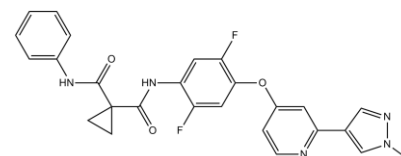


Product Data Sheet

Chemical Properties

Product Name:	DCC-2618
Cas No.:	1225278-16-9
M.Wt:	489.47
Formula:	C ₂₆ H ₂₁ F ₂ N ₅ O ₃
Synonyms:	DCC2618;DCC 2618
Chemical Name:	1-N'-[2,5-difluoro-4-[2-(1-methylpyrazol-4-yl)pyridin-4-yl]oxyphenyl]-1-N-phenylcyclopropane-1,1-dicarboxamide
Canonical SMILES:	<chem>CN1C=C(C=N1)C2=NC=CC(=C2)OC3=C(C=C(C(=C3)F)NC(=O)C4(CC4)C(=O)NC5=CC=CC=C5)F</chem>
Solubility:	>179.2mg/mL in DMSO
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.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request



Biological Activity

Targets :	PDGFR
Pathways:	Tyrosine Kinase >> PDGFR

Description:

IC₅₀: 6 nM, 9 nM, 18 nM, 5 nM, 14 nM and 9 nM for wt c-KIT, KIT V654A, KIT T670I, KIT D816H, KIT D816V and KIT JMD ΔVV/D816V, respectively.

DCC-2618 is a small-molecule inhibitor of KIT kinases.

Gastrointestinal stromal tumors (GIST) are driven by gain-of-function mutations of the KIT (approx 90%) or PDGFR (approx 10%) receptor tyrosine kinases. DCC-2618 has been designed to

effectively inhibit the imatinib and sunitinib-sensitive KIT juxtamenbrane domin mutants as well as secondary resistant KIT iniase-domain mutants.

In vitro: DCC-2618 is a kinase switch inhibitor that can control drug resistant mutants of KIT and PDGFR in GIST. DCC-2618 acts by imposing an inactive conformation (shape) of highly resistant and aggressive secondary mutations of KIT kinase [1].

In vivo: DCC-2618 inhibits KIT in GIST tumor xenografts after single dose. At the doses of 50 and 25 mpk, DCC-2618 showed promising potency on pKIT (Y703) with the inhibition ranging from 39% to 79% 2-12 hrs after the administration [1].

Clinical trial: A Phase I trial with refractory GIST patients is planned.

Reference:

[1] *DCC-2618, a small molecule inhibitor of normal and mutant KIT kinase for treatment of refractory gastrointestinal stromal tumors (GIST)* Deciphera Pharmaceuticals, Lawrence, KS.

Protocol

Cell experiment:

Cell lines	CHO and GIST cells
Preparation method	Soluble in DMSO. 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	43 ~ 106 nM for CHO cells, 2 ~ 32 nM for GIST cells
Applications	In CHO cells, DCC-2618 inhibited resistant Exon 17 KIT mutations with the IC50 values ranging from 43 to 106 nM. In GIST cells, DCC-2618 inhibited mutant KIT with the IC50 values ranging from 2 to 32 nM.

Animal experiment [3]:

Animal models	GIST xenografts
Dosage form	25 and 50 mg/kg; p.o.
Applications	DCC-2618 inhibited KIT in GIST xenografts after single dose. At the doses of 25 and 50 mg/kg, DCC-2618 showed promising potency on pKIT (Y703) with the inhibition ranging from 39% to 79% 2 ~ 12 hrs after the administration.
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.

Reference:

[1]. DCC-2618, a small molecule inhibitor of normal and mutant KIT kinase for treatment of refractory gastrointestinal stromal tumors (GIST) Deciphera Pharmaceuticals, Lawrence, KS.

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. Short-term 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

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

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com