

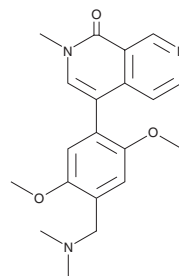
PRODUCT INFORMATION



BI-9564

Item No. 17897

CAS Registry No.: 1883429-22-8
Formal Name: 4-((dimethylamino)methyl)-2,5-dimethoxyphenyl)-2-methyl-2,7-naphthyridin-1(2H)-one
MF: C₂₀H₂₃N₃O₃
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_d s = 14.1 and 239 nM; IC_{50} s = 75 nM and 3.4 μ M, respectively) that demonstrates cellular activity by semi-quantitative FRAP assay with ~90% inhibition of BRD9 and BRD7 at 0.1 μ M and 1 μ M, respectively.^{1,2} It does not bind to other bromodomain-containing BET family members (IC_{50} s >100 μ M 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 μ M.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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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