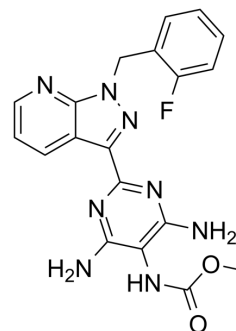


## Nelociguat

Cat. No.:	HY-78237
CAS No.:	625115-52-8
Molecular Formula:	C <sub>19</sub> H <sub>17</sub> FN <sub>8</sub> O <sub>2</sub>
Molecular Weight:	408.39
Target:	Guanylate Cyclase
Pathway:	GPCR/G Protein
Storage:	<div> <div>Powder</div> <div>-20°C</div> <div>3 years</div> </div> <div> <div></div> <div>4°C</div> <div>2 years</div> </div> <div> <div>In solvent</div> <div>-80°C</div> <div>6 months</div> </div> <div> <div></div> <div>-20°C</div> <div>1 month</div> </div>



### SOLVENT & SOLUBILITY

In Vitro	DMSO : < 1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble or slightly soluble)
In Vivo	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution</li> </ol>

### BIOLOGICAL ACTIVITY

Description	Nelociguat (BAY60-4552) is a nitric oxide sensitive soluble guanylate cyclase stimulator.
In Vitro	<p>Soluble guanylate cyclase (sGC) is a key enzyme in the nitric oxide (NO) signalling pathway<sup>[1]</sup>. Riociguat is metabolized to BAY60-4552 not only via cytochrome P450 isoenzymes 3A4 (CYP3A4), CYP2C8, and CYP2J2, but also via CYP1A1, located in the liver and lungs<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>GSK2181236A and BAY 60-4552 provide partial benefit against hypertension-induced end-organ damage. In spontaneously hypertensive stroke-prone rats, a low dose of BAY 60-4552 decreases urine output and improved survival. A high dose also reduces urine output, and in addition reduces microalbuminuria and attenuates the increase in mean arterial pressure. Both the 0.3 and 3 mg/kg/day doses of BAY 60-4552 improves survival of 46 and 69%. Seven weeks of treatment with BAY 60-4552 (0.3 and 3.0 mg/kg/day) dose-dependently decreases urine output to 79±11 and 56±10 mL/day<sup>[1]</sup>. BAY 60-4552, and vardenafil provides synergistic beneficial effects and might therefore salvage patients who experience treatment failures with PDE5 inhibitors after radical prostatectomy<sup>[3]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### PROTOCOL

**Animal  
Administration <sup>[1]</sup>**

Rats: Rats are orally gavaged with vehicle (0.5% HPMC, 5% DMSO, and 0.1% Tween 80; 10 mL/kg; n=14), GSK2181236A (0.1 or 1.0 mg/kg; n=11-14), or BAY 60-4552 (0.3 or 3.0 mg/kg; n=10-12) 2 h prior to ischemia. Blood is collected at the initiation of ischemia and after 24 h reperfusion. Plasma is obtained for analysis<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

- [1]. Costell MH, et al. Comparison of soluble guanylate cyclase stimulators and activators in models of cardiovascular disease associated with oxidative stress. *Front Pharmacol.* 2012 Jul 5;3:128.
- [2]. Zhao X, et al. Pharmacokinetics of the Soluble Guanylate Cyclase Stimulator Riociguat in Healthy Young Chinese Male Non-Smokers and Smokers: Results of a Randomized, Double-Blind, Placebo-Controlled Study. *Clin Pharmacokinet.* 2016 May;55(5):615-24.
- [3]. Oudot A, 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.* 2011 Nov;60(5):1020-6

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

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