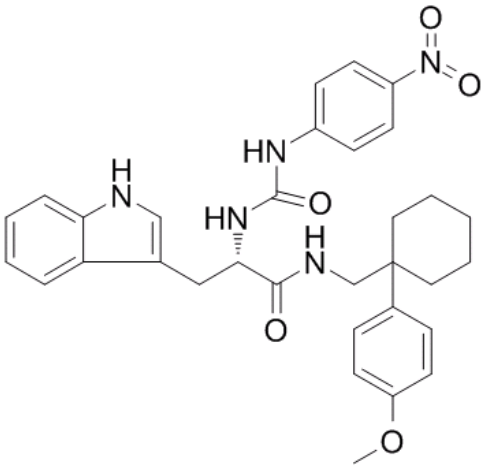
Product Data Sheet

Product Name: ML-18
Cat. No.: GC33152

Chemical Properties

Cas. No.	1422269-30-4		
SMILES	O=C([C@@H](NC(NC1=CC=C(N(=O)=O)C=C1)=O)CC2=CNC3=CC=CC=C23)NCC4(C5=CC=C(OC)C=C5)CCCCC4		
Formula	$C_{32}H_{35}N_5O_5$	M.Wt	569.65
Solubility	DMSO : ≥ 100 mg/mL (175.55 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

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Kinase experiment: The cells are incubated in SIT buffer containing 0.25% bovine serum albumin and 250 μg/mL bacitracin and 125I-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 125I-BA1 is removed by washing 3 times in buffer and the cells which contain bound 125I-BA1 is dissolved in 0.2 N NaOH and counted in a gamma counter. The IC50 is calculated for each unlabeled competitor[1].

Cell experiment: 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].

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.

Background

ML-18 is a non-peptide bombesin receptor subtype-3 (BRS-3) antagonist with an IC50 of 4.8 μM.

ML-18 inhibits specific 125I-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 IC50 values of 4.8 μM. ML-18 binds with lower affinity to the GRPR and NMBR with IC50 values of 16 and more than 100 μM, respectively. ML-18 at 16 μM inhibits the ability of 10 nM BA1 to elevate cytosolic Ca2+ 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].

[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.