## Chemical Properties

**Product Name:** TASIN-1  
**Cas No.:** N/A  
**M.Wt:** 352.49  
**Formula:** C18H28N2O3S

**Chemical Name:** 1'-((4-methoxyphenyl)sulfonyl)-4-methyl-1,4'-bipiperidine  
**Canonical SMILES:** CC1CCN(C2CCN(S(C3=CC=C(OC)C=C3)(=O)=O)CC2)CC1  
**Solubility:** ≥ 35.2mg/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:** APC  

**Description:**  
TASIN-1 is a small molecule inhibitor of mutant adenomatous polyposis coli (APC) [1].  
Adenomatous polyposis coli (APC) is a multifunctional tumor suppressor gene that is mutated in more than 80% of colon tumors. APC plays an important role in the negative regulation of canonical WNT signaling pathway through proteasomal degradation of b-catenin. APC is involved in cell cycle control, migration, differentiation, and apoptosis [1].  
TASIN-1 is a selective inhibitor of mutant APC. In two authentic human CRC cell lines HCT116 (WT APC) and DLD1 (truncated APC1417), TASIN-1 exhibited potent and selective toxicity toward...
DLD1 cells with IC50 value of 70 nM but not toward HCT116 cells (IC50 >50 μM). TASIN-1 also reduced the endogenous cholesterol biosynthesis rate. TASIN-1 exerted its killing effects primarily by depleting cholesterol through inhibition of emopamil-binding protein (EBP) activity. However, knockdown of truncated APC (>90%) expression desensitized DLD1 cells to TASIN-1, suggesting that APC is required for TASIN-1’s cytotoxicity [1].

In nude mice with established DLD1 and HT29 tumors, intraperitoneal injection of TASIN-1 twice daily for 18 days reduced the size of tumor xenografts and tumor growth rates. TASIN-1 resulted in the appearance of apoptotic cells with fragmented nuclei and induced an increase in cleaved caspase 3 and cleaved PARP1. However, TASIN-1 did not inhibit tumor growth in HCT116 (WT APC) xenografts. In a genetically engineered CRC mouse model, TASIN-1 significantly reduced tumor formation in the colons of CPC;Apc mice [1].

Reference:

Protocol

Cell experiment:
- **Cell lines**: HCT116 (WT APC) and DLD1 (truncated APC1417) cell lines
- **Preparation method**: This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
- **Reacting conditions**
- **Applications**: TASIN-1 exhibited potent and selective toxicity toward DLD1 cells with IC50 value of 70 nM. TASIN-1 inhibited soft agar growth only in DLD1 cells. When cultured in medium with 0.1% serum for 7 days, HCT116 cells showed similar sensitivity to TASIN-1 as DLD1 cells.

Animal experiment [3]:
- **Animal models**: genetically engineered colorectal cancer (CRC) mouse model
- **Dosage form**: 20 mg/kg twice per week for 90 days or 40 mg/kg per week for 100 days (dissolved in 0.2 ml of solvent containing 10% DMSO and 10% cremophor); intraperitoneal injection
- **Applications**: In genetically engineered colorectal cancer (CRC) mouse model, TASIN-1 had a long retention time in mouse large intestinal tissue.
TASIN-1 significantly reduced tumor formation in the colons. TASIN-1 did not induce obvious histological changes in livers, kidneys, or spleens of treated animals.

Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

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. Short-term 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.