The chemokine receptor CXCR4 is emerging as an important target in cancer growth, metastasis, relapse and resistance to therapy.Several studies suggest that overexpression of CXCR4 in resected primary tumor tissues could predict distal metastasis and poor prognosis of diseases.CXCR4 is expressed in a broad range of tissues, including immune and the central nervous systems, but lowly or absently expressed in many normal tissues.

One of the most intriguing and perhaps important roles that chemokines and chemokine receptors have, is to regulate metastasis of solid tumors.CXCR4 is one of the best studied chemokine receptors, which selectively binds to the CXC chemokine stromal cell-derived factor 1 (SDF-1), also known as CXCL12.To date, CXCR4 have been demonstrated to be overexpressed in over 20 human malignancies, including breast cancer, prostate cancer, kidney cancer, colon cancer, thyroid cancer and pancreatic cancer.Notably, overwhelming evidences have implied that CXCL12/CXCR4 axis plays a pivotal role in directing metastasis of CXCR4 positive tumor cells to organs expressed CXCL12.