PRODUCT INFORMATION



CH5183284

Item No. 17120

CAS Registry No.: 1265229-25-1

Formal Name: [5-amino-1-(2-methyl-1H-

benzimidazol-6-yl)-1H-pyrazol-4-yl]-

1H-indol-2-yl-methanone

Synonym: Debio 1347 MF: $C_{20}H_{16}N_{6}O$ FW: 356.4 **Purity:** ≥95%

UV/Vis.: λ_{max} : 212, 342 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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

Laboratory Procedures

CH5183284 is supplied as a crystalline solid. A stock solution may be made by dissolving the CH5183284 in the solvent of choice, which should be purged with an inert gas. CH5183284 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of CH5183284 in these solvents is approximately 1 mg/ml.

CH5183284 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CH5183284 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CH5183284 has a solubility of approximately 0.1 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CH5183284 is a potent, selective inhibitor of the kinase activity of fibroblast growth factor receptors (FGFRs) 1, 2, and 3 (IC₅₀s = 9.3, 7.6, and 22 nM, respectively). It less potently inhibits FGFR4 and platelet-derived growth factor receptor β (IC₅₀s = 290 and 560 nM, respectively) and displays IC₅₀ values greater than 1 µM for a diverse panel of tyrosine and serine/threonine kinases. 1 CH5183284 is effective against FGFR2 containing the gatekeeper mutation V564F.1 Moreover, it inhibits the growth of cancer cells expressing FGFR genetic alterations both in vitro and in xenograft mouse models.¹

Reference

1. Nakanishi, Y., Akiyama, N., Tsukaguchi, T., et al. The fibroblast growth factor receptor genetic status as a potential predictor of the sensitivity to CH5183284/Debio 1347, a novel selective FGFR inhibitor. Mol. Cancer Ther. 13(11), 2547-2558 (2014).

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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