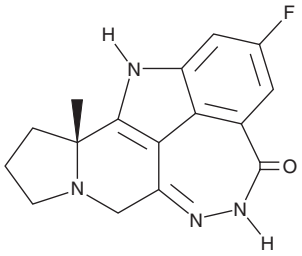
PRODUCT INFORMATION

Pamiparib

Item No. 33182

CAS Registry No.:	1446261-44-4
Formal Name:	(10aR)-2-fluoro-5,8,9,10,10a,11-hexahydro-10a-methyl-5,6,7a,11-tetraazacyclohepta[*def*]cyclopenta[a]fluoren-4(7H)-one
Synonym:	BGB-290
MF:	$C_{16}H_{15}FN_4O$
FW:	298.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 262, 297 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Pamiparib is supplied as a solid. A stock solution may be made by dissolving the pamiparib in the solvent of choice, which should be purged with an inert gas. Pamiparib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of pamiparib in DMSO and DMF is approximately 1 and 20 mg/ml, respectively.

Pamiparib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pamiparib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Pamiparib has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Pamiparib is an inhibitor of poly(ADP-ribose) polymerase 1 (PARP1) and PARP2 (IC_{50}s = 1.3 and 0.92 nM, respectively).[1] It is selective for PARP1 and PARP2 over PARP3 (IC_{50} = 0.068 µM) and other PARP isoforms (IC_{50}s = 2.4->100 µM), as well as over tankyrase 1 (TNKS1) and TNKS2 (IC_{50}s = 0.23 and 0.14 µM, respectively). Pamiparib inhibits PARP activity induced by hydrogen peroxide in HeLa cells with an IC_{50} value of 0.24 nM. It selectively inhibits proliferation of MDA-MB-436 cells expressing mutant *BRCA1* and Capan-1 cells expressing mutant *BRCA2* (EC_{50}s = 41 and 960 nM, respectively) over MDA-MB-231 cells expressing wild-type *BRCA* (EC_{50} = ~9,000 nM). Pamiparib (1.6-6.3 mg/kg twice per day) induces tumor regression in an MDA-MB-436 mouse xenograft model. It also potentiates increases in survival induced by temozolomide (Item No. 14163) in an H209 mouse xenograft model of small cell lung cancer brain metastasis.

Reference

1. Xiong, Y., Guo, Y., Liu, Y., *et al.* Pamiparib is a potent and selective PARP inhibitor with unique potential for the treatment of brain tumor. *Neoplasia* **22(9)**, 431-440 (2020).

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