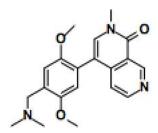


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BRD9 Bromodomain Inhibitor - BI-9564

Chemical Name: 4-(4-((dimethylamino)methyl)-2,5-dimethoxyphenyl)-2-methyl-2,7-naphthyridin-1(2H)-one



| Molecular Weight: | 353.42 |
|-------------------|----------------------|
| Formula: | $C_{20}H_{23}N_3O_3$ |
| Purity: | ≥98% |
| CAS#: | 1883429-22-8 |
| Solubility: | DMSO up to 100 mM |
| Storage | Powder: 4 °C 1 year |
| | DMSO: 4 °C 3 months |
| | -20 °C 1 year |

Biological Activity:

BI-9546 is a potent, selective and cell-permeable BRD9 inhibitor with $IC_{50} \sim 75$ nM (Alpha assay). It has good selectivity over BRD7 ($IC_{50} \sim 3410$ nM, Alpha assay). It has high selectivity against the other bromodomain family members (48 bromodomains) and kinases. In EOL-1 cellular proliferation assay, BI-9546 has IC_{50} at 800 nM. It has very good pharmacokinetic profiling, and also displays antitumor activity in EOL-1 AML xenograft model with oral treatment. BI-9546 could be a useful chemical tool to further explore BRD9 bromodomain biology in both in vitro and in vivo settings.

How to Use:

In vitro: BI-9546 was used at 1-10 μM in vitro and cellular assays.

In vivo: BI-9546 was orally dosed to mice in EOL-1 AML xenograft model at 180 mg/kg once per day.

Reference:

1. Martin LJ, et al. Structure-Based Design of an in Vivo Active Selective BRD9 Inhibitor. (2016) J Med Chem. 59(10):4462-75.

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