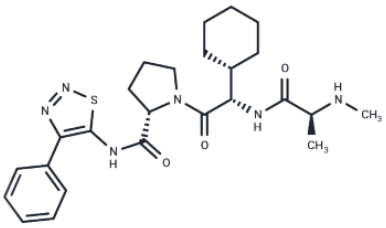
GDC-0152 [873652-48-3]

#Cat: NB-64-33514 -1mg Size: 1mg
#Cat: NB-64-33514 -5mg Size: 5mg
#Cat: NB-64-33514 -1ml Size: 1ml
#Cat: NB-64-33514 -10mg Size: 10mg
#Cat: NB-64-33514 -25mg Size: 25mg
#Cat: NB-64-33514 -50mg Size: 50mg
#Cat: NB-64-33514 -100mg Size: 100mg

Chemical Properties

Cas No: 873652-48-3
Formula: $C_{25}H_{34}N_6O_3S$
Molecular weight: 498.64
Appearance: no data available
Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	GDC-0152 is a potent inhibitor of IAPs.
Targets(IC_{50})	IAP
In vitro	GDC-0152 inhibits protein−protein interactions between IAP proteins and pro-apoptotic molecules. It disrupts XIAP's binding to caspase-9 and the association of ML-IAP, cIAP1, and cIAP2 with Smac in transiently transfected HEK293T cells. Similarly, in melanoma SK-MEL28 cells, GDC-0152 abolishes the natural association between ML-IAP and Smac. It decreases cell viability in the MDA-MB-231 breast cancer cell line without affecting normal human mammary epithelial cells (HMEC). Furthermore, GDC-0152 activates caspases 3 and 7 dependent on dose and time, and induces rapid degradation of cIAP1 in A2058 melanoma cells at low concentrations, aligning with its affinity for cIAP1.
In vivo	GDC-0152 exhibits moderate hepatic clearance as inferred from metabolic stability assays using human liver microsomes, with its plasma-protein binding being moderate yet consistent across several species, including mice (88−91%), rats (89−91%), dogs (81−90%), monkeys (76−85%), and humans (75−83%) across investigated concentrations (0.1−100 μM); however, rabbits show higher plasma-protein binding rates (95−96%). Importantly, GDC-0152 does not show a preference for red blood cell distribution, as evidenced by blood-plasma partition ratios ranging from 0.6 to 1.1 across all tested species. Pharmacokinetic profiles reveal a maximum concentration (C max) of 53.7 μM and an area under the curve (AUC) of 203.5 h·μM. [1]
Kinase Assay	Fluorescence polarization-based competition assay: Inhibition constants (Ki) for the antagonists are determined by addition of the IAP protein constructs to wells containing serial dilutions of the antagonists or the peptide AVPW, and the Hid-FAM probe or AVPdiPhe-FAM probe, as appropriate, in the polarization buffer. Samples are read after a 30-minute incubation. Fluorescence polarization values are plotted as a function of the antagonist concentration, and the IC_{50} values are obtained by fitting the data to a 4- parameter equation using software. Ki values for the antagonists are determined from the IC_{50} valued.

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Cell Research	MDA-MB-231 breast carcinoma cells and HMECs are treated with the indicated concentrations of GDC-0152. Cell death is assessed using the CellTiter-Glo luminescent cell viability assay 72 h following the start of treatment. (Only for Reference)

Solubility Information

Solubility	DMSO: 92 mg/mL (184.5 mM), Ethanol: 92 mg/mL (184.5 mM), H_2O: 3 mg/mL (6.01 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0055 mL	10.0273 mL	20.0545 mL
5 mM	0.4011 mL	2.0055 mL	4.0109 mL
10 mM	0.2005 mL	1.0027 mL	2.0055 mL
50 mM	0.0401 mL	0.2005 mL	0.4011 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.

Reference

Flygare JA, et al. J Med Chem, 2012, 55(9), 4101-4113.