

PRODUCT INFORMATION

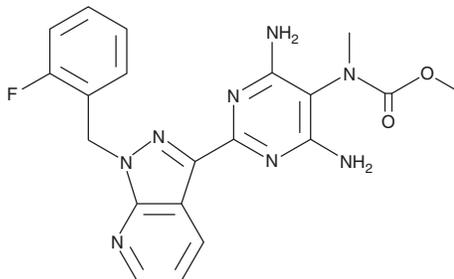


Riociguat

Item No. 9000554

CAS Registry No.: 625115-55-1
Formal Name: N-[4,6-diamino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl]-N-methyl-carbamic acid, methyl ester

Synonym: BAY 63-2521
MF: C₂₀H₁₉FN₈O₂
FW: 422.4
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 323 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

Riociguat is supplied as a crystalline solid. A stock solution may be made by dissolving the riociguat in the solvent of choice. Riociguat is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of riociguat in these solvents is approximately 10 mg/ml.

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

Description

Riociguat is a stimulator of soluble guanylate cyclase (sGC).^{1,2} It stimulates recombinant sGC in a concentration-dependent manner *in vitro* by up to 73-fold when used at a concentration of 100 μM or by up to 112-fold when used in combination with the nitric oxide (NO) donor DEA NONOate (Item No. 82100).¹ It has no effect on phosphodiesterases PDE1-9 and PDE11 when used at concentrations up to 3 μM. Riociguat (1 and 10 mg/kg) reduces pulmonary and systemic arterial pressure in a rabbit model of acute pulmonary arterial hypertension (PAH) induced by U-46619 (Item No. 16450). It also inhibits increases in right ventricular systolic pressure, right heart hypertrophy, and pulmonary artery muscularization in hypoxia-induced mouse and monocrotaline-injected rat models of chronic PAH when administered at a dose of 10 mg/kg. Formulations containing riociguat have been used in the treatment of chronic thromboembolic pulmonary hypertension (CTEPH) and PAH.

References

1. Schermuly, R.T., Stasch, J.P., Pullamsetti, S.S., *et al.* Expression and function of soluble guanylate cyclase in pulmonary arterial hypertension. *Eur. Respir. J.* **32(4)**, 881-891 (2008).
2. 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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