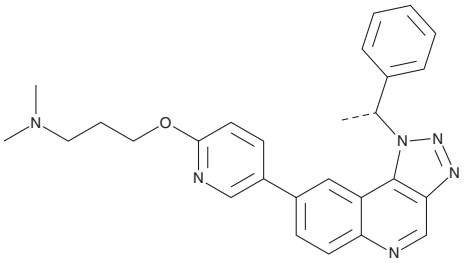
PRODUCT INFORMATION

A011

Item No. 39183

Formal Name:	(R)-N,N-dimethyl-3-((5-(1-(1-phenylethyl)-1H-[1,2,3]triazolo[4,5-c]quinolin-8-yl) pyridin-2-yl)oxy)propan-1-amine
MF:	$C_{27}H_{28}N_6O$
FW:	452.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 234, 258, 305 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥3 years



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

Laboratory Procedures

A011 is supplied as a solid. A stock solution may be made by dissolving the A011 in the solvent of choice, which should be purged with an inert gas. A011 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of A011 in these solvents is approximately 10 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 A011 can be prepared by directly dissolving the solid in aqueous buffers. A011 is slightly solube in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

A011 is an inhibitor of ataxia-telangiectasia mutated (ATM) kinase (IC_{50} = 1 nM).[1] It is selective for ATM over a panel of 408 additional kinases at 10 µM. A011 inhibits the growth of SW620 and HCT116 colorectal cancer cells (IC_{50}s = 0.14 and 0.91 µM, respectively) and enhances cell death induced by DNA topoisomerase I inhibitor irinotecan (Item No. 14180) in the same cells when used at concentrations of 10, 30, and 100 nM. It also increases irradiation-induced cell death in SW620 cells. *In vivo*, A011 (5 mg/kg) increases irinotecan-induced reductions in tumor growth in an SW620 mouse xenograft model.

Reference

1. Zhang, S., Zhou, P., Liu, J., *et al.* Discovery of [1,2,3]triazolo[4,5- c]quinoline derivatives as a new class of ataxia-telangiectasia mutated kinase inhibitors. *ACS Med. Chem. Lett.* **14(6)**, 746-756 (2023).

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