

Product Data Sheet

Product Name: INDY
Cat. No.: GC16126

Chemical Properties

Cas. No. 1169755-45-6

Chemical Name (Z)-1-(3-ethyl-5-hydroxybenzo[d]thiazol-2(3H)-ylidene)propan-2-one

SMILES CCN(/C1=C([H])/C(C)=O)C2=C(S1)C=CC(O)=C2

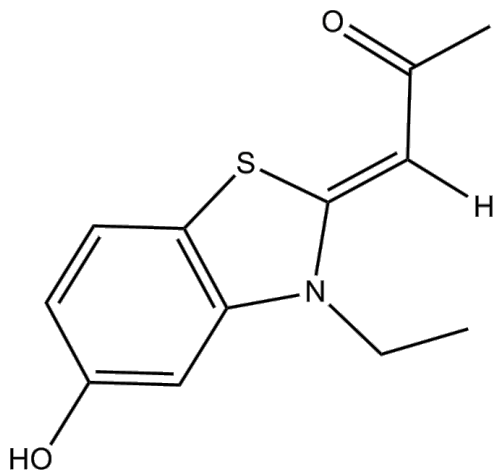
Formula $C_{12}H_{13}NO_2S$ M.Wt 235.3

Solubility DMF: 20 mg/ml, DMSO: 20 mg/ml, DMSO: PBS (pH 7.2) (1:20): 0.05 mg/ml, Ethanol: slightly soluble
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

INDY is a selective inhibitor of Dyrk1A and Dyrk1B with IC₅₀ values of 0.23 and 0.24 μM, respectively [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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Dual-specificity tyrosine-(Y) -phosphorylation-regulated kinase 1A (Dyrk1A) is a serine/threonine kinase expressed in adult brains as well as fetal and phosphorylates myriad proteins. DYRK1B regulates nuclear functions mainly expressed in muscle and testis [1].

INDY is a selective Dyrk1A and Dyrk1B inhibitor. INDY inhibited Dyrk1A against ATP with K_m and K_i of 37 and 0.18 μM , respectively. INDY (10 μM) exhibited > 90% inhibition on CLK1, CLK4, DYRK2, DYRK3, casein kinase 1 (CSNK1D) and PIM1. In COS7 cells, INDY (3 μM) inhibited tau-phosphorylation induced by Dyrk1A. In HEK293 cells, Dyrk1A inhibited the nuclear accumulation of NFATc1, while INDY relocated NFATc1 into the nucleus [1]. In EGFR-expressing glioblastomas tumor-initiating cells (GBM-TICs), INDY impaired cells self-renewal capacity and inhibited tumor growth and survival [2].

In *X. laevis* embryo overexpressed xDyrk1A, deformity was observed in the head and the eye of stage 40/41 tadpoles. While proINDY rescued the morphological abnormalities [1].

References:

- [1]. Ogawa Y, Nonaka Y, Goto T, et al. Development of a novel selective inhibitor of the Down syndrome-related kinase Dyrk1A. *Nat Commun*, 2010, 1: 86.
- [2]. Pozo N, Zahonero C, Fernández P, et al. Inhibition of DYRK1A destabilizes EGFR and reduces EGFR-dependent glioblastoma growth. *J Clin Invest*, 2013, 123(6): 2475-2487.

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