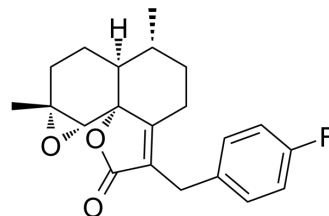


## GRP78-IN-1

|                           |   |
|---------------------------|---|
| <b>Cat. No.:</b>          | HY-145857   |
| <b>Molecular Formula:</b> | C <sub>21</sub> H <sub>23</sub> FO <sub>3</sub>   |
| <b>Molecular Weight:</b>  | 342.4   |
| <b>Target:</b>            | HSP; Apoptosis  |
| <b>Pathway:</b>           | Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Apoptosis                               |
| <b>Storage:</b>           | Please store the product under the recommended conditions in the Certificate of Analysis. |



### BIOLOGICAL ACTIVITY

|                                     |   |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
|-------------------------------------|---|------------|--|----------------|--------------------------|------------------|------|---------|--|------------|--|----------------|---------------|------------------|--|---------|--|
| <b>Description</b>                  | GRP78-IN-1 exhibits several interactions with GRP78 residues with binding energy of -8.07 kcal/mol. GRP78-IN-1 shows the potent cytotoxic, anti-proliferative in cancer cells. GRP78-IN-1 exhibits promising apoptosis in breast cancer cells and wound healing properties <sup>[1]</sup> .   |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| <b>IC<sub>50</sub> &amp; Target</b> | Grp78   |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| <b>In Vitro</b>                     | <p>GRP78-IN-1 (compound 3i) (0.01, 0.1, 1, 10, 100 μM; 48 h) shows the most potent cytotoxic effect (IC<sub>50</sub>s of 2.06, 12.57, 9, 18, 4.9, 2.19, 62.48 μM in MCF-1, PANC-1, HCT-116, PC-3, A549, MDA-MB-231 and FR-2 cells, respectively)<sup>[1]</sup>.</p> <p>GRP78-IN-1 (1, 2, 4, 6 μM) shows a steady increase in the expression of pro-apoptotic proteins viz. Par-4, apoptotic cascade in BAX and cleaved caspase 9 cells<sup>[1]</sup>.</p> <p>GRP78-IN-1 (1, 2, 4, 6 μM; 48 h) inhibits the motility of MCF-7 and A549 cells in a dose-dependent manner<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cytotoxicity Assay<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>MCF-1, MDA-MB-231, PANC-1, HCT-116, PC-3, A549, FR-2 cells</td> </tr> <tr> <td>Concentration:</td> <td>0.01, 0.1, 1, 10, 100 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 h</td> </tr> <tr> <td>Result:</td> <td>Showed the most promising cytotoxic effect (IC<sub>50</sub>s is 2.06, 12.57, 9, 18, 4.9, 2.19, 62.48 μM in MCF-1, PANC-1, HCT-116, PC-3, A549, MDA-MB-231 and FR-2 cells, respectively).</td> </tr> </table> <p>Western Blot Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>BCL-2, BAX, cleaved caspase 9, MCF-7, A549 cells</td> </tr> <tr> <td>Concentration:</td> <td>1, 2, 4, 6 μM</td> </tr> <tr> <td>Incubation Time:</td> <td></td> </tr> <tr> <td>Result:</td> <td>Showed a steady increase in the expression of pro-apoptotic proteins viz. Par-4, apoptotic cascade in BAX and cleaved caspase 9 cells.</td> </tr> </table> | Cell Line: | MCF-1, MDA-MB-231, PANC-1, HCT-116, PC-3, A549, FR-2 cells | Concentration: | 0.01, 0.1, 1, 10, 100 μM | Incubation Time: | 48 h | Result: | Showed the most promising cytotoxic effect (IC <sub>50</sub> s is 2.06, 12.57, 9, 18, 4.9, 2.19, 62.48 μM in MCF-1, PANC-1, HCT-116, PC-3, A549, MDA-MB-231 and FR-2 cells, respectively). | Cell Line: | BCL-2, BAX, cleaved caspase 9, MCF-7, A549 cells | Concentration: | 1, 2, 4, 6 μM | Incubation Time: |  | Result: | Showed a steady increase in the expression of pro-apoptotic proteins viz. Par-4, apoptotic cascade in BAX and cleaved caspase 9 cells. |
| Cell Line:                          | MCF-1, MDA-MB-231, PANC-1, HCT-116, PC-3, A549, FR-2 cells  |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Concentration:                      | 0.01, 0.1, 1, 10, 100 μM  |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Incubation Time:                    | 48 h  |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Result:                             | Showed the most promising cytotoxic effect (IC <sub>50</sub> s is 2.06, 12.57, 9, 18, 4.9, 2.19, 62.48 μM in MCF-1, PANC-1, HCT-116, PC-3, A549, MDA-MB-231 and FR-2 cells, respectively).  |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Cell Line:                          | BCL-2, BAX, cleaved caspase 9, MCF-7, A549 cells  |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Concentration:                      | 1, 2, 4, 6 μM   |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Incubation Time:                    |   |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |
| Result:                             | Showed a steady increase in the expression of pro-apoptotic proteins viz. Par-4, apoptotic cascade in BAX and cleaved caspase 9 cells.  |            |  |                |                          |                  |      |         |  |            |  |                |               |                  |  |         |  |

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## REFERENCES

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[1]. Rasool JU, et al. Palladium catalyzed migratory heck coupling of arteannuin B and boronic acids: An approach towards the synthesis of antiproliferative agents in breast and lung cancer cells. Bioorg Chem. 2022, 122:105694.

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

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