

## Recombinant GRK5 protein

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**Catalog No:** 81382, 81682

**Expressed In:** Baculovirus

**Quantity:** 20, 1000 µg

**Concentration:** 0.1 µg/µl

**Source:** Human

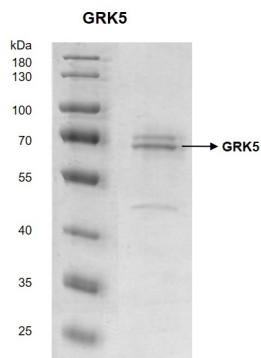
**Buffer Contents:** Recombinant GRK5 protein is supplied in 25 mM HEPES-NaOH pH 7.5, 300 mM NaCl, 10% glycerol, 0.04% Triton X-100, 0.5 mM TCEP.

**Background:** GRK5 is a serine/threonine kinase that phosphorylates preferentially the activated forms of a variety of G-protein-coupled receptors (GPCRs). Such receptor phosphorylation initiates beta-arrestin-mediated receptor desensitization, internalization, and signaling events leading to their down-regulation. GRK5 phosphorylates a variety of GPCRs, including adrenergic receptors, muscarinic acetylcholine receptors (more specifically Gi-coupled M2/M4 subtypes), dopamine receptors and opioid receptors. In addition to GPCRs, it also phosphorylates various substrates: Hsc70-interacting protein/ST13, TP53/p53, HDAC5, and arrestin-1/ARRB1. Phosphorylation of ARRB1 by GRK5 inhibits G-protein independent MAPK1/MAPK3 signaling downstream of 5HT4-receptors. Phosphorylation of HDAC5, a repressor of myocyte enhancer factor 2 (MEF2) leading to nuclear export of HDAC5 and allowing MEF2-mediated transcription. Phosphorylation of TP53/p53, a crucial tumor suppressor, inhibits TP53/p53-mediated apoptosis. Phosphorylation of ST13 regulates internalization of the chemokine receptor. Diseases associated with GRK5 include Systolic Heart Failure and Palmoplantar Keratoderma and Congenital Alopecia 2.

**Protein Details:** Recombinant GRK5 protein was expressed in baculovirus expression system as the full length protein (accession number NP\_005299.1) with a N-terminal FLAG tag. The molecular weight of the protein is 68.8 kDa.

**Application Notes:** This product was manufactured as described in Protein Details. Where possible, Active Motif has developed functional or activity assays for recombinant proteins. Additional characterization such as enzyme kinetic activity assays, inhibitor screening or other biological activity assays may not have been performed for every product. All available data for a given product is shown on the lot-specific Technical Data Sheet.

**Storage and Guarantee:** Recombinant proteins in solution are temperature sensitive and must be stored at -80°C to prevent degradation. Avoid repeated freeze/thaw cycles and keep on ice when not in storage. This product is guaranteed for 6 months from date of arrival.

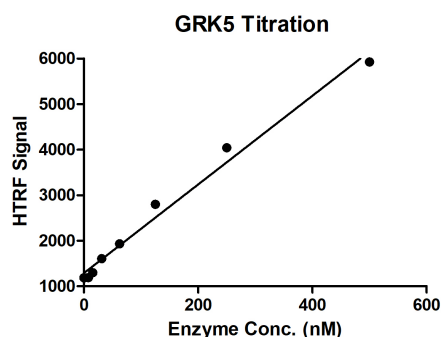


### Recombinant GRK5 protein

10% SDS-PAGE with Coomassie staining

MW: 68.8 kDa

Purity: >50%



### HTRF assay for GRK5 activity

1  $\mu$ M STK S3 substrate was incubated with different concentrations of GRK5 protein in a 10  $\mu$ l reaction system containing 1 $\times$ Enzymatic Buffer, 5 mM MgCl<sub>2</sub>, 2 mg/ml Casein, 1 mM DTT and 100  $\mu$ M ATP for 1 hour. Then 10  $\mu$ l detection reagents containing STK antibody (1:2) and SA-XL665 (1:100) diluted with 1 $\times$  Detection Buffer were added and incubated with the reactions for 30 min. All the operations and reactions were performed at room temperature. HTRF assay was used for detection