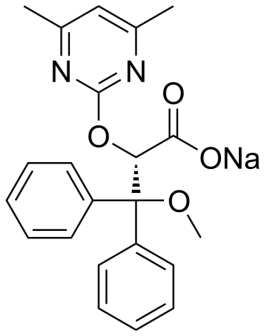
Ambrisentan sodium

Cat. No.:	HY-13209C
CAS No.:	1386915-48-5
Molecular Formula:	$C_{22}H_{21}N_2NaO_4$
Molecular Weight:	400.4
Target:	Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ambrisentan (BSF 208075) sodium is a selective and orally active ET type A receptor (ETAR) antagonist[1][2].
IC_{50} & Target	ET_A
In Vitro	Ambrisentan sodium is an endothelin type A receptor antagonist[1]. Ambrisentan sodium 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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 sodium attenuates the progression of hepatic fibrosis by inhibiting hepatic stellate cell activation and reducing procollagen-1 and TIMP-1 gene expression. Ambrisentan sodium did not affect inflammation or steatosis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Mol Cell Cardiol. 2022 Jul 7;171:16-29.
- Patent. US20220317132A1.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Okamoto T, et al. Antifibrotic effects of Ambrisentan, an endothelin-A receptor antagonist, in a non-alcoholic steatohepatitis mouse model. World J Hepatol. 2016 Aug 8;8(22):933-41.

[2]. Lisk C, et al. Nrf2 activation: a potential strategy for the prevention of acute mountain sickness. Free Radic Biol Med. 2013 Oct;63:264-73.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA