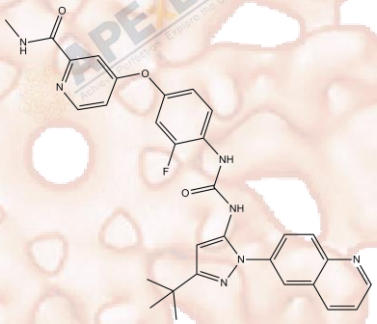
Product Data Sheet

DCC-2036 (Rebastinib)



Cat. No.:	B1404
CAS No.:	1020172-07-9
Formula:	C30H28FN7O3
M.Wt:	553.59
Synonyms:	
Target:	TGF-β / Smad Signaling
Pathway:	Bcr-Abl
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥27.7 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.8064 mL	9.0320 mL	18.0639 mL
5 mM	0.3613 mL	1.8064 mL	3.6128 mL
10 mM	0.1806 mL	0.9032 mL	1.8064 mL

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

Biological Activity

Shortsummary	Bcr-Abl inhibitor
IC_{50} & Target	0.75 nM (u-Abl1 (native)), 1.4 nM (Abl1 (H396P)), 2 nM (p-Abl1 (native)), 2 nM (FLT3), 4 nM (p-Abl1 (T315I))

In Vitro

Cell Viability Assay

Cell Line:	Ba/F3 cells expressing native or mutant BCRABL1
Preparation method:	The solubility of this compound in DMSO is > 27.7 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:	2 ~ 150 nM

	Applications:	In Ba/F3 cells expressing native BCR-ABL1native, DCC-2036 effectively inhibited cell proliferation with an IC50 value of 5.4 nM. DCC-2036 also potently inhibited Ba/F3 cells expressing BCR-ABL1 mutants that were resistant to Imatinib, Dasatinib (T315A) and Nilotinib (L248R, Y253H, E255V and F359C). In addition, DCC-2036 was effective on the gatekeeper mutant BCR-ABL1T315I (IC50 = 13 nM), on which all three FDA-approved TKIs were ineffective.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing Ba/F3-BCR-ABL1T315I leukemia cells
	Dosage form:	100 mg/kg; p.o.
	Applications:	In mice bearing Ba/F3-BCR-ABL1T315I leukemia cells, a single oral dose of DCC-2036 at 100 mg/kg resulted in a plasma concentration over 12 μM for up to 24 hrs, and effectively inhibited BCR-ABL1 signaling for up to 8 hrs. Treating mice bearing Ba/F3-BCR-ABL1 T315I leukemia cells with DCC-2036 at the dose of 100 mg/kg once daily significantly prolonged their survival.
	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

See more customer validations on www.apexbt.com.

References

[1]. Chan W W, Wise S C, Kaufman M D, et al. Conformational control inhibition of the BCR-ABL1 tyrosine kinase, including the gatekeeper T315I mutant, by the switch-control inhibitor DCC-2036. Cancer cell, 2011, 19(4): 556-568.

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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