
Product Data Sheet

Product Name: ON 146040

Cat. No.: GC36807

Chemical Properties

Cas. No. 1404231-34-0

SMILES CN(CC1)CCN1C(C=C2)=CC=C2NC3=NC(S/C(C(N4)=O)=C\C5=CC=C([N+][O-])=O)C=C5)=C4C=N3

Formula	C ₂₄ H ₂₃ N ₇ O ₃ S	M.Wt	489.55
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Solubility	Soluble in DMSO	Storage	Store at -20°C
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General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

ON 146040 is a potent PI3K α and PI3K δ (IC₅₀≈14 and 20 nM, respectively) inhibitor. ON 146040 also inhibits Abl1 (IC₅₀<150 nM). PI3K α |14 nM (IC₅₀)|PI3K δ |20 nM (IC₅₀)|PI3K γ |1 μ M (IC₅₀)|PI3K β |3 μ M (IC₅₀)|Abl1|150 nM (IC₅₀)

In biochemical testing, ON 146040 inhibits PI3K α / PI3K δ isoforms (IC₅₀≈14 and 20 nM, respectively) without having a major effect on PI3K β / PI3K γ isoforms (IC₅₀≈3 and 1 μ M, respectively). ON 146040 is also found to inhibit Abl1 and several mutant versions of this kinase (IC₅₀<150 nM), although ON 146040 is not found to be active against the T315I mutant. ON 146040 represents the first dual PI3K and BCR-ABL inhibitor that targets the STAT3 and STAT5 pathways. ON 146040 disrupts the oncogenic activity of both STAT3 and STAT5 by targeting upstream activators, including PI3K α and δ isoforms as well as wild type and mutant BCR-ABL. ON 146040 is highly potent in killing hematologic tumor cells with IC₅₀ values in the 150 to 1,000 nM range. Following treatment with ON 146040, STAT3 and STAT5 phosphorylation are down-regulated in leukemia and myeloma cells[1].

Caution: Product has not been fully validated for medical applications. For research use only.

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[1]. Targeting oncogenic STAT3 and STAT5 signaling with on 146040, a small molecule inhibitor of PI3K α/δ and BCR-ABL.

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