PRODUCT INFORMATION



GDC-0575

Item No. 34300

CAS Registry No.:	1196541-47-5	Н
Formal Name:	N-[4-[(3R)-3-amino-1-piperidinyl]-5-	·N
	bromo-1H-pyrrolo[2,3-b]pyridin-3-yl]-	N
	cyclopropanecarboxamide	
MF:	C ₁₆ H ₂₀ BrN ₅ O	Br
FW:	378.3	
Purity:	≥98%	N N
UV/Vis.:	λ _{max} : 251 nm	H O
Supplied as:	A solid	
Storage:	-20°C	NH ₂
Stability:	≥4 years	

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GDC-0575 is supplied as a solid. A stock solution may be made by dissolving the GDC-0575 in the solvent of choice, which should be purged with an inert gas. GDC-0575 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GDC-0575 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

GDC-0575 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GDC-0575 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GDC-0575 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GDC-0575 is an inhibitor of checkpoint kinase 1 (Chk1; IC₅₀ = 1.2 nM).¹ It is greater than 30-fold selective for Chk1 over a panel of more than 450 wild-type and mutant kinases. GDC-0575 (500 nM) enhances apoptosis induced by cytarabine (Item No. 16069) in HL-60, KG-1, U937, and ML-1 human acute myeloid leukemia (AML) cells. It nearly completely eliminates tumor burden in AML patient-derived xenograft (PDX) mouse models when administered at a dose of 7.5 mg/kg in combination with cytarabine.

Reference

1. Di Tullio, A., Rouault-Pierre, K., Abarrategi, A., et al. The combination of CHK1 inhibitor with G-CSF overrides cytarabine resistance in human acute myeloid leukemia. Nat. Commun. 8(1), 1679 (2017).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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