
Product Data Sheet

Product Name: CBF β Inhibitor

Cat. No.: GC15474

Chemical Properties

Cas. No. 493028-20-9

Chemical Name 5-ethyl-4-(4-methoxyphenyl)-2-thiazolamine

SMILES COC1=CC=C(C2=C(CC)SC(N)=N2)C=C1Formula C₁₂H₁₄N₂OS M.Wt 234.3Solubility \leq 25mg/ml in ethanol;30mg/ml in DMSO;50mg/ml in dimethyl formamide Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

CBF β inhibitor is a small molecule which binds to CBF β and inhibits its association with Runx1 [1].

Core binding factors (CBFs) are heterodimeric transcription factors containing a DNA-binding CBF α component (a RUNX protein) and an enhancer of binding, CBF β . CBF dimers plays important roles in hematopoiesis and, when dysfunctional, in leukemia [2].The interaction of CBF β with Runx2 has a critical role in bone development [3].

In vitro: The ELISA results have shown that CBF β Inhibitor is very effective in inhibiting the CBF β -Runx1 interaction with an IC₅₀ of 3.2 μ M. In the leukemia cell line ME-1 expressing CBF β -SMMHC, CBF β Inhibitor reduced cell proliferation dose-dependently. Treatment with CBF β Inhibitor for 3 days showed an increase in apoptotic cells. Treatment with CBF β Inhibitor for 14 days individually or with all-trans-retinoic acid (ATRA) resulted in cells with greater variation in size and shape, lower nuclear-to-

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cytoplasmic ratio, increased folding and lobation of nuclei, and more clumped chromatin. All of these morphology changes are consistent with differentiation to more mature forms. CBF β Inhibitor at 50 μ M increased proliferation of Hep-G2 cells. CBF β treatment with Inhibitor resulted in a statistically significant reduction in Runx1 bound to DNA [1].

References:

- [1]. Gorczynski M J, Grembecka J, Zhou Y, et al. Allosteric inhibition of the protein-protein interaction between the leukemia-associated proteins Runx1 and CBF β [J]. *Chemistry & biology*, 2007, 14(10): 1186-1197.
- [2]. Swiers G, De Bruijn M, Speck N A. Hematopoietic stem cell emergence in the conceptus and the role of Runx1[J]. *The International journal of developmental biology*, 2010, 54: 1151.
- [3]. Kundu M, Javed A, Jeon J P, et al. Cbf β interacts with Runx2 and has a critical role in bone development[J]. *Nature genetics*, 2002, 32(4): 639-644.

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