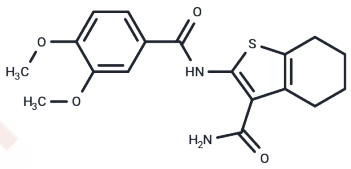
# Data Sheet (Cat.No.T6138)

TCS 359

## Chemical Properties



CAS No. : 301305-73-7

Formula: C18H20N2O4S

Molecular Weight: 360.43

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

## Biological Description

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| Description | TCS 359 (FLT3 Inhibitor) is a potent FLT3 inhibitor with IC50 of 42 nM. |
| Targets(IC50) | FLT |
| In vitro | TCS 359, a 2-acylaminothiophene-3-carboxamide, is a potent inhibitor of FLT3 with IC50 of 42 nM. TCS 359 inhibits MV4-11 proliferation with IC50 of 340 nM. TCS 359 is highly selective for FLT3 against a panel of kinases. [1] |
| Kinase Assay | Affinity determination: To determine the activity of the compounds of the present invention in an in vitro kinase assay, inhibition of the isolated kinase domain of the human FLT3 receptor is performed using the following fluorescence polarization (FP) protocol. The FLT3 fluorescence polarization assay utilizes the fluorescein-labeled phosphopeptide and the anti-phosphotyrosine antibody included in the Panvera Phospho-Tyrosine Kinase Kit. The FLT3 kinase reaction is incubated at room temperature for 30 min under the following conditions: 10 nM FLT3 571-993, 20μg/mL poly Glu4Tyr, 150 μM ATP, 5 mM MgCl2, and 1% compound in DMSO. The kinase reaction is stopped with the addition of EDTA. The fluorescein-labeled phosphopeptide and the anti-phosphotyrosine antibody are added and incubated for 30 min at room temperature and polarization is read. |
| Cell Research | MV4-11 cells are plated at 10,000 cells per well in 100 μL of in RPMI media containing penn/strep, 10% FBS, and 0.2 ng/mL GM-CSF. Compound dilutions or 0.1% DMSO (vehicle control) is added to cells and the cells are allowed to grow for 72 h at standard cell growth conditions. To measure total cell growth, an equal volume of CellTiterGlo reagent is added to each well and luminescence is quantified. Total cell growth is quantified as the difference in luminescent counts of cell number at Day 0 compared to total cell number at Day 3 (72 h of growth and/or compound treatment). All IC50 values are calculated in GraphPadPrism using non-linear regression analysis with a multiparameter (variable slope) equation.(Only for Reference) |

## Solubility Information

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| Solubility | DMSO: 10.8 mg/mL (29.96 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

| | |
|---|---|
| In vivo Formulation | 10% DMSO+90% Corn Oil: 1 mg/mL (2.77 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.7745 mL | 13.8723 mL | 27.7446 mL |
| 5 mM | 0.5549 mL | 2.7745 mL | 5.5489 mL |
| 10 mM | 0.2774 mL | 1.3872 mL | 2.7745 mL |
| 50 mM | 0.0555 mL | 0.2774 mL | 0.5549 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Raymond J. Patch, et al. Bioorg Med Chem Lett, 2006, 16(12), 3282-3286.