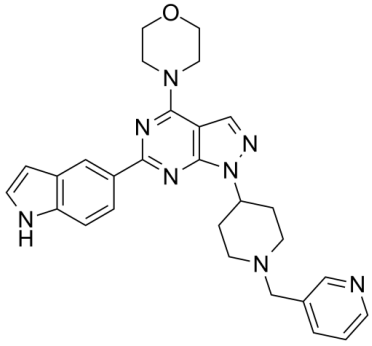
# Data Sheet

| | |
|---|---|
| **Product Name:** | WAY-600 |
| **Cat. No.:** | CS-6895 |
| **CAS No.:** | 1062159-35-6 |
| **Molecular Formula:** | C28H30N8O |
| **Molecular Weight:** | 494.59 |
| **Target:** | mTOR |
| **Pathway:** | PI3K/Akt/mTOR |
| **Solubility:** | H2O : < 0.1 mg/mL (insoluble); DMSO : 50 mg/mL (101.09 mM; Need ultrasonic) |



## BIOLOGICAL ACTIVITY:

WAY-600 is a potent, ATP-competitive, and selective **mTOR** inhibitor with an **$IC_{50}$** of 9 nM for recombinant mTOR enzyme. WAY-600 blocks mTOR complex 1/2 (**mTORC1/2**) assemble and activation. IC50 & Target: IC50: 9 nM (mTOR)[1] mTORC1/2[2] **In Vitro:** WAY-600 exhibits a concentration-dependent and time-dependent inhibition of f HepG2 and Huh-7 cells viability. Following WAY-600 (1-1000 nM) treatment, the number of HepG2 cell colonies is dramatically decreased. Meanwhile, BrdU incorporation in HepG2 cells is also inhibited with WAY-600 treatment. WAY-600 dose-dependently increases the activity of caspase-3 and caspase-9 in HepG2 cells. WAY-600 disrupts assemble of mTORC1 (mTOR-Raptor association) and mTORC2 (mTOR-Rictor association). Activation of mTORC1 (indicated by p-S6K1 and p-4E-BP1) and mTORC2 is almost blocked by WAY-600 (100 nM)[2]. **In Vivo:** Administration of WAY-600 (10 mg/kg, daily) inhibits HepG2 tumor growth in nude mice. Daily HepG2 tumor growth of WAY-600-administrated mice is significantly lower than that of vehicle control mice. Importantly, the in vivo anti-cancer activity by WAY-600 is further potentiated with the co-administration of MEK-162 (2.5 mg/kg, p.o. daily)[2].

## PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** WAY-600 is prepared in DMSO.[2]Established HCC cells (HepG2 and Huh-7), primary HCC cells (Pnt-1/-2/-3/-4), or THLE-2 liver cells are cultured in WAY-600 (1-1000 nM)-containing medium for 24, 48, 72, 96 hours, cell viability is tested by MTT assay[2]. **Animal Administration:** WAY-600 is prepared in 5% ethanol, 5% polysorbate 80, 5% polyethylene glycol-400.[2]Mice: Mice tumor xenografts are randomly divided into four groups (10 mice per group): vehicle ( intraperitoneal or i.p.), WAY-600 (10 mg/kg, i.p. injection), MEK-162 (2.5 mg/kg, oral gavage) or WAY-600 plus MEK-162 combination. The mice are monitored for activity and physical condition on daily basis, and mice body weights and tumor mass are measured weekly[2].

## References:

[1]. Yu K, et al. Biochemical, cellular, and in vivo activity of novel ATP-competitive and selective inhibitors of the mammalian target of rapamycin. Cancer Res. 2009 Aug 1;69(15):6232-40.

[2]. Wang K, et al. MEK-ERK inhibition potentiates WAY-600-induced anti-cancer efficiency in preclinical hepatocellular carcinoma (HCC) models. Biochem Biophys Res Commun. 2016 May 27;474(2):330-7.

## CAIndexNames:

1H-Pyrazolo[3,4-d]pyrimidine, 6-(1H-indol-5-yl)-4-(4-morpholinyl)-1-[1-(3-pyridinylmethyl)-4-piperidinyl]-

## SMILES:

C1(C=CN2)=C2C=CC(C3=NC(N(C4CCN(CC5=CC=CN=C5)CC4)N=C6)=C6C(N7CCOCC7)=N3)=C1



Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA