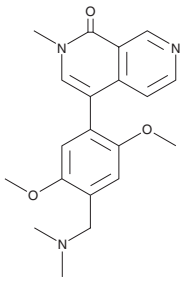
PRODUCT INFORMATION

BI-9564

Item No. 17897

CAS Registry No.:	1883429-22-8
Formal Name:	4-(4-((dimethylamino)methyl)-2,5-dimethoxyphenyl)-2-methyl-2,7-naphthyridin-1(2H)-one
MF:	$C_{20}H_{23}N_3O_3$
FW:	353.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 249, 309 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BI-9564 is supplied as a crystalline solid. A stock solution may be made by dissolving the BI-9564 in the solvent of choice. BI-9564 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BI-9564 in ethanol is approximately 2 mg/ml and approximately 5 mg/ml in DMSO and DMF.

BI-9564 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BI-9564 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BI-9564 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BI-9564 is a selective inhibitor of BRD9 and BRD7 bromodomains (K_ds = 14.1 and 239 nM; IC_{50}s = 75 nM and 3.4 µM, respectively) that demonstrates cellular activity by semi-quantitative FRAP assay with ~90% inhibition of BRD9 and BRD7 at 0.1 µM and 1 µM, respectively.[1,2] It does not bind to other bromodomain-containing BET family members (IC_{50}s >100 µM as assessed by AlphaScreen), kinases, or G protein-coupled receptors and shows off-target selectivity only to the CECR2 bromodomain in *in vitro* ITC assays (K_d = 258 nM), but not in cell-based assays at concentrations up to 1 µM.[1] BI-9564 has been shown to inhibit the growth of EOL-1 AML cells both *in vitro* (EC_{50} = 800 nM) and in a disseminated mouse model of AML (180 mg/kg/day).[1] See the Structural Genomics Consortium (SGC) website for more information.

References

1. Martin, L.J., Koegl, M., Bader, G., *et al*. Structure-based design of an *in vivo* active selective BRD9 inhibitor. *J. Med. Chem*. (2016).
2. Karim, R.M. and Schönbrunn, E. An advanced tool to interrogate BRD9. *J. Med. Chem*. (2016).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM