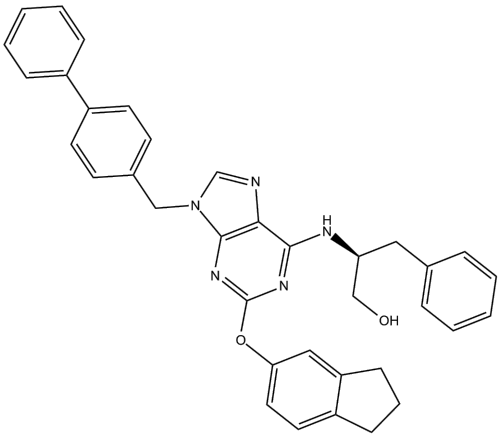
Product Data Sheet

Product Name: QS 11
Cat. No.: GC17251

Chemical Properties

Cas. No.	944328-88-5		
Chemical Name	(S)-2-((9-([1,1'-biphenyl]-4-ylmethyl)-2-((2,3-dihydro-1H-inden-5-yl)oxy)-9H-purin-6-yl)amino)-3-phenylpropan-1-ol		
SMILES	OC[C@H](CC1=CC=CC=C1)NC2=NC(OC3=CC=C4CCCC4=C3)=NC5=C2N=CN5CC6=CC=C(C7=CC=CC=C7)C=C6		
Formula	$C_{36}H_{33}N_5O_2$	M.Wt	567.68
Solubility	DMSO: <100 mM,Ethanol: <100 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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Cell experiment: ARF-GTP levels are measured by using the GGA binding assay. NIH 3T3 cells are treated with QS11 or QS11-NC at the indicated concentrations for 36 h. Cells are lysed in ARF assay lysis buffer [50 mM Tris·HCl (pH 7.5), 100 mM NaCl, 2 mM MgCl2, 0.1% SDS, 0.5% sodium deoxycholate, 1% Triton X-100, 10% glycerol, and protease inhibitors]. GTP-bound ARF is assayed by its binding to a GST fusion protein, which contains the VHS domain to the GAT region of an ARF effector GGA3[1].

References:

[1]. Zhang Q, et al. Small-molecule synergist of the Wnt/beta-catenin signaling pathway. Proc Natl Acad Sci U S A. 2007 May 1;104(18):7444-8.

Background

EC50: 1.5 μM for ARFGAP1 enzymatic assay [1] and 0.5 μM for Wnt synergist [2]

Purine derivative QS11 is a Wnt synergistic agonist by inhibiting the GTPase activating protein of ADP-ribosylation factor 1 (ARFGAP1). ARFGAP1 promotes hydrolysis of ARF1-bound GTP and is required in membrane trafficking and /or vesicle transport.

In vitro: QS11 showed potent Wnt Synergist activity with little cytotoxicity in HEK293 cells (EC50 = 0.5 μM) and human primary fibroblast cells (EC50 ≥ 10 μM). QS11 at 2.5 μM activated the Super(8X)TOPFlash reporter ~200-fold in the presence of Wnt-3a conditioned medium. Synergistic effect was also observed when QS11 was combined with recombinant Wnt-3a protein (10–200 ng/ml) [2].

In vivo: In Wnt signaling test model, embryos were injected with QS11, XWnt-8 RNA or a combination of QS11and XWnt-8 RNA. Compared with QS11 alone and XWnt-8 RNA alone, injection of a combination of XWnt-8 RNA and QS11 induced significantly more full (20.9%) and partial (30.2%) double axis formation [2]..

Clinical trial: So far, no clinical study has been conducted.

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References:

[1] Singh MK1, Gao H1, Sun W1, Song Z1, Schmalzigaug R2, Premont RT2, Zhang Q3. Structure-activity relationship studies of QS11, a small molecule Wnt synergistic agonist. Bioorg Med Chem Lett. 2015 Nov 1;25(21):4838-42.

[2] Zhang Q1, Major MB, Takanashi S, Camp ND, Nishiya N, Peters EC, Ginsberg MH, Jian X, Randazzo PA, Schultz PG, Moon RT, Ding S. Small-molecule synergist of the Wnt/beta-catenin signaling pathway. Proc Natl Acad Sci U S A. 2007 May 1;104(18):7444-8. Epub 2007 Apr 25.

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

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