Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

# 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 : ≥ 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

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## Product Description

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

IC50 & Target: ETA receptor[1]

***In Vitro:*** Ambrisentan is an endothelin type A receptor antagonist[1]. 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[2].

***In Vivo:*** In the Ambrisentan group, hepatic hydroxyproline content is significantly lower than in the control group (18.0 μg/g±6.1 μg/g vs 33.9 μg/g±13.5 μg/g liver, respectively, P=0.014). Hepatic fibrosis estimated by Sirius red staining and areas positive for α-smooth muscle actin, indicative of activated hepatic stellate cells, are also significantly lower in the Ambrisentan group (0.46%±0.18% vs 1.11%±0.28%, respectively, P=0.0003; and 0.12%±0.08% vs 0.25%±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].