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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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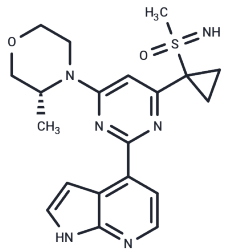
Data Sheet (Cat.No.T3338)

TargetMol

Ceralasertib

Chemical Properties



CAS No. : 1352226-88-0

Formula: C20H24N6O2S

Molecular Weight: 412.51

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Ceralasertib (AZD6738) is an ATR kinase inhibitor (IC50=1 nM) with selective and oral activity. Ceralasertib has antitumor activity.
Targets(IC50)	ATM/ATR
In vitro	**METHODS**: 276 different tumor cell lines were treated with Ceralasertib for 3 days and cell viability was measured by MTS assay. **RESULTS**: For most cell lines, the median GI50 for 50% growth inhibition (1.47 μmol/L) was higher than the IC90 for ATR cells, with only 13% of the cell lines having a GI50 below the median, and 30% below 1 μmol/L. Hematological cell lines (median GI50= 0.82 μmol/L) generally showed increased sensitivity compared to solid tumor cells (median GI50= 1.68 μmol/L). [1] **METHODS**: Colorectal cancer cells HT29 were treated with trifluridine (70 μM) and Ceralasertib (0.5 μM) for 48 h, and the expression levels of target proteins were measured by Western Blot. **RESULTS**: The trifluridine+Ceralasertib group inhibited Chk1 phosphorylation in HT29 cells at 48 h compared to the trifluridine group. Therefore, it was confirmed that Ceralasertib inhibited Chk1 phosphorylation. In HT29 and HCT116 cells, DNA damage was more severe in the trifluridine+Ceralasertib group than in the trifluridine group, which could be confirmed by the increased level of γH2A expression. [2]
In vivo	**METHODS**: To assay anti-tumor activity in vivo, Ceralasertib (10-50 mg/kg, 10% DMSO+40% Propylene Glycol+50% deionized water) was orally administered to LoVo, Granta-519, NCI-H23, or 549 xenograft-carrying athymic nude mice bearing LoVo, Granta-519, NCI-H23 or 549 xenografts once daily for 14-28 days. **RESULTS**: LoVo and Granta-519 showed dose-dependent efficacy, with significant TGI at 50 mg/kg, moderate activity at 25 mg/kg, and no activity at 10 mg/kg. Significant antitumor activity was also observed in NCI-H23 but not in A549 model. [1]
Kinase Assay	General procedure for the EC50 test: DDR1 is induced by 2 Gg/ml doxycycline for 48 hrs prior to DDR1 activation by rat tail collagen I. The DDR1 over-expressed U2OS is pre-treated by media containing each concentration of the compound for 1 hr and treated by changing the media to the EC50 test media containing 10 Gg/ml collagen and each concentration of the compound for 2 hrs. Each cells is washed with cold PBS three times and lysed with the lysis buffer (50 mMTris, pH 7.5, 1% Triton X-100, 0.1% SDS, 150 mM NaCl, 5 mM EDTA, 100 mMNaF, 2 mM Na3VO4, 1 mM PMSF, 10 Gg/ml aprotinin, and 10 Gg/ml leupeptin). The activation of DDR1 is quantified by density using program ImageJ

	to determine EC50 following Western blot using anti-activated human DDR1b (Y513).
Cell Research	Cells are treated in white walled, clear bottom 96-well plates with the indicated doses of AZD6738, cisplatin, gemcitabine, or combination for 48 h. ATP levels are assessed as surrogate measure of viability was assessed using the CellTiter-Glo Luminescent Cell Viability Assay and Safire 2 plate reader. Raw data are corrected for background luminescence prior to further analysis. For AZD6738 treatment, log dose response curves are generated in GraphPad Prism 6 by nonlinear regression (log(inhibitor) vs. response with variable slope) of log-transformed (x = log(x)) data normalized to the mean of untreated controls. GI values, defined as the dose X at which Y = 50%, were extrapolated from dose response curves.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 39 mg/mL (94.5 mM), DMSO: 55 mg/mL (133.33 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4242 mL	12.1209 mL	24.2418 mL
5 mM	0.4848 mL	2.4242 mL	4.8484 mL
10 mM	0.2424 mL	1.2121 mL	2.4242 mL
50 mM	0.0485 mL	0.2424 mL	0.4848 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Lei H, Xu H Z, Shan H Z, et al. Targeting USP47 overcomes tyrosine kinase inhibitor resistance and eradicates leukemia stem/progenitor cells in chronic myelogenous leukemia. Nature Communications. 2021 Jan 4;12(1):51.