Biosignals, I
Biosignals

• Ligands bind specifically to their receptor (a protein).
• The receptor communicates a message to the rest of the cell (there may be second messenger molecules)
• The signal is amplified inside the cell.
• The signal is turned off
• The receptor-ligand complex is recycled for another round of signals
Nicotinic Acetylcholine Receptor: A Ligand-Binding Ion Channel

Figure 8.14
• Ligands bind specifically to their receptor (a protein)
  • Acetyl choline binds to the Acetyl choline gated ion channel.
• The receptor communicates a message to the rest of the cell
  • The channel opens, Na$^+$ and K$^+$ flow in, this changes the membrane potential (depolarization of the membrane)
• The signal is amplified inside the cell.
  • The depolarization opens gated ion channels along the axon. The depolarization rapidly travels to the end of the neuron.
• The signal is turned off
  • Acetyl choline channel closes
  • Acetylcholine is hydrolyzed by acetylcholinesterase
• The acetylcholine gated channel is ready for another signal.
Classes of Receptor Proteins in Eukaryotes,
Heterotrimeric G Proteins Signaling

View the Heterotrimeric G Proteins animation
Step-by-Step GPCR Activation

1. Ligand-induced conformational changes in the GPCR
2. Receptor-mediated stimulation of guanine nucleotide exchange
   • GTP replaces GDP.
3. Regulation of downstream effector processes by $G_\alpha$–GTP and $G_{\beta\gamma}$ complexes
4. Termination of signal
GPCR Activation Synopsis

1. Receptor activation

   G protein-coupled receptor

2. GDP-GTP exchange and subunit dissociation

   GDP
   GTP

3. Downstream signaling

   Plasma membrane

Figure 8.19
Step-by-Step GPCR Activation

1. Ligand-induced conformational changes in the GPCR
2. Receptor-mediated stimulation of guanine nucleotide exchange
   • GTP replaces GDP.
3. Regulation of downstream effector processes by $G_\alpha$ – GTP and $G_\beta\gamma$ complexes
4. Termination of signal
The β2-adrenergic Receptor, A GPCR

- Epinephrine (ligand), a catecholamine, binds to the receptor and leads to a conformational change.
PKA’s Role in Epinephrine (β2 Bound) and Glucagon
Signal Amplification

Figure 8.9

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GPCRs and Controlled by GEFs and GAPs (The G Protein Cycle)

- **GEF**
  - Guanine nucleotide exchange factor
  - Promote GDP-GTP exchange
  - Activate signaling

- **GAP**
  - GTPase activating proteins
  - Stimulate intrinsic GTP hydrolysis activity
  - Inhibit signal transduction