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Human G-protein-coupled bile receptor 1 (GPBAR-1; TGR5)

Product	Catalog No.	Package size
GPBAR-1 protein (10 µg)	**28101-10**	**1 x 10 µg**
GPBAR-1 protein (50 µg)	**28101-50**	**5 x 10 µg**

Please contact us for bulk quantities and for GPBAR-1 reconstituted into nanodiscs.

Product Description

Alternative names	TGR5, GPCR19, M-BAR, BG37
UniProt / UniGene	Q8TDU6 / 155918
Protein class	GPCR, class A (Rhodopsin-like receptors)
Organism	Human (Homo sapiens)
Sequence	Full-length, wildtype amino acid sequence. N-terminal HA tag (underlined), initial methionine in bold, C-terminal 10x His-tag in red, TEV protease site in blue, spacer in bold grey, Rho1D4 tag in bold green
	MKTIIA LSYIFCLVFA MTPNSTGEVP SPIPKGALGL SLALASLIIT ANLLLALGIA WDRRLRSPPA GCFFLSLLLA GLLTGLALPT LPGLWNQSRR GYWSCLLVYL APNFSFLSLL ANLLLVHGER YMAVLRPLQP PGSIRLALLL TWAGPLLFAS LPALGWNHWT PGANCSSQAI FPAPYLYLEV YGLLLPAVGA AAFLSVRVLA TAHRQLQDIC RLERAVCRDE PSALARALTW RQARAQAGAM LLFGLCWGPY VATLLLSVLA YEQRPPLGPG TLLSLLSLGS ASAAAVPVAM GLGDQRYTAP WRAAAQRCLQ GLWGRASRDS PGPSIAYHPS SQSSVDLDLN GGHHHHHHHH HHLEVLFQGP GSSGTETSQV APA
Affinity tags	His / Rho1D4 (both C-terminal)
Size (excluding additional elements)	379 (330) amino acids 40,606 (35,248) Da
Post-translational modifications	Glycosylation, Disulfide bond
Tissue specificity	Ubiquitously expressed. Expressed at higher level in spleen and placenta. Expressed at lower level in other tissues. In digestive tissues, it is expressed in stomach, duodenum, ileocecum, ileum, jejunum, ascending colon, transverse colon, descending colon, cecum and liver.
Cellular localization	Membrane
Function	Receptor for bile acid. Bile acid-binding induces its internalization, activation of extracellular signal-regulated kinase and intracellular cAMP production. May be involved in the suppression of macrophage functions by bile acids, and in modulating inflammation.

Quality Control

Purity (SDS-PAGE)	>98%, see Fig. 1
Homogeneity	Size exclusion chromatography
Activity	Ligand binding measured by surface plasmon resonance (SPR= using Taurolithocholic Acid Sulfate Disodium Salt (CAS 64936-83-0) Using a 1:1 binding model, the dissociation constant (K_d) was determined to be 1.1 x 10^{-8} M (Fig.2).

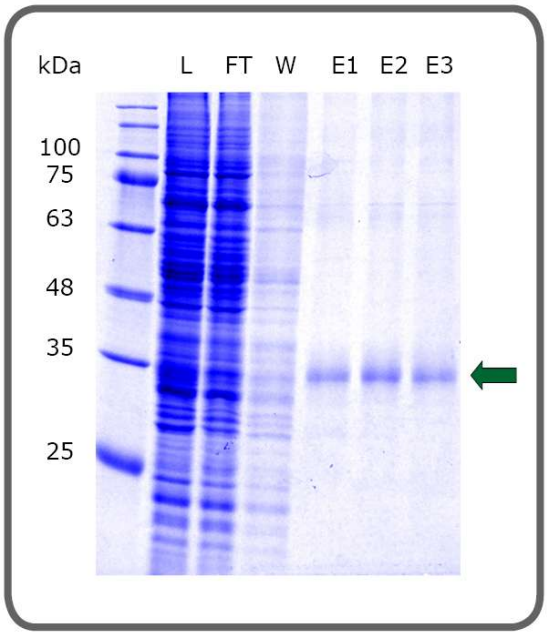

Fig. 1: Size and purity of GPBAR-1 as assessed by SDS-PAGE and Coomassie staining.
L: Lysate: FT: flow through, W: Wash, E1-E3: elution fractions with purified GPCR

