

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

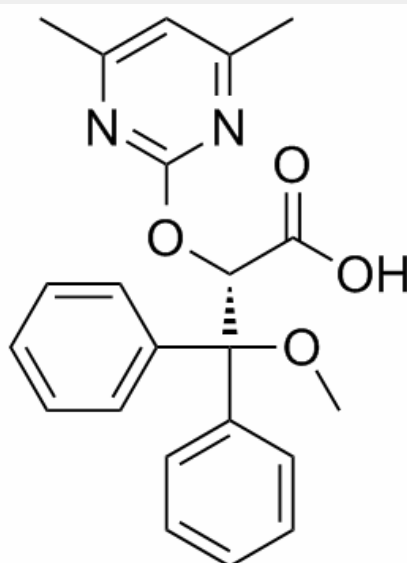
Product Description

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

IC50 & Target: ETA receptor^[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 $\mu\text{g/g} \pm 6.1 \mu\text{g/g}$ 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 α -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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