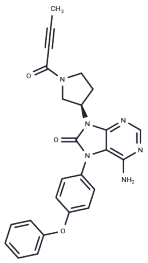
Data Sheet (Cat.No.TQ0236)

TargetMol

Tirabrutinib

Chemical Properties



CAS No. : 1351636-18-4

Formula: C25H22N6O3

Molecular Weight: 454.48

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	Tirabrutinib (GS-4059) (ONO-4059) is an orally active Bruton's Tyrosine Kinase (Btk) inhibitor (can cross the blood-brain barrier (BBB)), with an IC50 of 6.8 nM. Tirabrutinib irreversibly and covalently binds to Btk and inhibits aberrant B cell receptor signaling. Tirabrutinib can be used in studies of autoimmune diseases and hematological malignancies.
Targets(IC50)	Apoptosis,BTK
In vitro	Tirabrutinib (0.1-1000 nM or 0.001-100 nM; 72 h) inhibits the proliferation of OCI-LY10 and SU-DHL-6 cells with IC50s of 9.127 nM and 17.10 nM, respectively[1]. Tirabrutinib (0.5, 5, 50 μM; 24, 48 h) induces apoptosis in SU-DHL-6 cells, requiring high dosage and prolonged administration (up to 50 μM for 48 h)[1]. Tirabrutinib (300 nM, 72 h) induces caspase-3 and PARP cleavage in TMD8 cells[2].
In vivo	Tirabrutinib (10 mg/kg; p.o.; single) is rapidly absorbed into plasma and brain, reaching Cmax (blood Cmax = 339.53 ng/mL; brain Cmax = 28.9 ng/mL) 2 hours post-administration[1]. Additionally, Tirabrutinib (6, 20 mg/kg; p.o.; single daily for 3 weeks) inhibits tumor growth in vivo[2].

Solubility Information

Solubility	DMSO: 90 mg/mL (198.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.26 mM),Sonication is recommended. *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	2.2003 mL	11.0016 mL	22.0032 mL
5 mM	0.4401 mL	2.2003 mL	4.4006 mL
10 mM	0.220 mL	1.1002 mL	2.2003 mL
50 mM	0.044 mL	0.220 mL	0.4401 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

Yu H, et al. Bruton's tyrosine kinase inhibitors in primary central nervous system lymphoma-evaluation of anti-tumor efficacy and brain distribution. Transl Cancer Res. 2021 May;10(5):1975-1983.
Kozaki R, et al. Responses to the Selective Bruton's Tyrosine Kinase (BTK) Inhibitor Tirabrutinib (ONO/GS-4059) in Diffuse Large B-cell Lymphoma Cell Lines. Cancers (Basel). 2018 Apr 23;10(4):127.
Liclican A, et al. Biochemical characterization of tirabrutinib and other irreversible inhibitors of Bruton's tyrosine kinase reveals differences in on - and off - target inhibition. Biochim Biophys Acta Gen Subj. 2020 Apr;1864(4):129531.
Dhillon S. Tirabrutinib: First Approval. Drugs. 2020 Jun;80(8):835-840.

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