

PHC 7650: Pharmacological principles and mechanisms of signal transduction in health and disease: G-protein coupled receptors

Course Director

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Days, times, and location

Wednesdays, 10:30am – 12pm, Pharmacology Library, Scott Hall 6364

Course description

Cell surface receptors for hormones and neurotransmitters (e.g. G-protein Coupled Receptors) are intimately involved in regulating cell and tissue function in both physiological and pathophysiological contexts.

G-protein coupled receptors are the target for a large number of drugs and currently used for therapeutic management of a wide range of diseases.

The objective of this course is to establish a strong fundamental understanding of receptors and signal transduction as core elements of pharmacological principles and drug action.

The course will cover the following elements.

1. The development of the receptor concept.
2. The pharmacological and mechanistic aspects of agonists and antagonists interacting with these receptors.
3. Structural aspects of these receptors and the transfer of signal from receptor to G-protein.
4. The biochemistry and regulation of signal processing by heterotrimeric G-protein systems.

While this specific minicourse focuses on seven-transmembrane span receptors coupled to heterotrimeric G-proteins, it is anticipated that subsequent minicourses along this line will be

developed under the umbrella of “Signal transduction in health and disease” to cover additional classes of receptors and associated signaling systems.

Schedule for Winter 2025

Date	Topic	Focus elements
1/08/2025	Development of the receptor concept I	The concept of a “receptive site” and the spectrum of biological impact of compounds interacting with the receptive sites in various cells and tissues
1/15/2025	Development of the receptor concept II –	Receptor heterogeneity and the interaction of various compounds with receptor subtypes The selectivity for agonists and antagonists and the pharmacological principals of drug action
1/22/2025	Receptor Biochemistry I	Molecular characterization of receptors The concept of G-proteins as key elements of receptor action
1/29/2025	Receptor Biochemistry II	Purification of receptor proteins as distinct entities Cloning of cDNAs/genes encoding receptors and G-proteins
2/05/2025	Overview of experimental approaches in the field during different phases of development	Perfused organs and tissue responsiveness to hormones, neurotransmitters and drugs Radioligand binding assays Photoaffinity labeling Protein purification Molecular biology – expression cloning and sequence based cloning of receptor cDNAs Model organisms Population based biobanks

2/12/2025	Signal processing by heterotrimeric G-protein systems I	Biochemistry of heterotrimeric G-protein systems
2/19/2025	Signal processing by heterotrimeric G-protein systems II	Accessory proteins for signal processing
2/26/2025	Summary discussion and visioning the future	