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Data Sheet

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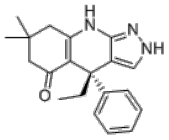
Product Name	:	BRD0705
Cat. No.	:	PC-63311
CAS No.	:	2056261-41-5
Molecular Formula	:	$C_{20}H_{23}N_3O$
Molecular Weight	:	321.424
Target	:	GSK-3
Solubility	:	10 mM in DMSO



Biological Activity

BRD0705 (BRD-0705) is a potent, paralog-selective **GSK3α** inhibitor with IC50 of 66 nM, 8-fold selectivity over GSK3β (IC50=515 nM).
BRD0705 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 GSK3α).
BRD0705 inhibits GSK3α kinase function, impairs GSK3α Tyr279 phosphorylation in a time- and concentration-dependent manner without affecting GSK3β 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.
BRD0705 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.

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