

Product Name: MI-773 (SAR405838)

Revision Date: 6/30/2016

# **Product Data Sheet**

## **Chemical Properties**

**Product Name:** MI-77301 (SAR405838)

**Cas No.:** 1303607-60-4

**M.Wt:** 562.50

Formula: C29H34Cl2FN3O3

**Chemical Name:** (2'S,3R,4'S,5'R)-6-chloro-4'-(3-chloro-2-fluorophenyl)-N-((1r,4R)-4-hy

droxycyclohexyl)-2'-neopentyl-2-oxospiro[indoline-3,3'-pyrrolidine]-

5'-carboxamide

Canonical SMILES: FC1=C(CI)C=CC=C1[C@@H]2[C@@]3(C(C=CC(CI)=C4)=C4NC3=O)[C

@H](CC(C)(C)C)N[C@H]2C(N[C@@H]5CC[C@@H](O)CC5)=O

**Solubility:** >17.2mg/mL in DMSO

Storage: Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37° C

and shake it in the ultrasonic bath for a while. Stock solution can be

stored below -20° C for several months.

**Shopping Condition:** Evaluation sample solution : ship with blue ice

All other available size: ship with RT, or blue ice upon request

# **Biological Activity**

**Targets:** Apoptosis

Pathways: MDM2

**Description:** 

MI-773 (SAR405838) is a specific antagonist of MDM2 with Ki value of 0.88 nM [1].

Murine double minute 2 (MDM2) is a primary negative regulator of wild-type p53, which is a tumor suppressor. MDM2 is an E3 ubiquitin ligase that induces p53 degradation and blocks the p53 trans-activation domain (TAD) [1].

MI-773 (SAR405838) is a MDM2 antagonist. MI-773 (SAR405838) inhibited cells growth in SJSA-1,

RS4;11, LNCaP and HCT-116 cancer cell lines with IC50 values of 0.092, 0.089, 0.27 and 0.20  $\mu$ M, respectively. MI-773 (SAR405838) exhibited high selectivity over cancer cell lines with mutated or deleted p53 with IC50 values of >20, >10, >10 and >10  $\mu$ M for HCT-116 (p53-/-), SAOS-2, PC-3 and SW620 cancer cell lines, respectively [1].

In mice bearing the SJSA-1, HCT-116, RS4;11, and LNCaP xenograft tumors, MI-773 (SAR405838) increased the levels of MDM2, p21 and p53 proteins in a dose- and time-dependent way and induced apoptosis. In the SJSA-1 xenograft model, MI-773 (SAR405838) (30-100 mg/kg) induced tumor regression and significantly inhibited tumor growth [1].

### Reference:

[1]. Wang S, Sun W, Zhao Y, et al. SAR405838: an optimized inhibitor of MDM2-p53 interaction that induces complete and durable tumor regression. Cancer Res, 2014, 74(20): 5855-5865.

### **Caution**

#### FOR RESEARCH PURPOSES ONLY.

#### NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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