



# SZABO SCANDIC

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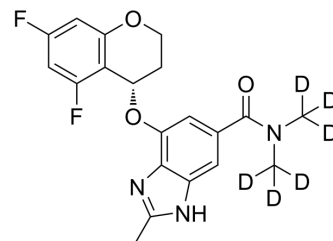
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## Tegoprazan-d<sub>6</sub>

|                    |   |
|--------------------|---|
| Cat. No.:          | HY-17623S   |
| Molecular Formula: | C <sub>20</sub> H <sub>13</sub> D <sub>6</sub> F <sub>2</sub> N <sub>3</sub> O <sub>3</sub> |
| Molecular Weight:  | 393.42  |
| Target:            | Proton Pump; Na <sup>+</sup> /K <sup>+</sup> ATPase   |
| Pathway:           | Membrane Transporter/Ion Channel  |
| Storage:           | Please store the product under the recommended conditions in the Certificate of Analysis.   |



### BIOLOGICAL ACTIVITY

|                  |   |               |                                     |                |                      |                  |                     |         |  |
|------------------|---|---------------|-------------------------------------|----------------|----------------------|------------------|---------------------|---------|--|
| Description      | <p>Tegoprazan (CJ-12420; RQ-00000004), a potassium-competitive acid blocker, is a reversible, oral active and highly selective inhibitor of gastric H<sup>+</sup>/K<sup>+</sup>-ATPase that could control gastric acid secretion and motility, with IC<sub>50</sub> values ranging from 0.29-0.52 μM for porcine, canine, and human H<sup>+</sup>/K<sup>+</sup>-ATPases in vitro. Tegoprazan significantly improves colitis in mice and enhances the intestinal epithelial barrier function. Tegoprazan is promising for research of Inflammatory bowel, gastric acid-related, motilityimpaired diseases<sup>[1][2][3]</sup>.</p>   |               |                                     |                |                      |                  |                     |         |  |
| In Vitro         | <p>Tegoprazan (1.0 mM and 3.0 mM, 4 h) reduces DSS-induced colitis by maintaining high junction integrity of the epithelial mucosa in Caco-2 cells<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>RT-PCR<sup>[1]</sup></p> <table border="1" data-bbox="345 1199 1516 1465"> <tr> <td>Cell Line:</td><td>Caco-2 cells</td></tr> <tr> <td>Concentration:</td><td>1.0 mM and 3.0 mM</td></tr> <tr> <td>Incubation Time:</td><td>4 h</td></tr> <tr> <td>Result:</td><td>Protected the intestinal epithelial tight junction barrier and inhibits the increase in intestinal permeability.</td></tr> </table>  | Cell Line:    | Caco-2 cells                        | Concentration: | 1.0 mM and 3.0 mM    | Incubation Time: | 4 h                 | Result: | Protected the intestinal epithelial tight junction barrier and inhibits the increase in intestinal permeability. |
| Cell Line:       | Caco-2 cells  |               |                                     |                |                      |                  |                     |         |  |
| Concentration:   | 1.0 mM and 3.0 mM   |               |                                     |                |                      |                  |                     |         |  |
| Incubation Time: | 4 h   |               |                                     |                |                      |                  |                     |         |  |
| Result:          | Protected the intestinal epithelial tight junction barrier and inhibits the increase in intestinal permeability.  |               |                                     |                |                      |                  |                     |         |  |
| In Vivo          | <p>Tegoprazan (30 mg / kg, p.o., twice daily for 5 days) alleviates the severity of dinitrobenzene sulfonic acid (DNBS)-induced reduced colon length and colonic damage, as well as protecting against DNBS-induced colon inflammation in mice colon<sup>[1]</sup>.</p> <p>Tegoprazan (3 mg/kg and 10 mg/kg, p.o., 5 h) inhibits basal gastric acid secretion in a dose-dependent manner<sup>[2]</sup>.</p> <p>Tegoprazan (0.1, 1 and 10 mg/kg, p.o.) exerts an antiulcer effect in a dose-dependent manner<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 1703 1516 1934"> <tr> <td>Animal Model:</td><td>Pylorus-Ligated Rats<sup>[2]</sup></td></tr> <tr> <td>Dosage:</td><td>3 mg/kg and 10 mg/kg</td></tr> <tr> <td>Administration:</td><td>p.o., a single dose</td></tr> <tr> <td>Result:</td><td>Inhibited basal gastric acid secretion in a dose-dependent manner and was effective at a</td></tr> </table> | Animal Model: | Pylorus-Ligated Rats <sup>[2]</sup> | Dosage:        | 3 mg/kg and 10 mg/kg | Administration:  | p.o., a single dose | Result: | Inhibited basal gastric acid secretion in a dose-dependent manner and was effective at a                         |
| Animal Model:    | Pylorus-Ligated Rats <sup>[2]</sup>   |               |                                     |                |                      |                  |                     |         |  |
| Dosage:          | 3 mg/kg and 10 mg/kg  |               |                                     |                |                      |                  |                     |         |  |
| Administration:  | p.o., a single dose   |               |                                     |                |                      |                  |                     |         |  |
| Result:          | Inhibited basal gastric acid secretion in a dose-dependent manner and was effective at a  |               |                                     |                |                      |                  |                     |         |  |

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|  |                                      |
|--|--------------------------------------|
|  | single dose in Pylorus-Ligated rats. |
|--|--------------------------------------|

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|                 |  |
|-----------------|--|
| Animal Model:   | Naproxen-induced acute gastric ulcer rat model <sup>[2]</sup>  |
| Dosage:         | 0.1, 1 and 10 mg/kg  |
| Administration: | p.o., a single day or daily for 5 days   |
| Result:         | Exerted an antiulcer effect in a dose-dependent manner and was effective at a single dose in naproxen-induced acute gastric ulcer rat model. |

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|                 |  |
|-----------------|--|
| Animal Model:   | DNBS and Tegoprazan-induced rats <sup>[2]</sup>  |
| Dosage:         | 30 mg/kg   |
| Administration: | p.o., twice daily for 5 days   |
| Result:         | Reduced mRNA expression levels of proinflammatory cytokines, especially interleukin-17 (IL17) in DNBS and Tegoprazan-induced rats. |

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## REFERENCES

[1]. Takahashi N, Take Y. Tegoprazan, a Novel Potassium-Competitive Acid Blocker to Control Gastric Acid Secretion and Motility. J Pharmacol Exp Ther. 2018 Feb;364(2):275-286.

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

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