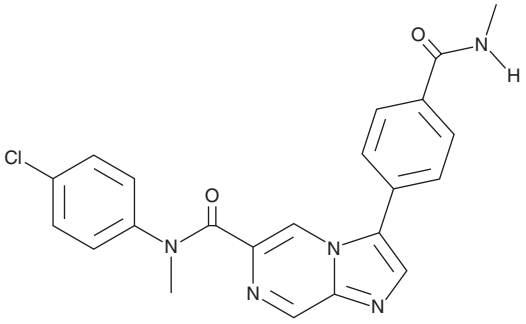
PRODUCT INFORMATION

KDU691

Item No. 29019

CAS Registry No.:	1513879-19-0
Formal Name:	N-(4-chlorophenyl)-N-methyl-3-[4-[(methylamino)carbonyl]phenyl]-imidazo[1,2-a]pyrazine-6-carboxamide
MF:	$C_{22}H_{18}ClN_5O_2$
FW:	419.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 268 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

KDU691 is supplied as a crystalline solid. A stock solution may be made by dissolving the KDU691 in the solvent of choice, which should be purged with an inert gas. KDU691 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of KDU691 in these solvents is approximately 30 mg/ml.

KDU691 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, KDU691 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. KDU691 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

KDU691 is an antimalarial compound.[1] It inhibits recombinant *P. vivax* phosphatidylinositol 4-kinase (PI4K) with an IC_{50} value of 1.5 nM. KDU691 is selective for *P. vivax* PI4K over recombinant human PI4KβIII and PI3Kα, -β, -γ, and -δ (IC_{50}s = 7.9, 8.8, 2.4, 8, and 3.4 µM, respectively), as well as VPS34 (IC_{50}= >9.7 µM) and 36 additional kinases in a panel of lipid and protein kinases (IC_{50}s = >10 µM). It is active against *P. falciparum* and *P. yoelii* schizonts (IC_{50}s = 0.06 and 0.04 µM, respectively), as well as *P. cynomolgi* schizonts and hypnozoites (IC_{50}s = 0.11 and 0.2 µM, respectively).[2] KDU691 completely prevents, but does not eradicate established, *P. cynomolgi* infection in rhesus monkeys when administered at a dose of 20 mg/kg.[3]

References

1. McNamara, C.W., Lee, M.C., Lim, C.S., *et al.* Targeting *Plasmodium* phosphatidylinositol 4-kinase to eliminate malaria. *Nature* **504(7479)**, 248-253 (2013).
2. Zou, B., Nagle, A., Chatterjee, A.K., *et al.* Lead optimization of imidazopyrazines: a new class of antimalarial with activity on *Plasmodium* liver stages. *ACS Med. Chem. Lett.* **5(8)**, 947-950 (2014).
3. Zeeman, A.M., Lakshminarayana, S.B., van der Werff, N., *et al.* PI4 kinase is a prophylactic but not radical curative target in *Plasmodium vivax*-type malaria parasites. *Antimicrob. Agents Chemother.* **60(5)**, 2858-2863 (2016).

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