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Macitentan

National Cancer Institute

Source

National Cancer Institute. *Macitentan*. NCI Thesaurus. Code C87728.

An orally available dual endothelin receptor (ET R) antagonist with potential antihypertensive and antineoplastic activity. Upon administration, macitentan and its metabolites block the binding of endothelin isoform 1 (ET-1) to type-A and type-B ET R on both the tumor cells and the endothelial cells in the tumor vasculature. This prevents ET-1 mediated signaling transduction which may decrease tumor cell proliferation, progression, and angiogenesis in tumor tissue. ET-1, a potent vasoconstrictor that plays an important role in inflammation and tissue repair, is, together with its receptors, overexpressed varying in many tumor cell types.