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- Trockeneiszuschlag
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- Expressversand

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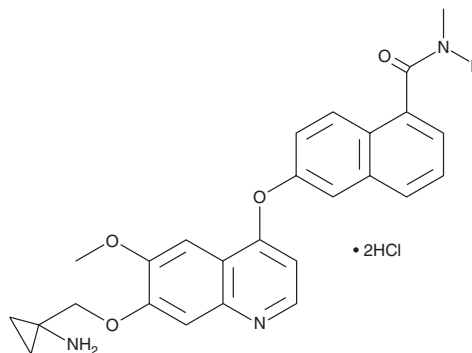
PRODUCT INFORMATION



Lucitanib (hydrochloride)

Item No. 37711

CAS Registry No.: 2108875-91-6
Formal Name: 6-[[7-[(1-aminocyclopropyl)methoxy]-6-methoxy-4-quinolinyl]oxy]-N-methyl-1-naphthalenecarboxamide, dihydrochloride
Synonyms: AL 3810, E-3810
MF: C₂₆H₂₅N₃O₄ • 2HCl
FW: 516.4
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Lucitanib (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the lucitanib (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Lucitanib (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 5 mg/ml. Lucitanib (hydrochloride) is slightly soluble in ethanol and dimethyl formamide.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of lucitanib (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of Lucitanib (hydrochloride) is slightly soluble in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

Lucitanib is a dual inhibitor of VEGFR and FGFR that inhibits VEGFR1, VEGFR2, and VEGFR3 (IC₅₀s = 7, 25, and 10 nM, respectively) and FGFR1 and FGFR2 (IC₅₀s = 17.5 and 82.5 nM, respectively).¹ It is selective for these receptors over FGFR3 and FGFR4 (IC₅₀s = 237.5 and >1,000 nM, respectively), as well as the PDGFR family members c-Kit, PDGFR α , and PDGFR β (IC₅₀s = 456, 175, and 525 nM, respectively), but does not inhibit FMS, also known as CSF-1 receptor tyrosine kinase (IC₅₀ = 5 nM). Lucitanib inhibits the proliferation of human umbilical vein endothelial cells (HUVECs) induced by VEGF or basic FGF (bFGF; IC₅₀s = 40 and 50 nM, respectively) but inhibits the proliferation of A2780 ovarian, A498 renal, and SN12KI renal cancer cells only at higher concentrations (IC₅₀s = 27.7, 19.6, and 12.79 μ M, respectively). It reduces tumor growth in HT-29 colon and A2780 ovarian cancer mouse xenograft models, as well as in RXF 393, A498, and SKN12I renal cancer mouse xenograft models in a dose-dependent manner. It also completely inhibits bFGF-induced angiogenesis in a Matrigel™ plug assay in mice when administered at a dose of 20 mg/kg.

Reference

1. Bello, E., Colella, G., Scarlato, V., *et al.* E-3810 is a potent dual inhibitor of VEGFR and FGFR that exerts antitumor activity in multiple preclinical models. *Cancer Res.* **71(4)**, 1396-1405 (2011).

WARNING

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

SAFETY DATA

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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