Macitentan is a dual endothelin receptor (ET-A/B) antagonist that displays antihypertensive, anti-fibrotic, and anticancer activity; this compound exhibits slower receptor dissociation kinetics than other endothelin receptor antagonists. Macitentan is currently used to treat pulmonary arterial hypertension, as clinical trials show that it decreases blood pressure and proteinuria and prevents right ventricle hypertrophy. In models of systemic sclerosis, macitentan slowed the fibrotic process. In other animal models, macitentan enhanced toxicity of a variety of other chemotherapeutics, increasing apoptosis in tumor cells and decreasing levels of phosphorylated VEGFR2, phosphorylated Akt, and MAPK.

References


Caution: This product is intended for laboratory and research use only. It is not for human or drug use.