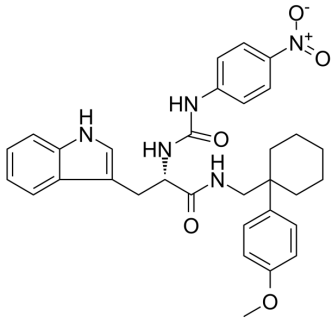
ML-18

Cat. No.:	HY-101844
CAS No.:	1422269-30-4
Molecular Formula:	$C_{32}H_{35}N_5O_5$
Molecular Weight:	569.65
Target:	Bombesin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (175.55 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7555 mL	8.7773 mL	17.5546 mL
5 mM	0.3511 mL	1.7555 mL	3.5109 mL
10 mM	0.1755 mL	0.8777 mL	1.7555 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.39 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML-18 is a non-peptide bombesin receptor subtype-3 (BRS-3) antagonist with an IC_{50} of 4.8 μM.

IC_{50} & Target

IC50: 4.8 μM (BRS-3)[1]

In Vitro

ML-18 inhibits specific ^{125}I-BA1 (DTyr-Gln-Trp-Ala-Val-βAla-His-Phe-Nle-NH2)BB6-14 binding to NCI-H1299 lung cancer cells stably transfected with BRS-3 with IC_{50} values of 4.8 μM. ML-18 binds with lower affinity to the GRPR and NMBR with IC_{50} values of 16 and more than 100 μM, respectively. ML-18 at 16 μM inhibits the ability of 10 nM BA1 to elevate cytosolic Ca^{2+} in a reversible manner using lung cancer cells loaded with FURA2-AM. ML-18 at 16 μM inhibits the ability of 100 nM BA1 to cause tyrosine phosphorylation of the EGFR and ERK in lung cancer cells. It inhibits the proliferation of lung cancer cells[1].

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

PROTOCOL

Kinase Assay [1]	The cells are incubated in SIT buffer containing 0.25% bovine serum albumin and 250 μg/mL bacitracin and ^{125}I-BA1 (100,000 cpm) is added, as well as various concentrations of unlabelled competitor (ML-18). After incubation at 37°C for 30 min, free ^{125}I-BA1 is removed by washing 3 times in buffer and the cells which contain bound ^{125}I-BA1 is dissolved in 0.2 N NaOH and counted in a gamma counter. The IC_{50} is calculated for each unlabeled competitor[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	Cell viability is measured using the MTT assay. NCI-H727 or NCI-H1299 cells transfected with BRS-3 are treated with ML-18 (0, 4.8, 16, 48 μM) or gefitinib added. After 2 days, 15 μL of 0.1 % MTT solution added. After 4 h, 150 μL of DMSO is added. After 16 h, the optical density at 570 nm is determined[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Moody TW, et al. ML-18 is a non-peptide bombesin receptor subtype-3 antagonist which inhibits lung cancer growth. Peptides. 2015 Feb;64:55-61.

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