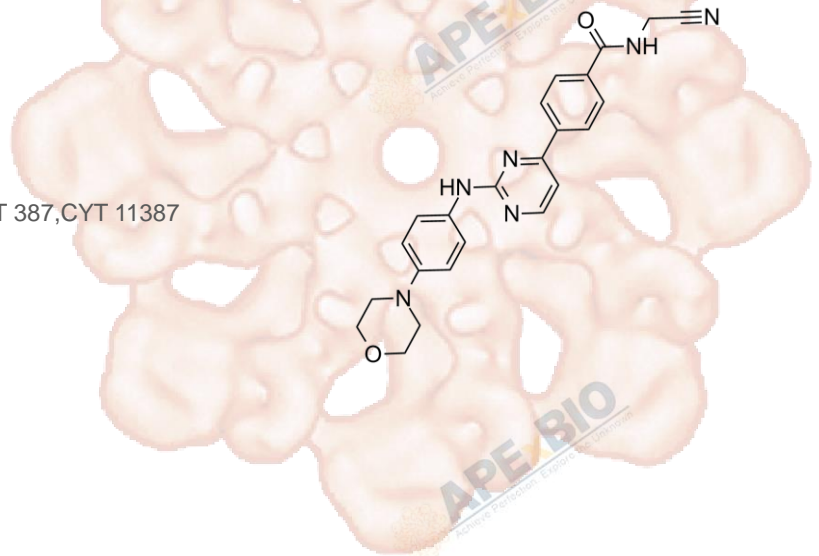


# Product Data Sheet

## CYT387

<b>Cat. No.:</b>	A4143
<b>CAS No.:</b>	1056634-68-4
<b>Formula:</b>	C23H22N6O2
<b>M.Wt:</b>	414.46
<b>Synonyms:</b>	Cyt-387, MOMELLOTINIB, CYT 387, CYT 11387
<b>Target:</b>	Chromatin/Epigenetics
<b>Pathway:</b>	JAK
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

insoluble in EtOH; insoluble in H<sub>2</sub>O; ≥20.7 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.4128 mL	12.0639 mL	24.1278 mL
	<b>5 mM</b>	0.4826 mL	2.4128 mL	4.8256 mL
	<b>10 mM</b>	0.2413 mL	1.2064 mL	2.4128 mL

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

### Biological Activity

Shortsummary

JAK-1/-2 inhibitor, ATP competitive

IC<sub>50</sub> & Target

11 nM (JAK1), 18 nM (JAK2), 155 nM (JAK3)

In Vitro

#### Cell Viability Assay

Cell Line:	Hematopoietic lines with JAK2V617F mutation; HEL cells with naturally acquired JAK2V617F; Baf3-EpoR-JAK2V617F cells.
Preparation method:	Soluble in DMSO > 10 mM. 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:	0.1-5 μM; 3 days.

	Applications:	In hematopoietic and somatic cell lines, CYT387 selectively inhibits JAK2-dependent cell growth and induces apoptosis. In Baf3-EpoR-JAK2V617F cells, CYT387 significantly inhibits cell growth and the phosphorylation of JAK2, extracellular signal-regulated kinase 1/2 (ERK1/2) and STAT5.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Mice with bone marrow transplantation.
	Dosage form:	25, 50 mg/kg; twice daily at 10- to 12-hour intervals from day 34 after bone marrow transplantation to day 82; administered orally.
	Applications:	In Balb/c mice transplanted with bone marrow transduced with a JAK2V617F retrovirus, CYT387 reduces white cell counts and hematocrit. Also, CYT387 decreases granulocyte population and increases lymphocyte cell population. No change in body weight in CYT387-treated mice. CYT387 significantly reduces spleen size in both the 25 mg/kg and 50 mg/kg groups.
	Preparation method:	Dissolved in NMP (120 mg/mL final; 1-methyl-2-pyrrolidinone). Subsequently, the CYT387/NMP mix was diluted with 0.14M Captisol to a concentration of 6 mg/mL and further diluted with 0.1M Captisol to a final concentration of 4 mg/mL.
	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. Cingöz O, Goff SP. "Cyclin-dependent kinase activity is required for type I interferon production." Proc Natl Acad Sci U S A. 2018 Mar 27;115(13):E2950-E2959.PMID:29507205

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## References

[1]. Tyner JW, Bumm TG, Deininger J, et al. CYT387, a novel JAK2 inhibitor, induces hematologic responses and normalizes inflammatory cytokines in murine myeloproliferative neoplasms. Blood, 2010, 115(25): 5232-5240.

## 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 APEX BIO 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.



## **APExBIO Technology**

**[www.apexbt.com](http://www.apexbt.com)**

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: [info@apexbt.com](mailto:info@apexbt.com)

