SZABO SCANDIC

Part of Europa Biosite

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

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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RO8994

Cat. No.: HY-16999

CAS No.: 1309684-94-3

Molecular Formula: $C_{31}H_{31}Cl_2FN_4O_4$

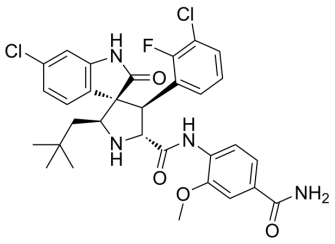
Molecular Weight: 613.51

Target: MDM-2/p53; E1/E2/E3 Enzyme; Apoptosis

Pathway: Apoptosis; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 45 mg/mL (73.35 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6300 mL	8.1498 mL	16.2997 mL
5 mM	0.3260 mL	1.6300 mL	3.2599 mL
10 mM	0.1630 mL	0.8150 mL	1.6300 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: ≥ 2.08 mg/mL (3.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RO8994 is a highly potent and selective series of spiroindolinone small-molecule MDM2 inhibitor, with IC50 of 5 nM (HTRF binding assays) and 20 nM (MTT proliferation assays).IC50 value: 5 nM (in HTRF binding assays), 20 nM (in MTT proliferation assays)Target: MDM2in vitro: RO8994 represents a new generation of p53-MDM2 antagonists with marked improvement in pharmacological properties for potential clinical development. RO8994 induces dose-dependent up-regulation of p53 target genes and apoptosis in wild-type p53 cancer cells, consistent with its non-genotoxic mechanism of p53 activation.in vivo: RO8994 displays remarkable tumor growth inhibition in the wild-type p53, MDM2-amplified SJSA-1 osteosarcoma tumor xenograft model - exhibiting significant (>60%) tumor growth inhibition at the low dose of 1.56 mg/kg, tumor stasis at 3.125 mg/kg and regression at 6.25 mg/kg.

REFERENCES

[1]. Zhang Z, et al. Discovery of potent and selective spiroindolinone MDM2 inhibitor, RO8994, for cancer therapy. Bioorg Med Chem. 2014 Aug 1;22(15):4001-4009.

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