

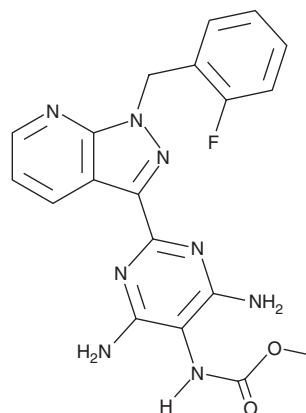
PRODUCT INFORMATION



Nelociguat

Item No. 34133

CAS Registry No.: 625115-52-8
Formal Name: N-[4,6-diamino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl]-carbamic acid, methyl ester
Synonyms: BAY 60-4552, Desmethyl Riociguat
MF: C₁₉H₁₇FN₈O₂
FW: 408.4
Purity: ≥95%
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

Nelociguat is supplied as a solid. A stock solution may be made by dissolving the nelociguat in the solvent of choice, which should be purged with an inert gas. Nelociguat is slightly soluble in DMSO (heated) and very slightly soluble in methanol (heated).

Description

Nelociguat is a stimulator of soluble guanylate cyclase (sGC) and an active metabolite of riociguat (Item No. 9000554).^{1,2} It is formed from riociguat by the cytochrome P450 (CYP) isoform CYP1A1.³ Nelociguat increases phosphorylation of the protein kinase G (PKG) substrate vasodilator-stimulator protein (VASP) in isolated rat aortic smooth muscle cells (EC₅₀ = 353 nM).¹ It induces vasodilation in rat aortic rings (EC₅₀ = 75 nM). Nelociguat (3 mg/kg) decreases urine output and cardiac hypertrophy, as well as improves survival, in spontaneously hypertensive stroke-prone rats fed a high-salt high-fat diet. It improves erectile responses to electrical stimulation of the cavernous nerve in a rat model of cavernous nerve crush injury when administered at doses of 0.03 and 0.3 mg/kg.²

References

1. Costell, M.H., Ancellin, N., Bernard, R.E., *et al.* Comparison of soluble guanylate cyclase stimulators and activators in models of cardiovascular disease associated with oxidative stress. *Front. Pharmacol.* **3**, 128 (2012).
2. Oudot, A., Behr-Roussel, D., Poirier, S., *et al.* Combination of BAY 60-4552 and vardenafil exerts proerectile facilitator effects in rats with cavernous nerve injury: A proof of concept study for the treatment of phosphodiesterase type 5 inhibitor failure. *Eur. Urol.* **60(5)**, 1020-1026 (2011).
3. Hložek, T., Štícha, M., Bursová, M., *et al.* Sensitive CE-MS method for monitoring of riociguat and desmethylriociguat levels in human serum. *Electrophoresis* **41(18-19)**, 1564-1567 (2020).

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.

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