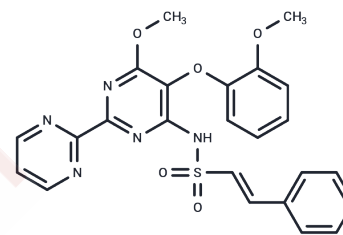


## Nebentan

## Chemical Properties

CAS No. :	403604-85-3
Formula:	C <sub>24</sub> H <sub>21</sub> N <sub>5</sub> O <sub>5</sub> S
Molecular Weight:	491.52
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Nebentan (YM598) is a potent, selective and orally active non-peptide endothelin ETA receptor antagonist through the modification of Bosentan. Nebentan inhibits [ <sup>125</sup> I] endothelin-1 binding to cloned human endothelin ETA and ETB receptor, with K <sub>i</sub> of 0.697 nM and 569 nM, respectively[1]. YM598 can ameliorate the progression of cor pulmonale and myocardial infarction in vivo[2].
Targets(IC50)	Endothelin Receptor,Others
In vitro	Nebentan inhibits the specific binding of [ <sup>125</sup> I] endothelin-1 to endothelin ETA and ETB receptors in a concentration-dependent manner, with K <sub>i</sub> values of 0.697 nM and 1.53 nM for human and rat endothelin ETA receptors, respectively. In contrast, YM598 exhibits low affinities for human and rat endothelin ETB receptors, with K <sub>i</sub> values of 569 nM and 155 nM, respectively [1]. Nebentan also inhibits the endothelin-1-induced increase in intracellular Ca <sup>2+</sup> concentration ([Ca <sup>2+</sup> ] <sub>i</sub> ) in both CHO and A10 cells, with IC <sub>50</sub> values of 26.2 nM and 26.7 nM, respectively [1].
In vivo	Nebentan, administered orally at dosages of 0.1-1 mg/kg for 4 weeks, significantly impedes the advancement of pulmonary hypertension and the formation of right ventricular hypertrophy. Additionally, when administered at a dosage of 1 mg/kg over 30 weeks, it markedly improves the survival rates of CHF rats, significantly reducing the hypertrophy of both ventricles and alleviating pulmonary congestion.

## Solubility Information

Solubility	DMSO: 125 mg/mL (254.31 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (6.71 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.0345 mL	10.1725 mL	20.3451 mL
5 mM	0.4069 mL	2.0345 mL	4.069 mL
10 mM	0.2035 mL	1.0173 mL	2.0345 mL
50 mM	0.0407 mL	0.2035 mL	0.4069 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Hironori Yuyama, et al. Pharmacological Characterization of YM598, an Orally Active and Highly Potent Selective Endothelin ET(A) Receptor Antagonist. *Eur J Pharmacol.* 2003 Sep 30;478(1):61-71.

Akira Fujimori, et al. YM598, an Orally Active ET(A) Receptor Antagonist, Ameliorates the Progression of Cardiopulmonary Changes and Both-Side Heart Failure in Rats With Cor Pulmonale and Myocardial Infarction. *J Cardiovasc Pharmacol.* 2004 Nov;44 Suppl 1:S354-7.

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