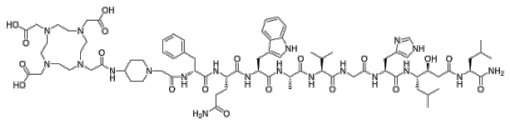
Product Data Sheet

Product Name: Talogreptide vizaxetan
Cat. No.: GC79280

Chemical Properties

Cas. No.	1186600-65-6		
Formula	$C_{78}H_{118}N_{20}O_{19}$	M.Wt	1639.89
Solubility	DMSO: 100 mg/mL (60.98 mM; Need ultrasonic)	Storage	Sealed storage, away from moisture
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			



Background

RM2 is a GRPr antagonist. RM2 selectively binds to GRPr, blocks agonist-induced receptor internalization, and inhibits agonist-triggered intracellular calcium mobilization. RM2 can be used in studies related to prostate cancer [1].

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vivo, 111In-RM2 (10 pmol; intravenous tail vein injection) achieves high, sustained, GRPr-specific uptake in PC-3 prostate cancer xenografts, with tumour to blood ratios reaching 4070 at 72 hours post-injection[1]. 68Ga-RM2 (80 pmol; intravenous injection) exhibits high, GRPr-specific uptake in PC-3 prostate cancer xenografts, with tumour to kidney ratios reaching 6.1 at 80 minutes post-injection[1]. 68Ga-RM2 (80 pmol; intravenous injection) achieves specific, GRPr-mediated uptake in androgen-dependent LNCaP prostate cancer xenografts, with tumour to blood ratios reaching 23.4 at 120 minutes post-injection[1].

In Vitro, RM2 binds the GRP receptor on human prostate carcinoma cryostat sections with high affinity, exhibiting an IC_{50} of 7.7nmol/L[1]. RM2 is a selective ligand for the GRP receptor on human tissue sections, with an IC_{50} of 9.3 nmol/L and no measurable affinity for NMBr or BB3r subtypes [1]. RM2 (1000 nmol/L; 30 min) acts as a GRP receptor antagonist in HEK-GRPr cells, failing to trigger receptor internalization at 1000 nmol/L but completely inhibiting Bombesin -induced receptor internalization at the same concentration[1]. RM2 (1-10 μmol/L; 60 s) acts as a GRP receptor antagonist in PC-3 cells, showing no intrinsic activity on intracellular Ca2+ mobilization at 1 or 10 μmol/L, but inhibiting Bombesin -induced Ca2+ mobilization at 10 μmol/L[1].

References:
[1]. Mansi R, et al. Development of a potent DOTA-conjugated bombesin antagonist for targeting GRPr-positive tumours. Eur J Nucl Med Mol Imaging. 2011;38(1):97-107.

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