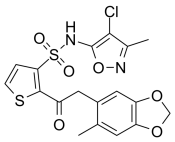
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.



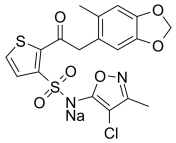
Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

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**.



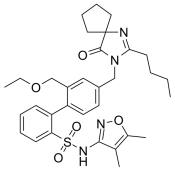
Purity: 99.03%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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_is of 0.8 and 9.3 nM, respectively.



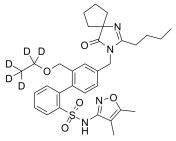
Purity: 98.80%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Sparsentan-d5

(RE-021-d5; DARA-a-d5)

Cat. No.: HY-17621S

Sparsentan-d5 is deuterium labeled Sparsentan. Sparsentan (RE-021) is a highly potent dual angiotensin II and endothelin A receptor antagonist with Kis of 0.8 and 9.3 nM, respectively.



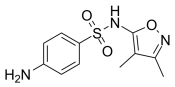
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.

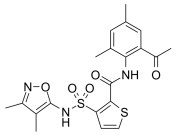


Purity: 99.95%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

TBC3711

Cat. No.: HY-106182

TBC3711 is a **endothelin receptor** modulator, used for the research of endothelin-mediated disorders.



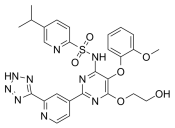
Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

Tezosentan

(RO 610612)

Cat. No.: HY-17351

Tezosentan (RO 610612) is an **endothelin (ET)** receptor antagonist, with pA_2**s** of 9.5, 7.7 for ET_A and ET_B receptors, respectively.

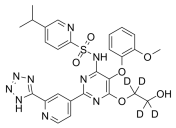


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Tezosentan-d4

Cat. No.: HY-17351S

Tezosentan-d4 (RO 610612-d4) is the deuterium labeled Tezosentan. Tezosentan (RO 610612) is an **endothelin (ET)** receptor antagonist, with pA_2**s** of 9.5, 7.7 for ET_A and ET_B receptors, respectively.

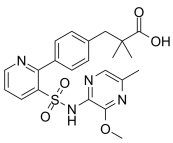


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 10 mg

ZD-1611

Cat. No.: HY-19274

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



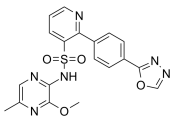
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Zibotentan

(ZD4054)

Cat. No.: HY-10088

Zibotentan (ZD4054) is a potent, selective and orally active **endothelin A (ET_A) receptor** antagonist with a K_i of 13 nM. Zibotentan has no inhibitory effect on ETB.



Purity: 98.19%
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: 5 mg