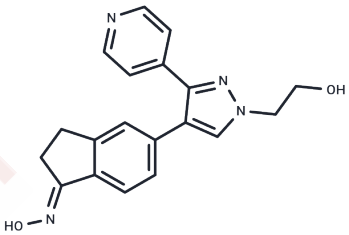
# Data Sheet (Cat.No.T6320)

TargetMol

GDC-0879

## Chemical Properties



CAS No. : 905281-76-7

Formula: C19H18N4O2

Molecular Weight: 334.37

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

| | |
|---|---|
| Description | GDC-0879 (AR-00341677) is a novel, potent and selective B-Raf inhibitor with IC50 of 0.13 nM with activity against c-Raf as well. |
| Targets(IC50) | Raf |
| In vitro | GDC-0879 also inhibits cellular pERK with IC50 of 63 nM. GDC-0879 shows comparable potency in A375 melanoma and Colo205 colorectal carcinoma cell lines, both of which are B-RafV600E mutant, with IC50 of 59 nM and 29 nM for pMEK1 inhibition respectively. GDC-0879 potently inhibits B-RafV600E in Malme3M cells with IC50 of 0.75 μM. GDC-0879 also shows EC50 values < 0.5 μM in many tumor cells (A375, 624, SK-MEL-28, Malme3M, C32, 928, 888, G-361, Colo205, Colo206, SW1417, CL34, and Colo201). [1] |
| In vivo | In GDC-0879 treated mice, both cell line- and patient-derived BRAFV600E tumors exhibit stronger and more sustained pharmacodynamic inhibition (>90% for 8 hours) and improved survival compared to mutant KRAS-expressing tumors. Although there is involvement of activated RAF signaling in RAS-induced tumorigenesis, decreased time to progression is observed for some KRAS-mutant tumors following GDC-0879 administration. Whereas GDC-0879-mediated efficacy is associated strictly with B-RafV600E status, MEK inhibition also attenuates proliferation and tumor growth of cell lines expressing wild-type BRAF (81% KRAS mutant, 38% KRAS wild type). The responsiveness of B-RafV600E melanoma cells to GDC-0879 could be dramatically altered by pharmacologic and genetic modulation of PI3K pathway activity. [2] |

## Solubility Information

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|---|---|
| Solubility | DMSO: 150 mg/mL (448.6 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Saline: < 10 mg/mL (29.91 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.<br>10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (29.91 mM),Suspension.<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 | 2.9907 mL | 14.9535 mL | 29.907 mL |
| 5 mM | 0.5981 mL | 2.9907 mL | 5.9814 mL |
| 10 mM | 0.2991 mL | 1.4953 mL | 2.9907 mL |
| 50 mM | 0.0598 mL | 0.2991 mL | 0.5981 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

Wong H, et al. J Pharmacol Exp Ther. 2009, 329(1), 360-367.
Hoeflich KP, et al. Cancer Res. 2009, 69(7), 3042-3051.