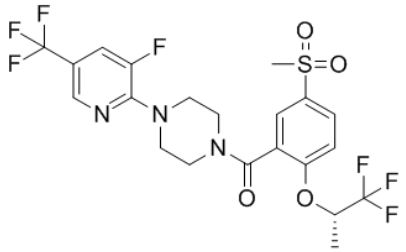
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Bitopertin
Cat. No.	:	PC-42706
CAS No.	:	845614-11-1
Molecular Formula	:	$C_{21}H_{20}F_7N_3O_4S$
Molecular Weight	:	543.455
Target	:	Glycine Transporter (GlyT)
Solubility	:	10 mM in DMSO



Biological Activity

Bitopertin (RG1678, RO4917838) is a potent and selective glycine uptake **GlyT1** inhibitor with EC50 of 30 nM, also is an **Nrf2** activator.
Bitopertin shows no activity for GlyT2, hERG, CYP450 enzymes above 10 uM.
Bitopertin shows brain penetratione and excellent pharmacokinetic in vivo.
Bitopertin suppresses osteoclast differentiation and ameliorates ovariectomy-induced bone loss by activating Nrf2.
Bitopertin interacts with the Keap1 Kelch domain and decreases Keap1-Nrf2 binding, leading to reduced Nrf2 ubiquitination and degradation.

References

Pinard E, et al. J Med Chem. 2010 Jun 24;53(12):4603-14.

Alberati D, et al. Neuropharmacology. 2012 Feb;62(2):1152-61

Martin-Facklam M, et al. Neuropsychopharmacology. 2013 Feb;38(3):504-12.

E-mail: tech@probechem.com