

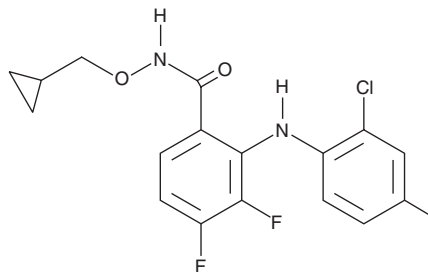
PRODUCT INFORMATION



CI-1040

Item No. 11580

CAS Registry No.: 212631-79-3
Formal Name: 2-[(2-chloro-4-iodophenyl)amino]-
N-(cyclopropylmethoxy)-3,4-
difluoro-benzamide
Synonym: PD 184352
MF: C₁₇H₁₄ClF₂IN₂O₂
FW: 478.7
Purity: ≥98%
UV/Vis.: λ_{max}: 281, 312 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

CI-1040 is supplied as a crystalline solid. A stock solution may be made by dissolving the CI-1040 in the solvent of choice. CI-1040 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CI-1040 in ethanol is approximately 2 mg/ml and approximately 5 mg/ml in DMSO and DMF.

Description

The dual specific threonine/tyrosine kinase, map kinase kinase (MEK), is a key component of the RAS/RAF/MEK/ERK signaling pathway that is frequently activated in human tumors.^{1,2} CI-1040 is a benzhydroxamate compound that potently inhibits MEK in an *in vitro* mitogen-activated protein kinase cascade assay with an IC₅₀ value of 2.3 nM (K_d = 74 nM when activated with adenosine triphosphate).^{3,4} It can suppress phosphorylation of ERK in mouse colon 26 tumors with an IC₅₀ value of 35 nM and demonstrates *in vivo* activity with oral administration in a mouse tumor model.³ The second-generation MEK inhibitor PD 0325901 (Item No. 13034) is a structural derivative of CI-1040 that was developed for improved solubility.³

References

1. Vojtek, A.B. and Der, C.J. Increasing complexity of the Ras signaling pathway. *J. Biol. Chem.* **273**(32), 19925-19928 (1998).
2. Dorsam, R.T. and Gutkind, J.S. G-protein-coupled receptors and cancer. *Nat. Rev. Cancer* **7**(2), 79-94 (2007).
3. Barret, S.D., Bridges, A.J., Dudley, D.T., *et al.* The discovery of the benzhydroxamate MEK inhibitors CI-1040 and PD 0325901. *Bioorg. Med. Chem. Lett.* **18**(24), 6501-6504 (2008).
4. VanScyoc, W.S., Holdgate, G.A., Sullivan, J.E., *et al.* Enzyme kinetics and binding studies on inhibitors of MEK protein kinase. *Biochemistry* **47**(17), 5017-5027 (2008).

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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