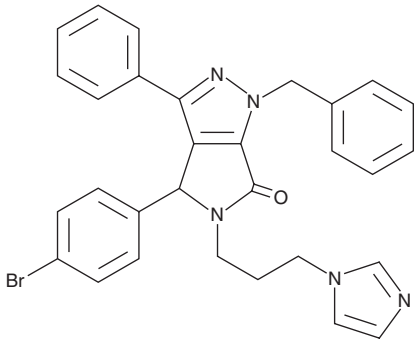
PRODUCT INFORMATION

CAY10681

Item No. 15573

CAS Registry No.:	1542066-69-2
Formal Name:	4-(4-bromophenyl)-4,5-dihydro-5-[3-(1H-imidazol-1-yl)propyl]-3-phenyl-1-(phenylmethyl)-pyrrolo[3,4-c]pyrazol-6(1H)-one
MF:	$C_{30}H_{26}BrN_5O$
FW:	552.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 231, 252 nm
Supplied as:	A crystalline 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

CAY10681 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10681 in the solvent of choice, which should be purged with an inert gas. CAY10681 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of CAY10681 in these solvents is approximately 30 mg/ml.

CAY10681 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10681 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. CAY10681 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Inactivation of the tumor suppressor p53 commonly coincides with increased signaling through NF-κB in cancer. CAY10681 is a dual modulator of p53-MDM2 interaction and NF-κB signaling.[1] It potently binds MDM2 (K_i = 250 nM), reducing MDM2-mediated turnover of p53.[1] CAY10681 also inhibits phosphorylation of IκBα and dose-dependently reduces nuclear accumulation of p65.[1] It blocks the proliferation of cancer cell lines (IC_{50}s range from 33 to 37 µM).[1] CAY10681 exhibits excellent oral bioavailability and inhibits tumor growth in A549 xenografts in nude mice.[1]

Reference

1. Zhuang, C., Miao, Z., Wu, Y., *et al.* Double-edged swords as cancer therapeutics: Novel, orally active, small molecules simultaneously inhibit p53-MDM2 interaction and the NF-κB pathway. *J. Med. Chem.* **57(3)**, 567-577 (2014).

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