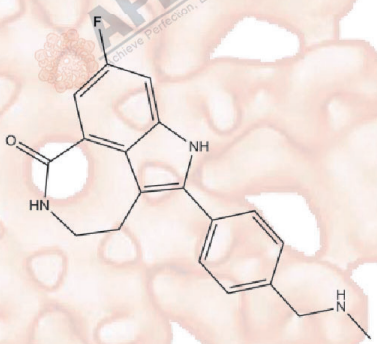
Product Data Sheet

Rucaparib (free base)

Cat. No.: A8893
CAS No.: 283173-50-2
Formula: C19H18FN3O
M.Wt: 323.36
Synonyms:
Target: Chromatin/Epigenetics
Pathway: PARP
Storage: Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ≥16.15 mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	3.0925 mL	15.4626 mL	30.9253 mL
5 mM	0.6185 mL	3.0925 mL	6.1851 mL
10 mM	0.3093 mL	1.5463 mL	3.0925 mL

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

Biological Activity

Shortsummary	Potent PARP inhibitor
IC_{50} & Target	1.4 nM (Ki) (PARP)

In Vitro

Cell Viability Assay

Cell Line:	Canine kidney MDCKII cell lines
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:	8h; 5 μM

	Applications:	In the MDCKII parental cell line, which overexpressed human (h) ABCB1, both apically and basolaterally directed translocation of rucaparib were the same. Treatment of the cells with the ABCB1 inhibitor zosuquidar resulted in a slight decrease in apically directed transport, which could be either due to a specific inhibition of an unidentified rucaparib uptake transporter at the basolateral side, or inhibition of endogenous canine ABCB1. The result shown that rucaparib is a transported substrate of ABCB1.
In Vivo	**Animal experiment**	
	Animal models:	female WT, Abcb1a/1b mice of a >99% FVB genetic background
	Dosage form:	10 mg/kg; oral taken
	Applications:	We analyzed the separate and combined effect of Abcg2 and Abcb1a/1b activity on the in vivo disposition of orally administered rucaparib at a dose of 10 mg/kg in wild-type (WT) and single and combination Abcg2 and Abcb1a/1b knockout mice. In vivo, oral availability (plasma AUC0-1 and AUC0-24) and brain levels of rucaparib at 1 and 24 h were increased by the absence of both Abcg2 and Abcb1a/1b after oral administration of rucaparib at 10 mg/kg.
	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] Durmus S, Sparidans R W, van Esch A, et al. Breast Cancer Resistance Protein (BCRP/ABCG2) and P-glycoprotein (P-GP/ABCB1) Restrict Oral Availability and Brain Accumulation of the PARP Inhibitor Rucaparib (AG-014699)[J]. Pharmaceutical research, 2014: 1-10.

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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