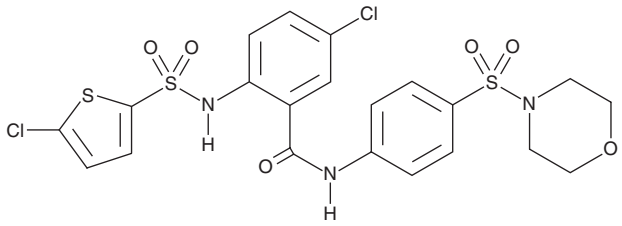
PRODUCT INFORMATION

Ataciguat

Item No. 16371

CAS Registry No.:	254877-67-3
Formal Name:	5-chloro-2-[[(5-chloro-2-thienyl)sulfonyl]amino]-N-[4-(4-morpholinylsulfonyl)phenyl]-benzamide
Synonym:	HMR 1766
MF:	$C_{21}H_{19}Cl_2N_3O_6S_3$
FW:	576.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 241, 270 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Ataciguat is supplied as a crystalline solid. A stock solution may be made by dissolving the ataciguat in the solvent of choice, which should be purged with an inert gas. Ataciguat is soluble in the organic solvent dimethyl formamide (DMF) at a concentration of approximately 1 mg/ml.

Ataciguat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ataciguat should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ataciguat has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Soluble guanylate cyclase (sGC) is the primary cellular receptor for NO. NO binds and activates a heme group in sGC, initiating the conversion of GTP to the second messenger cGMP. cGMP subsequently mediates a number of signaling cascades leading to vasorelaxation and inhibiting smooth muscle proliferation, leukocyte recruitment, and platelet aggregation. Oxidation of the heme results in its dissociation from sGC and an impairment of NO signaling, which has been linked to hypertension, hyperlidemia, cardiovascular disease, and diabetes.[1] Ataciguat is an anthranilic acid derivative that activates the oxidized (heme-free) form of sGC (EC_{50} = 0.5-10 µM in purified bovine lung or crude human corpus cavernosum isolates) by binding to the heme pocket and mimicking its function.[1-3] It has been shown to increase cGMP levels in cultured rat aorta smooth muscle cells and to induce vasorelaxation of isolated rat aorta, porcine coronary arteries, and human corpus cavernosum (EC_{50} = 1-10 µM).[2]

References

1. Stasch, J.P., Pacher, P., and Evgenov, O.V. Soluble guanylate cyclase as an emerging therapeutic target in cardiopulmonary disease. *Circulation* **123(20)**, 2263-2273 (2011).
2. Schindler, U., Strobel, H., Schönafinger, K., *et al.* Biochemistry and pharmacology of novel anthranilic acid derivatives activating heme-oxidized soluble guanylyl cyclase. *Mol. Pharmacol.* **69(4)**, 1260-1268 (2006).
3. Zhou, Z., Pyriochou, A., Kotanidou, A., *et al.* Soluble guanylyl cyclase activation by HMR-1766 (ataciguat) in cells exposed to oxidative stress. *Am. J. Physiol. Heart Circ. Physiol.* **295(4)**, H1763-H1771 (2008).

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