# NAQ

**Cat. No.:** HY-151811

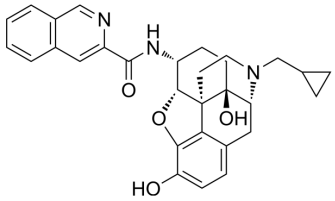
**Molecular Formula:** $C_{30}H_{31}N_3O_4$

**Molecular Weight:** 497.58

**Target:** Opioid Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

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



## BIOLOGICAL ACTIVITY

**Description**

NAQ is a potent and selective μ opioid receptor partial agonist, with a $K_i$ of 0.55 nM. NAQ shows selectivity for Mu opioid receptor over the δ receptor ($K_i$=132.50 nM) and the κ receptor ($K_i$=26.45 nM). NAQ can be used for the research of opioid withdrawal or dependence[1].

| $IC_{50}$ & Target | μ Opioid Receptor/MOR | κ Opioid Receptor/KOR | δ Opioid Receptor/DOR |
|---|---|---|---|
| | 0.55 nM (Ki) | 26.45 nM (Ki) | 132.50 nM (Ki) |

**In Vitro**

NAQ is a μ opioid receptor (MOR) partial agonist, with an $EC_{50}$ of 4.36 nM in MOR-expressing CHO cell line[1].
NAQ (45 min) inhibits hERG with an IC50 of 220 nM in CHO-K1 cells stably transfected with human hERG cDNA[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

NAQ (a single s.c.) antagonizes the antinociceptive effects of Morphine in the mouse tail immersion test, with an $AD_{50}$ of 0.45 mg/kg[1].
NAQ (0.32-10 mg/kg; s.c.) produces weak intracranial self-stimulation (ICSS) facilitation in rats but more robust ICSS facilitation during and after Morphine treatment and also reverses Morphine withdrawal-associated depression of ICSS[2].

Pharmacokinetics of NAQ in rats[3]

| | $t_{1/2}$ (min) | $V_{ss}$ (L/kg) | CL(mL/min/kg) | $AUC_{Inf}$ (h□ng/mL) | $T_{max}$ (min) | $C_{max}$ (ng/mL) | $F$ (%) |
|---|---|---|---|---|---|---|---|
| 1 mg/kg IV | 32.4 | 3.0 | 86 | 202 | / | / | / |
| 10 mg/kg PO | / | / | / | 114 | 36 | 20 | 3 |

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

## REFERENCES

[1]. Li G, et, al. Design, synthesis, and biological evaluation of 6alpha- and 6beta-N-heterocyclic substituted naltrexamine derivatives as mu opioid receptor selective

antagonists. J Med Chem. 2009 Mar 12;52(5):1416-27.

[2]. Altarifi AA, et, al. Effects of the novel, selective and low-efficacy mu opioid receptor ligand NAQ on intracranial self-stimulation in rats. Psychopharmacology (Berl). 2015 Feb;232(4):815-24.

[3]. P. Pagare P, et, al. Preclinical Characterization and Development on NAQ as a Mu Opioid Receptor Partial Agonist for Opioid Use Disorder Treatment. ACS Pharmacol. Transl. Sci. 2022, 5, 11, 1197-1209.



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