GlyT

Glycine transporters

Glycine transporters (GlyTs) are members of the Na^+/Cl^--dependent transporter family, whose activities and subcellular distributions are regulated by phosphorylation and interactions with other proteins. GlyTs comprise glycine transporter type 1 (SLC6A9; GlyT1) and glycine transporter type 2 (SLC6A5; Glyt2). Both GlyTs exist in multiple splice variants. GlyTs that regulate levels of brain glycine, an inhibitory neurotransmitter with co-agonist activity for NMDA receptors (NMDARs), have been considered to be important targets for the treatment of brain disorders with suppressed NMDAR function such as schizophrenia.

GlyT1 and GlyT2 are expressed on both astrocytes and neurons, but their expression pattern in brain tissue is foremost related to neurotransmission. GlyT2 is markedly expressed in brainstem, spinal cord and cerebellum, where it is responsible for glycine uptake into glycinergic and GABAergic terminals. GlyT1 is abundant in neocortex, thalamus and hippocampus, where it is expressed in astrocytes, and involved in glutamatergic neurotransmission. GlyT1 and GlyT2, which are located in glial cells and neurons, respectively play important roles by clearing synaptically released glycine or supplying glycine to glycinergic neurons to regulate glycinergic neurotransmission. Thus, inhibition of GlyTs could be used to modify pain signal transmission in the spinal cord.

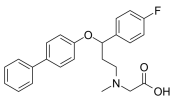
GlyT Inhibitors & Antagonists

(Rac)-ALX 5407

((Rac)-NFPS)

Cat. No.: HY-107526

NFPS is a selective, non-competitive **glycine transporter-1 (GlyT1)** inhibitor with IC_{50}s of 2.8 nM and 9.8 nM for hGlyT1 and rGlyT1, respectively. NFPS exerts neuroprotection via glyR alpha1 subunit in the rat model of transient focal cerebral ischaemia and reperfusion.

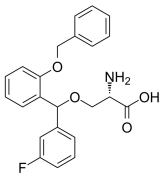


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ALX-1393

Cat. No.: HY-111029

ALX-1393, a selective **GlyT2** inhibitor, has an antinociceptive effect on thermal, mechanical, and chemical stimulations in a rat acute pain model.

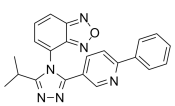


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ASP2535

Cat. No.: HY-110176

ASP2535 is a potent, orally bioavailable, selective, brain permeable and centrally-active **glycine transporter-1 (GlyT1)** inhibitor. ASP2535 can improve cognitive impairment in animal models of schizophrenia and Alzheimer's disease.



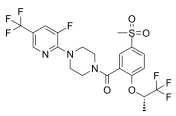
Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Bitopertin

(RG1678; RO4917838)

Cat. No.: HY-10809

Bitopertin is a potent, noncompetitive **glycine reuptake** inhibitor, inhibits glycine uptake at human **GlyT1** with a concentration exhibiting **IC50** of 25 nM.



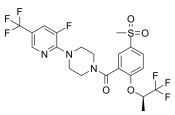
Purity: 99.68%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bitopertin (R enantiomer)

(RG1678 (R enantiomer); RO4917838 (R enantiomer))

Cat. No.: HY-10809A

Bitopertin R enantiomer (RG1678 R enantiomer; RO4917838 R enantiomer) is the R-enantiomer of Bitopertin. Bitopertin is a potent, noncompetitive **glycine reuptake** inhibitor, inhibits glycine uptake at human **GlyT1** with a concentration exhibiting **IC50** of 25 nM.

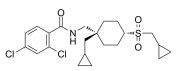


Purity: 95.68%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

DCCCyB

Cat. No.: HY-14568

DCCCyB is an orally bioavailable, potent, and selective inhibitor of **GlyT1**. DCCCyB demonstrates excellent in vivo occupancy of GlyT1 transporters in rhesus monkey.

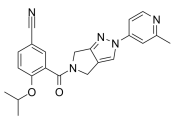


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GlyT1 Inhibitor 1

Cat. No.: HY-112432

GlyT1 Inhibitor 1 is a potent and selective **GlyT1** inhibitor with an IC_{50} of 38 nM for **rGlyT1**. Antipsychotic activity.



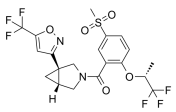
Purity: 98.35%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Iclepertin

(BI-425809)

Cat. No.: HY-138935

Iclepertin (BI-425809) is a potent, selective and orally active **glycine transporter 1 (GlyT1)** inhibitor. Iclepertin is inactive against GlyT2. Iclepertin can be used for Alzheimer disease and schizophrenia research.

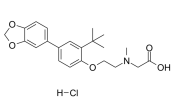


Purity: 99.65%
Clinical Data: Phase 3
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

LY2365109 hydrochloride

Cat. No.: HY-100416A

LY2365109 hydrochloride is a potent and selective **GlyT1** inhibitor, with an IC_{50} of 15.8 nM for glycine uptake in cells over-expressing hGlyT1a.

