



LKT Laboratories, Inc.

Macitentan

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## Product Information

Product ID M0009

CAS No. 441798-33-0

### Chemical Name

Synonym ACT-064992, Actelion-1

Formula  $C_{19}H_{20}Br_2N_6O_4S$

Formula Wt. 588.27

Melting Point

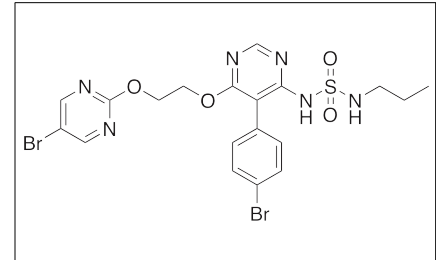
Purity  $\geq 99\%$

Solubility 33mg/ml in DMSO and DMF

Store Temp Ambient

Ship Temp Ambient

**Description** 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.



**Bulk quantities available upon request**

Product ID	Size
M0009	1 mg
M0009	5 mg
M0009	25 mg

**References** Pulido T, Adzerikho I, Channick RN, et al. Macitentan and morbidity and mortality in pulmonary arterial hypertension. *N Engl J Med.* 2013 Aug 29;369(9):809-18. PMID: 23984728.

Corallo C, Pecetti G, Iglarz M, et al. Macitentan slows down the dermal fibrotic process in systemic sclerosis: in vitro findings. *J Biol Regul Homeost Agents.* 2013 Apr-Jun;27(2):455-62. PMID: 23830395.

Gatfield J, Mueller Grandjean C, Sasse T, et al. Slow receptor dissociation kinetics differentiate macitentan from other endothelin receptor antagonists in pulmonary arterial smooth muscle cells. *PLoS One.* 2012;7(10):e47662. PMID: 23077657.

Kim SJ, Kim JS, Kim SW, et al. Antivascular therapy for multidrug-resistant ovarian tumors by macitentan, a dual endothelin receptor antagonist. *Transl Oncol.* 2012 Feb;5(1):39-47. PMID: 22348175.

Kim SJ, Kim JS, Kim SW, et al. Macitentan (ACT-064992), a tissue-targeting endothelin receptor antagonist, enhances therapeutic efficacy of paclitaxel by modulating survival pathways in orthotopic models of metastatic human ovarian cancer. *Neoplasia.* 2011 Feb;13(2):167-79. PMID: 21403842.

Iglarz M, Binkert C, Morrison K, et al. Pharmacology of macitentan, an orally active tissue-targeting dual endothelin receptor antagonist. *J Pharmacol Exp Ther.* 2008 Dec;327(3):736-45. PMID: 18780830.

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