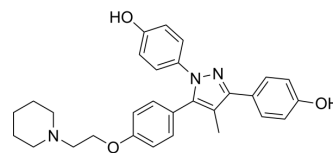


## Methylpiperidino pyrazole

|                           |   |
|---------------------------|---|
| <b>Cat. No.:</b>          | HY-127133   |
| <b>CAS No.:</b>           | 289726-02-9   |
| <b>Molecular Formula:</b> | C <sub>29</sub> H <sub>31</sub> N <sub>3</sub> O <sub>3</sub>                             |
| <b>Molecular Weight:</b>  | 469.57  |
| <b>Target:</b>            | Biochemical Assay Reagents  |
| <b>Pathway:</b>           | Others  |
| <b>Storage:</b>           | Please store the product under the recommended conditions in the Certificate of Analysis. |



### BIOLOGICAL ACTIVITY

|                    |   |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
|--------------------|---|------------|---------------------------------|----------------|-----------------------------|------------------|----------|---------|--|------------|-------------|----------------|----------------------|------------------|----------|---------|--|------------|------------------|
| <b>Description</b> | MPP is a highly selective estrogen receptor alpha (ERα) antagonist. MPP reduces the ratio of p-ERα/ERα <sup>[1]</sup> . <a href="https://www.ncbi.nlm.nih.gov/pubmed/29799481">https://www.ncbi.nlm.nih.gov/pubmed/29799481</a> Labouesse MA, et al. Effects of selective estrogen receptor alpha and beta modulators on prepulse inhibition in male mice. <i>Psychopharmacology (Berl)</i> . 2015 Aug;232(16):2981-94. <a href="https://www.ncbi.nlm.nih.gov/pubmed/25893642">https://www.ncbi.nlm.nih.gov/pubmed/25893642</a>   |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| <b>In Vitro</b>    | <p>MPP (1, 5, 10, 25, 50 and 100 μM; 24 h) decreases cell viability with an IC<sub>50</sub> value of 20.01 μM in RL95-2 cells<sup>[1]</sup>. MPP dihydrochloride shows antiproliferative activity at a concentration of 10 μM in RL95-2 cells<sup>[1]</sup>. MPP dihydrochloride (20 μM; 24 h) reduces the phosphorylation of ERα, while it does not alter the phosphorylation of Akt. MPP dihydrochloride reduces the ratio of p-ERα/ERα<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>RL95-2 endometrium cancer cells</td> </tr> <tr> <td>Concentration:</td> <td>1, 5, 10, 25, 50 and 100 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>The treatment with 25 μM, 50 μM and 100 μM for 24 h decreased cell viability significantly. However, cell viability was not significantly changed by MPP dihydrochloride at concentration below 25 μM.</td> </tr> </table> <p>Cell Proliferation Assay<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>RL95-2 cell</td> </tr> <tr> <td>Concentration:</td> <td>10, 15, 20 and 25 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Showed antiproliferative activity at a concentration of 10 μM.</td> </tr> </table> <p>Western Blot Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>RL95-2 cell line</td> </tr> </table> | Cell Line: | RL95-2 endometrium cancer cells | Concentration: | 1, 5, 10, 25, 50 and 100 μM | Incubation Time: | 24 hours | Result: | The treatment with 25 μM, 50 μM and 100 μM for 24 h decreased cell viability significantly. However, cell viability was not significantly changed by MPP dihydrochloride at concentration below 25 μM. | Cell Line: | RL95-2 cell | Concentration: | 10, 15, 20 and 25 μM | Incubation Time: | 72 hours | Result: | Showed antiproliferative activity at a concentration of 10 μM. | Cell Line: | RL95-2 cell line |
| Cell Line:         | RL95-2 endometrium cancer cells   |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Concentration:     | 1, 5, 10, 25, 50 and 100 μM   |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Incubation Time:   | 24 hours  |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Result:            | The treatment with 25 μM, 50 μM and 100 μM for 24 h decreased cell viability significantly. However, cell viability was not significantly changed by MPP dihydrochloride at concentration below 25 μM.  |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Cell Line:         | RL95-2 cell   |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Concentration:     | 10, 15, 20 and 25 μM  |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Incubation Time:   | 72 hours  |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Result:            | Showed antiproliferative activity at a concentration of 10 μM.  |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |
| Cell Line:         | RL95-2 cell line  |            |                                 |                |                             |                  |          |         |  |            |             |                |                      |                  |          |         |  |            |                  |

|                  |  |
|------------------|--|
| Concentration:   | 20 $\mu$ M   |
| Incubation Time: | 24 hours   |
| Result:          | Reduced the phosphorylation of ER $\alpha$ , while it did not alter the phosphorylation of Akt. Reduced the ratio of p-ER $\alpha$ /ER $\alpha$ compared to the control group. |

|                |   |   |
|----------------|---|---|
| <b>In Vivo</b> | MPP (Low dose 20 $\mu$ g/kg body weight or high dose 200 $\mu$ g/kg body weight) leads to a dose-dependent attenuation of percent prepulse inhibition (PPI) <sup>[2]</sup> .<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |   |
|                | Animal Model:   | Male C57BL/6N mice at the age of 9-10 weeks <sup>[2]</sup>  |
|                | Dosage:   | Low dose (20 $\mu$ g/kg body weight) or high dose (200 $\mu$ g/kg body weight)  |
|                | Administration:   | Administered subcutaneously (s.c.) injected; injection volume of 5 mL/kg; 60 min before PPI testing                               |
|                | Result:   | Led to a dose-dependent attenuation of percent PPI. Pretreatment with 200 $\mu$ g/kg reduced the mean percent PPI scores by ~30%. |

## CUSTOMER VALIDATION

- Mol Nutr Food Res. 2021 Jul 5;e2100070.
- Ecotoxicol Environ Saf. 2023 May 23;259:115060.
- Phytomedicine. 27 February 2022, 154022.
- Eur J Inflamm. October 11, 2021.

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## REFERENCES

[1]. Karabođa Arslan AK, et al.  $\alpha$ -Chaconine and  $\alpha$ -Solanine Inhibit RL95-2 Endometrium Cancer Cell Proliferation by Reducing Expression of Akt (Ser473) and ER $\alpha$  (Ser167). *Nutrients*. 2018 May 25;10(6). pii: E672.

[2]. Labouesse MA, et al. Effects of selective estrogen receptor alpha and beta modulators on prepulse inhibition in male mice. *Psychopharmacology (Berl)*. 2015 Aug;232(16):2981-94.

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

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