# Inhibitors



## Org41841

Cat. No.: HY-100271 CAS No.: 301847-37-0 Molecular Formula:  $C_{19}H_{22}N_4O_2S_2$ 402.53 Molecular Weight:

Target: **TSH Receptor** Pathway: GPCR/G Protein

Storage: Powder -20°C 3 years

> 2 years In solvent -80°C 6 months

> > -20°C 1 month

**Product** Data Sheet

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (248.43 mM; Need ultrasonic)

| Preparing<br>Stock Solutions | Solvent Mass<br>Concentration | 1 mg      | 5 mg       | 10 mg      |
|------------------------------|-------------------------------|-----------|------------|------------|
|                              | 1 mM                          | 2.4843 mL | 12.4214 mL | 24.8429 mL |
|                              | 5 mM                          | 0.4969 mL | 2.4843 mL  | 4.9686 mL  |
|                              | 10 mM                         | 0.2484 mL | 1.2421 mL  | 2.4843 mL  |

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

## **BIOLOGICAL ACTIVITY**

Description Org41841 is a partial agonist of both luteinizing hormone/chorionic gonadotropin receptor (LHCGR) and thyroid-stimulating hormone receptor (TSHR) with EC  $_{\!50}\text{s}$  of 0.2 and 7.7  $\mu\text{M},$  respectively.

IC<sub>50</sub> & Target EC50: 0.2  $\mu$ M (LHCGR), 7.7  $\mu$ M (TSHR)<sup>[1]</sup>

> Functional assays demonstrate that Org41841 is a partial agonist of both luteinizing hormone/chorionic gonadotropin  $receptor \, (LHCGR) \, and \, thyroid-stimulating \, hormone \, receptor \, (TSHR) \, with \, EC_{50}s \, of \, 0.2 \, and \, 7.7 \, \mu M, \, respectively. \, Treatment \, of \, 1.0 \, cm$  $L570F\ with\ Org41841\ reveals\ an\ improved\ EC_{50}\ of\ 800\ nM.\ M9\ responds\ to\ Org41841\ with\ an\ improved\ EC_{50}\ of\ 2700\ nM\ and\ a$ greatly improved efficacy for signaling to 99% of the maximal value observed for thyroid-stimulating hormone (TSH) stimulation of thyroid-stimulating hormone receptor (TSHR)<sup>[1]</sup>.

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

## **PROTOCOL**

In Vitro

## Kinase Assay [1]

Transfected cells are cultured for 48 h before incubation for 1 h in serum-free Dulbecco's modified Eagle's medium containing 1 mM 3-isobutyl-1-methylxanthine and bovine thyroid-stimulating hormone (TSH) (0 to 1.8  $\mu$ M) or human LH (0.1 to 1000 ng) or Org41841 (0 to100  $\mu$ M) in a humidified 5% CO<sub>2</sub> incubator. Following aspiration of the medium, cells are lysed using lysis buffer 1 of the cAMP Biotrak Enzymeimmunoassay System. The cAMP content of the cell lysate is determined and data are analyzed<sup>[1]</sup>.

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

#### **REFERENCES**

[1]. Holger Jaschke, et al. A low molecular weight agonist signals by binding to the transmembrane domain of thyroid-stimulating hormone receptor (TSHR) and luteinizing hormone/chorionic gonadotropin receptor (LHCGR). J Biol Chem. 2006 Apr 14;281(15):9841-4.

Caution: Product has not been fully validated for medical applications. For research use only.

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