

Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 BRD0705

 Cat. No.
 :
 PC-63311

 CAS No.
 :
 2056261-41-5

 Molecular Formula
 :
 C₂₀H₂₃N₃O

 Molecular Weight
 :
 321.424

 Target
 :
 GSK-3

Solubility :



Biological Activity

BRD0705 (BRD-0705) is a potent, paralog-selective $GSK3\alpha$ inhibitor with IC50 of 66 nM, 8-fold selectivity over GSK3 β (IC50=515 nM); displays excellent selectivity in a penal of 311 kinases, the CDK family of CDK2, CDK3 and CDK5) are most potently inhibited with IC50 of 6.87, 9.74 and 9.20 uM (87-, 123-, and 116-fold selectivity relative to $GSK3\alpha$); inhibits $GSK3\alpha$ kinase function, impairs $GSK3\alpha$ Tyr279 phosphorylation in a time- and concentration-dependent manner without affecting $GSK3\beta$ Tyr216 phosphorylation, and does not stabilize β -catenin, induces myeloid differentiation and impairs colony formation in AML cells, with no apparent effect on normal hematopoietic cells; impairs leukemia initiation and prolongs survival in AML mouse models.

References

Wagner FF, et al. *Sci Transl Med.* 2018 Mar 7;10(431). pii: eaam8460.

Cancer Discov. 2018 Mar 16. doi: 10.1158/2159-8290.CD-RW2018-046.

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

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