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: $C_{19}H_{17}N_7O_3$

391.4 FW: ≥95% **Purity:**

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.



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). 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 (IC₅₀ = 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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