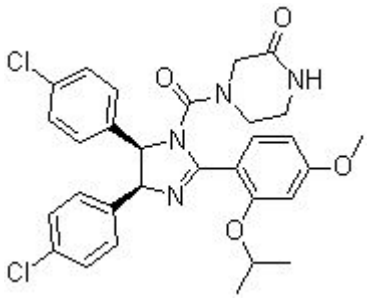
Product Introduction

Nutlin-3a

Nutlin-3a, the active enantiomer of Nutlin-3, inhibits the **p53/MDM2** interaction with **IC50** of 90 nM.

Technical Data:

Molecular Weight (MW):	581.49	
Formula:	C30H30Cl2N4O4	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol 100 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	675576-98-4	

Biological Activity

Nutlin-3a displaces p53 from the binding pocket of MDM2 and thereby releases p53 from inhibition and proteasomal degradation, leading to induction of its downstream targets, cell cycle arrest, and apoptosis. Seven days of incubation with 10 μM nutlin-3a led to >90% inhibition of NIH3T3 cells' growth[1]. Nutlin-3a stabilizes and activates p53, and induces p21 expression in a dose-dependent manner[1]. Nutlin-3a effectively depletes the S-phase compartment to 0.2-2% and increases the G1- and G2/M-phase compartments[1]. Nutlin-3a induces apoptosis in ~60% of SJSA-1 and MHM cells after 40 h, which increased further after 60 h (85% and 65%, respectively) [1].

Nutlin-3a suppresses xenograft growth in a dose-dependent fashion with the highest dose (200 mg/kg)

showing a substantial tumor shrinkage [1]. Nutlin-3 is a selective activator of the p53 pathway in vivo and highly efficacious against SJSA-1 osteosarcoma tumors[1]. Tumors with wild-type p53 and mdm2 gene amplification will respond best to therapy with Nutlin-3a.

Highly selective MDM2 inhibitor with a much lower effect on MDMX. Most effective on tumors with wild type p53.

References

[1] Tovar C, et al. Proc Natl Acad Sci U S A, 2006, 103(6), 1888-1893.

[2] Ohnstad HO, et al. BMC Cancer, 2011, 11(211), 1-11.

[3] Vassilev LT, et al. Science, 2004, 303(5659), 844-848.

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