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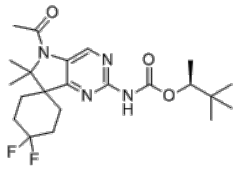
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	SYD5115
Cat. No.	:	PC-20498
CAS No.	:	3043770-26-6
Molecular Formula	:	$C_{22}H_{32}F_2N_4O_3$
Molecular Weight	:	438.52
Target	:	Thyrotropin Receptor
Solubility	:	10 mM in DMSO

TSH-R antagonist



Biological Activity

SYD5115 (SYD-5115) is a potent, selective and orally bioavailable **thyrotropin receptor (TSH-R)** antagonist with IC50 of 48 and 62 nM for rTSHR and hTSHR, respectively.
SYD5115 potently blocks the TSH-induced cAMP production by rat and human TSH-R in HEK293-hTSHR cells with IC50 of 69 nM.
SYD5115 antagonizes TSH-R stimulating autoantibody M22-induced cAMP response in rat FRTL-5 cell with IC50 of 22 nM.
SYD5115 also is a potent TSH-R antagonist when tested on primary orbital fibroblasts of GD and GO patients (GOFs) and is also able to block TSH-R-stimulation by stimulating TSH-R-antibody containing sera of GD patients on TSH-R overexpressing CHO-cells.
SYD5115 alsois a relatively potent antagonist for the hFSH-R (IC50 = 259 nM), but shows very high selectivity over the also closely related hLH-R (IC50 >10 uM).
YD5115 (50 and 100 μmol/kg) inhibits M22-induced FT4 release in vivo.

References

Karstens WFJ, et al. ***Bioorg Med Chem.*** 2023 Apr 15;84:117258.

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