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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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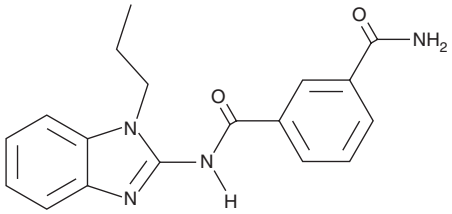
PRODUCT INFORMATION

Takinib

Item No. 24161

CAS Registry No.:	1111556-37-6
Formal Name:	N^1-(1-propyl-1H-benzimidazol-2-yl)-1,3-benzenedicarboxamide
MF:	$C_{18}H_{18}N_4O_2$
FW:	322.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 323 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Takinib is supplied as a solid. A stock solution may be made by dissolving the takinib in the solvent of choice, which should be purged with an inert gas. Takinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of takinib in these solvents is approximately 5 mg/ml.

Takinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, takinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Takinib has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Takinib is a TGF-β-activated kinase 1 (TAK1) inhibitor (IC_{50} = 9.5 nM).[1] It is selective for TAK1 over IRAK1, IRAK4, GCK, Clk2, and MINK1 (IC_{50}s = 390, 120, 430, 430, and 1,900 nM, respectively). Takinib increases caspase-3/-7 activity and inhibits proliferation of TNF-α-stimulated, but not unstimulated, MDA-MB-231 cells in a concentration-dependent manner. It reduces phosphorylation of IKK, p38 MAPK, MAPK8, MAPK9, and c-Jun in TNF-α-stimulated MDA-MB-231 cells. Takinib inhibits IL-6 secretion in TNF-α-stimulated rheumatoid arthritis fibroblast-like synoviocytes in a concentration-dependent manner. It reduces inflammation and cartilage damage in knee joints in a mouse model of collagen type II-induced arthritis when administered at a dose of 50 mg/kg per day.[2]

References

1. Totzke, J., Gurbani, D., Raphemot, R., *et al.* Takinib, a selective TAK1 inhibitor, broadens the therapeutic efficacy of TNF-α inhibition for cancer and autoimmune disease. *Cell Chem. Biol.* **24(8)**, 1029-1039 (2017).
2. Scarneo, S.A., Eibschutz, L.S., Bendele, P.J., *et al.* Pharmacological inhibition of TAK1, with the selective inhibitor takinib, alleviates clinical manifestation of arthritis in CIA mice. *Arthritis Res. Ther.* **21(1)**, 292 (2019).

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