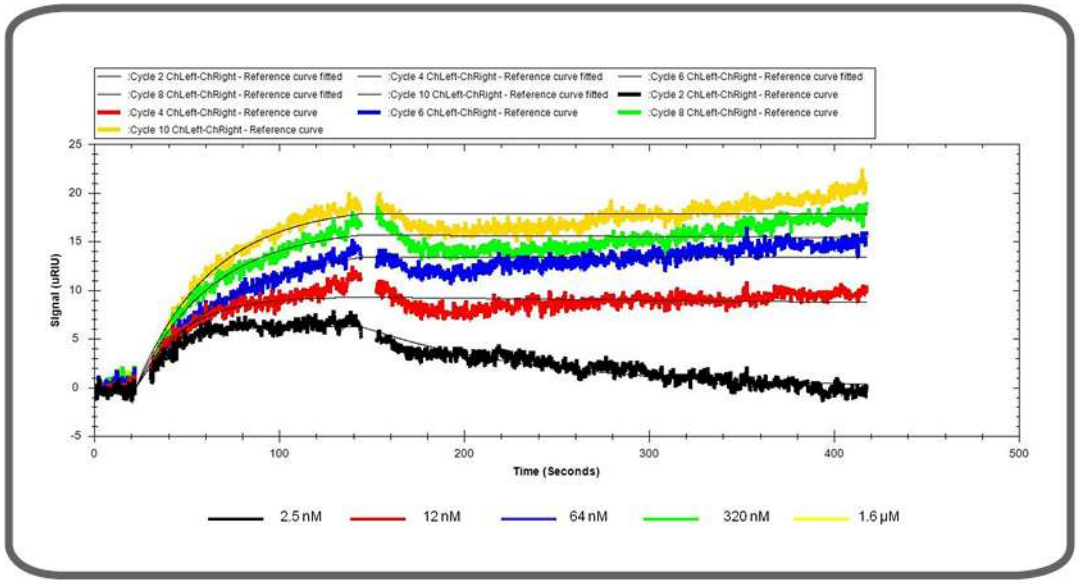

Fig. 2: Surface plasmon resonance measurement of GPBAR-1 / Taurolithocholic acid sulfate salt interaction. Using a 1:1 binding model, the K_d was determined to 1.1 x 10^{-8} M.

Preparation:

Expression system	Sf9 (Baculovirus)
Purification	PureCube Rho1D4 Agarose, Size exclusion chromatography
Buffer	100 mM NaCl, 20 mM NaH_2PO4 pH 7.4, 0.1% Foscholine-12, 0.01% cholesterolhemisuccinate (CHS)
Form	Liquid

Applications

- SDS-PAGE
- Western Blot
- Ligand binding assays (e.g., SPR)
- Protein Crystallization
- Biochemical and biophysical analyses

Shipping & Storage

Shipment Temperature	Dry ice
Storage	–80°C
	Avoid freeze-thaw cycles

Literature references:

1. Kawamata, Y. et al. (2003) A G Protein-coupled receptor responsive to bile acids, J. Biol. Chem. 278, 11, pp9435-9440

2. Chen, X. et al. (2011) TGR5: A Novel Target for Weight Maintenance and Glucose Metabolism. Exp. Diabetes Res. Article ID 853501

3. Pols, T.W.H. et al. (2013) The bile acid membrane receptor TGR5 as an emerging target in metabolism and inflammation. J. Hepatol. 54(6):1263-1272

4. The Rho1D4 System. Cube Biotech, 2013