

PRODUCT INFORMATION

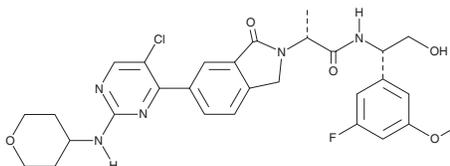


ASTX029

Item No. 33557

CAS Registry No.: 2095719-92-7
Formal Name: (αR)-6-[5-chloro-2-[(tetrahydro-2H-pyran-4-yl)amino]-4-pyrimidinyl]-N-[(1S)-1-(3-fluoro-5-methoxyphenyl)-2-hydroxyethyl]-1,3-dihydro-α-methyl-1-oxo-2H-isoindole-2-acetamide

MF: C₂₉H₃₁ClFN₅O₅
FW: 584.0
Purity: ≥98%
UV/Vis.: λ_{max}: 223, 253 nm
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

ASTX029 is supplied as a crystalline solid. A stock solution may be made by dissolving the ASTX029 in the solvent of choice, which should be purged with an inert gas. ASTX029 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ASTX029 in these solvents is 15 and 20 mg/ml, respectively.

Description

ASTX029 is an ERK inhibitor (IC₅₀ = 2.7 nM for ERK2).¹ It is selective for ERK over a panel of 465 kinases. ASTX029 reduces phosphorylation of p90 ribosomal S6 kinase (RSK) in mutant B-RAF-expressing A375 melanoma and mutant K-Ras-expressing HCT116 colorectal cancer cells with IC₅₀ values of 3.3 and 4 nM, respectively. It inhibits proliferation in a panel of cancer cell lines, including melanoma, colorectal, and lung cancer cells. ASTX029 reduces tumor growth in A375, Calu-6, and COLO 205 mouse xenograft models.

Reference

1. Munck, J., Berdini, V., Courtin, A., *et al.* The clinical candidate, ASTX029, is a novel, dual mechanism ERK1/2 inhibitor and has potent activity in MAPK-activated cancer cell lines and in vivo tumor models. *Eur. J. Cancer* **138**(Suppl 2), S53 (2020).

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.

WARRANTY AND LIMITATION OF REMEDY

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