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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Sitaxsentan sodium

Cat. No.: HY-11103

CAS No.: 210421-74-2

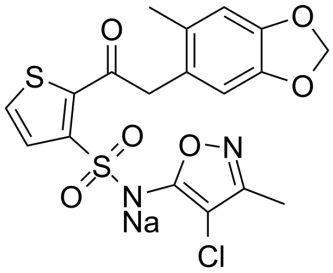
Molecular Formula: $C_{18}H_{14}ClN_2NaO_6S_2$

Molecular Weight: 476.89

Target: Endothelin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (209.69 mM; Need ultrasonic)
H_2O : 100 mg/mL (209.69 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.0969 mL	10.4846 mL	20.9692 mL
	5 mM	0.4194 mL	2.0969 mL	4.1938 mL
	10 mM	0.2097 mL	1.0485 mL	2.0969 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 33.33 mg/mL (69.89 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sitaxsentan sodium (IPI 1040 sodium; TBC11251 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 remaines, however, decreased compared with controls[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	After an initial 2-week period of hypoxic exposure (10% O_2) sitaxsentan (15 or 30 mg/kg body weight per day in the drinking water) is administered for 4 weeks during continuous exposure to hypoxia. At the conclusion of the 4 week period of hypoxia, femoral and pulmonary arterial cannulation and measurement of MPAP, MSAP, and HR are performed. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biotechnol Bioeng. 2021 Sep 3.

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REFERENCES

[1]. Hartman JC, et al. Evaluation of the endothelin receptor antagonists ambrisentan, darusentan, bosentan, and sitaxsentan as substrates and inhibitors of hepatobiliary transporters in sandwich-cultured human hepatocytes. Can J Physiol Pharmacol. 2010 Jun;88

[2]. Tilton RG, et al. Attenuation of pulmonary vascular hypertension and cardiac hypertrophy with sitaxsentan sodium, an orally active ET(A) receptor antagonist. Pulm Pharmacol Ther. 2000;13(2):87-97.

[3]. Rondelet B, et al. Sildenafil added to sitaxsentan in overcirculation-induced pulmonary arterial hypertension. Am J Physiol Heart Circ Physiol. 2010 Oct;299(4):H1118-23. Epub 2010 Aug 6.

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