Glycine transporters (GlyTs) belong to a large family of Na⁺/Cl⁻-dependent transporter proteins that includes transporters for monoamines [5-hydroxytryptamine (serotonin), noradrenaline and dopamine] and γ-aminobutyric acid. GlyT-mediated glycine uptake is energetically coupled with the transmembrane sodium gradient maintained by the Na⁺/K⁺-ATPase.

GlyT1 is essential for regulating glycine concentrations at synaptic receptors.

GlyT2 is uniquely designed for neurotransmitter recycling at inhibitory glycinergic synapses, and loss of GlyT2 function generates a severely hyperexcited state.
GlyT Inhibitors

**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: 100 mg, 250 mg, 300 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%
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: 95.00%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg

**LY2365109 hydrochloride**
Cat. No.: HY-100416A

LY2365109 is a potent and selective GlyT1 inhibitors with IC50 value of 15.8 nM. Target: GlyT1 IC 50: 15.8 nM. The reference for LY2365109 is 0.3 or 30 mg/kg by PO.

Purity: 99.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**NFPS**
Cat. No.: HY-107526

NFPS is a selective, non-competitive glycine transporter-1 (GlyT1) inhibitor with IC50 of 2.8 nM and 9.8 nM for hGlyT1 and rGlyT1, respectively. NFPS exerts neuroprotection via glycR alpha1 subunit in the rat model of transient focal cerebral ischaemia and reperfusion.

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

**Sarcosine**
(Methylglycine; N-Methylaminoacetic acid; Sarcosin; Sarcosinic acid)
Cat. No.: HY-101037

Sarcosine is a glycine transporter type 1 (GlyT) inhibitor and an N-methyl-D-aspartate (NMDA) receptor co-agonist at the glycine binding site.

Purity: >97.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg