

Product Data Sheet

Product Name: KP372-1

Cat. No.: GC15553

Chemical Properties

Cas. No. 1374996-60-7

Chemical Name 6H-indeno[1,2-ε]tetrazolo[1,5-b][1,2,4]triazin-6-one, compd. with 10H-indeno[2,1-ε]tetrazolo[1,5-b][1,2,4]triazin-10-one (1:1)

SMILES O=C(C1=C2C=CC=C1)C(C2=N3)=NN4C3=NN=N4.O=C5C6=NC7=NN=NN7N=C6C8=C5C=CC=C8

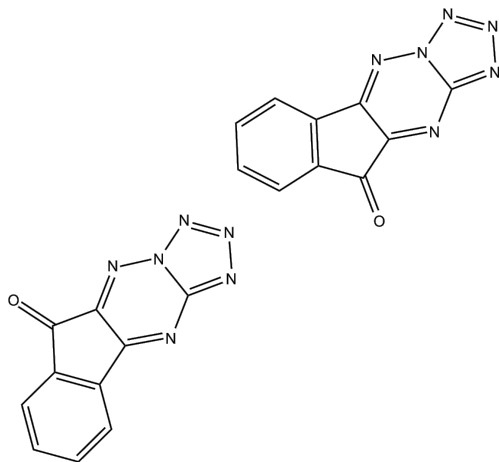
Formula $C_{10}H_4N_6O \cdot C_{10}H_4N_6O$ M.Wt 448.36

Solubility $\leq 20\text{mg/ml}$ in DMSO; 20mg/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

IC50: 30-60 nM for thyroid cancer cells

KP372-1 is a specific Akt inhibitor.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

The phosphatidylinositol 3' kinase (PI3K)/phosphatase, which is a key regulator of cell proliferation and survival, is mutated or activated in various cancers. Akt seems to be a key central node in this pathway and therefore is an promising target.

In vitro: A previous study found that KP372-1 could block signalling downstream of Akt in thyroid tumour cells, resulting in inhibition of cell proliferation and increased apoptosis [1]. Another study showed that KP372-1 directly inhibited the kinase activity of AKT, FLT3, and PDK1 concentration-dependently. Western blot analyses indicated KP372-1 decreased the phosphorylation of AKT on both Ser(473) and Thr(308). Moreover, the treatment of AML cell lines with KP372-1 led to rapid generation of reactive oxygen species and stimulation of oxygen consumption. In addition, KP372-1 was able to induce pronounced apoptosis in AML cell lines and primary samples irrespective of their FLT3 status, but not in normal CD34(+) cells [2].

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

References:

- [1] Mandal, M. ,Kim, S.,Younes, M.N., et al. The Akt inhibitor KP372-1 suppresses Akt activity and cell proliferation and induces apoptosis in thyroid cancer cells. British Journal of Cancer 92(10), 1899-1905 (2005).
- [2] Zeng, Z. ,Samudio, I.J.,Zhang, W., et al. Simultaneous inhibition of PDK1/AKT and Fms-like tyrosine kinase 3 signaling by a small-molecule KP372-1 induces mitochondrial dysfunction and apoptosis in acute myelogenous leukemia. Cancer Research 66(7), 3737-3746 (2006).

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA