

Product Data Sheet

GR 83074

Cat. No.: HY-P3854

CAS No.: 141636-44-4

Molecular Formula: $C_{50}H_{72}N_{12}O_{9}$ Molecular Weight: 985.18

Sequence Shortening: {Boc}-AA-{D-Trp}-F-{D-Pro}-P-{Nle}-NH2

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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

Analysis.

BIOLOGICAL ACTIVITY

Description	GR 83074 is a potent and selective NK-2 (Neurokinin Receptor) antagonist with a pK _B of 8.23. GR 83074 is inactive as an NK-3 antagonist and exhibits a 340-fold NK-2/NK-1 selectivity ^[1] .
In Vitro	In the isolated rat colon and guinea-pig trachea, GR 83074 is a potent competitive antagonist of the contractions induced by the selective NK-2 agonist GR6434 ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Intravenous administration of GR 83074 (Compound 10) to anaesthetized, artificially-respired guinea-pigs (0.3 µmol/kg) causes a marked antagonism of the increase in tracheal insufflation pressure induced by the NK-2 agonist, GR64349 ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. A B McElroy, et al. Highly potent and selective heptapeptide antagonists of the neurokinin NK-2 receptor. J Med Chem. 1992 Jul 10;35(14):2582-91.

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

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