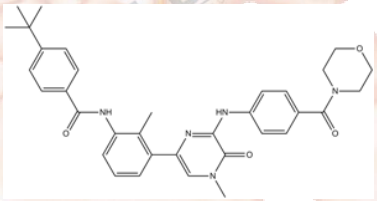
Product Data Sheet

CGI-1746



Cat. No.:	A3302
CAS No.:	910232-84-7
Formula:	C34H37N5O4
M.Wt:	579.71
Synonyms:	CGI1746;CGI 1746
Target:	Angiogenesis
Pathway:	BTK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾29 mg/mL in DMSO; insoluble in H2O; ⩾6.72 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7250 mL	8.6250 mL	17.2500 mL
5 mM	0.3450 mL	1.7250 mL	3.4500 mL
10 mM	0.1725 mL	0.8625 mL	1.7250 mL

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

Biological Activity

Shortsummary	Btk inhibitor
IC_{50} & Target	1.9 nM (Btk)

In Vitro

Cell Viability Assay

Cell Line:	Human B cells
Preparation method:	The solubility of this compound in DMSO is > 29 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	0.003 ~ 10 μM

	Applications:	In human B cells, CGI-1746 potently inhibited anti-IgM-induced phosphorylation of Btk Tyr223, Btk Tyr551 and PLCγ2 Tyr1217, with an average IC50 value of 2.9 nM. In addition, CGI-1746 lowered the basal phosphorylation levels of Btk Tyr551 and Tyr223, but not the basal phosphorylation of PLCγ2 Tyr1217.
In Vivo	**Animal experiment**	
	Animal models:	Collagen-induced arthritis (CIA) mouse model
	Dosage form:	100 mg/kg; s.c.; b.i.d., from day 12 to day 26
	Applications:	CGI-1746 significantly inhibited overall clinical arthritis scores (97% inhibition). Moreover, CGI-1746 substantially reduced anti-collagen II (CII) titers.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Mueller-Ortiz SL, Calame DG, et al. "The ComplementAnaphylatoxins C5a and C3a Suppress IFN-β Production in Response to Listeriamonocytogenes by Inhibition of the Cyclic Dinucleotide-Activated CytosolicSurveillance Pathway." J Immunol. 2017 Apr 15;198(8):3237-3244.PMID:28275134

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References

[1]. Di Paolo JA, Huang T, Balazs M, et al. Specific Btk inhibition suppresses B cell- and myeloid cell-mediated arthritis. Nat Chem Biol, 2011, 7(1): 41-50.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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