

Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten! See the following pages for more information!



Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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YU238259

Cat. No.: HY-19977 CAS No.: 1943733-16-1 Molecular Formula: $\mathsf{C_{22}H_{22}CIN_3O_4S}$

Molecular Weight: 459.95 Target: DNA-PK

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 110 mg/mL (239.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1741 mL	10.8707 mL	21.7415 mL
	5 mM	0.4348 mL	2.1741 mL	4.3483 mL
	10 mM	0.2174 mL	1.0871 mL	2.1741 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.75 mg/mL (5.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	YU238259 is an inhibitor of homology-dependent DNA repair (HDR), used for cancer research.		
IC ₅₀ & Target	$HDR^{[1]}$		
In Vitro	YU238259 is an inhibitor of homology-dependent DNA repair, with no effect on PARP activity. YU238259 shows cytotoxicity in BRCA2-deficient cells, with a low LD $_{50}$ of 8.5 μ M. YU238259 (0-5 μ M) causes a potent, dose-dependent decrease in HDR efficiency in U2OS DR-GFP or U2OS EJ5-GFP cells, but with no effect on NHEJ frequency. YU238259 (0-10 μ M) exhibits synthetic lethality with loss of frequently mutated tumor suppressors, and shows synergism with radiotherapy (IR) and DNA-damaging chemotherapy that is potentiated by BRCA2 loss ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	YU238259 (3 mg/kg, i.p.) inhibits the growth of BRCA2-deficient tumor xenografts in nude mice ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

PROTOCOL

Cell Assay [1]

U2OS reporter cell lines (DR-GFP or EJ5-GFP) are pretreated in triplicate with varying concentrations of YU238259 for 24 h, after which 4 μ g of SCE-I plasmid is transfected into 1 \times 10⁶ cells/replicate using an Amaxa Nucleofector. Transfected cells are reseeded on 6-well plates and cultured with YU238259 for an additional 72 h. The percentage of GFP-positive cells is quantified by flow cytometry. Data analysis is performed using FlowJo software. Error bars represent the standard deviation [1]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

 $069(\text{nu})/070(\text{nu/}^+)$ athymic nude mice, at 4-5 weeks age, are injected subcutaneously with 3 \times 10⁶ DLD-1 or DLD-1 BRCA2-KO cells suspended in 100 μ L PBS. Tumor take rate is >80%. When tumors reach 100 mm³ geometric mean volume, the mice are injected with 3 mg/kg YU238259 or its 3:1 DMSO:PBS vehicle, or 5 mg/kg YU128440 or its 1:19 DMSO:PBS vehicle (IP, 100 μ L total in each case). Treatment is repeated 3×/week (Mon/Wed/Fri) for a total of 12 doses of YU238259 and 4 doses of YU128440. Tumor growth is assessed by external caliper. Mice are euthanized when individual tumor volumes exceed 1000 mm^{3[1]}.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stachelek GC, et al. YU238259 Is a Novel Inhibitor of Homology-Dependent DNA Repair That Exhibits Synthetic Lethality and Radiosensitization in Repair-Deficient Tumors. Mol Cancer Res. 2015 Oct;13(10):1389-97.

Caution: Product has not been fully validated for medical applications. For research use only.

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