

Product Data Sheet

Product Name: ETC-159

Cat. No.: GC19144

Chemical Properties

Cas. No. 1638250-96-0

SMILES O=C(NC1=CC=C(C2=CC=CC=C2)N=N1)CN3C=NC4=C3C(N(C)C(N4C)=O)=O

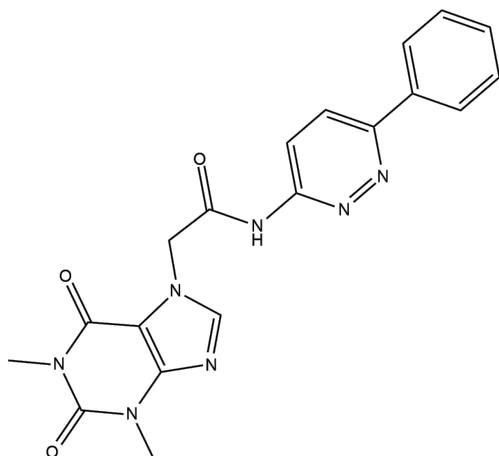
Formula $C_{19}H_{17}N_7O_3$ M.Wt 391.38

Solubility DMSO : ≥ 34 mg/mL (86.87 mM) 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



Protocol

Cell experiment: HEK293 cells stably transfected with STF reporter and pPGK-WNT3A plasmid (STF3A cells) are treated with varying concentrations of compounds. For Wnt secretion, STF3A cells are treated with ETC-159 diluted in 1% fetal bovine serum-containing media[1].

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

Animal experiment: Mice: For human xenograft models, patient-derived solid tissue fragments are subcutaneously implanted in BALB/c nude mice. All groups are matched for tumor size with equal variance before treatment. ETC-159 formulated in 50% PEG400 (vol/vol) in water is administered by oral gavage at a dosing volume of 10 μ L/g body weight[1].

References:

[1]. Madan B, et al. Wnt addiction of genetically defined cancers reversed by PORCN inhibition. *Oncogene*. 2015 Aug 10. doi: 10.1038/onc.2015.280.

Background

ETC-159 is a potent, orally available PORCN inhibitor. It inhibits β -catenin reporter activity with an IC50 of 2.9 nM.

ETC-159 blocks the secretion and activity of all Wnts. ETC-159 has robust activity in multiple cancer models driven by high Wnt signaling. ETC-159 is highly efficacious in molecularly defined colorectal cancers (CRCs) with R-spondin translocations[1]

ETC-159 inhibits mouse PORCN with an IC50 of 18.1 nM, whereas the IC50 for *Xenopus Porcn* is approximately four fold higher (70 nM). ETC-159 is remarkably effective in treating RSPO-translocation bearing colorectal cancer (CRC) patient-derived xenografts. ETC-159 exhibits good oral pharmacokinetics in mice allowing preclinical evaluation via oral administration. After a single oral dose of 5 mg/kg, ETC-159 is rapidly absorbed into the blood with a Tmax of ~0.5 h and oral bioavailability of 100%[1].

References:

[1]. Madan B, et al. Wnt addiction of genetically defined cancers reversed by PORCN inhibition. *Oncogene*. 2015 Aug 10. doi: 10.1038/onc.2015.280.

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