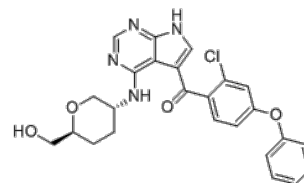


Product Name : ARQ-531
Cat. No. : PC-35328
CAS No. : 2095393-15-8
Molecular Formula : C₂₅H₂₃ClN₄O₄
Molecular Weight : 478.933
Target : BTK
Solubility : 10 mM in DMSO



Biological Activity

Nemtabrutinib (ARQ531) is a potent, reversible, orally available inhibitor of both wild type and C481S-mutant **BTK kinase** with IC₅₀ of 0.85 and 0.39 nM, respectively.

ARQ531 also potently inhibits 45 kinases with >50% inhibition at 200 nM (TEC, BMX, LCK, TRK family kinases etc.).

ARQ531 does not require the C481S residue to bind to BTK, inhibits CD69 expression on CD20+ B-cells with IC₅₀ of 42 nM (Ibrutinib, IC₅₀=3 nM).

ARQ531 inhibits proliferation of malignant cells both sensitive and resistant to ibrutinib (SUDHL6 Cell GI₅₀=80 nM).

ARQ 531 is superior to Ibrutinib in a TCL1 highly predictive adoptive transfer model of CLL.

References

Reiff SD, et al. **Cancer Discov.** 2018 Aug 9. pii: CD-17-1409. doi: 10.1158/2159-8290.CD-17-1409.

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

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