

## **Product Data Sheet**

| Cas No.:            | 2056261-41-5                                     | Cat. No:                            | PL02314          |
|---------------------|--|-------------------------------------|------------------|
| Product Name:       |  | BRD0705                             |                  |
| Product synonym:    |  | -                                   |                  |
| Chemical name:      | BRD0705  |                                     |                  |
| MF:                 | C16H12CLNO4S                                     | FW:                                 | 349.788782119751 |
| Purity:             | ≥99%   | Batch No.:                          | -                |
| Storage:            |  | ,                                   |                  |
| Structural formula: | - o s s s s o c l                                |                                     |                  |
| λmax:               | -  | Formulation:                        | -                |
| Solubility :        |  |                                     |                  |
| SMILES:             | CIC1C=CC=CC=1C1=NC(=C(OC)O1)S(C1C=CC=CC=1)(=O)=O |                                     |                  |
| InChI Code:         |  | -                                   |                  |
| InChl Key:          |  |                                     |                  |
|                     | WARNING This product                             | is not for human or veterinary use. |                  |

## **Product Description**

BRD0705 是一种有效的,具有旁系选择性和口服活性的 GSK3α 抑制剂,IC50 为 66 nM,Kd 为 4.8 μM。 BRD0705 与 GSK3β (IC50 为 515 nM) 相比,对 GSK3α 的选择性更高 (8 倍)。BRD0705 可用于急性髓细胞性白血病的研究。

| 生物活性                | BRD0705 is a potent, paralog selective and orally active GSK3 $\alpha$ inhibitor with an IC 50 of 66 nM and a K d of 4.8 $\mu$ M. BRD0705 displays increased selectivity for GSK3 $\alpha$ (8-fold) versus GSK3 $\beta$ (IC 50 of 515 nM). BRD0705 can be used for acute myeloid leukemia (AML) research. |
|---------------------|---|
| IC50 & Target[1][2] | GSK3α 66 nM (IC50) GSK3α 4.8 μM (Kd)  |

| 体外研究(In Vitro) | BRD0705 displays excellent selectivity in a penal of 311 kinases, the CDK family of kinases (CDK2, 3 and 5) are next most potently inhibits at values of $6.87  \mu M$ , $9.74  \mu M$ and $9.20  \mu M$ (87-fold, 123-fold and 116-fold selectivity relative to GSK3 $\alpha$ ).  BRD0705 (10-40 $\mu M$ ; 2-24 hours; U937 cells) treatment impairs GSK3 $\alpha$ Tyr279 phosphorylation in a time-and concentration-dependent manner without affecting GSK3 $\beta$ Tyr216 phosphorylation.  Using a $\beta$ -catenin dependent TCF/LEF luciferase reporter assay, the absence of $\beta$ -catenin induced target activation after treatment with BRD0705 in AML cell lines.  BRD0705 impairs AML colony formation in all six tested cell lines, MOLM13, TF-1, U937, MV4-11, HL-60 and NB4, in a concentration-dependent manner, as opposed to BRD3731 which impairs colony formation in TF-1 while increasing colony forming ability in the MV4-11 cell line. |  |
|----------------|---|--|
| 体内研究(In Vivo)  | BRD0705 (30 mg/kg; oral gavage; twice daily; NSG mice) treatment impairs leukemia initiation and prolongs survival in AML mouse models. has not independently confirmed the accuracy of these methods. They are for reference only. Animal Model:   |  |
| 包装储存           | Powder -20°C 3 years; 4°C 2 years   |  |
| 溶解度数据          | In Vitro: DMSO: 300 mg/mL (933.36 mM; Need ultrasonic)配制储备液   |  |