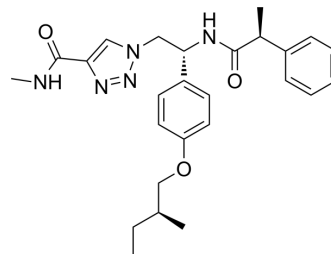


GPR88 agonist 2

| | | |
|---------------------------|---|---------------------------------|
| Cat. No.: | HY-156772 | |
| CAS No.: | 2821859-71-4 | |
| Molecular Formula: | C ₂₆ H ₃₃ N ₅ O ₃ | |
| Molecular Weight: | 463.57 | |
| Target: | GPR88 | |
| Pathway: | GPCR/G Protein | |
| Storage: | Powder | -20°C 3 years 4°C 2 years |
| | In solvent | -80°C 6 months -20°C 1 month |



SOLVENT & SOLUBILITY

| | | | | | |
|---|--|--------------------------|--------------|------------|------------|
| In Vitro | DMSO : 100 mg/mL (215.72 mM; Need ultrasonic) | | | | |
| | | Solvent Concentration | Mass 1 mg | 5 mg | 10 mg |
| | Preparing Stock Solutions | 1 mM | 2.1572 mL | 10.7859 mL | 21.5717 mL |
| | | 5 mM | 0.4314 mL | 2.1572 mL | 4.3143 mL |
| 10 mM | | 0.2157 mL | 1.0786 mL | 2.1572 mL | |
| Please refer to the solubility information to select the appropriate solvent. | | | | | |
| In Vivo | 1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.5 mg/mL (5.39 mM); Clear solution; Need ultrasonic | | | | |

BIOLOGICAL ACTIVITY

| | |
|--------------------|--|
| Description | GPR88 agonist 2 (compound 53) is a potent and brain-penetrant GPR88 agonist with an EC ₅₀ value of 14 μM in GPR88 cAMP functional assay ^[1] . |
| In Vitro | GPR88 agonist 2 significantly enhance [³⁵ S]GTPγS binding activity (EC ₅₀ : 8.9 μM) in WT mouse striatal membranes, but GPR88 agonist 2 is inactive in striatal membranes prepared from GPR88 KO mice. ^[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| In Vivo | GPR88 agonist 2 (20mg/ml, ip) has a good plasma exposure (C _{max} :1670 ng/mL) and acceptable metabolic stability (T _{1/2} :4.66h, CL:21mL/mg/kg) ^[1] . GPR88 agonist 2 (20mg/ml, ip) has sufficient brain penetration (C _{max} :576 ng/mL) ^[1] . Pharmacokinetic analysis in mice ^[1] |

| 20 mg/kg, ip | C _{max} (ng/mL) | T _{1/2} (h) | CL(mL/min/kg) | B/P _{ratio} |
|--------------|--------------------------|----------------------|---------------|----------------------|
| plasma | 1670 | 5.6 | 21 | 0.34 |
| brain | 576 | / | / | |

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

REFERENCES

[1]. Rahman MT, et al. Evaluation of Amide Bioisosteres Leading to 1,2,3-Triazole Containing Compounds as GPR88 Agonists: Design, Synthesis, and Structure-Activity Relationship Studies. J Med Chem. 2021 Aug 26;64(16):12397-12413.

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

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