

## Special Issue

# Orphan GPCRs: An Untapped Resource for Novel Drug Targets

### 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

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### Deadline for manuscript submissions

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