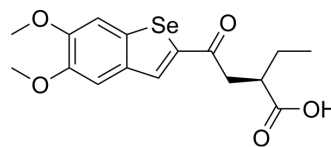


BSP16

| | |
|--------------------|---|
| Cat. No.: | HY-151264 |
| CAS No.: | 2727249-47-8 |
| Molecular Formula: | C ₁₆ H ₁₈ O ₅ Se |
| Molecular Weight: | 369.27 |
| Target: | STING |
| Pathway: | Immunology/Inflammation |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | | | | | | | | | | | | | | | | | |
|-------------------------------------|---|------------|----------------|----------------|---------------|------------------|-----------|---------|--|------------|----------------|----------------|---------------|------------------|-----------|---------|---|
| Description | BSP16 is a potent, orally active stimulator of interferon genes (STING) agonist. BSP16 can selectively stimulate the STING pathway. BSP16 can be used for the research of cancer ^[1] . | | | | | | | | | | | | | | | | |
| IC₅₀ & Target | IC50 for STING: 9.24 μM (ISG-THP1 cells); 5.71 μM (ISGRAW264.7 cells) ^[1] | | | | | | | | | | | | | | | | |
| In Vitro | <p>BSP16 (0.1-100 μM) can selectively stimulate the STING pathway in ISG-THP1 and ISGRAW264.7 cells with EC₅₀ values of 9.24 and 5.71 μM, respectively^[1].</p> <p>BSP16 (10, 25, 50 μM; 1, 3, 6 h) strongly activates STING signaling in human and mouse cells and binds STING as a homodimer^[1].</p> <p>BSP16 exhibits a promising absorption, distribution, metabolism, excretion and toxicity^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>RT-PCR^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>ISG-THP1 cells</td> </tr> <tr> <td>Concentration:</td> <td>10, 25, 50 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>1, 3, 6 h</td> </tr> <tr> <td>Result:</td> <td>Robustly induced mRNA expression of target genes IFNβ, CXCL10, and IL6 in response to STING activation, in a time and concentration-dependent manner in ISGTHP1 cells.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>ISG-THP1 cells</td> </tr> <tr> <td>Concentration:</td> <td>10, 25, 50 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>1, 3, 6 h</td> </tr> <tr> <td>Result:</td> <td>Rapidly increased the phosphorylation of TBK1 and IRF3 in a concentration-dependent manner.</td> </tr> </table> | Cell Line: | ISG-THP1 cells | Concentration: | 10, 25, 50 μM | Incubation Time: | 1, 3, 6 h | Result: | Robustly induced mRNA expression of target genes IFNβ, CXCL10, and IL6 in response to STING activation, in a time and concentration-dependent manner in ISGTHP1 cells. | Cell Line: | ISG-THP1 cells | Concentration: | 10, 25, 50 μM | Incubation Time: | 1, 3, 6 h | Result: | Rapidly increased the phosphorylation of TBK1 and IRF3 in a concentration-dependent manner. |
| Cell Line: | ISG-THP1 cells | | | | | | | | | | | | | | | | |
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| Incubation Time: | 1, 3, 6 h | | | | | | | | | | | | | | | | |
| Result: | Robustly induced mRNA expression of target genes IFNβ, CXCL10, and IL6 in response to STING activation, in a time and concentration-dependent manner in ISGTHP1 cells. | | | | | | | | | | | | | | | | |
| Cell Line: | ISG-THP1 cells | | | | | | | | | | | | | | | | |
| Concentration: | 10, 25, 50 μM | | | | | | | | | | | | | | | | |
| Incubation Time: | 1, 3, 6 h | | | | | | | | | | | | | | | | |
| Result: | Rapidly increased the phosphorylation of TBK1 and IRF3 in a concentration-dependent manner. | | | | | | | | | | | | | | | | |
| In Vivo | <p>BSP16 (po, 50 mg/kg; iv, 5 mg/kg) has well tolerated and excellent pharmacokinetic profile^[1].</p> <p>BSP16 (oral, 15 and 30 mg/kg, q3d; oral, 20 mg/kg, q5d) induces tumor regression and durable antitumor immunity^[1].</p> | | | | | | | | | | | | | | | | |

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

| | |
|-----------------|---|
| Animal Model: | MC38 (colon carcinoma) syngeneic tumor model ^[1] |
| Dosage: | 15, 30 mg/kg |
| Administration: | oral, q3d |
| Result: | Exhibited tolerated and excellent antitumor efficacy, experienced complete tumor regression (CR) after day 21. Resulted in robust induction of IFN β and IL6 (30 mg/kg). |

| | |
|-----------------|---|
| Animal Model: | CT26 (colon carcinoma) tumor model ^[1] |
| Dosage: | 20 mg/kg |
| Administration: | oral, q5d |
| Result: | Exhibited tolerated and induced tumor regression in all treated mice within 30 days. Led to a substantial elevation of IFN β in the plasma in CT26 bearing mice. |

| Animal Model: | Rats ^[1] | | | | | | | | | | | | | | | | | | | | | | | | |
|-----------------|--|--------------------------------|--|--------------------------------|--|----------------------|------------------------|------------|------|-------|--------------|------|-------|------|------|------|-----|--|-------------|--|------|------|------|------|--|
| Dosage: | 5 mg/kg, 50 mg/kg | | | | | | | | | | | | | | | | | | | | | | | | |
| Administration: | oral and i.v | | | | | | | | | | | | | | | | | | | | | | | | |
| Result: | <table><thead><tr><th>compd.</th><th>adm.</th><th>C_{max}(μg/mL)</th><th>AUG_{0-∞}(h*μg/mL)</th><th>t_{1/2}(h)</th><th>V_{ss}(L/kg)</th><th>CL(L/h/kg)</th><th>F(%)</th></tr></thead><tbody><tr><td>BSP16</td><td>po(50 mg/kg)</td><td>58.2</td><td>315.9</td><td>1.60</td><td>0.38</td><td>0.16</td><td>107</td></tr><tr><td></td><td>iv(5 mg/kg)</td><td></td><td>29.4</td><td>1.04</td><td>0.26</td><td>0.17</td><td></td></tr></tbody></table> | compd. | adm. | C _{max} (μ g/mL) | AUG _{0-∞} (h* μ g/mL) | t _{1/2} (h) | V _{ss} (L/kg) | CL(L/h/kg) | F(%) | BSP16 | po(50 mg/kg) | 58.2 | 315.9 | 1.60 | 0.38 | 0.16 | 107 | | iv(5 mg/kg) | | 29.4 | 1.04 | 0.26 | 0.17 | |
| compd. | adm. | C _{max} (μ g/mL) | AUG _{0-∞} (h* μ g/mL) | t _{1/2} (h) | V _{ss} (L/kg) | CL(L/h/kg) | F(%) | | | | | | | | | | | | | | | | | | |
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| | iv(5 mg/kg) | | 29.4 | 1.04 | 0.26 | 0.17 | | | | | | | | | | | | | | | | | | | |

REFERENCES

[1]. Xi Feng, et al. Discovery of Selenium-Containing STING Agonists as Orally Available Antitumor Agents. J Med Chem. 2022 Sep 7.

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

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