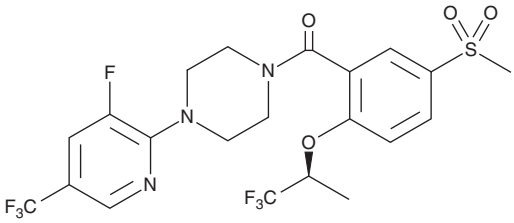
PRODUCT INFORMATION

Bitopertin

Item No. 19896

CAS Registry No.:	845614-11-1
Formal Name:	[4-[3-fluoro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl][5-(methylsulfonyl)-2-[(1S)-2,2,2-trifluoro-1-methylethoxy]phenyl]-methanone
Synonyms:	RG-1678, RO-4917838
MF:	$C_{21}H_{20}F_7N_3O_4S$
FW:	543.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 243, 254, 299 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bitopertin is supplied as a crystalline solid. A stock solution may be made by dissolving the bitopertin in the solvent of choice, which should be purged with an inert gas. Bitopertin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of bitopertin in these solvents is approximately 5, 25, and 30 mg/ml, respectively.

Bitopertin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bitopertin should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Bitopertin has a solubility of approximately 0.25 mg/ml in a 1:12 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bitopertin is an inhibitor of glycine transporter 1 (GlyT1; IC_{50} = 0.03 µM).[1] It is selective for GlyT1 over GlyT2 (IC_{50} = >30 µM) as well as a panel of 107 receptors, transporters, and enzymes at 10 µM.[1,2] Bitopertin (0.1 µM) increases long-term potentiation in rat hippocampal CA1 slices.[2] It reverses hyperlocomotion induced by the NMDA receptor partial agonist L-687,414 in mice (ID_{50} = 0.5 mg/kg).[1]

References

1. Pinard, E., Alanine, A., Alberati, D., *et al.* Selective GlyT1 inhibitors: Discovery of [4-(3-fluoro-5-trifluoromethylpyridin-2-yl)piperazin-1-yl][5-methanesulfonyl-2-((*S*)-2,2,2-trifluoro-1-methylethoxy)phenyl]methanone (RG1678), a promising novel medicine to treat schizophrenia. *J. Med. Chem.* **53(12)**, 4603-4614 (2010).
2. Alberati, D., Moreau, J.-L., Lengyel, J., *et al.* Glycine reuptake inhibitor RG1678: A pharmacologic characterization of an investigational agent for the treatment of schizophrenia. *Neuropharmacology* **62(2)**, 1152-1161 (2012).

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