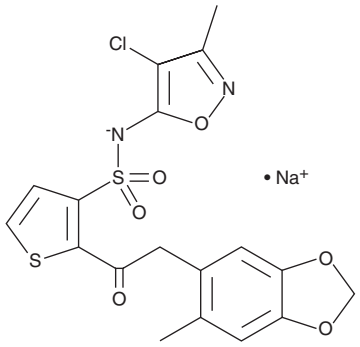
PRODUCT INFORMATION

Sitaxentan (sodium salt)

Item No. 29244

CAS Registry No.: 210421-74-2
Formal Name: N-(4-chloro-3-methyl-5-isoxazolyl)-2-[2-(6-methyl-1,3-benzodioxol-5-yl)acetyl]-3-thiophenesulfonamide, monosodium salt
Synonyms: TBC 11251, Thelin
MF: $C_{18}H_{14}ClN_2O_6S_2 \bullet Na$
FW: 476.9
Purity: ≥98%
UV/Vis.: λ_{max}: 266 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Sitaxentan (sodium salt) is supplied as a solid. A stock solution may be made by dissolving the sitaxentan (sodium salt) in the solvent of choice, which should be purged with an inert gas. Sitaxentan (sodium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of sitaxentan (sodium salt) in these solvents is approximately 5, 15, and 10 mg/ml, respectively.

Sitaxentan (sodium salt) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, sitaxentan (sodium salt) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Sitaxentan (sodium salt) has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Sitaxentan is a potent nonpeptide endothelin A (ET_A) receptor antagonist (IC_{50} = 1.4 nM).[1] It is selective for ET_A over ET_B receptors (IC_{50} = 9,800 nM). Sitaxentan inhibits phosphoinositol hydrolysis induced by endothelin-1 (Item No. 24127) in COS-7 cells (pA_2 = 8). *In vivo*, sitaxentan reduces blood pressure in a rat model of acute hypoxia-induced pulmonary hypertension (ED_{50} = 0.5 mg/kg). It reduces femoral artery neointimal lesion size in a mouse model of intraluminal injury.[2] Sitaxentan (15 mg/kg) decreases bronchoalveolar lavage fluid (BALF) pleocytosis, as well as pulmonary collagen deposition and fibrosis, and improves lung mechanics in a mouse model of bleomycin-induced lung injury.[3] Formulations containing sitaxentan have been used in the treatment of hypertension.

References

1. Wu, C., Chan, M.F., Stavros, F.L., *et al.* Discovery of TBC11251, a potent, long acting, orally active endothelin receptor-A selective antagonist. *J. Med. Chem.* **40(11),** 1690-1697 (1997).
2. Duthie, K.M., Hadoke, P.W., Kirkby, N.S., *et al.* Selective endothelin A receptor antagonism with sitaxentan reduces neointimal lesion size in a mouse model of intraluminal injury. *Br. J. Pharmacol.* **172(11),** 2827-2837 (2015).
3. Manitsopoulos, N., Nikitopoulos, I., Maniatis, N.A., *et al.* Highly selective endothelin-1 receptor A inhibition prevents bleomycin-induced pulmonary inflammation and fibrosis in mice. *Respiration* **95(2),** 122-136 (2018).

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