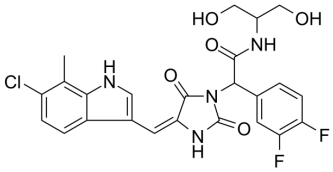
RO-5963

Cat. No.:	HY-120086
CAS No.:	1416663-77-8
Molecular Formula:	$C_{24}H_{21}ClF_2N_4O_5$
Molecular Weight:	518.9
Target:	MDM-2/p53; E1/E2/E3 Enzyme
Pathway:	Apoptosis; Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (289.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9272 mL	9.6358 mL	19.2715 mL
	5 mM	0.3854 mL	1.9272 mL	3.8543 mL
	10 mM	0.1927 mL	0.9636 mL	1.9272 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3.75 mg/mL (7.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.75 mg/mL (7.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RO-5963 is a dual p53-MDM2 and p53-MDMX inhibitor with IC_{50}s of ~17 nM and ~24 nM, respectively[1].

IC_{50} & Target

IC50: ~17 nM (p53-MDM2), ~24 nM (p53-MDMX)[1]

In Vitro

RO-5963 (10-20 μM; 48 hours) shows much higher apoptotic activity than Nutlin in both MCF7 and ZR75-30 cell lines[1].
RO-5963 (10 μM, 24 hours) effectively activats p53 and elevates p21 and MDM2 levels[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	ZR75-30, MCF7 cells
Concentration:	10, 20 μM
Incubation Time:	48 hours
Result:	Potently showed apoptotic activity.

Western Blot Analysis[1]

Cell Line:	LNCap, U2OS, RKO, A489, 22Rv1, HCT116, H460, LOX, MCF7, A549, G401 cells
Concentration:	10 μM
Incubation Time:	24 hour
Result:	Effectively activated p53 and elevated p21 and MDM2 levels.

REFERENCES

[1]. Graves B, et al. Activation of the p53 pathway by small-molecule-induced MDM2 and MDMX dimerization. Proc Natl Acad Sci U S A. 2012 Jul 17;109(29):11788-93.

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