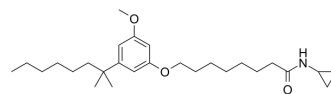


CB1/2 agonist 4

| | |
|--------------------|---|
| Cat. No.: | HY-150030 |
| CAS No.: | 2772949-38-7 |
| Molecular Formula: | C ₂₇ H ₄₅ NO ₃ |
| Molecular Weight: | 431.65 |
| 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 | CB1/2 agonist 4 is a full CB1 agonist and CB2 partial agonist with EC ₅₀ values of 15.09 nM and 1.16 nM, respectively. CB1/2 agonist 4 also has hCB1 and hCB2 receptor affinities with K _i values of 1.1 nM and 4.2 nM, respectively. CB1/2 agonist 4 has a significant antinociceptive activity, and also can activate cannabinoid and TRPV1 receptor with values of IC ₅₀ and EC ₅₀ is 0.8 μM and 0.12 μM, respectively ^[1] . | | | | | | | | |
| IC₅₀ & Target | Ki: 1.1 nM (hCB1); 4.2 nM (hCB2) ^[1] . EC50: 15.09 nM (CB1); EC50: 1.16 nM (CB2) ^[1] . IC50: 0.8 μM, EC50: 0.12 μM (TRPV1) ^[1] . | | | | | | | | |
| In Vitro | CB1/2 agonist 4 (compound 24) has hCB1 and hCB2 receptor affinities with K _i values of 1.1 nM and 4.2 nM, respectively ^[1] . CB1/2 agonist 4 (0.1 mM) can induce a stimulation of [³⁵ S]GTPγS binding to hCB1-CHO cell membranes with an EC ₅₀ value of 15.09 nM ^[1] . CB1/2 agonist 4 (0.1 mM) is able to slightly stimulate [³⁵ S]GTPγS binding to hCB2-CHO cell membranes, behaving as a weak partial agonist to CB2 receptors of 1.16 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. | | | | | | | | |
| In Vivo | CB1/2 agonist 4 (compound 24) (1, 3 and 4 mg/kg, i.p.) has a stronger antinociceptive activity ^[1] . CB1/2 agonist 4 (1, 3 and 4 mg/kg, i.p.) can activate TRPV1 channel and it behaved as a good TRPV1 agonist with an IC ₅₀ value of 0.8 μM and EC ₅₀ value of 0.12 μM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. | | | | | | | | |
| | <table border="1"> <tr> <td>Animal Model:</td> <td>Male CD-1 outbred mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>1, 3 and 4 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>1, 3 and 4 mg/kg, i.p.</td> </tr> <tr> <td>Result:</td> <td>Significantly reduced the late phase of formalin-induced nociceptive behaviour in a dose dependent manner and slightly decreased also the first phase of nociceptive response.</td> </tr> </table> | Animal Model: | Male CD-1 outbred mice ^[1] | Dosage: | 1, 3 and 4 mg/kg | Administration: | 1, 3 and 4 mg/kg, i.p. | Result: | Significantly reduced the late phase of formalin-induced nociceptive behaviour in a dose dependent manner and slightly decreased also the first phase of nociceptive response. |
| Animal Model: | Male CD-1 outbred mice ^[1] | | | | | | | | |
| Dosage: | 1, 3 and 4 mg/kg | | | | | | | | |
| Administration: | 1, 3 and 4 mg/kg, i.p. | | | | | | | | |
| Result: | Significantly reduced the late phase of formalin-induced nociceptive behaviour in a dose dependent manner and slightly decreased also the first phase of nociceptive response. | | | | | | | | |

REFERENCES

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