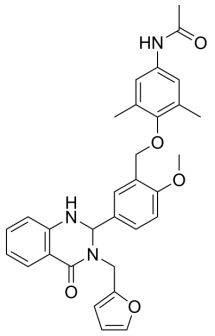
ML224

Cat. No.:	HY-12381
CAS No.:	1338824-21-7
Molecular Formula:	$C_{31}H_{31}N_3O_5$
Molecular Weight:	525.59
Target:	TSH Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (190.26 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9026 mL	9.5131 mL	19.0262 mL
5 mM	0.3805 mL	1.9026 mL	3.8052 mL
10 mM	0.1903 mL	0.9513 mL	1.9026 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML224 (NCGC00242364) is a selective TSHR antagonist with an IC_{50} value of 2.1 μM. ML224 can be used in the study of Graves' disease and other thyroid disorders.

IC_{50} & Target

TSHR	LHR	FSHR
2.1 μM (IC_{50})	⊠30 μM (IC_{50})	⊠30 μM (IC_{50})

In Vitro

ML224 (0.001-100 μM; 20 min) exhibits half-maximal inhibitory doses of 2.1 μM for TSHR and greater than 30 μM for LH and FSH receptors in human embryonic kidney 293 cells[1].

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

Cell Viability Assay[1]

Cell Line:	Human embryonic kidney 293 cells (stably expressing TSHRs, LHRs, or FSHRs)
Concentration:	0.001-100 μM
Incubation Time:	20 min
Result:	Showed the IC_{50} for stimulation by bovine TSH (1.8 nM) was 2.1 μM. Showed inhibition of LH and FSH stimulation was less than 15% for LH (1 nM) and less than 30% for FSH (1 nM) at 30 μM.

In Vivo

ANTAG3 (2 mg/mice; i.p. via osmotic pump; single daily for 3 days) lowers serum FT4 levels and thyroidal mRNAs for TPO and NIS in mice continuously stimulated by TRH[1].

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

Animal Model:	Female BALB/c mice (8 to 13-week-old; ~18.7 g)[1].
Dosage:	2 mg/mice
Administration:	Intraperitoneal injection via osmotic pump; single daily for 3 days
Result:	Lowered the levels of FT4 by 44%, and the levels of TPO and NIS mRNAs by 75% and 83%, respectively.

CUSTOMER VALIDATION

- J Immunother Cancer. 2022 Jan;10(1):e004049.

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REFERENCES

[1]. Neumann S, et al. A selective TSH receptor antagonist inhibits stimulation of thyroid function in female mice. Endocrinology. 2014 Jan;155(1):310-4.

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