Product Data Sheet

Product Name: Nebentan free base
Cat. No.: GC60266

Chemical Properties

Cas. No.	403604-85-3		
SMILES	O=S(/C=C/C1=CC=CC=C1)(NC2=NC(C3=NC=CC=N3)=NC(OC)=C2OC4=CC=CC=C4OC)=O		
Formula	$C_{24}H_{21}N_5O_5S$	M.Wt	491.52
Solubility	DMSO: 125 mg/mL (254.31 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Nebentan free base		

Background

Nebentan (YM598) is a potent, selective and orally active non-peptide endothelin ETA receptor antagonist through the modification of Bosentan . Nebentan inhibits [125I] endothelin-1 binding to cloned human endothelin ETA and ETB receptor, with Ki of 0.697 nM and 569 nM, respectively[1]. YM598 can ameliorate the progression of cor pulmonale and myocardial infarction in vivo[2].

Nebentan inhibits the specific binding of [125I] endothelin-1 to endothelin ETA and ETB receptors in a concentration dependent manner,Ki values are 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 Ki values of 569 nM and 155 nM,respectively[1].In measurement of intracellular Ca2+ concentration, Nebentan concentration-dependently inhibits the increase in [Ca2+]i induced by 10 nM endothelin-1 in both CHO cells and A10 cells, the IC50 values are 26.2 nM for CHO cells and 26.7 nM for A10 cells, respectively[1].

Nebentan (oral administration; 0.1-1 mg/kg; 4 weeks) significantly inhibits the

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progression of pulmonary hypertension and the development of right ventricular hypertrophy[2].Nebentan (oral administration; 1 mg/kg; 30 weeks) significantly ameliorates the poor survival rate of CHF rats, it markedly reduces the hypertrophy of both ventricles as well as pulmonary congestion[2].

[1]. 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. [2]. 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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