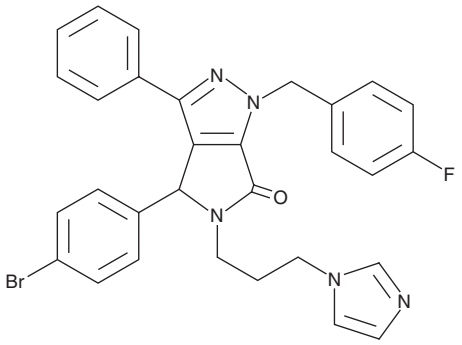
PRODUCT INFORMATION

CAY10682

Item No. 15574

CAS Registry No.:	1542066-74-9
Formal Name:	4-(4-bromophenyl)-1-[(4-fluorophenyl) methyl]-4,5-dihydro-5-[3-(1H-imidazol-1-yl)propyl]-3-phenyl-pyrrolo[3,4-c] pyrazol-6(1H)-one
MF:	$C_{30}H_{25}BrFN_5O$
FW:	570.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 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

CAY10682 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10682 in the solvent of choice, which should be purged with an inert gas. CAY10682 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CAY10682 in ethanol is approximately 2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

CAY10682 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10682 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CAY10682 has a solubility of approximately 0.25 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

(±)-Nutlin-3 (Item No. 10004372) blocks the interaction of p53 with its negative regulator Mdm2 (IC_{50} = 90 nM), inducing the expression of p53-regulated genes and blocking the growth of tumor xenografts *in vivo*.[1] CAY10682 is a pyrrolo[3,4c]pyrazole derivative that inhibits the p53-Mdm2 interaction as potently as (±)-nutlin-3 (K_i = 83 nM) and also dose-dependently reduces activation of the NF-κB pathway.[2] It specifically prevents phosphorylation of IκBα by the kinases IKKα, IKKβ, and IKKε (IC_{50}s = 80.5, 78.2, and 57.1 µM, respectively).[2] CAY10682 blocks the growth of cancer cells *in vitro* (IC_{50}s = 2-6 µM) and inhibits the growth of A549 cell xenografts in mice without significantly reducing body weight.[2]

References

1. Vassilev, L.T., Vu, B.T., Graves, B., *et al.* In vivo activation of the p53 pathway by small-molecule antagonists of MDM2. *Science* **303(5659)**, 844-848 (2004).
2. 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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