

# Ambrisentan

**Catalog No: tcsc0447**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

177036-94-1

**Formula:**

$C_{22}H_{22}N_2O_4$

**Pathway:**

GPCR/G Protein

**Target:**

Endothelin Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq$  76 mg/mL (200.84 mM); Ethanol : 38 mg/mL (100.42 mM; Need ultrasonic)

**Alternative Names:**

BSF 208075;LU 208075

**Observed Molecular Weight:**

378.42

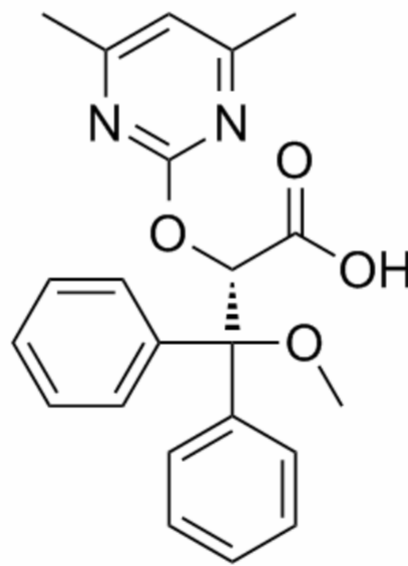
## Product Description

Ambrisentan is a selective ET type A receptor (**ETAR**) antagonist.

IC50 & Target: ETA receptor<sup>[1]</sup>

**In Vitro:** Ambrisentan is an endothelin type A receptor antagonist<sup>[1]</sup>. Ambrisentan induces Nrf2 activation. Endothelial permeability increased in BMEC monolayers at 24 h of hypoxia exposure and compared to vehicle control, Ambrisentan attenuates hypoxia-induced BMEC leak. These results are reversed when prior to treatment BMEC are transfected with siRNA against Nrf2<sup>[2]</sup>.

**In Vivo:** In the Ambrisentan group, hepatic hydroxyproline content is significantly lower than in the control group (18.0  $\mu\text{g/g} \pm 6.1 \mu\text{g/g}$  vs 33.9  $\mu\text{g/g} \pm 13.5 \mu\text{g/g}$  liver, respectively,  $P=0.014$ ). Hepatic fibrosis estimated by Sirius red staining and areas positive for  $\alpha$ -smooth muscle actin, indicative of activated hepatic stellate cells, are also significantly lower in the Ambrisentan group (0.46% $\pm$ 0.18% vs 1.11% $\pm$ 0.28%, respectively,  $P=0.0003$ ; and 0.12% $\pm$ 0.08% vs 0.25% $\pm$ 0.11%, respectively,  $P=0.047$ ). Moreover, hepatic RNA expression levels of procollagen-1 and tissue inhibitor of metalloproteinase-1 (TIMP-1) are significantly lower by 60% and 45%, respectively, in the Ambrisentan group. Inflammation, steatosis, and endothelin-related mRNA expression in the liver are not significantly different between the groups. Ambrisentan attenuates the progression of hepatic fibrosis by inhibiting hepatic stellate cell activation and reducing procollagen-1 and TIMP-1 gene expression. Ambrisentan did not affect inflammation or steatosis [1].



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