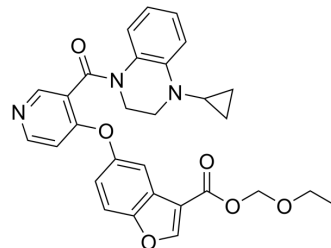


TGR5 Receptor Agonist 3

| | |
|--------------------|---|
| Cat. No.: | HY-146453 |
| CAS No.: | 2643391-08-4 |
| Molecular Formula: | C ₂₉ H ₂₇ N ₃ O ₆ |
| Molecular Weight: | 513.54 |
| Target: | G protein-coupled Bile Acid Receptor 1 |
| Pathway: | GPCR/G Protein |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | |
|--------------------|--|
| Description | TGR5 Receptor Agonist 3 (Compound 19) is a soft-agent G-protein-coupled bile acid receptor 1 (GPBAR1, TGR5) agonist with reduced gallbladder-filling effects (favorable gallbladder safety), with EC ₅₀ s of 16.4 and 209 nM for hTGR5 and mTGR5, respectively. |
| In Vitro | TGR5 Receptor Agonist 3 (compound 19) (10-50 μM, 2 hours) activates TGR5 in fetal rat intestinal cells (FRIC) and NCI-H716 cells to promote GLP-1 secretion in a dose-dependent manner ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| In Vivo | TGR5 Receptor Agonist 3 (compound 19) has good targeting and can effectively activate TGR5, thus promoting the secretion of GLP-1 in plasma and regulating the homeostasis of glucose metabolism in male ICR mice ^[1] . TGR5 Receptor Agonist 3 (compound 19) can be rapidly absorbed and metabolized in male ICR mice, and has a good safety profile ^[1] . TGR5 Receptor Agonist 3 (compound 19) (oral gavage; 50 mg/kg; one hour) can be gavaged into overnight-fasted male ICR mice for one hour with a C _{max} value of 0.003 μg/mL ^[1] . TGR5 Receptor Agonist 3 (compound 19) can reduce gallbladder-filling and safety in vivo ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

REFERENCES

[1]. Fanghui Han, et al. Design of G-protein-coupled bile acid receptor 1 (GPBAR1, TGR5) soft drugs with reduced gallbladder-filling effects. *Eur J Med Chem.* 2020 Oct 1;203:112619.

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

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