## **Product** Data Sheet

## **CB1R Allosteric modulator 4**

 Cat. No.:
 HY-150057

 CAS No.:
 2633686-53-8

 Molecular Formula:
 C<sub>20</sub>H<sub>17</sub>N<sub>3</sub>O<sub>2</sub>S

Molecular Weight: 363.43

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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

Analysis.

## **BIOLOGICAL ACTIVITY**

Description	CB1R Allosteric modulator 4 is a positive allosteric modulator of cannabinoid type-1 (CB1R) with good biological activity. CB1R Allosteric modulator 4 inhibits cAMP production and shows robust activity in $\beta$ -arrestin-2 recruitment <sup>[1]</sup> .
IC <sub>50</sub> & Target	hCB1-R
In Vitro	CB1R is the most abundant G-protein coupled receptors (GPCR) in the CNS, CB1R involves in regulating physiological processes, from neurotransmission to energy metabolism <sup>[1]</sup> . CB1R Allosteric modulator 4 (compound 66b) (0.10 nM-10 $\mu$ M; 30 min) exerts inhibition of forskolin-stimulated cellular cAMP production in CHO hCB1R with an EC <sub>50</sub> value of 0.05 $\mu$ M <sup>[1]</sup> . CB1R Allosteric modulator 4 (0.10 nM-10 $\mu$ M; 90 min) acts function for $\beta$ -arrestin-2 recruitment in hCB1R-CHO-K1 cells with an EC <sub>50</sub> value of 0.163 $\mu$ M <sup>[1]</sup> . CB1R Allosteric modulator 4 (1.6-200 $\mu$ M; 2 h) improves aqueous solubility with good biological activity, and shows kinetic water solubility with solubility limit of 3.13 $\mu$ M <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## **REFERENCES**

[1]. Schaffer PC, et al. Focused structure-activity relationship profiling around the 2-phenylindole scaffold of a cannabinoid type-1 receptor agonist-positive allosteric modulator: site-III aromatic-ring congeners with enhanced activity and solubility. Bioorg Med Chem. 2020 Nov 1;28(21):115727.

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

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