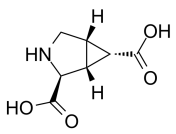


Purity: 98.69%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MPDC

Cat. No.: HY-101334

MPDC is a potent and competitive inhibitor of the Na+-dependent high-affinity **glutamate transporter** in forebrain synaptosomes.



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

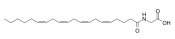
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

N-Arachidonylglycine

(NA-Gly)

Cat. No.: HY-103332

N-Arachidonylglycine (NA-Gly), a carboxylic analog of the endocannabinoid anandamide (AEA), is a **GPR18** agonist (EC_{50} = 44.5 nM). Unlike AEA, N-Arachidonylglycine has no activity at either CB1 or CB2 receptors. N-Arachidonylglycine inhibits **GLYT2** (IC_{50} = 5.1 μM).



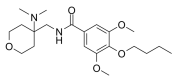
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Opiranserin

(VVZ-149)

Cat. No.: HY-109067

Opiranserin (VVZ-149), a non-opioid and non-NSAID analgesic candidate, is a dual antagonist of **glycine transporter type 2 (GlyT2)** and **serotonin receptor 2A (5HT2A)**, with IC_{50}s of 0.86 and 1.3 μM, respectively. Opiranserin shows antagonistic activity on rP2X3 (IC_{50}=0.87 μM).



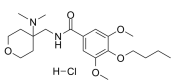
Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

Opiranserin hydrochloride

(VVZ-149 hydrochloride)

Cat. No.: HY-109067A

Opiranserin (VVZ-149) hydrochloride, a non-opioid and non-NSAID analgesic candidate, is a dual antagonist of **glycine transporter type 2 (GlyT2)** and **serotonin receptor 2A (5HT2A)**, with IC_{50}s of 0.86 and 1.3 μM, respectively.

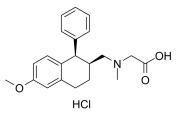


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Org 25935

Cat. No.: HY-122666

Org 25935 is a potent and selective **glycine transporter 1 protein (GlyT1)** inhibitor with an IC_{50} value of 100 nM. Org 25935 can decrease ethanol (EtOH) intake and EtOH preference in rats, whereas water intake is unaffected.

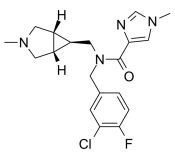


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PF-03463275

Cat. No.: HY-10716A

PF-03463275 is a centrally penetrant, orally available, selective, and competitive **GlyT1** (glycine transporter-1) reversible inhibitor, with a K_i of 11.6 nM. PF-03463275 has the potential for Schizophrenia research.



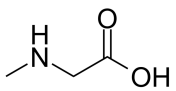
Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Sarcosine

(N-Methylglycine; Sarcosin)

Cat. No.: HY-101037

Sarcosine (N-Methylglycine), an endogenous amino acid, is a competitive **glycine transporter type I (GlyT1)** inhibitor and **N-methyl-D-aspartate (NMDA) receptor** co-agonist.



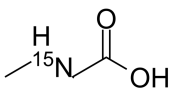
Purity: ≥97.0%
Clinical Data: Phase 4
Size: 10 mM × 1 mL, 100 mg

Sarcosine-15N

(N-Methylglycine-15N; Sarcosin-15N)

Cat. No.: HY-101037S

Sarcosine-15N (N-Methylglycine-15N) is the 15N-labeled Sarcosine. Sarcosine (N-Methylglycine), an endogenous amino acid, is a competitive **glycine transporter type I (GlyT1)** inhibitor and **N-methyl-D-aspartate (NMDA) receptor** co-agonist.



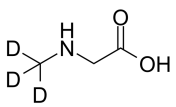
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Sarcosine-d3

(N-Methylglycine-d3; Sarcosin-d3)

Cat. No.: HY-101037S1

Sarcosine-d3 (N-Methylglycine-d3) is the deuterium labeled Sarcosine. Sarcosine (N-Methylglycine), an endogenous amino acid, is a competitive glycine transporter type I (GlyT1) inhibitor and N-methyl-D-aspartate (NMDA) receptor co-agonist.

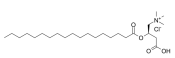


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Stearoyl-L-carnitine chloride

Cat. No.: HY-130466

Stearoyl-L-carnitine chloride is an endogenous long-chain acylcarnitine. Stearoyl-L-carnitine chloride is a less potent inhibitor of **GlyT2**. Stearoyl-L-carnitine chloride inhibits glycine responses by 16.8% at concentrations up 3 μM.

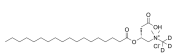


Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Stearoyl-L-carnitine-d3 chloride

Cat. No.: HY-130466S

Stearoyl-L-carnitine-d3 chloride is the deuterium labeled Stearoyl-L-carnitine chloride. Stearoyl-L-carnitine chloride is an endogenous long-chain acylcarnitine. Stearoyl-L-carnitine chloride is a less potent inhibitor of **GlyT2**.

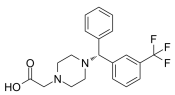


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Tilapertin

(AMG747) **Cat. No.:** HY-19887

Tilapertin is an oral inhibitor of glycine transporter type-1 (**GlyT1**).



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