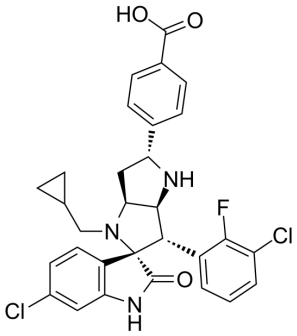
BI-0252

Cat. No.:	HY-100765
CAS No.:	1818291-27-8
Molecular Formula:	$C_{30}H_{26}Cl_2FN_3O_3$
Molecular Weight:	566.45
Target:	MDM-2/p53; E1/E2/E3 Enzyme
Pathway:	Apoptosis; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BI-0252 is an orally active, selective MDM2-p53 inhibitor with an IC_{50} of 4 nM. BI-0252 can induce tumor regressions in all animals of a mouse SJSA-1 xenograft, with concomitant induction of the tumor protein p53 (TP53) target genes and markers of apoptosis[1].
IC_{50} & Target	IC50: 4 nM (MDM2-p53)[1]

In Vivo

BI-0252 (orally; 25 mg/kg/day for 13 days and 100 mg/kg for 24 h) leads to time-dependent mRNA induction of TP53 target genes including CDKN1a, MDM2, and BBC3[1].

BI-0252 (iv and po; an iv dose of 5 mg/kg and a po dose of 50 mg/kg) showes low clearance in vivo after iv administration and high clearance after po administration. BI-0252 has high po in vivo exposure and good cellular potency[1].

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

Animal Model:	Nude mice bearing established subcutaneous SJSA-1 tumors[1]
Dosage:	25 mg/kg/day or a single dose of 100 mg/kg
Administration:	Orally; 25 mg/kg/day for 13 days and 100 mg/kg for 24 hours
Result:	Leaded to time-dependent mRNA induction of TP53 target genes.

Animal Model:	Nontumor-bearing female NMRI nude mice[1]
Dosage:	An iv dose of 5 mg/kg and a po dose of 50 mg/kg
Administration:	Iv and po
Result:	Showed low clearance in vivo after iv administration and high clearance after po administration.

REFERENCES

[1]. Gollner A, et al. Discovery of Novel Spiro[[3]H-indole-3,2'-pyrrolidin]-2(1H)-one Compounds as Chemically Stable and Orally Active Inhibitors of the MDM2-p53 Interaction. J Med Chem. 2016 Nov 23;59(22):10147-10162.

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