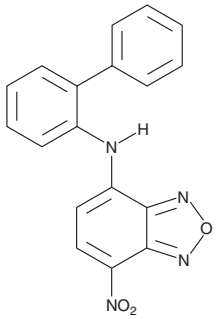
PRODUCT INFORMATION

10074-G5

Item No. 17408

CAS Registry No.:	413611-93-5
Formal Name:	N-[1,1'-biphenyl]-2-yl-7-nitro-2,1,3-benzoxadiazol-4-amine
MF:	$C_{18}H_{12}N_4O_3$
FW:	332.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 327, 467 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

10074-G5 is supplied as a crystalline solid. A stock solution may be made by dissolving the 10074-G5 in the solvent of choice. 10074-G5 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of 10074-G5 in ethanol is approximately 2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

10074-G5 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 10074-G5 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. 10074-G5 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The c-Myc oncoprotein is overexpressed in many tumors and is essential for maintaining the proliferation of transformed cells. To function as a transcription factor, c-Myc must dimerize with Max *via* the basic helix-loop-helix leucine zipper protein (bHLH-ZIP) domains in each protein. 10074-G5 is a c-Myc inhibitor that binds to and distorts the bHLH-ZIP domain of c-Myc (K_d = 2.8 µM), thereby inhibiting c-Myc/Max heterodimer formation and inhibiting its transcriptional activity (IC_{50} = 146 µM).[1-3] 10074-G5 is cytotoxic to c-Myc-overexpressing cells lines with IC_{50} values of 15.6 and 13.5 µM for Daudi Burkitt lymphoma cells and HL60 promyelocytic leukemia cells, respectively.[4] 10074-G5 can also interfere with N-Myc/Max interactions (K_d = 19.2 µM for binding the bHLH-Zip of N-Myc), inducing apoptosis in N-Myc amplified neuroblastoma Kelly cells (IC_{50} = 22.5 µM).[5]

References

1. Follis, A.V., Hammoudeh, D.I., Wang, J., *et al.* Structural rationale for the coupled binding and unfolding of the c-Myc oncoprotein by small molecules. *Chem. Biol.* **15(11)**, 1149-1155 (2008).
2. Hammoudeh, D.I., Follis, A.V., Prochownik, E.V., *et al.* Multiple independent binding sites for small-molecule inhibitors on the oncoprotein c-Myc. *J. Am. Chem. Soc.* **131(21)**, 7391-7401 (2009).
3. Yap, J.L., Wang, H., Hu, A., *et al.* Pharmacophore identification of c-Myc inhibitor 10074-G5. *Bioorg. Med. Chem. Lett.* **23(1)**, 370-374 (2013).
4. Clausen, D.M., Guo, J., Parise, R.A., *et al. In vitro* cytotoxicity and *in vivo* efficacy, pharmacokinetics, and metabolism of 10074-G5, a novel small-molecule inhibitor of c-Myc/Max dimerization. *J. Pharmacol. Exp. Ther.* **335(3)**, 715-727 (2010).
5. Müller, I., Larsson, K., Frenzel, A., *et al.* Targeting of the MYCN protein with small molecule c-MYC inhibitors. *PLoS One* **9(5)**, (2014).

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
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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