A3AR modulator 1

Cat. No.: HY-151899

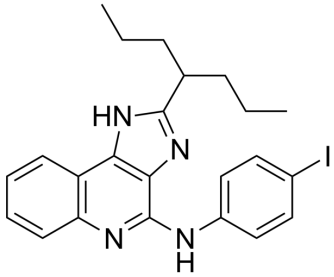
Molecular Formula: $C_{23}H_{25}IN_4$

Molecular Weight: 484.38

Target: Adenosine Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	A3AR modulator 1 (MRS8054) is an orally active A3 adenosine receptor (A3AR) (Adenosine Receptor) positive allosteric modulator (PAM). A3AR modulator 1 greatly enhances Cl-IB-MECA-stimulated [^{35}S]GTPγS binding E_{max}[1].
IC_{50} & Target	Adenosine A_3 receptor
In Vitro	A3AR modulator 1 (compound 39) shows a few weak off-target interactions, with K_i values of 0.123 μM, 0.891 μM, and 2.6 μM for translocator protein (TSPO), opioid receptor σ2, and 5HT2B receptor, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In fasted Wistar rats, A3AR modulator 1 (compound 39) has considerably longer in vivo half-life and improved oral bioavailability (3.44 h, 64.0%F at 3 mg/kg; 3.84 h, 61.5%F at 10 mg/kg), indicating substantial oral bioavailability[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lucas B Fallot, e al. Structure-Activity Studies of 1 H-Imidazo[4,5- c]quinolin-4-amine Derivatives as A3 Adenosine Receptor Positive Allosteric Modulators. J Med Chem. 2022 Nov 24;65(22):15238-15262.

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