

Product Data Sheet

Product Name: Sitaxentan sodium

Cat. No.: GC17769

Chemical Properties

Cas. No. 210421-74-2

Chemical Name sodium;(4-chloro-3-methyl-1,2-oxazol-5-yl)-[2-[2-(6-methyl-1,3-benzodioxol-5-yl)acetyl]thiophen-3-yl]sulfonylazanide

SMILES CC1=CC2=C(C=C1CC(=O)C3=C(C=CS3)S(=O)(=O)[N-]C4=C(C(=NO4)C)Cl)OCO2.[Na+]

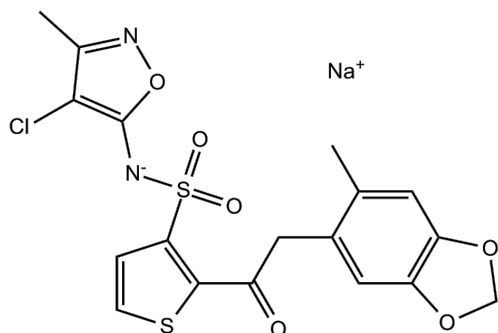
Formula $C_{18}H_{14}ClN_2NaO_6S_2$ M.Wt 476.89

Solubility $\geq 23.8\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Animal experiment [1]:

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal models Surgery for wire injury mouse model

Preparation Method The orally active selective ETA receptor antagonist Sitaxentan sodium (15mg/kg), were administered by admixture with chow. Each compound was prepared by dispersion in 0.2% methylcellulose and bound with RM1 mouse chow using beef gelatine and fresh diet was provided daily. Drug administration was started 1 week before surgery for wire injury and continued for a further 28 days.

Dosage form 15mg/kg; po; 28d

Applications Sitaxentan sodium inhibits the formation of neointimal lesions in femoral arteries of mice.

References:

[1]. Duthie KM, Hadoke PW, Kirkby NS, et al. Selective endothelin A receptor antagonism with sitaxentan reduces neointimal lesion size in a mouse model of intraluminal injury. British Journal of Pharmacology. 2015 Jun; 172(11): 2827-2837.

Background

Sitaxentan sodium is a selective endothelin A receptor (ETA) antagonist ($IC_{50} = 1.4 \text{ nM}$; $K_i = 0.43 \text{ nM}$) [1]. Sitaxentan sodium selectively blocks the action of endothelin (ET) on endothelin A (ETA) receptors [2]. Sitaxentan sodium is often used to treat pulmonary hypertension [3].

In Surgery for wire injury mouse model, Sitaxentan sodium (15mg/kg; po; 28d) inhibits the formation of neointimal lesions in femoral arteries of mice [4]. In rat toxicity experiments, Sitaxentan sodium (10, 30, 60mg/kg; po; 9 weeks) did not affect the survival, clinical symptoms, or body weight of rats [5]. In humanized liver chimeric mice, triglyceride (TG) levels in mice treated with Sitaxentan sodium (10mg/kg; po; single dose) were significantly increased [6].

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- [1]. Tilton RG, Munsch CL, Sherwood SJ, et al. Attenuation of pulmonary vascular hypertension and cardiac hypertrophy with sitaxsentan sodium, an orally active ETAreceptor antagonist. Pulmonary pharmacology & therapeutics. 2000 Apr 1; 13(2): 87-97.
- [2]. Rondelet B, Dewachter L, Kerbaul F, et al. Sildenafil added to sitaxsentan in overcirculation-induced pulmonary arterial hypertension. American Journal of Physiology-Heart and Circulatory Physiology. 2010 Oct;299(4):H1118-H1123.
- [3]. Barst RJ, Langleben D, Frost A, et al. Sitaxsentan therapy for pulmonary arterial hypertension. American journal of respiratory and critical care medicine. 2004 Feb 15;169(4):441-447.
- [4]. Duthie KM, Hadoke PW, Kirkby NS, et al. Selective endothelin A receptor antagonism with sitaxentan reduces neointimal lesion size in a mouse model of intraluminal injury. British Journal of Pharmacology. 2015 Jun; 172(11): 2827-2837.
- [5]. Cross DM, Derzi M, Horsley E, et al. Evaluation of sitaxentan (Thelin®) toxicity in juvenile rats and regulatory interactions during the development of a European Medicines Agency pediatric investigation plan. Regulatory Toxicology and Pharmacology. 2012 Oct 1; 64(1): 43-50.
- [6]. King A, Baginski M, Morikawa Y, et al. Application of a novel mass spectral data acquisition approach to lipidomic analysis of liver extracts from sitaxentan-treated liver-humanized PXB mice. Journal of proteome research. 2019 Sep 24; 18(11): 4055-4064.

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