



## Orphan GPCRs: An Untapped Resource for Novel Drug Targets

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### Message from the Guest Editors

The G-protein-coupled receptor (GPCR) superfamily is the largest and most diverse transmembrane protein family in the genome, with over 800 members identified to date. Of the ~360 druggable GPCRs, ~240 are classified as known receptors and are activated by ~70 known ligands, while ~120 are described as ‘orphan’ receptors (oGPCRs) whose endogenous ligands remain to be identified. The diversity of physiological responses that GPCRs regulate as well as the relative success in developing clinically active small molecule ligands for these receptors have made GPCRs the most successful drug target class. While 30–40% of currently marketed drugs target GPCRs, they target <10% of the superfamily; thus, the therapeutic potential of GPCRs is far from exhausted. Hence, oGPCRs represent the next generation of GPCR-focused drug targets for pharmaceutical intervention in a variety of diseases. This Special Issue will highlight oGPCR signaling, biology, and their physiological and therapeutic relevance.





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## Message from the Editor-in-Chief

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