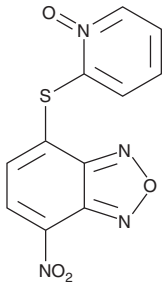
PRODUCT INFORMATION

NSC 228155

Item No. 28856



CAS Registry No.:	113104-25-9
Formal Name:	4-nitro-7-[(1-oxido-2-pyridinyl)thio]-2,1,3-benzoxadiazole
MF:	$C_{11}H_6N_4O_4S$
FW:	290.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 240, 267, 393 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

NSC 228155 is supplied as a crystalline solid. A stock solution may be made by dissolving the NSC 228155 in the solvent of choice, which should be purged with an inert gas. NSC 228155 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of NSC 228155 in these solvents is approximately 10 mg/ml.

NSC 228155 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NSC 228155 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. NSC 228155 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NSC 228155 is an activator of the EGF receptor (EGFR) and an inhibitor of the interaction between the kinase inducible domain (KID) of CREB and the KID-interacting (KIX) domain of CREB-binding protein (CBP; IC_{50} = 0.36 µM).[1,2] It increases phosphorylation of EGFR at Tyr1068 in MDA-MB-468 cells (EC_{50} = 52 µM), as well as phosphorylation of ERK1 and ERK2.[1] NSC 228155 (100 µM) also induces transactivation of InsR, EphA1, ErbB2, ErbB3, IGF-1R, Mer, and ROR1 in MDA-MB-468 cells. It inhibits CREB-mediated transcription (IC_{50} = 2.09 µM), as well as transcription mediated by the constitutively active mutant VP16-CREB (IC_{50} = 6.14 µM), in HEK293T cell-based reporter assays.[2] NSC 228155 also inhibits *P. aeruginosa* ribonucleotide reductase (IC_{50} = 26 µM) and is active against *P. aeruginosa* with an MIC value of 50 µM.[3]

References

1. Sakanyan, V., Angelini, M., Le Béchec, M., *et al.* Screening and discovery of nitro-benzoxadiazole compounds activating epidermal growth factor receptor (EGFR) in cancer cells. *Sci. Rep.* **4:3977,** (2014).
2. Xie, F., Li, B.X., Broussard, C., *et al.* Identification, synthesis and evaluation of substituted benzofurazans as inhibitors of CREB-mediated gene transcription. *Bioorg. Med. Chem. Lett.* **23(19),** 5371-5375 (2013).
3. Tholander, F., and Sjöberg, B.-M. Discovery of antimicrobial ribonucleotide reductase inhibitors by screening in microwell format. *Proc. Nat. Acad. Sci. USA* **109(25),** 9798-9803 (2012).

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