

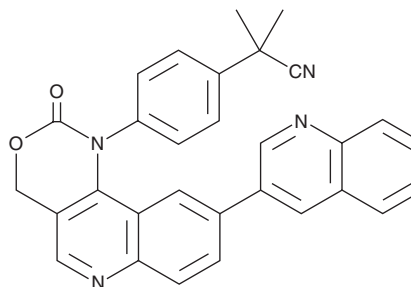
PRODUCT INFORMATION



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: C₃₀H₂₂N₄O₂
FW: 470.5
Purity: $\geq 98\%$
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 IC₅₀ value of 25 nM.¹ It also inhibits mTOR and DNA-dependent protein kinase (IC₅₀s = 0.6 and 36 nM, respectively), and is moderately active against PI3K α and ATM (IC₅₀s = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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