

Product Datasheet

ONO-4059

Catalog No. **BP-01873** · CAS **1351636-18-4** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-07**

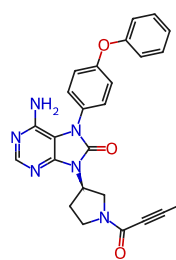
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Target Btk; Apoptosis	Research area Inflammation/Immunology; Cancer
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Molecular formula **C₂₅H₂₂N₆O₃**

Molecular weight **454.4806**

STRUCTURE



DESCRIPTION

Tirabrutinib (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.

BIOLOGICAL ACTIVITY

In Vitro

Tirabrutinib (0.1-1000 nM or 0.001-100 nM; 72 h) inhibits the proliferation of OCI-L Y10 and SU-DHL-6 cells with IC₅₀s of 9.127 nM, and 17.10 nM, respectively.

Tirabrutinib (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).

Tirabrutinib (300 nM, 72 h) induces caspase-3 and PARP cleavage in TMD8 cells.

In Vivo

Tirabrutinib (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.

Tirabrutinib (6, 20 mg/kg; p.o.; single daily for 3 weeks) shows inhibition of tumour growth in vivo.

SOLUBILITY

Soluble in DMSO

REFERENCES

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[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]. 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.

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

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