Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

E7449

Catalog No: tcsc3479

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1140964-99-3

Formula:
$C_{18}H_{15}N_5O$

Pathway:
Epigenetics;Cell Cycle/DNA Damage

Target:
PARP;PARP

Purity / Grade:
>98%

Solubility:
DMSO : 6.4 mg/mL (20.17 mM; Need ultrasonic and warming)

Observed Molecular Weight:
317.34

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Product Description

E7449 is a potent **PARP1** and **PARP2** inhibitor and also inhibits **TNKS1** and **TNKS2**, with **IC_{50}**s of 2.0, 1.0, ~50 and ~50 nM for PARP1, PARP2, TNKS1 and TNKS2, respectively, using ^{32}P-NAD^{+} as substrate.

IC50 & Target: IC50: 2.0 nM (PARP1), 1.0 nM (PARP2), ~50 nM (TNKS1), ~50 nM (TNKS2)[1]

In Vitro: E7449 is a potent PARP1 and PARP2 inhibitor and also inhibits TNKS1 and TNKS2, with IC_{50}s of 2.0, 1.0, ~50 and ~50 nM for PARP1, PARP2, TNKS1 and TNKS2, respectively, using ^{32}P-NAD^{+} as substrate. E7449 shows no obvious inhibiotry effects on PARP3 or PARPs 6-16. E7449 traps PARP1 onto damaged DNA, and affects DNA repair pathways beyond homologous recombination (HR). E7449 most potnetly suppresses cells deficient in components of the HR pathway (BRCA1 and 2, CtIP, Rad54). E7449 (10 μM) inhibits Wnt signaling in SW480 cells[1].

In Vivo: E7449 moderately inhibits the growth of tumors at 100 mg/kg, and significantly ehhances the inhibition via 10, 30 and 100 mg/kg oral dosing in combination with temozolomide (TMZ) in the mouse melanoma B16-F10 isograft model. E7449 (30 or 100 mg/kg, p.o.) inhibits PARP, shows anti-tumor activity, and is well-tolerated without any obvious body weight loss or deaths in a BRCA mutant xenograft model. E7449 (30, 100 or 300 mg/kg, p.o.) suppresses re-growth of hair in a dose dependent manner, and blocks Wnt signaling in C57BL/6 mice. E7449 (100 mg/kg, p.o.) combined with MEK inhibitor exhibits antitumor activity in a Wnt1 subcutaneous model (mammary tumors initially isolated from Wnt1 (int-1) transgenic mice)[1].