PRODUCT INFORMATION



DTHIB

Item No. 37433

CAS Registry No.: 897326-30-6

Formal Name: N-(4-chloro-3-nitrophenyl)-N'-(4-fluorophenyl)-

Synonyms: Direct Targeted Heat Shock Factor 1 Inhibitor,

Direct Targeted Hsf1 Inhibitor

MF: C₁₃H₉CIFN₃O₃

309.7 FW: **Purity:** ≥98% UV/Vis.: λ_{max} : 256 nm A solid Supplied as: -20°C Storage: Stability: ≥4 years

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

Laboratory Procedures

DTHIB is supplied as a solid. A stock solution may be made by dissolving the DTHIB in the solvent of choice, which should be purged with an inert gas. DTHIB is soluble in methanol and DMSO.

Description

DTHIB is an inhibitor of heat shock factor 1 (Hsf1).1 It binds to the DNA-binding domain of Hsf1 (K_a = 160 nM) and inhibits heat-induced increases in the Hsf1 target proteins heat shock protein 27 (Hsp27) and Hsp70 levels in mouse embryonic fibroblasts (MEFs) when used at a concentration of $10 \,\mu M$. It decreases nuclear but not cytoplasmic levels of Hsf1 in C4-2 prostate cancer cells, an effect that can be blocked by the proteasome inhibitor MG132. DTHIB decreases the viability of 22Rv1, C4-2, and PC3 prostate cancer cells (EC₅₀ = 1.6, 1.2, and 3 μ M, respectively) and induces cell cycle arrest at the G1 phase in C4-2 cells. In vivo, DTHIB (5 mg/kg) induces tumor regression in a TRAMP-C2 murine prostate cancer model.

Reference

1. Dong, B., Jaeger, A.M., Hughes, P.F., et al. Targeting therapy-resistant prostate cancer via a direct inhibitor of the human heat shock transcription factor 1. Sci. Transl. Med. 12(574), eabb5647 (2020).

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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