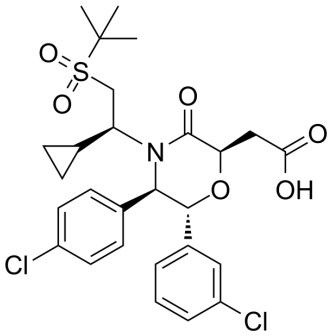
AM-8735

Cat. No.:	HY-12734
CAS No.:	1429386-01-5
Molecular Formula:	$C_{27}H_{31}Cl_2NO_6S$
Molecular Weight:	568.51
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	AM-8735 is a potent and selective MDM2 inhibitor with an IC_{50} of 25 nM.
IC_{50} & Target	IC50: 25 nM (MDM2)[1]
In Vitro	AM-8735 displays substantial growth inhibition of wild-type p53 cells (IC_{50}=63 nM) and no growth inhibition of p53-deficient cells (IC_{50}>25 μM). AM-8735exhibits a dose-dependent increase of p21 mRNA, a direct transcriptional readout of p53 activity, in HCT116 p53wt cells (IC_{50}=160 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AM-8735 also demonstrates significant time and concentration dependent p21 mRNA induction in vivo in a pharmacodynamic assay in SJSA-1 osteosarcoma tumors. AM-8735 shows excellent antitumor activity in the SJSA-1 osteosarcoma xenograft model with an ED_{50} of 41 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	SJSA-1 cells cells are treated with AM-8735 for 16 hours in the presence of 10% human serum. Cell proliferation is measured by the Click-iT EdU assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats[1] SJSA-1 cells (5×10^6) are implanted subcutaneously into female athymic nude mice. AM-8735 is administered by oral gavage (as a solution in 15% HPβCD, 1% Pluronic F68, pH 8) 5, 25, 50, and 100 mg/kg q.d. for a period of 2 weeks, and tumor volume is quantified[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gonzalez AZ, et al. Selective and potent morpholinone inhibitors of the MDM2-p53 protein-protein interaction. J Med Chem. 2014 Mar 27;57(6):2472-88.

Caution: Product has not been fully validated for medical applications. For research use only.

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