

Data Sheet

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Target

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 Tirabrutinib

 Cat. No.
 :
 PC-44704

 CAS No.
 :
 1351636-18-4

 Molecular Formula
 :
 C₂₅H₂₂N₆O₃

 Molecular Weight
 :
 454.4806

Solubility : 10 mM in DMSO

Biological Activity

Tirabrutinib (ONO-4059, GS-4059) is a potent, selective, third-generation irreversible inhibitor of **mutant EGFR** with IC50s of 1/12/5 nM for L858R-T790M/L858R/L861Q respectively.

Tirabrutinib (ONO 4059, GS 4059) shows weak activity against wt EGFR (IC50=184 nM).

Tirabrutinib (ONO 4059, GS 4059) inhibits signaling pathways and cellular growth in both EGFRm(+) and EGFRm(+)/T790M(+) mutant cell lines in vitro.

Tirabrutinib (ONO 4059, GS 4059) exhibits tumor regression in EGFR-mutant tumor xenograft and transgenic models; orally active.

References

Walter HS, et al. **Blood.** 2016 Jan 28;127(4):411-9.

Yahiaoui A, et al. PLoS One. 2017 Feb 8;12(2):e0171221.

Yasuhiro T, et al. Leuk Lymphoma. 2017 Mar;58(3):699-707.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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