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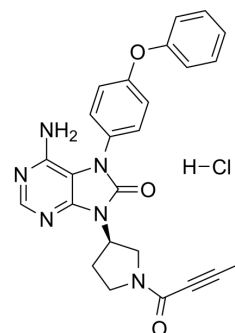
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Tirabrutinib hydrochloride

Cat. No.:	HY-15771A
CAS No.:	1439901-97-9
Molecular Formula:	C ₂₅ H ₂₃ ClN ₆ O ₃
Molecular Weight:	490.94
Target:	Btk; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (203.69 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.0369 mL	10.1845 mL	20.3691 mL
		5 mM		0.4074 mL	2.0369 mL	4.0738 mL
		10 mM		0.2037 mL	1.0185 mL	2.0369 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (5.09 mM); Suspended solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.09 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1.79 mg/mL (3.65 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Tirabrutinib (ONO-4059) hydrochloride is an orally active Bruton's Tyrosine Kinase (BTK) inhibitor (can cross the blood-brain barrier (BBB)), with an IC ₅₀ of 6.8 nM. Tirabrutinib hydrochloride irreversibly and covalently binds to BTK and inhibits aberrant B cell receptor signaling. Tirabrutinib hydrochloride can be used in studies of autoimmune diseases and hematological malignancies ^{[1][2][3][4]} .			
IC ₅₀ & Target	BMX 6 nM (IC ₅₀)	BTK 6.8 nM (IC ₅₀)	TEC 48 nM (IC ₅₀)	TXK 92 nM (IC ₅₀)
	BLK	ERBB4	EGFR	JAK3

	0.3 μM (IC ₅₀)	0.77 μM (IC ₅₀)	3.02 μM (IC ₅₀)	5.52 μM (IC ₅₀)
	ERBB2 7.31 μM (IC ₅₀)			
In Vitro	Tirabrutinib hydrochloride (0.1-1000 nM or 0.001-100 nM; 72 h) inhibits the proliferation of OCI-L Y10 and SU-DHL-6 cells with IC ₅₀ s of 9.127 nM, and 17.10 nM, respectively ^[1] . Tirabrutinib hydrochloride (0.5, 5, 50 μM; 24, 48 h) induces SU-DHL-6 cells apoptosis needs high dosage and prolonged administration (concentration up to 50 μM and incubates for 48 h) ^[1] . Tirabrutinib hydrochloride (300 nM, 72 h) induces caspase-3 and PARP cleavage in TMD8 cells ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
	Cell Proliferation Assay ^[1]			
	Cell Line:	SU-DHL-6 and OCI-L Y10 cells		
	Concentration:	0.1-1000 nM; 0.001 nM-100 nM.		
	Incubation Time:	72 h		
	Result:	Showed good anti-proliferative activity with IC ₅₀ s of 9.127 nM, and 17.10 nM for OCI-L Y10 and SU-DHL-6 cells, respectively.		
	Apoptosis Analysis ^[1]			
	Cell Line:	SU-DHL-6 cells		
	Concentration:	0.5, 5, 50 μM		
	Incubation Time:	24, 48 h		
	Result:	Induced cell apoptosis when concentration up to 50 μM and incubated for 48 h.		
	Western Blot Analysis ^[2]			
	Cell Line:	TMD8 cells		
	Concentration:	300 nM		
	Incubation Time:	72 h		
Result:	Induced caspase-3 and PARP cleavage.			
In Vivo	Tirabrutinib hydrochloride (10 mg/kg; p.o.; single) is rapidly absorbed into plasma and brain, and reaches C _{max} (blood C _{max} =339.53 ng/mL; brain C _{max} =28.9 ng/mL) 2 hours post administration ^[1] . Tirabrutinib hydrochloride (6, 20 mg/kg; p.o.; single daily for 3 weeks) shows inhibition of tumour growth in vivo ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
	Animal Model:	Male SD rats (219.0–260.5g) ^[1] .		
	Dosage:	10 mg/kg		
	Administration:	Oral administration; single.		
	Result:	Pharmacokinetic Parameters of Tirabrutinib in male SD rats ^[1] .		

	Plasma, C _{max} (ng/mL)	Brain, C _{max} (ng/mL)	Penetration rate (%, C _{max,brain} /C _{max,plasma})
PO (10 mg/kg)	339.53	28.9	8.5

Animal Model:	Immunodeficiency (SCID) mice (mouse xenograft model) ^[2] .
Dosage:	6, 20 mg/kg
Administration:	Oral administration; single daily for 3 weeks.
Result:	Inhibited tumour growth, and when dosage up to 20 mg/kg, a complete tumor suppression at day 14.

CUSTOMER VALIDATION

- Stem Cell Reports. 2019 May 14;12(5):996-1006.
- Rapid Commun Mass Spectrom. 2021 Dec 14;e9240.

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REFERENCES

- [1]. 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.
- [2]. 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.
- [3]. Licican 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.
- [4]. Dhillon S. Tirabrutinib: First Approval. Drugs. 2020 Jun;80(8):835-840.

Caution: Product has not been fully validated for medical applications. For research use only.

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