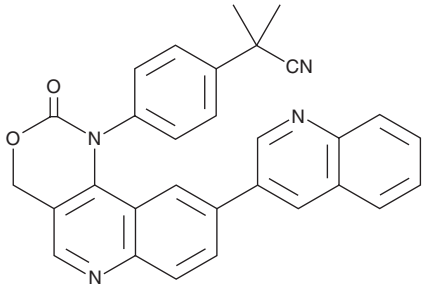
PRODUCT INFORMATION

ETP-46464

Item No. 19809

CAS Registry No.:	1345675-02-6
Formal Name:	α,α-dimethyl-4-[2-oxo-9-(3-quinolinyl)-2H-[1,3]oxazino[5,4-c]quinolin-1(4H)-yl]-benzeneacetonitrile
Synonyms:	ATM Inhibitor III, ATR Inhibitor III
MF:	$C_{30}H_{22}N_4O_2$
FW:	470.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 261, 323 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

ETP-46464 is supplied as a crystalline solid. A stock solution may be made by dissolving the ETP-46464 in the solvent of choice, which should be purged with an inert gas. ETP-46464 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of ETP-46464 in these solvents is approximately 12 and 20 mg/ml, respectively.

ETP-46464 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ETP-46464 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ETP-46464 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ETP-46464 is an inhibitor of the DNA damage response kinase Ataxia-telangiectasia mutated (ATM)- and Rad3-related (ATR) with an IC_{50} value of 25 nM.[1] It also inhibits mTOR and DNA-dependent protein kinase (IC_{50}s = 0.6 and 36 nM, respectively), and is moderately active against PI3Kα and ATM (IC_{50}s = 170 and 545 nM, respectively).[1] Pharmacological inhibition of ATR is reported to generate replicative stress, leading to chromosomal breakage in the presence of conditions that stall replication forks.[1] ETP-46464 has been shown to be toxic to p53-deficient cells, which is exacerbated by replicative stress-generating conditions such as the overexpression of cyclin E.[1]

Reference

1. Toldeo, L.I., Murga, M., Zur, R., *et al*. A cell-based screen identifies ATR inhibitors with synthetic lethal properties for cancer-associated mutations. *Nat. Struct. Mol. Biol.* **18(6)**, 721-727 (2011).

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