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(54) **GPCR HETEROMER INHIBITORS AND USES THEREOF**

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(57) **ABSTRACT**

This invention relates to inhibitors of CXC receptor 4 (CXCR4)-G protein-coupled receptor (GPCR) heteromers (CXCR4-GPCR heteromers) associated with cancers, where CXCR4 forms a functional heteromer with other G protein-coupled receptors (GPCRx). More specifically, this invention relates to GPCRx that form heteromers with CXCR4, which upon co-stimulation with CXCR4 agonists and GPCRx agonists leads to enhanced signaling downstream of CXCR4. This invention also provides for the use of inhibitors of the interacting GPCR partner of the CXCR4-GPCRx heteromer or CXCR4-GPCRx heteromer-specific inhibitors including inhibitors of the formation of the CXCR4-GPCRx heteromer and CXCR4-GPCRx heteromer-specific antibodies, and in the diagnosis and/or therapy for cancer.

Specification includes a Sequence Listing.