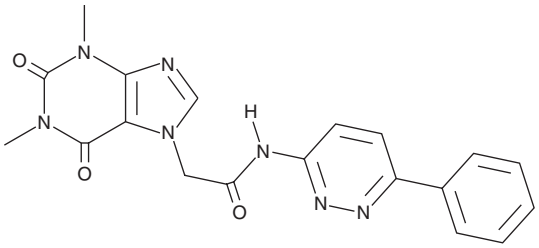
PRODUCT INFORMATION

ETC-159

Item No. 24104

CAS Registry No.: 1638250-96-0
Formal Name: 1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-N-(6-phenyl-3-pyridazinyl)-7H-purine-7-acetamide
Synonym: ETC-1922159
MF: $C_{19}H_{17}N_7O_3$
FW: 391.4
Purity: ≥95%
UV/Vis.: λ_{max}: 270 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

ETC-159 is supplied as a crystalline solid. A stock solution may be made by dissolving the ETC-159 in the solvent of choice. ETC-159 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of ETC-159 in these solvents is approximately 33 mg/ml.

ETC-159 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ETC-159 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ETC-159 has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ETC-159 is a potent and orally bioavailable inhibitor of porcupine (PORCN; IC_{50}s = 18.1 and 70 nM for mouse and *Xenopus* PORCN, respectively).[1] It inhibits β-catenin reporter activity (IC_{50} = 2.9 nM) and reduces the interaction of Wnt with its carrier protein WLS *via* decreased Wnt palmitoleation in STF3A cells, an effect that is reversed by overexpression of recombinant PORCN. ETC-159 inhibits PA-1 teratocarcinoma colony formation in soft agar (IC_{50} = 35 nM). *In vivo*, ETC-159 (1-10 mg/kg) reduces tumor growth in a dose-dependent manner in mice carrying a mouse mammary tumor virus LTR-Wnt1 transgene and in A-1 and NCCIT teratocarcinoma mouse xenograft models. It also reduces growth and induces differentiation in colon adenocarcinoma mouse xenograft models that contain R-spondin (RSPO) translocations.

Reference

1. Madan, B., Ke, Z., Harmston, N., *et al.* Wnt addiction of genetically defined cancers reversed by PORCN inhibition. *Oncogene* **35(17)**, 2197-2207 (2016).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM