The functions of the lower urinary tract, to store and periodically release urine, are organized by complex central and peripheral neural control mechanisms. Thus, various disease conditions in the central and peripheral levels can produce lower urinary tract symptoms (LUTS) such as urinary urgency, incontinence or voiding difficulty, urinary retention. Currently, standard pharmacotherapies for overactive bladder (OAB) include muscarinic receptor antagonists and, more recently, beta_3-adrenoceptor agonists and for male LUTS due to benign prostatic hyperplasia (BPH) utilize alpha_1-adrenoceptor antagonists. Phosphodiesterase type 5 (PDE5) inhibitors and 5alpha-reductase inhibitors have also been prescribed for BPH-associated male LUTS. Furthermore, based on the pathophysiology of LUTS, new pharmacological targets have been identified in bladder urothelium-afferent pathway interactions (e.g., P2X, PGE2 receptors), the spinal cord (e.g., serotonin, glycine system) and the brain (e.g., adenosine, CRF system). In addition, a new chemogenetic approach using "DREADD" may be useful to achieve the subpopulation-specific silencing of sensory pathways using designer receptors with synthetic ligands for the LUTS treatment without affecting the endogenous system.