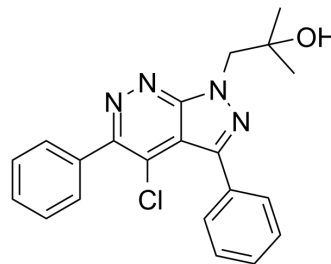


BF844

| | |
|---------------------------|-------------------------------------------------------------------------------------------|
| Cat. No.: | HY-120726 |
| CAS No.: | 1404506-35-9 |
| Molecular Formula: | C ₂₁ H ₁₉ ClN ₄ O |
| Molecular Weight: | 378.85 |
| Target: | Others |
| Pathway: | Others |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | | | | | | | | | | | | | | | | | |
|--------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------|------------------------------|---------|-------------------------------------------------------------------------------------------------|-----------------|------|---------|------------------------------------------------------------------|---------------|-------------------------------------------|---------|----------|-----------------|-----------------------------|---------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | BF844 mitigate hearing loss associated with USH3 (usher syndrome type III) mutation CLRN1 (clarin-1) ^{N48K} . BF844 induces CLRN1 ^{N48K} transport to the plasma membrane. BF844 shows significantly preserves hearing in vivo ^[1] . | | | | | | | | | | | | | | | | |
| In Vitro | <p>BF844 (compound 3) (0.846 μM) effectively inhibits HSP60 activity (87.07±27.70% inhibition) and moderately inhibited HSP90 (40.06±19.10% inhibition)^[1].</p> <p>BF844 (2.90 μM; 24 h) induces about 6% of total CLRN1^{N48K} to be transported to the plasma membrane in C1, D1, D6 cells^[1].</p> <p>BF844 (2.90 μM; 24 h) effectively increases the amount of non-glycosylated CLRN1 and non-glycosylated CLRN1 is effectively transported to the plasma membrane in C1 and D1 cells^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | | | | | | | | | | | | | | | | |
| In Vivo | <p>BF844 shows good penetration into the retina and cochlea in vivo^[1].</p> <p>BF844 (10 mg/kg; i.p.) shows significantly preserves hearing in Tg;KI/KI mice^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Juvenile mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>3, 10 mg/kg (3 mg/kg for P6 (post-natal day 6) mice, 10 mg/kg for P20 (post-natal day 20) mice)</td> </tr> <tr> <td>Administration:</td> <td>i.p.</td> </tr> <tr> <td>Result:</td> <td>Showed AUC values were determined to be 1.76 μM.h and 1.98 μM.h.</td> </tr> </table> <table border="1"> <tr> <td>Animal Model:</td> <td>P30 Tg;KI/KI C57BL/6J mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>30 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>i.p.; daily from P30 to P45</td> </tr> <tr> <td>Result:</td> <td>Showed significantly preserves hearing with the median threshold of sound intensity in log scale was 57.5–67.5 dB SPL and about 1,000 times more sensitive hearing compared to untreated controls at P55.</td> </tr> </table> | Animal Model: | Juvenile mice ^[1] | Dosage: | 3, 10 mg/kg (3 mg/kg for P6 (post-natal day 6) mice, 10 mg/kg for P20 (post-natal day 20) mice) | Administration: | i.p. | Result: | Showed AUC values were determined to be 1.76 μM.h and 1.98 μM.h. | Animal Model: | P30 Tg;KI/KI C57BL/6J mice ^[1] | Dosage: | 30 mg/kg | Administration: | i.p.; daily from P30 to P45 | Result: | Showed significantly preserves hearing with the median threshold of sound intensity in log scale was 57.5–67.5 dB SPL and about 1,000 times more sensitive hearing compared to untreated controls at P55. |
| Animal Model: | Juvenile mice ^[1] | | | | | | | | | | | | | | | | |
| Dosage: | 3, 10 mg/kg (3 mg/kg for P6 (post-natal day 6) mice, 10 mg/kg for P20 (post-natal day 20) mice) | | | | | | | | | | | | | | | | |
| Administration: | i.p. | | | | | | | | | | | | | | | | |
| Result: | Showed AUC values were determined to be 1.76 μM.h and 1.98 μM.h. | | | | | | | | | | | | | | | | |
| Animal Model: | P30 Tg;KI/KI C57BL/6J mice ^[1] | | | | | | | | | | | | | | | | |
| Dosage: | 30 mg/kg | | | | | | | | | | | | | | | | |
| Administration: | i.p.; daily from P30 to P45 | | | | | | | | | | | | | | | | |
| Result: | Showed significantly preserves hearing with the median threshold of sound intensity in log scale was 57.5–67.5 dB SPL and about 1,000 times more sensitive hearing compared to untreated controls at P55. | | | | | | | | | | | | | | | | |

| | |
|-----------------|-----------------------------------------------------------------------------------------------------------------------------------------|
| Animal Model: | P10 Tg;KI/KI C57BL/6J mice ^[1] |
| Dosage: | 10 mg/kg |
| Administration: | I.p.; 10 mg/kg every other day and gradually escalated the dose up to 20 mg/kg at P28. From P30 to P45, mice received 30 mg/kg daily |
| Result: | Showd the median threshold of sound intensity in log scale was 55, 42.5 and 37.5 dB SPL lower at 8, 16 and 32 kHz at P55, respectively. |

REFERENCES

[1]. Alagramam KN, et al. A small molecule mitigates hearing loss in a mouse model of Usher syndrome III. Nat Chem Biol. 2016 Jun;12(6):444-51.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA