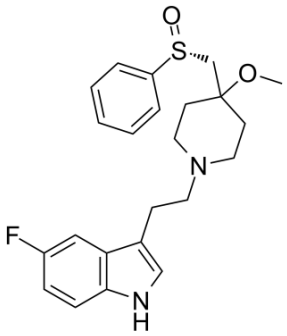
GR 159897

Cat. No.:	HY-107691
CAS No.:	158848-32-9
Molecular Formula:	$C_{23}H_{27}FN_2O_2S$
Molecular Weight:	414.54
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 159897 is a highly potent, selective, competitive, brain-penetrated non-peptide neurokinin 2 (NK_2) receptor antagonist. GR 159897 has little or no affinity for NK_1 and NK_3 receptors. GR 159897 inhibits binding of [^{3}H]GR100679 to human NK_2 (hNK$_2$)-CHO cells and rat colon membranes with pK_is of 9.51 and 10, respectively. Antagonizes bronchoconstriction. Anxiolytic-like and anti-tumor effects[1][2].

IC_{50} & Target

NK2	hNK2
10 (pKi, Rat colon membrane)	9.51 (pKi, CHO cell)

In Vitro

GR 159897 (10-30 μM; 72 hours; 4T1, 4THM, 4TLM and 67NR cells) treatment inhibits proliferation of cells in all cell lines dose-dependently, a response more pronounced in 4T1, 4THM and 4TLM cells compared to 67NR cells[2].
GR 159897 (10-30 μM; 72 hours; 4TBM, 4TLM, 4THM and 4T1 cells) treatment increases phosphorylation of P38, while inhibiting AKT activation in all metastatic cell lines whereas phosphorylation of ERK decreased in 4TBM, 4TLM and 4THM but not in 4T1 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	4T1, 4THM, 4TLM and 67NR cells
Concentration:	10 μM, 30 μM
Incubation Time:	72 hours
Result:	Inhibited proliferation of cells in all cell lines dose- dependently, a response more pronounced in 4T1, 4THM and 4TLM cells compared to 67NR cells.

Western Blot Analysis[2]

Cell Line:	4TBM, 4TLM, 4THM and 4T1 cells
Concentration:	10 μM, 30 μM
Incubation Time:	40 hours
Result:	Increased phosphorylation of P38.

In Vivo	GR 159897 (0.12 mg/kg; intravenous injection; guinea-pigs) treatment potently antagonizes bronchoconstriction induced by GR64349, with a long duration of action (3 h)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Guinea-pigs[1]
Dosage:	0.12 mg/kg
Administration:	Intravenous injection
Result:	Potently antagonised bronchoconstriction induced by GR64349, with a long duration of action (3 h).

REFERENCES

[1]. Beresford IJ, et al. GR159897, a potent non-peptide antagonist at tachykinin NK2 receptors. Eur J Pharmacol. 1995 Jan 16;272(2-3):241-8.

[2]. Nizam E, et al. Differential consequences of neurokinin receptor 1 and 2 antagonists in metastatic breast carcinoma cells; Effects independent of Substance P. Biomed Pharmacother. 2018 Dec;108:263-270.

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