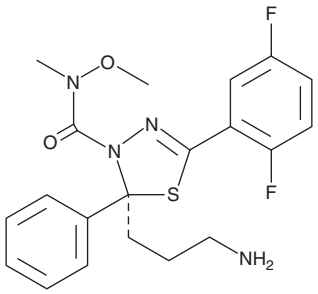
PRODUCT INFORMATION

ARRY-520

Item No. 21883

CAS Registry No.:	885060-09-3
Formal Name:	(2S)-2-(3-aminopropyl)-5-(2,5-difluorophenyl)-N-methoxy-N-methyl-2-phenyl-1,3,4-thiadiazole-3(2H)-carboxamide
Synonym:	Filanesib
MF:	$C_{20}H_{22}F_2N_4O_2S$
FW:	420.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 231, 332 nm
Supplied as:	A crystalline 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

ARRY-520 is supplied as a crystalline solid. A stock solution may be made by dissolving the ARRY-520 in the solvent of choice, which should be purged with an inert gas. ARRY-520 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of ARRY-520 in these solvents is approximately 20 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ARRY-520 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ARRY-520 in PBS (pH 7.2) is approximately 0.2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

ARRY-520 is a potent inhibitor of the kinesin spindle protein Eg5 (IC_{50} = 6 nM).[1] It is selective for Eg5 over a panel of 8 kinesins (IC_{50}s = >100 µM) and a panel of 224 kinases at a concentration of 10 µM. ARRY-520 induces time-and dose-dependent cell death in HL-60, Jurkat, OCI-AML3, U937, and MOLM-13 leukemic cells.[2] Downregulation of Eg5 expression sensitizes HL-60 cells to ARRY-520 (IC_{50}s = 11.3 and 2 nM for wild-type and Eg5 knockdown HL-60 cells, respectively). ARRY-520 induces cell cycle arrest at the G_2/M phase in a p53- and XIAP-independent manner in OCI-AML3 cells. It also inhibits blast colony formation of bone marrow samples derived from human acute myeloid leukemia (AML) patients. *In vivo*, ARRY-520 (20 mg/kg per day) completely eliminates tumors in the RPMI-8226 multiple myeloma and HL-60 and MV4-11 AML mouse xenograft models.[1]

References

1. Woessner, R., Tunquist, B., Lemieux, C., *et al.* ARRY-520, a novel KSP inhibitor with potent activity in hematological and taxane-resistant tumor models. *Anticancer Res.* **29(11)**, 4373-4380 (2009).
2. Carter, B.Z., Mak, D.H., Woessner, R., *et al.* Inhibition of KSP by ARRY-520 induces cell cycle block and cell death via the mitochondrial pathway in AML cells. *Leukemia* **23(10)**, 1755-1762 (2009).

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