PRODUCT INFORMATION



BRD32048

Item No. 16516

CAS Registry No.: 433694-46-3

Formal Name: N²-(4-methoxyphenyl)-6-(1-

piperidinylmethyl)-1,3,5-triazine-

2,4-diamine

MF: $C_{16}H_{22}N_6O$ FW: 314.4 ≥98% **Purity:**

UV/Vis.: λ_{max} : 269 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

BRD32048 is supplied as a crystalline solid. A stock solution may be made by dissolving the BRD32048 in the solvent of choice, which should be purged with an inert gas. BRD32048 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BRD32048 in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BRD32048 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BRD32048 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BRD32048 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ETS variant 1 (ETV1) is a transcription factor oncogene implicated in several cancers where it has been altered by chromosomal translocation, gene amplification, or lineage dysregulation. The ETV1 transcription factor is phosphorylated downstream of MAPK signaling and is acetylated at lysines 33 and 116 by the histone acetyltransferase p300.1 Both of these events increase the protein half-life of ETV1 and enhance its transcriptional activity. BRD32048 is a substituted [1,3,5]triazine derivative that inhibits ETV1 transcriptional activity by binding to ETV1 ($K_D = 17.1 \,\mu\text{M}$ in vitro), which reduces p300-dependent acetylation and stability of ETV1 and, thereby, promotes its degradation. At 20-100 μM, BRD32048 can dose-dependently prevent invasion of ETV1-reliant cancer cells in in vitro models.2

References

- 1. Oh, S., Shin, S., and Janknecht, R. ETV1, 4 and 5: An oncogenic subfamily of ETS transcription factors. Biochim. Biophys. Acta 1826(1), 1-12 (2012).
- 2. Pop, M.S., Stransky, N., Garvie, C.W., et al. A small molecule that binds and inhibits the ETV1 transcription factor oncoprotein. Mol. Cancer Ther. 13(6), 1492-1502 (2014).

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

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.

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