**Table 1** Currently FDA approved anti-cancer drugs targeting GPCRs.

|  |  |  |  |  |
| --- | --- | --- | --- | --- |
| Drugs | Target | Ligand | Cancer | Approval year |
| Cabergoline | Dopamine receptor D1 (DRD1) | Small molecule | Neuroendocrine tumors, pituitary tumors | 1996 |
| Lanreotide | Somatostatin receptor (SSTR) | Hormone | Pancreatic cancer | 2007 |
| Degarelix | Gonadotropin releasing factor hormone receptor (GnRH) | Hormone | Prostate cancer | 2008 |
| Plerixafor | C-X-C chemokine receptor 4 (CXCR4) | Small molecule | Multiple myeloma | 2008 |
| Vismodegib (Erivedge) | Smoothened receptor (SMO) | Small molecule | Locally advanced, and metastatic basal cell carcinoma | 2012 |
| Raloxifene | Estrogen receptor (ER) | Small molecule | Breast cancer | 2014 |
| Sonidegib (Odomzo) | Smoothened receptor (SMO) | Small molecule | Locally advanced, and metastatic basal cell carcinoma | 2015 |
| Mogamulizumab | C–C Chemokine receptor 4 (CCR4) | Antibody | T cell lymphoma | 2018 |

\* Adapted from *“The current status of anti-GPCR drugs against different cancers (Usman et al., 2020)”.*