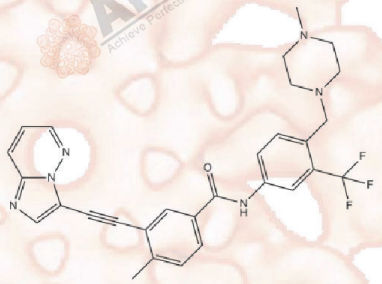
Product Data Sheet

Ponatinib (AP24534)

Cat. No.: A5467
CAS No.: 943319-70-8
Formula: C29H27F3N6O
M.Wt: 532.56
Synonyms:
Target: TGF-β / Smad Signaling
Pathway: Bcr-Abl
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥53.3 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.8777 mL	9.3886 mL	18.7772 mL
5 mM	0.3755 mL	1.8777 mL	3.7554 mL
10 mM	0.1878 mL	0.9389 mL	1.8777 mL

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

Biological Activity

Shortsummary	pan-BCR-ABL inhibitor,multi-kinase inhibitor
IC_{50} & Target	0.37 nM (Abl), 1.1 nM (PDGFRα), 1.5 nM (VEGFR2), 2.2 nM (FGFR1), 5.4 nM (Src)

In Vitro

Cell Viability Assay

Cell Line:	BaF3 cells stably expressing ZMYM2-FGFR1 and CEP110-FGFR1 or BCR-FGFR1
Preparation method：	The solubility of this compound in DMSO is >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:	48 hours, 50 nM for BaF3-ZMYM2, BAF3-CEP 100 nM for BaF3-BCR
	Applications:	Ponatinib treatment reduced phosphorylation FGFR1 levels. The percentage of cells in S-phase was also dramatically decreased, while the percentage of apoptotic cells was increased in the three different chimeric kinase-transformed BaF3 cells which suggested that their survival depended on activated FGFR1.
In Vivo	**Animal experiment**	
	Animal models:	Female CB.17 severe combined immunodeficient mice injected with MV4-11 cells
	Dosage form:	Oral administration, 1–25 mg/kg, once daily for 4 weeks
	Applications:	Ponatinib potently inhibited tumor growth in a dose-dependent manner. Administration of 1 mg/kg, the lowest dose tested, led to significant inhibition of tumor growth (TGI = 46%, P < 0.01) and doses of 2.5 mg/kg or greater resulted in tumor regression. Notably, dosing with 10 or 25 mg/kg led to complete and durable tumor regression with no palpable tumors detected during a 31-day follow up.
	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. "TIE2-mediated tyrosine phosphorylation of H4 regulates DNA damage response by recruiting ABL1" BY MOHAMMAD B. HOSSAIN, et al. SCIENCE ADVANCES01 APR 2016 : E1501290.

See more customer validations on www.apexbt.com.

References

[1] Ren M, Qin H, Ren R, Cowell JK. Ponatinib suppresses the development of myeloid and lymphoid malignancies associated with FGFR1 abnormalities. Leukemia. 2013 Jan;27(1):32-40.

[2] Gozgit JM, Wong MJ, Wardwell S, Tyner JW, Loriaux MM, Mohemmad QK, Narasimhan NI, Shakespeare WC, Wang F, Druker BJ, Clackson T, Rivera VM. Potent activity of ponatinib (AP24534) in models of FLT3-driven acute myeloid leukemia and other hematologic malignancies. Mol Cancer Ther. 2011 Jun;10(6):1028-35.

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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