Sigma Receptor

Sigma receptor is a non-opioid receptor that binds diverse classes of psychotropic drugs. Sigma receptors are subdivided into two subtypes, sigma-1 and sigma-2. The sigma-1 receptor is a 25-kDa protein possessing one putative transmembrane domain and an endoplasmic reticulum retention signal. Sigma-1 receptors are highly expressed in deeper laminae of the cortex, olfactory bulb, nuclei of mesencephalon, hypothalamus, and Purkinje cells in the brain. Sigma-1 receptors are predominantly localized at the endoplasmic reticulum of both neurons and oligodendrocytes. From behavioral studies, sigma-1 receptors were shown to be involved in higher-ordered brain functions including memory and drug dependence. The sigma-2 receptor (σ2R) is a sigma receptor subtype which preferentially binds to siramesine and PB28. PGRMC1 was recently identified as the sigma-2 receptor. Unlike sigma-1 receptor, it has not yet been cloned. Activation of the receptor can cause apoptosis. A pharmacophore model based on benzooxazolone derivatives has been developed.
Sigma Receptor Inhibitors, Agonists, Antagonists & Activators

(2R,3R)-E1R
Cat. No.: HY-116463C

(2R,3R)-E1R (Compound 2b) is an enantiomer of E1R. (2R,3R)-E1R is a sigma-1 receptor positive allosteric modulator (Sig1R PAM) for the treatment of cognition/memory disorders.

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

(2S,3S)-E1R
Cat. No.: HY-116463B

(2S,3S)-E1R (Compound 2d) is an enantiomer of E1R. (2S,3S)-E1R is a positive sigma-1 receptor allosteric modulator (Sig1R PAM) for the treatment of cognition/memory disorders.

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

4-IBP
Cat. No.: HY-100155

4-IBP is a selective σ1 agonist with a high level of affinity for the σ1 receptor (K_i = 1.7 nM) and a moderate affinity for the σ2 receptor (K_i = 25.2 nM).

Purity: 98.90%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

4-IBP (SA4503; AGY 94806)
Cat. No.: HY-14813

Cutamesine (SA4503; AGY 94806) is a selective sigma 1 receptor agonist; high affinity for the sigma 1 receptor subtype labeled by (+)-[3H]pentazocine (IC_50= 17.4±1.9 nM); 100-fold less affinity for the sigma 2 receptor.

Purity: >98%
Clinical Data: Phase 2
Size: 10 mg, 50 mg

Cutamesine dihydrochloride (SA4503 dihydrochloride; AGY94806 dihydrochloride)
Cat. No.: HY-13510

Cutamesine dihydrochloride (SA4503 dihydrochloride; AGY94806 dihydrochloride) is a potent Sigma 1 receptor agonist with an IC_50 of 17.4 nM in guinea pig brain membranes.

Purity: 98.74%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 10 mg, 50 mg

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

Dimemorfan phosphate is a sigma 1 receptor agonist, used as a potent antitussive.

Purity: 98.42%  
Clinical Data: Launched  
Size: 10 mM × 1 mL, 50 mg, 100 mg

E1R  

E1R is a positive allosteric modulator of sigma-1 receptors (Sig1R PAM) with cognition-enhancing activity.

Purity: 99.28%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Ditolylguanidine  

Ditolylguanidine is an agonist of sigma receptor (σ1/σ2 receptor).

Purity: 99.26%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 500 mg, 1 g

Noscapine  

Noscapine is an orally administrable drug used worldwide for cough suppression, primarily mediated by its σ-receptor agonist activity, and possess anticancer activity.

Purity: 97.80%  
Clinical Data: Launched  
Size: 10 mM × 1 mL, 100 mg

PD 144418  

PD 144418 is a highly affinity, potent and selective sigma 1 (σ1) receptor ligand (Ki values of 0.08 nM and 1377 nM for σ1 and σ2 respectively), devoid of any significant affinity for other receptors, ion channels and enzymes. PD 144418 shows potential antipsychotic activity.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 2 mg

Roluperidone  

Roluperidone (CYR-101; MIN-101; MT-210) is a novel cyclic amide derivative that has high equipotent affinities for 5-HT₂A and sigma-2 receptors (Ki of 7.53 nM and 8.19 nM for 5-HT₂A and sigma-2, respectively).

Purity: 98.26%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

S1RA  

S1RA(E-52862) is a potent and selective sigma-1 receptor (σ1R, Ki=17 nM) antagonist, showed good selectivity against σ2R (Ki > 1000 nM).

Purity: >98%  
Clinical Data: No Development Reported  
Size: 5 mg, 10 mg, 50 mg, 100 mg

Sigma-1 receptor antagonist 1  

Sigma1 receptor antagonist 1 (compound 137) is a potent and selective sigma-1 receptor (σ1R) antagonist, with a high binding affinity to σ1R receptor (Kᵢ = 1.06 nM).

Purity: 99.24%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Sigma-1 receptor antagonist 2
Cat. No.: HY-125819
Sigma-1 receptor antagonist 2 is a potent and selective sigma 1 receptor (σ1 R) antagonist with Ki's of 3.88 and 1288 nM for σ1 and σ2 receptor, respectively.

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

Sigma-1 receptor antagonist 3
Cat. No.: HY-125820
Sigma-1 receptor antagonist 3 (compound135) is a potent and selective Sigma-1 (σ1) receptor antagonist with a Ki of 1.14 nM. Sigma-1 receptor antagonist 3 inhibits Human Ether-a-go-go-Related Gene (hERG) with an IC50 of 1.54 μM.

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

Sigma-2 receptor antagonist 1
Cat. No.: HY-111669
Sigma-2 receptor antagonist 1 is a sigma-2 (σ-2) receptor antagonist.

Purity: 97.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Sigma-LIGAND-1
Cat. No.: HY-101626
Sigma-LIGAND-1 is a selective sigma receptor ligand, has receptor IC50's of 16 nM at the DTG site, 19 nM at the PPP site, and a Ki of 4000 nM at the dopamine D2 receptor.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Siramesine (Lu 28-179)
Cat. No.: HY-14221
Siramesine (Lu 28-179) is a selective sigma-2 receptor agonist, which has been shown to trigger cell death of cancer cells and to exhibit a potent anticancer activity in vivo.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Siramesine hydrochloride (Lu 28-179 hydrochloride)
Cat. No.: HY-14221A
Siramesine hydrochloride (Lu 28-179 hydrochloride) is a selective sigma-2 receptor agonist, which has been shown to trigger cell death of cancer cells and to exhibit a potent anticancer activity in vivo.

Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

UNC0642
Cat. No.: HY-13980
UNC0642 is a potent and selective G9a/GLP inhibitor, with an IC50 of less than 2.5 nM.

Purity: 99.81%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg