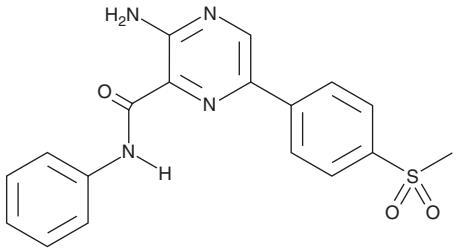
PRODUCT INFORMATION

VE-821

Item No. 17587

CAS Registry No.:	1232410-49-9
Formal Name:	3-amino-6-[4-(methylsulfonyl)phenyl]-N-phenyl-2-pyrazinecarboxamide
Synonym:	ATR Inhibitor IV
MF:	$C_{18}H_{16}N_4O_3S$
FW:	368.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 304, 382 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

VE-821 is supplied as a crystalline solid. A stock solution may be made by dissolving the VE-821 in the solvent of choice, which should be purged with an inert gas. VE-821 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of VE-821 in these solvents is approximately 20 and 50 mg/ml, respectively.

VE-821 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, VE-821 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. VE-821 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ataxia-telangiectasia and Rad3-related protein (ATR) is a serine/threonine kinase that activates DNA processes related to the DNA damage response. VE-821 is an ATP-competitive inhibitor of ATR (IC_{50} = 26 nM).[1,2] It augments DNA damage and cell death of cancer cells in response to radiation under normal and hypoxic conditions.[2-4] VE-821 also sensitizes cancer cells to chemotherapy.[3,5,6]

References

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2. Pires, I.M., Olcina, M.M., Anbalagan, S., *et al.* Targeting radiation-resistant hypoxic tumour cells through ATR inhibition. *Br. J. Cancer* **107**, 291-299 (2012).
3. Prevo, R., Fokas, E., Reaper, P.M., *et al.* The novel ATR inhibitor VE-821 increases sensitivity of pancreatic cancer cells to radiation and chemotherapy. *Cancer Biol. Ther.* **13(11)**, 1072-1081 (2012).
4. Salovska, B., Fabrik, I., Durisova, K., *et al.* Radiosensitization of human leukemic HL-60 cells by ATR kinase inhibitor (VE-821): Phosphoproteomic analysis. *Int. J. Mol. Sci.* **15**, 12007-12026 (2014).
5. Huntoon, C.J., Flatten, K.S., Wahner Hendrickson, A.E., *et al.* ATR inhibition broadly sensitizes ovarian cancer cells to chemotherapy independent of BRCA status. *Cancer Res.* **73(12)**, 3683-3691 (2013).
6. Flynn, R.L., Cox, K.E., Jeitany, M., *et al.* Alternative lengthening of telomeres renders cancer cells hypersensitive to ATR inhibitors. *Science* **347(6219)**, 273-277 (2015).

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