

Sitaxsentan (sodium)

Catalog No: tcsc0364



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

210421-74-2

Formula:

$C_{18}H_{14}ClN_2NaO_6S_2$

Pathway:

GPCR/G Protein

Target:

Endothelin Receptor

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 107 mg/mL (224.37 mM)

Alternative Names:

TBC11251 sodium salt;TBC11251

Observed Molecular Weight:

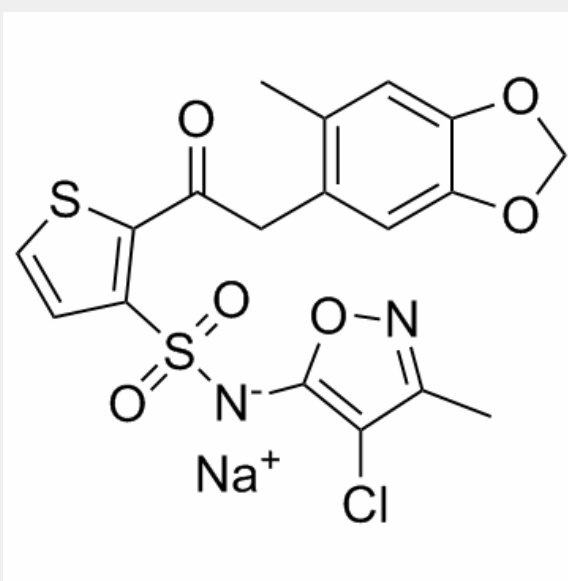
476.89

Product Description

Sitaxsentan (sodium) is an orally active, highly selective antagonist of **endothelin A receptors**.

In Vitro: Sitaxsentan and Bosentan attenuate NTCP transport at higher concentrations, and inhibit human hepatic transporters, which provides a potential mechanism for the increased hepatotoxicity observed for these agents in the clinical setting. Only sitaxsentan decreased OATP transport (52%)^[1]. Sitaxsentan and sitaxsentan combined with sildenafil completely prevent the increased expressions of endothelin-1 and of the ETB receptor. Sitaxsentan alone partially restores the expressions of BMPR-1A and BMPR-2. The combination of sildenafil and sitaxsentan further restores the expressions of BMPR-1A and BMPR-2, which remains, however, decreased compared with controls^[3].

In Vivo: Sitaxsentan (5 mg/kg infused iv 10 min prior to onset of hypoxia) completely blocks hypoxia-induced vasoconstriction and this group does not differ from air controls. Oral administration of sitaxsentan, significantly attenuates the increase in MPAP, while the administration of sitaxsentan to rats exposed to normal oxygen levels is without effect on MPAP^[2]. Sitaxsentan alone limits shunt-induced increase in MT. Sitaxsentan combined with sildenafil more effectively prevents this remodeling, which, however, tends to remain increased compared with controls^[3].



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