Certificate of Analysis

Product Name: **PKRA 7** **Catalog No.: 6238** **Batch No.: 1**

IUPAC Name: (3*R*)-*N*-[(9-Chloro-3,4-dihydro-2*H*-1,5-benzodioxepin-7-yl)methyl]-1-[(4-fluoro-3-methoxyphenyl)methyl]-*N*-(2-methylpropyl)-3-pyrrolidinecarboxamide hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{27}H_{34}ClFN_2O_4.HCl.1½H_2O$

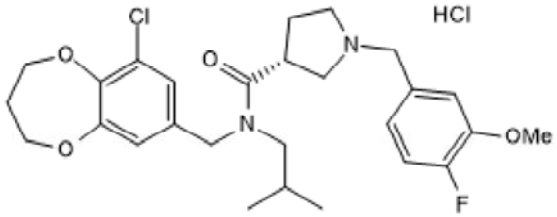
Batch Molecular Weight: 568.5

Physical Appearance: Off-white solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.4% purity

Chiral HPLC: Shows 99.6% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	57.04	6.74	4.93
Found	56.92	6.62	4.94

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Product Information

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Description:

Potent prokineticin (PK) receptor antagonist (IC_{50} values are 5.0 and 8.2 nM for PKR1 and PKR2, respectively). Reduces IL-1β and IL-6 expression in the joints and suppresses severity of arthritis in a mouse model of rheumatoid arthritis. Inhibits PK2-induced expression of pro-migratory chemokines and chemokine receptors in macrophages. Exhibits antitumor activity against glioblastoma and pancreatic cancer xenograft tumor models. Also exhibits antiangiogenic activity in vivo. Brain penetrant. Cell-permeable.

Physical and Chemical Properties:

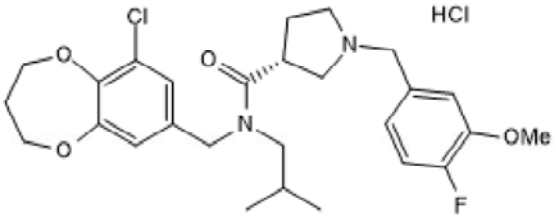
Batch Molecular Formula: $C_{27}H_{34}ClFN_2O_4.HCl.1½H_2O$

Batch Molecular Weight: 568.5

Physical Appearance: Off-white solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Ito *et al* (2016) Prokineticin 2 antagonist, PKRA7 suppresses arthritis in mice with collagen-induced arthritis. BMC Musculoskelet.Disord. ***17*** 387. PMID: 27609223.

Curtis *et al* (2013) A PK2/Bv8/PROK2 antagonist suppresses tumorigenic processes by inhibiting angiogenesis in glioma and blocking myeloid cell infiltration in pancreatic cancer. PLoS One ***8*** e54916. PMID: 23372791.