

G Protein-coupled Receptor Biology (9-10:20 TR)

BIOL 5301 –Select Adv Topics in Biology Science CRN: 14066

G protein-coupled receptor biology is an opportunity to read and discuss scientific literature in the field of GPCR biology. As 40-50% of all drugs in the market today are targeted toward GPCRs, it is important for students to have a clear understanding of the function and relevance of these proteins to signaling, health and biology.

Midterm Assignments: 44% (2 midterms- 22% each)

Take Home Final 22%

Attendance and participation: 34%*

All writing assignments are due on time. Late submissions will not be accepted.

DATE	ASSIGNMENT
August 25	Seven Trans-membrane Receptors -Intro
August 27	Structure of GPCRs- Deupi, Kobilka, <i>Activation of G protein coupled Receptors- ADVANCES IN PROTEIN CHEMISTRY-2007</i>
September 1	Structure of GPCRs
September 3	Structure of GPCRs
September 8	Signaling through GPCRs-second messengers Chidiac <i>RGS proteins destroy spare receptors: Effects of GPCR-interacting proteins and signal deamplification on measurements of GPCR agonist potency- 2015</i>
September 10	Signaling through GPCRs- second messengers
September 15	Rhodopsin Palczewski, <i>Chemistry and Biology of the Initial Steps in Vision: The Friedenwald Lecture- 2014</i>
September 17	Rhodopsin
September 22	No class (Midterm #1 Due)
September 24	Rhodopsin/ GPCR antagonists
September 29	GPCR antagonists Khoury, <i>Allosteric and biased G protein-coupled receptor signaling regulation: potentials for new therapeutics-2014</i>
October 1	Neurotransmitters Neurotransmitters (opioid and dopamine receptor) Chung, Kieffer, <i>Delta opioid receptors in brain function and diseases, 2013</i>
October 6	2 adrenergic receptors Pera, Penn. <i>Crosstalk between beta-2-adrenoceptor and muscarinic acetylcholine receptors in the airway, 2014</i>
October 8	Muscarinic Receptors Dale et. al. <i>The pharmacological rationale for combining muscarinic receptor antagonists and -adrenoceptor agonists in the treatment of airway and bladder disease- 2014</i>
October 13	Chemokine Receptors
October 15	GPCRs and obesity
October 20	Hormone regulation of GPCRs
October 22	Taste receptors
October 27	Olfactory receptors
October 30	GPCRs and drug development Zalewska, Siara, Sajewicz. <i>G Protein-coupled receptors: Abnormalities in Signal transmission, disease states and Pharmacotherapy 2014</i>
November 3	Manuscript Review Ashley
November 5	Manuscript Review-Natzidielly
November 10	Manuscript Review -Olga
November 12	Second Midterm assignment Due (no class today)
November 17	Manuscript Review-Anna

Instructions for submitting assignments:

1) Create your writing assignment. Acceptable formats for submitting your assignment are .doc or docx files.

2) Save the file with your last name and date 3) Attach the file to the Safe assignment 4) Submit to complete the process. I will be notified automatically that your assignment is ready for grading.

Course Description

G protein-coupled receptors (GPCRs) are cell surface receptors, that are encoded by more than 1,000 genes, and are used by many cells, to communicate within the host and to the world outside. For instance, sight, smell, taste and pain are controlled at the cellular and molecular levels by GPCRs. In each case a GPCR is used to discriminate millions of signals to provide intelligible information to the brain and allow the host to define what is occurring outside the host. In fact, you are using the GPCR rhodopsin to read this syllabus.

Therefore, it is not surprising that because GPCRs are readily accessible on the surface of many cells, over 40% of the drugs on the market today are targeted toward GPCRs. Montelukast (Singulair®) and Zafirluast (Accolate®) are examples of GPCR antagonists that are used to block GPCR-mediated bronchoconstriction during an asthma attack. Memantine HCl (Namenda®) is being used to try to slow the dementia associated with Alzheimer's disease, while beta-blockers (also called β -adrenergic receptor blocking agents) are being used to treat high blood pressure, glaucoma and migraines

In this course, we will discuss the structure and function of the "classical" GPCRs, rhodopsin and the β 2 adrenergic receptor. We will examine how small GTP-binding proteins are activated by ligand binding, by different types of GPCRs and examine the signaling effectors that promote downstream events, to begin to understand the specific cellular responses that are generated by ligand binding. In studying chemokine receptors, immune cell receptors that control the host immune response, we will begin to learn how individual GPCRs are important in regulating systemic responses. We will then discuss what happens when GPCRs dysfunction during neurological diseases. We will then examine current studies being carried that reveal novel insights into activation, signaling and desensitization of GPCRs.

This is an advanced discussion course. You will be graded on class participation and three written assignments. As you have been given the due dates in advance, unless you have extenuating circumstances, no late work will be accepted.