LKT Laboratories, Inc.

Macitentan

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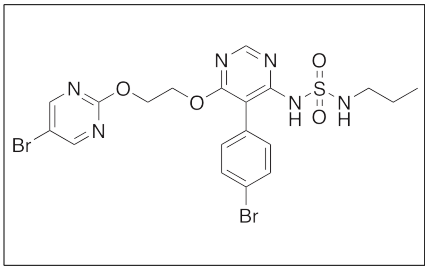
Product Information

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 ≥99%
Solubility 33mg/ml in DMSO and DMF



Pricing and Availability

Bulk quanitites available upon request

Product ID	Size	List Price
M0009	1 mg	$52.50
M0009	5 mg	$112.60
M0009	25 mg	$277.90

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.

References

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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.

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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.

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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.